

As filed with the Securities and Exchange Commission on June 1, 2005

Registration No. 333-121646

**UNITED STATES
SECURITIES AND EXCHANGE COMMISSION**
Washington, D.C. 20549

**AMENDMENT NO. 3
TO
FORM S-1
REGISTRATION STATEMENT
Under
The Securities Act of 1933**

SUNESIS PHARMACEUTICALS, INC.

(Exact name of registrant as specified in its charter)

Delaware
(State or other jurisdiction of
incorporation or organization)

2834
(Primary Standard Industrial
Classification Code Number)

94-3295878
(I.R.S. Employer
Identification Number)

**341 Oyster Point Boulevard
South San Francisco, California 94080
(650) 266-3500**

(Address, including zip code, and telephone number, including area code, of registrant's principal executive offices)

**Daniel N. Swisher, Jr.
Chief Executive Officer
Sunesis Pharmaceuticals, Inc.
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(650) 266-3500**

(Name, address, including zip code, and telephone number, including area code, of agent for service)

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Approximate date of commencement of proposed sale to the public: As soon as practicable after the effective date of this registration statement.

If any of the securities being registered on this form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, check the following box.

If this form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, please check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this form is a post-effective amendment filed pursuant to Rule 462(d) under the Securities Act, check the following box and list the

Securities Act registration statement number of the earlier effective registration statement for the same offering.

If delivery of the prospectus is expected to be made pursuant to Rule 434, please check the following box.

The registrant hereby amends this registration statement on such date or dates as may be necessary to delay its effective date until the registrant shall file a further amendment which specifically states that this registration statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act of 1933 or until the registration statement shall become effective on such date as the Commission acting pursuant to said Section 8(a) may determine.

The information in this prospectus is not complete and may be changed. We may not sell these securities until the registration statement filed with the Securities and Exchange Commission is effective. This prospectus is not an offer to sell these securities and is not soliciting an offer to buy these securities in any state where the offer or sale is not permitted.

SUBJECT TO COMPLETION, DATED JUNE 1, 2005

Shares



SUNESIS

Common Stock

Prior to this offering, there has been no public market for our common stock. The initial public offering price of our common stock is expected to be between \$ _____ and \$ _____ per share. We have applied to have our stock approved for quotation on the Nasdaq National Market under the symbol "SNSS."

We have granted the underwriters an option to purchase, on the same terms and conditions set forth below, a maximum of _____ additional shares if the underwriters sell more than _____ shares in this offering.

Investing in our common stock involves risks. See "Risk Factors" beginning on page 8.

| | Price to Public | Underwriting Discounts and Commissions | Proceeds to Sunesis Pharmaceuticals, Inc. |
|-----------|-----------------|--|---|
| Per Share | \$ _____ | \$ _____ | \$ _____ |
| Total | \$ _____ | \$ _____ | \$ _____ |

Delivery of the shares of common stock will be made on or about _____, 2005.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or determined if this prospectus is truthful or complete. Any representation to the contrary is a criminal offense.

Lehman Brothers

SG Cowen & Co.

Needham & Company, LLC

The date of this prospectus is _____, 2005

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You should rely only on the information contained in this prospectus. We have not, and the underwriters have not, authorized anyone to provide you with information that is different. This prospectus may only be used where it is legal to sell these securities. The information in this prospectus is only accurate as of the date of this prospectus, but the information may have changed since that date.

Until _____, 2005, all dealers that effect transactions in these securities, whether or not participating in this offering, may be required to deliver a prospectus. This is in addition to the dealers' obligation to deliver a prospectus when acting as underwriters and with respect to their unsold allotments or subscriptions.

PROSPECTUS SUMMARY

This summary highlights key aspects of the information contained elsewhere in this prospectus. This summary does not constitute all the information you should consider before investing in our common stock. You should read the entire prospectus carefully, especially the risks of investing in our common stock that we discuss in "Risk Factors" and our financial statements and related notes included elsewhere in this prospectus.

Sunesis Pharmaceuticals, Inc.

Overview

We are a clinical-stage biopharmaceutical company focused on the discovery, development and commercialization of novel small molecule therapeutics for oncology, inflammatory diseases and other unmet medical needs. We have built our product candidate portfolio through internal discovery and the in-licensing of novel cancer therapeutics. We are advancing our product candidates through in-house research and development efforts and strategic collaborations with leading pharmaceutical and biopharmaceutical companies. We believe the quality and breadth of our product candidate pipeline, platform technology, strategic collaborations and scientific team will enable us to become a fully integrated biopharmaceutical company with a diversified portfolio of novel therapeutics for major diseases.

We are advancing three proprietary oncology product candidates through in-house research and development efforts, SNS-595, SNS-032 and an Aurora kinase inhibitor. All three are inhibitors of the cell division process, known as cell-cycle inhibitors, intended for the treatment of cancer. We are currently conducting two Phase I clinical trials with our lead product candidate, SNS-595, and we expect to commence up to two Phase II clinical trials in various types of solid tumors and an additional Phase I clinical trial in certain leukemias in the second half of 2005. We plan to commence a Phase I clinical trial with our second most advanced product candidate, SNS-032, in the second half of 2005. We in-licensed this compound from Bristol-Myers Squibb Company, or BMS, in April 2005. We are also developing an Aurora kinase inhibitor for the treatment of cancer, which we expect to enter Phase I clinical trials in 2006. We have worldwide development and commercialization rights to SNS-595, SNS-032 (for diagnostic and therapeutic applications) and our Aurora kinase inhibitors program.

We have developed a proprietary method of discovering drugs in pieces, or fragments. We call this fragment-based discovery approach "Tethering." Tethering is a process whereby a target protein known to be involved in a disease process is engineered to facilitate the binding of small drug fragments. Once a small fragment is identified, the fragment is built out using the target protein's surface as a template to make a new full-size therapeutic compound. We combine Tethering with other drug discovery tools, such as structure-based design and medicinal chemistry, to discover and develop novel therapeutics for major diseases. In addition to its use in our internal drug discovery efforts, Tethering is the basis of our five strategic collaborations with Biogen Idec, Johnson & Johnson PRD and Merck. In the last year, each of our current collaboration partners has either extended its existing collaboration or entered into a new collaboration with our company. We believe that our strategic collaborations will enable us to leverage and expand our internal development capabilities, manage our cash expenditures and diversify risk across our pipeline.

Our Programs

SNS-595 Program

SNS-595 is a novel cell-cycle inhibitor that we believe represents a new class of anti-tumor drugs. We believe that SNS-595 induces cell death by inhibiting the cell-cycle in a different way than any other cell-cycle inhibitor. In preclinical studies, SNS-595 demonstrated broad anti-tumor activity. In

June 2004, we began the first of two Phase I clinical trials to evaluate doses and schedules of administration in patients with advanced solid tumors. We plan to commence up to two Phase II clinical trials in various types of solid tumors and an additional Phase I clinical trial in certain leukemias in the second half of 2005. We currently plan to design these trials to evaluate SNS-595 as a stand-alone therapy in patients with small cell and non-small cell lung cancers and acute leukemias. In addition, in 2006 we intend to commence Phase II clinical trials to evaluate SNS-595 as a stand-alone therapy and Phase Ib clinical trials to evaluate SNS-595 in combination with standard treatments in additional tumor types. We obtained worldwide development and commercialization rights to SNS-595 from Daiippon Pharmaceutical through a license agreement in 2003.

SNS-032 Program

SNS-032 is a targeted inhibitor of certain cyclin-dependent kinases, including CDK2, CDK7 and CDK9. Kinases are enzymes critical in the communication and relay of signals to promote cell growth and function, and cyclin-dependent kinases relay signals in the cell cycle. In preclinical studies, SNS-032 has demonstrated broad anti-tumor activity in multiple mouse and human tumor models, including breast, ovarian, colorectal and skin cell cancers. We believe that the observed cell death caused by this inhibitor is the result of cell cycle arrest. BMS has conducted three Phase I dose-escalation clinical trials evaluating the safety and tolerability of SNS-032 at three different dosing regimens in approximately 135 patients with refractory solid tumors. We plan to commence a new Phase I clinical trial of SNS-032 in the second half of 2005. We currently plan to design this trial to evaluate the safety and tolerability of frequent, repeated exposure to SNS-032 as a stand-alone therapy in patients with advanced solid tumors. We obtained worldwide rights to develop and commercialize SNS-032 for diagnostic and therapeutic applications from BMS through a license agreement in April 2005.

Other Oncology Kinase Programs

We are applying Tethering in several programs to discover and develop novel cancer therapeutics that inhibit other kinases.

- *Aurora Kinase Inhibitors Program.* Aurora kinases are key enzymes involved in cell growth and division and play an essential role in the abnormal growth and proliferation of tumor cells. The goal of this program is to develop novel Aurora kinase inhibitors that exhibit broad activity in tumors and do not cause significant peripheral nerve cell death, known as peripheral neuropathy. We are conducting preclinical studies and anticipate selecting a development candidate in 2005 with the goal of filing an investigational new drug application, or IND, and commencing Phase I clinical trials in 2006. We have worldwide rights to commercialize any drugs resulting from our Aurora kinase inhibitors program.
- *Raf Kinase Inhibitors Program.* We are developing our Raf kinase inhibitors program in collaboration with Biogen Idec. Raf kinase is an enzyme in the Ras pathway, a signaling pathway important to cell proliferation. The goal of this program is to develop Raf kinase inhibitors with improved pharmaceutical properties as compared to other Raf kinase inhibitors in development. We expect Biogen Idec to file an IND and commence Phase I clinical trials in 2007. We have an option to co-develop and co-promote any drugs developed through this program on a worldwide basis.
- *Other Kinase Inhibitors Programs.* As part of our collaboration with Biogen Idec, we are applying Tethering to discover novel small molecule leads that inhibit up to five additional oncology kinase targets. We and Biogen Idec are working together on the identification, optimization and development of inhibitor drugs for these kinases. We are also working on the identification and optimization of kinase inhibitor drugs outside of our collaboration with Biogen Idec.

Inflammatory Diseases Programs

- *Cathepsin S Inhibitors Program.* In collaboration with Johnson & Johnson PRD, we are applying Tethering to discover small molecule inhibitors of Cathepsin S, an enzyme involved in the activation of T-cells. Inappropriate activation of T-cells may lead to some inflammatory diseases, such as asthma, rheumatoid arthritis, multiple sclerosis, psoriasis and Crohn's disease. Johnson & Johnson PRD holds worldwide rights to commercialize any drugs resulting from this program.
- *TNF Family Inhibitors Program.* In collaboration with Biogen Idec, we are applying Tethering to discover small molecule TNF family inhibitors. TNF and related cytokine family members are proteins involved in inflammatory diseases, including rheumatoid arthritis, psoriasis and Crohn's disease. Biogen Idec holds worldwide rights to commercialize any drugs resulting from this program.

Other Programs

- *BACE Inhibitors for Alzheimer's Disease.* In collaboration with Merck, we are applying Tethering to identify and optimize inhibitors of BACE, an important enzyme target in Alzheimer's disease. Merck holds worldwide rights to commercialize any drugs resulting from this collaboration and is responsible for advancing these compounds into lead optimization, preclinical studies and clinical trials.
- *Anti-Viral Inhibitors Program.* We are collaborating with Merck to identify small molecule inhibitors of an anti-viral target by a novel mechanism. We provided Merck with a series of small molecule compounds we derived from Tethering that target a specific viral protein. Merck holds worldwide rights to commercialize any drugs resulting from this collaboration and is responsible for advancing these compounds into lead optimization, preclinical studies and clinical trials.

Our Fragment-Based Drug Discovery Approach

We are applying Tethering to discover and develop novel therapeutics for major diseases. Tethering allows us to screen drug fragments based on binding properties, which enables us to potentially identify compounds that may not be discovered through conventional methods of drug discovery. We believe that this capability allows us to efficiently design product candidates that bind to sites or regions on a specific protein not readily accessed by other discovery methods. Tethering is applicable to most proteins, and we have used Tethering on over 15 different protein targets to date.

Our Strategy

We are focused on discovering, developing and commercializing novel small molecule therapeutics for oncology, inflammatory diseases and other unmet medical needs. The key elements of our business strategy are as follows:

- focus on small molecules with differentiated therapeutic benefits;
- maximize the value of our pipeline of product candidates through internal development and strategic collaborations; and
- expand our portfolio of product candidates through our internal drug discovery engine and in-licensing.


Risks Related to Our Business

We are a clinical-stage biopharmaceutical company subject to a number of risks that you should be aware of before you decide to buy our common stock. In particular, all of our product candidates are in Phase I clinical trials or earlier, and we have not received regulatory approval for any product

candidate. It is possible that we may never successfully commercialize any of our product candidates. While we have received revenue from our research collaborations and grants and fellowships, we have not generated any revenue to date from product sales. As of March 31, 2005, we had an accumulated deficit of \$97.9 million, and we expect to continue to incur substantial losses for the foreseeable future. These risks are discussed more fully in "Risk Factors."

Corporate Information

We were incorporated in Delaware in February 1998 as Mosaic Pharmaceuticals, Inc., and we subsequently changed our name to Sunesis Pharmaceuticals, Inc. Our principal executive offices are located at 341 Oyster Point Boulevard, South San Francisco, California 94080, and our telephone number is (650) 266-3500. Our website address is www.sunesis.com. Information contained in, or accessible through, our website is not a part of this prospectus. References in this prospectus to "we," "us," "our," "our company" or "Sunesis" refer to Sunesis Pharmaceuticals, Inc.

Sunesis, Tethering and , our logo, are registered trademarks of our company. All other trademarks, trade names and service marks appearing in this prospectus are the property of their respective owners.

The Offering

Common stock offered by Sunesis: shares

Common stock to be outstanding after the offering: shares

Proposed Nasdaq National Market symbol: SNSS

Use of proceeds: We intend to use our net proceeds to fund clinical and preclinical development of our product candidates, to discover additional product candidates, to repay outstanding indebtedness and for general corporate purposes, including capital expenditures and working capital. We may use a portion of our net proceeds to in-license product candidates or to invest in businesses or technologies that we believe are complementary to our own. See "Use of Proceeds."

The number of shares of common stock to be outstanding after this offering is based on 40,807,287 shares of common stock outstanding as of March 31, 2005. The number of shares of common stock to be outstanding after this offering excludes, as of March 31, 2005:

- 7,052,975 shares of common stock issuable upon exercise of outstanding stock options with a weighted average exercise price of \$0.61 per share;
- 916,466 shares of common stock issuable upon exercise of outstanding warrants with a weighted average exercise price of \$3.73 per share; and
- 7,341,099 shares of common stock reserved for future issuance under our 1998 Stock Option Plan, 2001 Stock Option Plan, 2005 Equity Incentive Award Plan and Employee Stock Purchase Plan.

Unless specifically stated, all information contained in this prospectus:

- gives effect to our amended and restated certificate of incorporation that we will file in connection with the closing of this offering;
- gives effect to a -for- reverse split of our preferred and common stock to be effected prior to the closing of this offering, based on an assumed initial public offering price of \$ per share;
- gives effect to the conversion of our outstanding preferred stock into shares of common stock in connection with this offering, based on an assumed initial public offering price of \$ per share; and
- assumes no exercise by the underwriters of their option to purchase up to additional shares of common stock.

Summary Financial Data

The following summary financial data should be read in conjunction with "Selected Financial Data," "Management's Discussion and Analysis of Financial Condition and Results of Operations" and our financial statements and related notes included elsewhere in this prospectus. We derived the statements of operations data for the years ended December 31, 2002, 2003 and 2004 from our audited financial statements included elsewhere in this prospectus. We derived the statements of operations data for the three months ended March 31, 2004 and 2005, as well as the balance sheet data as of March 31, 2005, from our unaudited financial statements included elsewhere in this prospectus. Our historical results are not necessarily indicative of results to be expected in any future period.

| | Year Ended December 31, | | | Three Months Ended March 31, | |
|---|---|-------------|-------------|------------------------------|------------|
| | 2002 | 2003 | 2004 | 2004 | 2005 |
| | | | | (unaudited) | |
| | (in thousands, except share and per share data) | | | | |
| Statements of Operations Data: | | | | | |
| Revenue: | | | | | |
| Collaboration revenue | \$ 3,170 | \$ 6,842 | \$ 5,938 | \$ 1,362 | \$ 1,661 |
| Collaboration revenue from related party | 32 | 857 | 4,201 | 214 | 2,209 |
| Grant and fellowship revenue | 1,474 | 561 | 166 | 55 | 33 |
| | 4,676 | 8,260 | 10,305 | 1,631 | 3,903 |
| Operating expenses: | | | | | |
| Research and development | 18,441 | 21,326 | 23,616 | 6,148 | 6,616 |
| General and administrative | 6,179 | 6,136 | 7,352 | 1,707 | 1,921 |
| | 24,620 | 27,462 | 30,968 | 7,855 | 8,537 |
| Loss from operations | (19,944) | (19,202) | (20,663) | (6,224) | (4,634) |
| Interest income | 1,360 | 713 | 518 | 111 | 205 |
| Interest expense | (594) | (521) | (387) | (110) | (106) |
| Other income (expense), net | (4) | 5 | 2 | — | 3 |
| | (19,182) | (19,005) | (20,530) | (6,223) | (4,532) |
| Net loss | \$ (19,182) | \$ (19,005) | \$ (20,530) | \$ (6,223) | \$ (4,532) |
| Basic and diluted net loss per share | \$ (4.41) | \$ (3.80) | \$ (3.71) | \$ (1.18) | \$ (0.77) |
| Shares used in computing basic and diluted net loss per share | 4,351,983 | 4,996,859 | 5,533,739 | 5,292,428 | 5,863,822 |
| Pro forma basic and diluted net loss per share (unaudited) | | | \$ (0.53) | | \$ (0.11) |
| Shares used in computing pro forma basic and diluted net loss per share (unaudited) | | | 38,637,365 | | 40,688,760 |

As of March 31, 2005

| Actual | Pro Forma As Adjusted |
|--------|--------------------------|
|--------|--------------------------|

(unaudited)

(in thousands)

Balance Sheet Data:

| | |
|--|-----------|
| Cash, cash equivalents and marketable securities | \$ 28,897 |
| Working capital | 22,743 |
| Total assets | 35,287 |
| Long-term debt | 4,756 |
| Convertible preferred stock | 108,813 |
| Common stock and additional paid-in capital | 6,646 |
| Accumulated deficit | (97,949) |
| Total stockholders' equity (deficit) | (94,274) |

See Note 2 to our financial statements for a description of the method used to compute shares used in computing basic and diluted net loss per share and shares used in computing pro forma basic and diluted net loss per share.

The pro forma as adjusted data reflect, based on an assumed initial public offering price of \$ _____ per share, (i) the conversion of our outstanding preferred stock into shares of common stock in connection with this offering and (ii) the receipt of net proceeds from the sale of _____ shares of common stock in this offering, after deducting underwriting discounts and commissions and estimated offering expenses. See "Conversion of Preferred Stock and Reverse Stock Split."

RISK FACTORS

Investing in our common stock involves a high degree of risk. You should carefully consider the risks and uncertainties described below and all information contained in this prospectus before you decide to purchase our common stock. If any of the possible adverse events described below actually occurs, we may be unable to conduct our business as currently planned and our financial condition and operating results could be harmed. In addition, the trading price of our common stock could decline due to the occurrence of any of these risks, and you may lose all or part of your investment. Please see "Special Note Regarding Forward-Looking Statements."

Risks Related to Our Business

We have incurred losses since inception and anticipate that we will continue to incur losses for the foreseeable future. We may not ever achieve or sustain profitability.

We are a clinical-stage biopharmaceutical company with a limited operating history. We are not profitable and have incurred losses in each year since our inception in 1998. We do not currently have any products that have been approved for marketing, and we continue to incur research and development and general and administrative expenses related to our operations. Our net loss for the three months ended March 31, 2005 and the years ended December 31, 2004, 2003 and 2002 was \$4.5 million, \$20.5 million, \$19.0 million and \$19.2 million, respectively. As of March 31, 2005, we had an accumulated deficit of \$97.9 million. We expect to continue to incur losses for the foreseeable future, and we expect these losses to increase as we continue our research activities and conduct development of, and seek regulatory approvals for, our product candidates, and commercialize any approved drugs. Our losses, among other things, have caused and will continue to cause our stockholders' equity and working capital to decrease. To date, we have derived all of our revenue from collaboration agreements and, to a lesser extent, grants and fellowships. We do not anticipate that we will generate revenue from the sale of products for the foreseeable future. If our product candidates fail in clinical trials or do not gain regulatory approval, or if our future products do not achieve market acceptance, we may never become profitable. Even if we achieve profitability in the future, we may not be able to sustain profitability in subsequent periods.

There is a high risk that our drug discovery and development activities will not result in commercial products.

Our product candidates are in the early stages of drug discovery or development and are prone to the risks of failure inherent in drug development. As of the date of this prospectus, only two of our product candidates, SNS-595 and SNS-032, have been tested in humans. We and our collaboration partners will need to conduct significant additional preclinical studies and clinical trials before we or our collaboration partners can demonstrate that our product candidates are safe and effective to the satisfaction of the U.S. Food and Drug Administration, or FDA, and other regulatory authorities. In our industry, it is unlikely that the limited number of compounds that we have identified as potential product candidates will actually lead to successful product development efforts. Preclinical studies and clinical trials are expensive and uncertain processes that take years to complete. Failure can occur at any stage of the process, and successful preclinical studies and early clinical trials do not ensure that later clinical trials will be successful. Product candidates in later stage trials may fail to show desired efficacy and safety traits despite having progressed through initial clinical trials. A number of companies in the pharmaceutical industry have suffered significant setbacks in advanced clinical trials, even after obtaining promising results in earlier trials.

We do not know whether our ongoing Phase I clinical trial with SNS-595, our planned Phase I clinical trial with SNS-032 or any other future clinical trials with any of our product candidates will be completed on schedule, or at all, or whether our planned Phase I and Phase II clinical trials will begin

on time. The commencement of our planned clinical trials could be substantially delayed or prevented by several factors, including:

- limited number of, and competition for, suitable patients with particular types of cancer for enrollment in clinical trials;
- delays or failures in obtaining regulatory approval to commence a clinical trial;
- delays or failures in obtaining sufficient clinical materials;
- delays or failures in reaching agreement on acceptable clinical trial agreement terms or clinical trial protocols with prospective sites; and
- delays or failures in obtaining institutional review board approval to conduct a clinical trial at a prospective site.

The completion of our clinical trials could also be substantially delayed or prevented by several factors, including:

- slower than expected rates of patient recruitment and enrollment;
- failure of patients to complete the clinical trial;
- unforeseen safety issues;
- lack of efficacy during clinical trials;
- inability or unwillingness of patients or medical investigators to follow our clinical trial protocols; and
- inability to monitor patients adequately during or after treatment.

For example, due to toxicities observed in previous Phase I clinical trials of SNS-032, our planned Phase I clinical trial for the use of SNS-032 to treat human malignancies will be complex and require stringent eligibility criteria, and there will be a limited patient population that will be able to participate in this trial. In addition, our planned dosing regimen for this trial is time-consuming and patients may choose to participate in alternative clinical trials. As a result, we believe that our planned Phase I clinical trial for SNS-032 may be lengthier and more expensive than other Phase I clinical trials. In addition, our clinical trials may be suspended or terminated at any time by FDA, other regulatory authorities, our company or, in some cases, our collaboration partners. Any failure or significant delay in completing clinical trials for our product candidates could harm our financial results and the commercial prospects for our product candidates.

We will require substantial additional funding, which may not be available to us on acceptable terms, or at all.

We are advancing multiple product candidates through discovery and development. We will need to raise substantial additional capital to continue our discovery, development and commercialization activities. We plan to retain the development and commercialization rights to some of our novel cancer therapeutics at least until we have completed a Phase II clinical trial to maximize our economic upside, which will require substantial expenditures by our company.

We will need to raise substantial additional capital to:

- fund clinical trials and seek regulatory approvals;
- pursue the development of additional product candidates;
- expand our research and development activities;
- build or access manufacturing and commercialization capabilities;
- implement additional internal systems and infrastructure;

- maintain, defend and expand the scope of our intellectual property portfolio; and
- hire additional management and scientific personnel.

Our future funding requirements will depend on many factors, including but not limited to:

- the rate of progress and cost of our clinical trials, preclinical studies and other discovery and research and development activities;
- the costs associated with establishing manufacturing and commercialization capabilities;
- the costs of acquiring or investing in businesses, product candidates and technologies;
- the costs of filing, prosecuting, defending and enforcing any patent claims and other intellectual property rights;
- the costs and timing of seeking and obtaining FDA and other regulatory approvals;
- the effect of competing technological and market developments; and
- the economic and other terms and timing of any collaboration, licensing or other arrangements into which we may enter.

Until we can generate a sufficient amount of product revenue to finance our cash requirements, which we may never do, we expect to finance future cash needs primarily through public or private equity offerings, debt financings or strategic collaborations. We do not know whether additional funding will be available on acceptable terms, or at all. If we are not able to secure additional funding when needed, we may have to delay, reduce the scope of or eliminate one or more of our clinical trials or research and development programs. In addition, we may have to partner one or more of our product candidate programs at an earlier stage of development, which would lower the economic value of those programs to our company.

Our ongoing Phase I and subsequent clinical trials for our lead product candidates, SNS-595 and SNS-032, may not demonstrate safety or efficacy or lead to regulatory approval.

Our lead product candidate, SNS-595, is a cytotoxic drug being developed for the treatment of certain types of cancer. SNS-595 is currently being tested in two Phase I clinical trials, which is an early stage of clinical testing that is used, in part, to determine proper dosing levels based on the toxicity of a product candidate at various doses. Cytotoxic cancer drugs promote cancer cell death by inhibiting cell proliferation, and commonly have a narrow dose range between efficacy and toxicity, commonly known as a "therapeutic window." Based on the results of our Phase I clinical trials, we may select a dose for use in future clinical trials that may prove to be ineffective in treating cancer. If our clinical trials result in unacceptable toxicity or lack of efficacy, we may have to terminate further clinical trials for SNS-595. Even if we are able to find a proper dose that balances the toxicity and efficacy of SNS-595, we will be required to conduct extensive additional clinical trials before we are able to seek the regulatory approvals needed to market SNS-595. If clinical trials of SNS-595 are halted, or if they do not show that SNS-595 is safe and effective, our future growth would be limited and we may not have any other product candidates to develop.

Our second most advanced product candidate, SNS-032, is also a cytotoxic drug that we are developing for the treatment of certain types of cancer. In addition to the risks described above, we are aware of risks that are specific to SNS-032. In previous Phase I clinical trials of SNS-032, significant safety risks were observed in patients who were administered SNS-032 on either a one-hour or a 24-hour infusion once every three weeks. For example, statistically significant increases in certain phases of the cardiac cycle, known as the QT interval, or the corrected QT interval, or QTc, on the electrocardiograms of patients were observed in patients receiving the 24-hour infusion regimen. Increased QT intervals may be associated with increased risk for severe cardiac events. In addition, pronounced, rapidly reversible decreases in white blood cells were observed between 24 and 48 hours

following infusion under the one-hour infusion regimen, most likely associated with higher peak drug levels in this regimen. Further, some patients also experienced liver toxicity, which limited the amount of drug that could be administered to those patients. Two of these planned clinical trials were discontinued prior to completion. We will not receive regulatory approval for SNS-032 unless we are able to deliver therapeutically active doses of SNS-032 while keeping toxicities at acceptable levels. In our planned Phase I clinical trial, we intend to deliver the drug on a daily basis in a three-hour infusion for five consecutive days. There is a significant risk that this dose and regimen may not allow us to achieve efficacious exposure in the absence of dose-limiting toxicity, and thus SNS-032 may not advance as a single agent therapeutic. Furthermore, due to the extensive safety monitoring required to pursue our planned Phase I clinical trial for SNS-032, the number of eligible patients will likely be more limited than in some other clinical trials, which may delay the timelines for enrollment and completion of this trial.

In addition, in clinical trials to date SNS-032 has demonstrated variable pharmacokinetics, or PK, which is the measure of the concentration of drug in the bloodstream over time. The PK variability results in differences in drug exposure between patients, and in some cases in the same patient, who are administered the same dose of SNS-032. Dose levels in future Phase II clinical trials will be selected primarily based on safety criteria. Because of the observed PK variability between and among patients, we believe that there is a risk that some patients may receive sub-therapeutic exposure, limiting the opportunity to show activity and efficacy for SNS-032. As with other product candidates in the biotechnology industry at this stage of development, even if we are able to find adequate doses and schedules from our Phase I clinical trials, we will be required to conduct extensive additional clinical trials before we are able to seek regulatory approval to market SNS-032.

Because the mechanism of action of SNS-595 is not fully known, we may not choose appropriate cancer types and dosing regimen in the design of our clinical trials relating to SNS-595.

Our preclinical studies indicate that SNS-595 causes arrest at a stage of the cell cycle known as the "G2 phase," leading to cell death through apoptosis, or self-destruction of the cell. We do not fully understand the mechanism by which SNS-595 causes cell cycle arrest, known as the "mechanism of action," or if the cell cycle arrest is the cause of cell death. Because we do not fully understand the mechanism of action of SNS-595, we may not choose the optimal cancer types and dosing regimen in the design of our clinical trials, which could impact the outcome of these trials or require us to conduct additional clinical trials.

Our approach to developing cancer therapeutics by inhibiting cyclin-dependent kinases, Aurora kinases and Raf kinases has not been clinically validated and may not be successful.

We have programs to develop small molecule inhibitors of CDK, Aurora and Raf kinases for the treatment of cancer. The therapeutic benefit of inhibiting CDK, Aurora or Raf kinases in the treatment of human cancer has not been established definitively. Although a competitive kinase inhibitor, BAY 43-9006, is currently in Phase III clinical trials, this compound inhibits Raf and other kinases and its non-Raf kinase activities may be responsible for its efficacy. In addition, there are conflicting scientific reports regarding the reliance or necessity of CDK2 in the cell-cycle. Although several other companies have CDK and Aurora kinase programs, we are not aware of any candidates that have demonstrated therapeutic benefit in clinical testing. If CDK, Aurora or Raf kinase inhibition is not an effective treatment of human cancer, our drug candidates from these programs may have little or no commercial value.

If our competitors develop and market products that are more effective, safer or less expensive than our future products, our commercial opportunities will be negatively impacted.

The life sciences industry is highly competitive, and we face significant competition from many pharmaceutical, biopharmaceutical and biotechnology companies that are researching and marketing

products designed to address cancer and inflammatory diseases. We are developing small molecule therapeutics that will compete with other drugs and therapies that currently exist or are being developed. Many of our competitors have significantly greater financial, manufacturing, marketing and drug development resources than we do. Large pharmaceutical companies in particular have extensive experience in clinical testing and in obtaining regulatory approvals for drugs. These companies also have significantly greater research capabilities than we do. In addition, many universities and private and public research institutes are active in cancer and inflammation research, some of which are in direct competition with us.

Our product candidates will compete with a number of cytotoxic drugs that are currently marketed or in development that also target proliferating cells. These drugs include marketed products, such as irinotecan, doxorubicin and taxanes, which are generic and widely available, and many other cell-cycle inhibitors that have been shown to be effective anti-cancer agents. To compete effectively with these agents, our product candidates will need to demonstrate advantages that lead to improved clinical efficacy compared to these competitive products. We also compete with other companies that may be pursuing drug discovery using other technologies, including fragment-based technologies.

We believe that our ability to successfully compete will depend on, among other things:

- our ability to develop novel compounds with attractive pharmaceutical properties and to secure and protect intellectual property rights based on our innovations;
- the efficacy, safety and reliability of our product candidates;
- the speed at which we develop our product candidates;
- our ability to design and successfully execute appropriate clinical trials;
- our ability to maintain a good relationship with regulatory authorities;
- the timing and scope of regulatory approvals;
- our ability to manufacture and sell commercial quantities of future products to the market; and
- acceptance of future products by physicians and other healthcare providers.

If our competitors market products that are more effective, safer or less expensive than our future products, if any, or that reach the market sooner than our future products, if any, we may not achieve commercial success. In addition, the biopharmaceutical industry is characterized by rapid technological change. Because our research approach integrates many technologies, it may be difficult for us to stay abreast of the rapid changes in each technology. If we fail to stay at the forefront of technological change, we may be unable to compete effectively. Technological advances or products developed by our competitors may render our technologies or product candidates obsolete.

Our proprietary Tethering drug discovery approach is experimental and may not discover any therapeutic compounds of commercial value.

We have developed a proprietary drug discovery approach called "Tethering." Tethering is a process whereby a target protein known to be involved in a disease process is engineered to facilitate the binding of small drug fragments. Once a small fragment is identified, the fragment is built out using the target protein's surface as a template to make a new full-size therapeutic compound. Tethering is unproven as a drug discovery approach. We have only recently begun preclinical studies of product candidates discovered through Tethering. Our Tethering drug discovery approach may not identify any therapeutic compounds of commercial value.

If we fail to maintain our existing, or enter into new, strategic collaborations, we may have to reduce or delay our product candidate development or increase our expenditures.

Our business model is based in part upon entering into strategic collaborations for discovery and/or development of some of our product candidates. In particular, we are substantially dependent on our strategic collaboration with Biogen Idec to discover, develop and commercialize small molecule inhibitors of Raf kinase and up to five additional targets. The agreement may be terminated by Biogen Idec without cause at any time before August 2006 upon six months' written notice or immediately upon written notice and payment of a termination fee. After August 2006, Biogen Idec may terminate the agreement without cause upon 90 days' written notice. If we are not able to maintain this collaboration with Biogen Idec or our other existing collaborations, or establish and maintain additional strategic collaborations of similar scope:

- the development of our current or future product candidates may be terminated or delayed;
- our cash expenditures related to development of our current or future product candidates would increase significantly;
- we may be required to hire additional employees or otherwise develop expertise, such as sales and marketing expertise, for which we have not budgeted;
- we will bear all of the risk related to the development of each of our current and future product candidates; and
- we may be unable to meet demand for any future products that we may develop.

In that event, we would likely be required to limit the size or scope of one or more of our programs.

The commercial success of our collaborations depends in part on the development and marketing efforts of our collaboration partners, over which we have limited control. If our collaborations are unsuccessful, our potential to develop and commercialize products through our collaborations, and to generate future revenue from the sale of these products, would be significantly reduced.

Our dependence on collaboration arrangements subjects our company to a number of risks. Our ability to develop and commercialize drugs that we develop with our collaboration partners depends on our collaboration partners' ability to establish the safety and efficacy of our product candidates, obtain and maintain regulatory approvals and achieve market acceptance of a product once commercialized. Our collaboration partners may elect to delay or terminate development of one or more product candidates, independently develop products that compete with ours, or fail to commit sufficient resources to the marketing and distribution of products developed through their collaborations with us. In the event that one or more of our collaboration partners fails to diligently develop or commercialize a product candidate covered by one of our collaboration agreements, we may have the right to terminate our partner's rights to such product candidate but we will not receive any future revenue from that product candidate unless we are able to find another partner or commercialize the product candidate on our own, which is likely to result in significant additional expense. Business combinations, significant changes in business strategy and/or financial difficulties may also adversely affect the willingness or ability of one or more of our collaboration partners to complete their obligations under our collaboration agreements. If our collaboration partners fail to perform in the manner we expect, our potential to develop and commercialize products through our collaborations, and to generate future revenue from the sale of these products, would be significantly reduced.

If conflicts of interest arise between our collaboration partners and us, any of them may act in their self-interest, which may be adverse to our interests.

If a conflict of interest arises between us and one or more of our collaboration partners, they may act in their own self-interest and not in the interest of our company or our stockholders. Some of our

collaboration partners are conducting, and any of our future collaboration partners may conduct, multiple product development efforts within the disease area that is the subject of collaboration with our company. For example, we are collaborating with Johnson & Johnson PRD to discover small molecule inhibitors of Cathepsin S. In addition to our collaboration, Johnson & Johnson PRD also has an independent effort focused on developing a small molecule Cathepsin S inhibitor. In some of our collaborations, we have agreed not to conduct, independently or with any third party, any research that is competitive with the research conducted under our collaborations. Our collaboration partners, however, may develop, either alone or with others, products in related fields that are competitive with the product candidates that are the subject of these collaborations. Competing products, either developed by our collaboration partners or to which our collaboration partners have rights, may result in their withdrawal of support for our product candidates. Business combinations, significant changes in business strategy and/or financial difficulties may also adversely affect the willingness or ability of one or more of our collaboration partners to complete their obligations under our collaboration agreements.

If one or more of our collaboration partners were to breach or terminate their collaboration agreements with us or otherwise fail to perform their obligations thereunder in a timely manner, the preclinical or clinical development or commercialization of the affected product candidates or research programs could be delayed or terminated. We do not know whether our current or any future collaboration partners will pursue alternative technologies or develop alternative product candidates, either on their own or in collaboration with others, including our competitors, as a means for developing treatments for the diseases targeted by collaboration agreements with our company.

The results of preclinical studies and clinical trials may not satisfy the requirements of FDA or other regulatory agencies.

Prior to receiving approval to commercialize any of our product candidates in the United States or abroad, we and our collaboration partners must demonstrate with substantial evidence from well-controlled clinical trials, and to the satisfaction of FDA and other regulatory authorities abroad, that such product candidates are safe and effective for their intended uses. Results from preclinical studies and clinical trials can be interpreted in different ways. Even if we and our collaboration partners believe the preclinical or clinical data for our product candidates are promising, such data may not be sufficient to support approval by FDA and other regulatory authorities. Administering any of our product candidates to humans may produce undesirable side effects, which could interrupt, delay or halt clinical trials of our product candidates and result in FDA or other regulatory authorities denying approval of our product candidates for any or all targeted indications.

We rely on third parties to conduct our clinical trials for SNS-595 and plan to rely on third parties to conduct our clinical trials for SNS-032. If these third parties do not successfully carry out their contractual duties or meet expected deadlines, we may be unable to obtain regulatory approval for or commercialize SNS-595, SNS-032 or any of our other product candidates.

We currently do not have the ability to independently conduct clinical trials for SNS-595, SNS-032 or any other product candidate. We rely on third parties, such as contract research organizations, medical institutions, clinical investigators and contract laboratories, to conduct clinical trials of our product candidates for which we do not have a collaboration. If the third parties conducting our clinical trials do not perform their contractual duties or obligations, do not meet expected deadlines or need to be replaced, or if the quality or accuracy of the clinical data they obtain is compromised due to the failure to adhere to our clinical trial protocols or for any other reason, we may need to enter into new arrangements with alternative third parties and our clinical trials may be extended, delayed or terminated or may need to be repeated, and we may not be able to obtain regulatory approval for or commercialize the product candidate being tested in such trials.

We rely on a third party to manufacture our product candidates, including SNS-595 and SNS-032, and depend on a single supplier for SNS-595. There is a limited number of manufacturers that are capable of manufacturing the active ingredient of SNS-595.

We do not currently own or operate manufacturing facilities for clinical or commercial production of our product candidates. We have no experience in drug formulation or manufacturing, and we lack the resources and the capability to manufacture any of our product candidates on a clinical or commercial scale. As a result, we rely on a third party to manufacture the active pharmaceutical ingredient of SNS-595, which is classified as a toxic substance, thereby limiting the number of suppliers qualified to manufacture it. This manufacturer is our single supplier. If our third-party manufacturer is unable to produce the active pharmaceutical ingredient, we will need to establish a contract with another supplier. We believe there are at least three contract manufacturers in North America with the capability to manufacture the active ingredient of SNS-595. However, establishing a relationship with an alternative supplier would likely delay our ability to produce the active pharmaceutical ingredient for three to six months. We will also rely on a third party to manufacture SNS-032. We expect to continue to depend on third-party contract manufacturers for the foreseeable future.

Our product candidates require precise, high quality manufacturing. A contract manufacturer is subject to ongoing periodic unannounced inspection by FDA and corresponding state agencies to ensure strict compliance with current Good Manufacturing Practice, or cGMP, and other applicable government regulations and corresponding foreign standards. Our contract manufacturer's failure to achieve and maintain high manufacturing standards in compliance with cGMP regulations could result in manufacturing errors resulting in patient injury or death, product recalls or withdrawals, delays or interruptions of production or failures in product testing or delivery, delay or prevention of filing or approval of marketing applications for our products, cost overruns or other problems that could seriously harm our business.

To date, our product candidates have been manufactured in small quantities for preclinical studies and clinical trials. If in the future one of our product candidates is approved for commercial sale, we will need to manufacture that product in larger quantities. Significant scale-up of manufacturing may require additional validation studies, which FDA must review and approve. If we are unable to successfully increase the manufacturing capacity for a product candidate, the regulatory approval or commercial launch of any related products may be delayed or there may be a shortage in supply.

Any performance failure on the part of a contract manufacturer could delay clinical development or regulatory approval of our product candidates or commercialization of our future products, depriving us of potential product revenue and resulting in additional losses. In addition, our dependence on a third party for manufacturing may adversely affect our future profit margins. Our ability to replace an existing manufacturer may be difficult because the number of potential manufacturers is limited and FDA must approve any replacement manufacturer before it can begin manufacturing our product candidates. Such approval would require new testing and compliance inspections. It may be difficult or impossible for us to identify and engage a replacement manufacturer on acceptable terms in a timely manner, or at all.

We currently have no sales and marketing staff or distribution organization. If we are unable to develop a sales and marketing and distribution capability on our own or through collaborations with marketing partners, we will not be successful in commercializing our future products.

We currently have no sales, marketing or distribution capabilities. We intend to establish our own sales and marketing organization with technical expertise and supporting distribution capabilities to commercialize some future products, which will be expensive and time consuming. Any failure or delay in the development of our internal sales, marketing and distribution capabilities would adversely impact the commercialization of these products. With respect to other future products, we plan to collaborate with third parties that have direct sales forces and established distribution systems. To the extent that

we enter into co-promotion or other licensing arrangements, our product revenue is likely to be lower than if we directly marketed or sold our products. In addition, any revenue we receive will depend upon the efforts of third parties, which may not be successful and are only partially within our control. If we are unable to enter into such arrangements on acceptable terms or at all, we may not be able to successfully commercialize these future products. If we are not successful in commercializing our future products, either on our own or through collaborations with one or more third-parties, our future product revenue will suffer and we may incur significant additional losses.

Our proprietary rights may not adequately protect our technologies and product candidates.

Our commercial success will depend on our ability to obtain patents and maintain adequate protection for our technologies and product candidates in the United States and other countries. As of May 31, 2005, we owned or had exclusive rights to 61 issued U.S. and foreign patents and 108 pending U.S. and foreign patent applications. We will be able to protect our proprietary rights from unauthorized use by third parties only to the extent that our proprietary technologies and future products are covered by valid and enforceable patents or are effectively maintained as trade secrets.

We apply for patents covering both our technologies and product candidates, as we deem appropriate. However, we may fail to apply for patents on important technologies or product candidates in a timely fashion, or at all. Our existing patents and any future patents we obtain may not be sufficiently broad to prevent others from practicing our technologies or from developing competing products and technologies. In addition, we generally do not control the patent prosecution of subject matter that we license to and from others. Accordingly, we are unable to exercise the same degree of control over this intellectual property as we would over our own. Moreover, the patent positions of biopharmaceutical companies are highly uncertain and involve complex legal and factual questions for which important legal principles remain unresolved. As a result, the validity and enforceability of patents cannot be predicted with certainty. In addition, we do not know whether:

- we or our licensors were the first to make the inventions covered by each of our issued patents and pending patent applications;
- we or our licensors were the first to file patent applications for these inventions;
- others will independently develop similar or alternative technologies or duplicate any of our technologies;
- any of our or our licensors' pending patent applications will result in issued patents;
- any of our or our licensors' patents will be valid or enforceable;
- any patents issued to us or our licensors and collaboration partners will provide us with any competitive advantages, or will be challenged by third parties;
- we will develop additional proprietary technologies that are patentable; or
- the patents of others will have an adverse effect on our business.

We also rely on trade secrets to protect some of our technology, especially where we do not believe patent protection is appropriate or obtainable. However, trade secrets are difficult to maintain. While we use reasonable efforts to protect our trade secrets, our or our collaboration partners' employees, consultants, contractors or scientific and other advisors may unintentionally or willfully disclose our proprietary information to competitors. Enforcement of claims that a third party has illegally obtained and is using trade secrets is expensive, time consuming and uncertain. In addition, foreign courts are sometimes less willing than U.S. courts to protect trade secrets. If our competitors independently develop equivalent knowledge, methods and know-how, we would not be able to assert our trade secrets against them and our business could be harmed.

The composition of matter patents covering SNS-595 are due to expire in 2015. Even if SNS-595 is approved by FDA, we may not be able to recover our development costs prior to the expiration of these patents.

The composition of our lead product candidate, SNS-595, is covered by U.S. patent 5,817,669 and its counterpart patents and patent applications in 45 foreign jurisdictions. U.S. patent 5,817,669 is due to expire on October 6, 2015, and most of its foreign counterparts are due to expire on June 6, 2015. We do not know whether patent term extensions will be available in the future. SNS-595 must undergo extensive clinical trials before it can be approved by FDA. We do not know when, if ever, SNS-595 will be approved by FDA. Even if SNS-595 is approved by FDA in the future, we may not have sufficient time to commercialize SNS-595 to enable us to recover our development costs prior to the expiration of the U.S. and foreign patents covering SNS-595. Our obligation to pay royalties to Dainippon Pharmaceutical, the company from which we licensed SNS-595, may extend beyond the patent expiration, which will further erode the profitability of this product.

If we are sued for infringing intellectual property rights of third parties, litigation will be costly and time consuming and could prevent us from developing or commercializing our future products.

Our commercial success depends on not infringing the patents and proprietary rights of other parties and not breaching any collaboration or other agreements we have entered into with regard to our technologies and product candidates. Numerous third-party U.S. and foreign issued patents and pending applications exist in the area of kinases, including CDK, Aurora and Raf kinases for which we have research programs. Because patent applications can take several years to issue, there may currently be pending applications, unknown to us, that may result in issued patents that cover our technologies or product candidates. If we wish to use the technology or compound claimed in issued and unexpired patents owned by others, we will need to obtain a license from the owner, enter into litigation to challenge the validity of the patents or incur the risk of litigation in the event that the owner asserts that we infringe its patents.

If a third party asserts that we infringe its patents or other proprietary rights, we could face a number of issues that could seriously harm our competitive position, including:

- infringement and other intellectual property claims, which would be costly and time consuming to litigate, whether or not the claims have merit, and which could delay the regulatory approval process and divert management's attention from our business;
- substantial damages for past infringement, which we may have to pay if a court determines that our product candidates or technologies infringe a competitor's patent or other proprietary rights;
- a court prohibiting us from selling or licensing our technologies or future drugs unless the holder licenses the patent or other proprietary rights to us, which it is not required to do; and
- if a license is available from a third party, we may have to pay substantial royalties or grant cross licenses to our patents or other proprietary rights.

We may be subject to damages resulting from claims that we or our employees have wrongfully used or disclosed alleged trade secrets of our employees' former employers.

Many of our employees were previously employed at universities or biotechnology or pharmaceutical companies, including our competitors or potential competitors. We may be subject to claims that these employees or we have inadvertently or otherwise used or disclosed trade secrets or other proprietary information of their former employers. Litigation may be necessary to defend against these claims. If we fail in defending such claims, in addition to paying monetary damages, we may lose valuable intellectual property rights or personnel. A loss of key research personnel or their work product could hamper or prevent our ability to commercialize our product candidates, which could

severely harm our business. Even if we are successful in defending against these claims, litigation could result in substantial costs and be a distraction to management.

We expect to significantly expand our clinical research and development and marketing capabilities, and any difficulties managing this growth could disrupt our operations.

We expect to significantly expand our clinical research and development and marketing capabilities by increasing expenditures in these areas, hiring additional employees and expanding the scope of our current operations. Future growth will require us to continue to implement and improve our managerial, operational and financial systems, expand our facilities and continue to recruit and train additional qualified personnel, which may impose a strain on our administrative and operational infrastructure. The competition for qualified personnel in the biopharmaceutical field is intense. We are highly dependent on our continued ability to attract, retain and motivate highly-qualified management, clinical and scientific personnel. Due to our limited resources, we may not be able to effectively manage the expansion of our operations or recruit and train additional qualified personnel. If we are unable to manage our growth effectively, we may not be able to implement our business plan.

Risks Related to Our Industry

The regulatory approval process is expensive, time consuming and uncertain and may prevent us or our collaboration partners from obtaining approvals for the commercialization of some or all of our product candidates.

The research, testing, manufacturing, selling and marketing of drug candidates are subject to extensive regulation by FDA and other regulatory authorities in the United States and other countries, which regulations differ from country to country. Neither we nor our collaboration partners are permitted to market our product candidates in the United States until we receive approval of a New Drug Application, or NDA, from FDA. Neither we nor our collaboration partners have received marketing approval for any of our product candidates. Obtaining approval of an NDA can be a lengthy, expensive and uncertain process. In addition, failure to comply with FDA and other applicable U.S. and foreign regulatory requirements may subject our company to administrative or judicially imposed sanctions, including warning letters, civil and criminal penalties, injunctions, product seizure or detention, product recalls, total or partial suspension of production, and refusal to approve pending NDAs or supplements to approved NDAs.

Regulatory approval of an NDA or NDA supplement is not guaranteed, and the approval process is expensive and may take several years. FDA also has substantial discretion in the drug approval process. Despite the time and expense exerted, failure can occur at any stage, and we could encounter problems that cause us to abandon clinical trials or to repeat or perform additional preclinical studies and clinical trials. The number of preclinical studies and clinical trials that will be required for FDA approval varies depending on the drug candidate, the disease or condition that the drug candidate is designed to address, and the regulations applicable to any particular drug candidate. FDA can delay, limit or deny approval of a drug candidate for many reasons, including:

- a drug candidate may not be deemed safe or effective;
- FDA officials may not find the data from preclinical studies and clinical trials sufficient;
- FDA might not approve our or our third-party manufacturer's processes or facilities; or
- FDA may change its approval policies or adopt new regulations.

Even if we receive regulatory approval for a product candidate, we will be subject to ongoing FDA obligations and continued regulatory review, which may result in significant additional expense and limit our ability to commercialize our future products.

Any regulatory approvals that we or our collaboration partners receive for our product candidates may also be subject to limitations on the indicated uses for which the product may be marketed or contain requirements for potentially costly post-marketing follow-up studies. In addition, if FDA approves any of our product candidates, the labeling, packaging, adverse event reporting, storage, advertising, promotion and recordkeeping for the product will be subject to extensive and ongoing regulatory requirements. The subsequent discovery of previously unknown problems with the drug, including adverse events of unanticipated severity or frequency, may result in restrictions on the marketing of the drug, and could include withdrawal of the drug from the market.

FDA's policies may change and additional government regulations may be enacted that could prevent or delay regulatory approval of our product candidates. We cannot predict the likelihood, nature or extent of government regulation that may arise from future legislation or administrative action, either in the United States or abroad. If we are not able to maintain regulatory compliance, we might not be permitted to market our future products and we may not achieve or sustain profitability.

Even if we receive regulatory approval to market our product candidates, the market may not be receptive to our products.

Even if our product candidates obtain regulatory approval, resulting products, if any, may not gain market acceptance among physicians, patients, healthcare payors and/or the medical community. We believe that the degree of market acceptance will depend on a number of factors, including:

- timing of market introduction of competitive products;
- efficacy of our product;
- prevalence and severity of any side effects;
- potential advantages or disadvantages over alternative treatments;
- strength of marketing and distribution support;
- price of our future products, both in absolute terms and relative to alternative treatments; and
- availability of reimbursement from health maintenance organizations and other third-party payors.

The potential toxicity of single and repeated doses of SNS-595 has been explored in a number of animal studies that suggest the mechanism-based dose-limiting toxicities in humans receiving SNS-595 may be similar to some of those observed in approved cytotoxic agents, including temporary toxicity to bone marrow cells, the gastrointestinal system and other systems with rapidly dividing cells. However, we do not know what side effects SNS-595 may have in humans as our clinical trials have only recently commenced.

In previous clinical trials conducted by BMS, SNS-032 has been administered by IV infusion on a once-a-week and once-every-three-weeks basis. We believe that SNS-032 will need to be administered on a more frequent basis to show efficacy. Our current Phase I clinical trial design for SNS-032 would administer SNS-032 by a three hour IV infusion once a day for five consecutive days, followed by 16 days without the drug. We believe that this IV regimen may be inconvenient for patients, and commercial success may depend on developing an effective oral formulation of SNS-032. The development of an oral formulation could be costly and result in delays for the advancement of the program, and we cannot be certain that we will be able to develop an effective oral formulation for SNS-032.

If our future products fail to achieve market acceptance, we may not be able to generate significant revenue to achieve or sustain profitability.

The coverage and reimbursement status of newly approved drugs is uncertain, and failure to obtain adequate coverage and reimbursement could limit our ability to market any future products we may develop and decrease our ability to generate revenue.

There is significant uncertainty related to the third-party coverage and reimbursement of newly approved drugs. The commercial success of our future products in both domestic and international markets depends on whether third-party coverage and reimbursement is available for the ordering of our future products by the medical profession for use by their patients. Medicare, Medicaid, health maintenance organizations and other third-party payors are increasingly attempting to manage healthcare costs by limiting both coverage and the level of reimbursement of new drugs and, as a result, they may not cover or provide adequate payment for our future products. These payors may not view our future products as cost-effective, and reimbursement may not be available to consumers or may not be sufficient to allow our future products to be marketed on a competitive basis. Likewise, legislative or regulatory efforts to control or reduce healthcare costs or reform government healthcare programs could result in lower prices or rejection of our future products. Changes in coverage and reimbursement policies or healthcare cost containment initiatives that limit or restrict reimbursement for our future products may reduce any future product revenue.

Failure to obtain regulatory approval in foreign jurisdictions will prevent us from marketing our products abroad.

We intend to market our future products in international markets. In order to market our future products in the European Union and many other foreign jurisdictions, we must obtain separate regulatory approvals. We have had limited interactions with foreign regulatory authorities, and the approval procedures vary among countries and can involve additional testing, and the time required to obtain approval may differ from that required to obtain FDA approval. Approval by FDA does not ensure approval by regulatory authorities in other countries, and approval by one foreign regulatory authority does not ensure approval by regulatory authorities in other foreign countries or by FDA. The foreign regulatory approval process may include all of the risks associated with obtaining FDA approval. We may not obtain foreign regulatory approvals on a timely basis, if at all. We may not be able to file for regulatory approvals and may not receive necessary approvals to commercialize our products in any market.

Foreign governments often impose strict price controls, which may adversely affect our future profitability.

We intend to seek approval to market our future products in both the United States and in foreign jurisdictions. If we obtain approval in one or more foreign jurisdictions, we will be subject to rules and regulations in those jurisdictions relating to our product. In some foreign countries, particularly in the European Union, prescription drug pricing is subject to governmental control. In these countries, pricing negotiations with governmental authorities can take considerable time after the receipt of marketing approval for a drug candidate. To obtain reimbursement or pricing approval in some countries, we may be required to conduct a clinical trial that compares the cost-effectiveness of our future product to other available therapies. If reimbursement of our future products is unavailable or limited in scope or amount, or if pricing is set at unsatisfactory levels, we may be unable to achieve or sustain profitability.

We may be subject to costly claims related to our clinical trials and may not be able to obtain adequate insurance.

Because we conduct clinical trials in humans, we face the risk that the use of our product candidates will result in adverse side effects. We cannot predict the possible harms or side effects that may result from our clinical trials. Although we have clinical trial liability insurance for up to \$10.0 million, our insurance may be insufficient to cover any such events. We do not know whether we will be able to continue to obtain clinical trial coverage on acceptable terms, or at all. We may not have sufficient resources to pay for any liabilities resulting from a claim excluded from, or beyond the limit of, our insurance coverage. There is also a risk that third parties that we have agreed to indemnify could incur liability. Any litigation arising from our clinical trials, even if we were ultimately successful, would consume substantial amounts of our financial and managerial resources and may create adverse publicity.

We may incur significant costs complying with environmental laws and regulations, and failure to comply with these laws and regulations could expose us to significant liabilities.

We use hazardous chemicals and radioactive and biological materials in our business and are subject to a variety of federal, state and local laws and regulations governing the use, generation, manufacture, storage, handling and disposal of these materials. Although we believe our safety procedures for handling and disposing of these materials and waste products comply with these laws and regulations, we cannot eliminate the risk of accidental injury or contamination from the use, storage, handling or disposal of hazardous materials. In the event of contamination or injury, we could be held liable for any resulting damages, and any liability could significantly exceed our insurance coverage, which is limited to \$100,000 for pollution cleanup, and we are uninsured for third-party contamination injury.

Risks Related To This Offering

The price of our common stock may be volatile, and you may not be able to resell your shares at or above the initial public offering price.

Prior to this offering, there has been no public market for our common stock. An active and liquid trading market for our common stock may not develop or be sustained after this offering. You may be unable to sell your shares of common stock at or above the initial public offering price due to fluctuations in the market price of our common stock resulting from changes in our operating performance or prospects. Factors that could cause volatility in the market price of our common stock include, but are not limited to:

- results from, and any delays in, our clinical trial programs, including our ongoing and planned Phase I clinical trials and Phase II clinical trials for SNS-595 and SNS-032;
- announcements of FDA non-approval of our product candidates, including SNS-595 or SNS-032, or delays in FDA or other foreign regulatory agency review processes;
- failure or discontinuation of any of our research programs;
- announcements relating to future collaborations or our existing collaborations with Biogen Idec, Johnson & Johnson PRD and Merck;
- delays in the commercialization of our future products;
- market conditions in the pharmaceutical, biopharmaceutical and biotechnology sectors and issuance of new or changed securities analysts' reports or recommendations;
- actual and anticipated fluctuations in our quarterly operating results;

- developments or disputes concerning our intellectual property or other proprietary rights;
- introduction of technological innovations or new products by us or our competitors;
- issues in manufacturing our product candidates or future products;
- market acceptance of our future products;
- deviations in our operating results from the estimates of analysts;
- third-party healthcare reimbursement policies;
- FDA or other U.S. or foreign regulatory actions affecting us or our industry;
- litigation or public concern about the safety of our product candidates or future drugs;
- sales of our common stock by our officers, directors or significant stockholders; and
- additions or departures of key personnel.

In addition, the stock markets in general, and the markets for pharmaceutical, biopharmaceutical and biotechnology stocks in particular, have experienced extreme volatility that have been often unrelated to the operating performance of the issuer. These broad market fluctuations may adversely affect the trading price or liquidity of our common stock. In the past, when the market price of a stock has been volatile, holders of that stock have sometimes instituted securities class action litigation against the issuer. If any of our stockholders were to bring such a lawsuit against us, we could incur substantial costs defending the lawsuit and the attention of our management would be diverted from the operation of our business.

The ownership of our common stock will continue to be highly concentrated, and your interests may conflict with the interests of our existing stockholders.

Our executive officers and directors and their affiliates, together with our current significant stockholders, will beneficially own approximately % of our outstanding common stock upon completion of this offering, based on an assumed initial public offering price of \$ per share. The relative ownership of our common stock among our current stockholders, including our officers and directors and their affiliates, may change as a result of the final price per share of our common stock in this offering, as described in "Conversion of Preferred Stock and Reverse Stock Split." Accordingly, these stockholders, acting as a group, will continue to have significant influence over the outcome of corporate actions requiring stockholder approval, including the election of directors, any merger, consolidation or sale of all or substantially all of our assets or any other significant corporate transaction. These stockholders could delay or prevent a change of control of our company, even if such a change of control would benefit our other stockholders. The significant concentration of stock ownership may adversely affect the trading price of our common stock due to investors' perception that conflicts of interest may exist or arise.

A significant portion of our outstanding common stock may be sold into the market in the near future. Substantial sales of this stock, or the perception such sales are likely to occur, could cause the price of our common stock to decline.

If our existing stockholders sell a large number of shares of our common stock or the public market perceives that existing stockholders might sell shares of common stock, the market price of our common stock could decline significantly. All of the shares offered under this prospectus will be freely tradable without restriction or further registration under the federal securities laws, unless purchased by our "affiliates" as that term is defined in Rule 144 under the Securities Act of 1933. Of the remaining shares outstanding upon the closing of this offering, may be sold pursuant to Rule 144, 144(k) and 701 upon the expiration of 180-day lock-up agreements.

Existing stockholders holding an aggregate of 37,103,072 shares of common stock, including shares of common stock underlying warrants, have rights with respect to the registration of these shares of common stock with the Securities and Exchange Commission. If we register their shares of common stock following the expiration of the lock-up agreements, they can sell those shares in the public market.

Promptly following this offering, we intend to register approximately 14,500,000 shares of common stock that are authorized for issuance under our stock option and employee stock purchase plans. As of March 31, 2005, 7,052,975 shares were subject to outstanding options, of which 3,392,814 shares are vested. Once we register these shares, they can be freely sold in the public market upon issuance, subject to the lock-up agreements referred to above and the restrictions imposed on our affiliates under Rule 144.

Investors in this offering will suffer immediate and substantial dilution of their investment

If you purchase common stock in this offering, you will pay more for your shares than our pro forma as adjusted net tangible book value per share. You will incur immediate and substantial dilution of \$ _____ per share, representing the difference between our assumed initial public offering price and our pro forma as adjusted net tangible book value per share. Based upon an assumed initial public offering price of \$ _____ per share, purchasers of common stock in this offering will have contributed approximately _____ % of the aggregate purchase price paid by all purchasers of our stock but will own only approximately _____ % of our common stock outstanding after this offering. In the past, we issued options and warrants to acquire common stock at prices significantly below the assumed initial public offering price. To the extent these outstanding options or warrants are exercised, you will incur further dilution.

If we sell shares of our common stock in future financings, existing common stockholders may experience immediate dilution and, as a result, our stock price may go down.

We may from time to time issue additional shares of common stock at a discount from the current trading price of our common stock. As a result, our existing common stockholders would experience immediate dilution upon the purchase of any shares of our common stock sold at such discount. In addition, as opportunities present themselves, we may enter into financing or similar arrangements in the future, including the issuance of debt securities, preferred stock or common stock. If we issue common stock or securities convertible into common stock, our common stockholders could experience dilution.

Provisions of our charter documents or Delaware law could delay or prevent an acquisition of our company, even if the acquisition would be beneficial to our stockholders, and could make it more difficult for you to change management.

Provisions of our amended and restated certificate of incorporation and amended and restated bylaws may discourage, delay or prevent a merger, acquisition or other change in control that stockholders may consider favorable, including transactions in which stockholders might otherwise receive a premium for their shares. In addition, these provisions may frustrate or prevent any attempt by our stockholders to replace or remove our current management by making it more difficult to replace or remove our board of directors. These provisions include:

- a classified board of directors so that not all directors are elected at one time;
- a prohibition on stockholder action through written consent;
- limitation of our stockholders entitled to call special meetings of stockholders;
- an advance notice requirement for stockholder proposals and nominations; and

- the authority of our board of directors to issue preferred stock with such terms as our board of directors may determine.

In addition, Delaware law prohibits a publicly held Delaware corporation from engaging in a business combination with an interested stockholder, generally a person who, together with its affiliates, owns or within the last three years has owned 15% of our voting stock, for a period of three years after the date of the transaction in which the person became an interested stockholder, unless the business combination is approved in a prescribed manner. Accordingly, Delaware law may discourage, delay or prevent a change in control of our company.

Provisions in our charter and other provisions of Delaware law could limit the price that investors are willing to pay in the future for shares of our common stock.

We have never paid dividends on our capital stock, and we do not anticipate paying any cash dividends in the foreseeable future.

We have never declared or paid cash dividends on our capital stock. We do not anticipate paying any cash dividends on our capital stock in the foreseeable future. We currently intend to retain all available funds and any future earnings to fund the development and growth of our business. As a result, capital appreciation, if any, of our common stock will be your sole source of gain for the foreseeable future.

SPECIAL NOTE REGARDING FORWARD-LOOKING STATEMENTS

This prospectus, including particularly the sections entitled "Prospectus Summary," "Risk Factors," "Management's Discussion and Analysis of Financial Condition and Results of Operations" and "Business," contains forward-looking statements that involve substantial risks and uncertainties. All statements, other than statements of historical fact, included in this prospectus regarding our strategy, future operations, future financial position, future revenue, projected costs, prospects, plans and objectives of management are forward-looking statements. The words "anticipate," "believe," "estimate," "expect," "hope," "intend," "may," "plan," "project," "will," "would" and similar expressions are intended to identify forward-looking statements, although not all forward-looking statements contain these identifying words. We may not actually achieve the plans, intentions or expectations disclosed in our forward-looking statements and you should not place undue reliance on our forward-looking statements. Actual results or events could differ materially from the plans, intentions and expectations disclosed in the forward-looking statements we make. We have included important factors in the cautionary statements included in this prospectus, particularly in the "Risk Factors" section, that we believe could cause actual results or events to differ materially from the forward-looking statements that we make. Our forward-looking statements do not reflect the potential impact of any future acquisitions, mergers, dispositions, in-licensing transactions, joint ventures or investments we may make. We do not assume any obligation to update any forward-looking statements.

USE OF PROCEEDS

We estimate that the net proceeds from the sale of _____ shares of common stock in this offering will be approximately \$ _____ million, or approximately \$ _____ million if the underwriters exercise their option to purchase additional shares in full, based on an assumed initial public offering price of \$ _____ per share, after deducting underwriting discounts and commissions and estimated offering expenses.

We currently expect to use the net proceeds from this offering as follows:

- approximately \$10.0 million to fund clinical and preclinical development of SNS-595;
- approximately \$5.0 million to fund clinical and preclinical development of SNS-032;
- approximately \$3.0 million to fund clinical and preclinical development of our Aurora kinase inhibitors program;
- approximately \$5.0 million for other programs and to discover additional product candidates; and
- approximately \$2.4 million to repay outstanding indebtedness owed to General Electric Capital as of March 31, 2005, with interest at annual rates ranging from 7.4% to 12.5% and which is payable over 36 to 48 months.

In addition, we may use approximately \$4.0 million to repay indebtedness owed to Biogen Idec as of April 30, 2005, with interest at a 3.0% premium to LIBOR. We intend to use the remainder of the net proceeds from this offering for general corporate purposes, including capital expenditures and working capital. We may also use a portion of our net proceeds to in-license product candidates or to invest in businesses or technologies that we believe are complementary to our own.

We expect that the net proceeds from this offering, together with our current cash and cash equivalents, will be sufficient to advance our SNS-595 program to completion of Phase II clinical trials in small cell and non-small cell lung cancers and a Phase I clinical trial in certain leukemias, to complete a Phase I clinical trial for SNS-032, and to select a development candidate, file an investigational new drug application with FDA and complete Phase I clinical trials for our Aurora kinase inhibitors program.

The amount and timing of our actual expenditures depend on several factors, including the progress of our research and development efforts and the amount of cash used by our operations. Accordingly, we will retain broad discretion in the allocation of the net proceeds from this offering. Pending such use, we intend to invest our net proceeds from this offering in short-term, investment-grade, interest-bearing instruments.

DIVIDEND POLICY

We have never declared or paid cash dividends on our capital stock. We do not anticipate paying any cash dividends on our capital stock in the foreseeable future. We currently intend to retain all available funds and any future earnings to fund the development and growth of our business. Any future determination relating to our dividend policy will be made at the discretion of our board of directors and will depend on a number of factors, including revenue, capital requirements, financial condition, prospects and other factors that our board of directors may deem relevant.

CAPITALIZATION

The following table presents our capitalization as of March 31, 2005:

- on an actual basis; and
- on a pro forma as adjusted basis to reflect, based on an assumed initial public offering price of \$ per share, (i) the conversion of our outstanding preferred stock into shares of common stock in connection with this offering and (ii) the receipt of the net proceeds from the sale of shares of common stock in this offering, after deducting underwriting discounts and commissions and estimated offering expenses. See "Conversion of Preferred Stock and Reverse Stock Split."

You should read this capitalization table in conjunction with "Selected Financial Data" and "Management's Discussion and Analysis of Financial Condition and Results of Operations" and our financial statements and related notes included elsewhere in this prospectus.

| | As of March 31, 2005 | |
|--|---|--------------------------|
| | Actual | Pro Forma As Adjusted |
| | (in thousands, except share and per share data) | |
| Current portion of long-term debt | \$ 1,241 | \$ |
| Non-current portion of long-term debt | 4,756 | |
| Convertible preferred stock, \$0.0001 par value; 38,582,000 shares authorized, 34,824,938 shares issued and outstanding, actual; no shares authorized, no shares issued and outstanding, pro forma as adjusted | 108,813 | |
| Stockholders' equity (deficit): | | |
| Preferred stock, \$0.0001 par value, no shares authorized, issued and outstanding, actual; 5,000,000 shares authorized, no shares issued and outstanding, as adjusted | — | |
| Common stock, \$0.0001 par value; 110,000,000 shares authorized; 5,982,349 shares issued and outstanding, actual; 100,000,000 shares authorized, shares issued and outstanding, pro forma as adjusted | 1 | |
| Additional paid-in capital | 6,646 | |
| Notes receivable from stockholders | (135) | |
| Deferred stock compensation | (2,749) | |
| Accumulated other comprehensive income | (88) | |
| Accumulated deficit | (97,949) | |
| | (94,274) | |
| Total stockholders' equity (deficit) | (94,274) | |
| Total capitalization | \$ 20,536 | \$ |

The information in the table excludes, as of March 31, 2005:

- 7,052,975 shares of common stock issuable upon exercise of outstanding stock options with a weighted average exercise price of \$0.61 per share;
- 916,466 shares of common stock issuable upon exercise of outstanding warrants with a weighted average exercise price of \$3.73 per share; and
- 7,341,099 shares of common stock reserved for future issuance under our 1998 Stock Option Plan, 2001 Stock Option Plan, 2005 Equity Incentive Award Plan and Employee Stock Purchase Plan.

DILUTION

The historical net tangible book value of our common stock as of March 31, 2005 was a deficit of \$94.3 million, or \$(15.76) per share. Historical net tangible book value per share is determined by dividing the net tangible book value by the number of outstanding shares of common stock. If you invest in our common stock in this offering, your ownership interest will be immediately diluted to the extent of the difference between the initial public offering price per share and the pro forma as adjusted net tangible book value per share of our common stock.

After giving effect, based on an assumed initial public offering price of \$ _____ per share, to (i) the automatic conversion of our outstanding preferred stock into common stock in connection with this offering and (ii) receipt of the net proceeds from the sale of _____ shares of common stock in this offering, after deducting underwriting discounts and commissions and estimated offering expenses, our pro forma as adjusted net tangible book value as of March 31, 2005 would have been approximately \$ _____ million, or \$ _____ per share. See "Conversion of Preferred Stock and Reverse Stock Split." This represents an immediate increase in pro forma as adjusted net tangible book value of \$ _____ per share to existing stockholders and an immediate dilution of \$ _____ per share to new investors purchasing shares of common stock in this offering at an assumed initial offering price of \$ _____ per share.

The following table illustrates this dilution on a per share basis to new investors:

| | |
|--|----------|
| Assumed initial public offering price per share | \$ |
| Historical net tangible book value per share as of March 31, 2005 | |
| Increase per share attributable to reverse stock split | |
| Increase per share attributable to conversion of preferred stock | _____ |
| Pro forma net tangible book value per share before the offering | |
| Increase per share attributable to this offering | _____ |
| Pro forma as adjusted net tangible book value per share after the offering | _____ |
| Dilution per share to new investors | \$ _____ |

The table below summarizes as of March 31, 2005, on a pro forma as adjusted basis described above, the number of shares of our common stock, the total consideration and the average price per share (i) paid to us by existing stockholders and (ii) to be paid by new investors purchasing shares of our common stock in this offering. The table assumes an initial public offering price of \$ _____ per share before underwriting discounts and commissions and estimated offering expenses.

| | Shares Purchased | | Total Consideration | | Average Price Per Share |
|-----------------------|------------------|---------|---------------------|---------|-------------------------|
| | Number | Percent | Amount | Percent | |
| Existing stockholders | | | % \$ | | % \$ |
| New investors | | | | | \$ |
| Total | | | % \$ | | % |

The above discussion and tables are based on 40,807,287 shares of common stock issued and outstanding as of March 31, 2005 and exclude:

- 7,052,975 shares of common stock issuable upon exercise of outstanding stock options with a weighted average exercise price of \$0.61 per share;
- 916,466 shares of common stock issuable upon exercise of outstanding warrants with a weighted average exercise price of \$3.73 per share; and
- 7,341,099 shares of common stock reserved for future issuance under our 1998 Stock Option Plan, 2001 Stock Option Plan, 2005 Equity Incentive Award Plan and Employee Stock Purchase Plan.

Assuming the exercise in full of all outstanding stock options and warrants, our pro forma as adjusted net tangible book value as of March 31, 2005 would be \$ _____ per share, representing an immediate increase in pro forma as adjusted net tangible book value of \$ _____ per share to existing stockholders and an immediate dilution of \$ _____ per share to new investors purchasing shares of common stock in this offering at an assumed initial public offering price of \$ _____ per share.

SELECTED FINANCIAL DATA

The following selected financial data should be read in conjunction with "Management's Discussion and Analysis of Financial Condition and Results of Operations" and our financial statements and related notes included elsewhere in this prospectus. We derived the statements of operations data for the years ended December 31, 2000 and 2001, as well as the balance sheet data as of December 31, 2000, 2001 and 2002, from our audited financial statements not included in this prospectus. We derived the statements of operations data for the years ended December 31, 2002, 2003 and 2004, as well the balance sheet data as of December 31, 2003 and 2004, from our audited financial statements included elsewhere in this prospectus. We derived the statements of operations data for the three months ended March 31, 2004 and 2005, as well as the balance sheet data as of March 31, 2005, from our unaudited financial statements included elsewhere in this prospectus. Our historical results are not necessarily indicative of results to be expected in any future period.

| | Year Ended December 31, | | | | | Three Months Ended March 31, | |
|---|---|-------------|-------------|-------------|-------------|------------------------------|------------|
| | 2000 | 2001 | 2002 | 2003 | 2004 | 2004 | 2005 |
| | | | | | | (unaudited) | |
| | (in thousands, except share and per share data) | | | | | | |
| Statements of Operations Data: | | | | | | | |
| Revenue: | | | | | | | |
| Collaboration revenue | \$ — | \$ 407 | \$ 3,170 | \$ 6,842 | \$ 5,938 | \$ 1,362 | \$ 1,661 |
| Collaboration revenue from related party | — | — | 32 | 857 | 4,201 | 214 | 2,209 |
| Grant and fellowship revenue | 327 | 701 | 1,474 | 561 | 166 | 55 | 33 |
| | 327 | 1,108 | 4,676 | 8,260 | 10,305 | 1,631 | 3,903 |
| Operating expenses: | | | | | | | |
| Research and development | 9,208 | 14,790 | 18,441 | 21,326 | 23,616 | 6,148 | 6,616 |
| General and administrative | 2,825 | 5,273 | 6,179 | 6,136 | 7,352 | 1,707 | 1,921 |
| | 12,033 | 20,063 | 24,620 | 27,462 | 30,968 | 7,855 | 8,537 |
| Loss from operations | (11,706) | (18,955) | (19,944) | (19,202) | (20,663) | (6,224) | (4,634) |
| Interest income | 2,817 | 3,525 | 1,360 | 713 | 518 | 111 | 205 |
| Interest expense | (269) | (497) | (594) | (521) | (387) | (110) | (106) |
| Other income (expense), net | 254 | (104) | (4) | 5 | 2 | — | 3 |
| | (8,904) | (16,031) | (19,182) | (19,005) | (20,530) | (6,223) | (4,532) |
| Net loss | \$ (8,904) | \$ (16,031) | \$ (19,182) | \$ (19,005) | \$ (20,530) | \$ (6,223) | \$ (4,532) |
| Basic and diluted net loss per share | \$ (5.13) | \$ (5.06) | \$ (4.41) | \$ (3.80) | \$ (3.71) | \$ (1.18) | \$ (0.77) |
| Shares used in computing basic and diluted net loss per share | 1,737,088 | 3,166,054 | 4,351,983 | 4,996,860 | 5,533,739 | 5,292,428 | 5,863,822 |
| Pro forma basic and diluted net loss per share (unaudited) | | | | | \$ (0.53) | | \$ (0.11) |
| Shares used in computing pro forma basic and diluted net loss per share (unaudited) | | | | | 38,637,365 | | 40,688,760 |
| | As of December 31, | | | | | As of March 31, | |
| | 2000 | 2001 | 2002 | 2003 | 2004 | 2005 | |
| | | | | | | (unaudited) | |
| | (in thousands) | | | | | | |
| Balance Sheet Data: | | | | | | | |
| Cash, cash equivalents and marketable securities | \$ 53,668 | \$ 56,768 | \$ 47,155 | \$ 33,843 | \$ 36,812 | \$ 28,897 | \$ 28,897 |
| Working capital | 52,706 | 53,220 | 42,219 | 27,208 | 27,707 | 22,743 | 22,743 |
| Total assets | 76,559 | 64,896 | 54,346 | 40,306 | 43,026 | 35,287 | 35,287 |
| Long-term debt | 1,870 | 3,727 | 2,593 | 3,249 | 4,438 | 4,756 | 4,756 |
| Convertible preferred stock | 88,836 | 88,836 | 94,821 | 94,821 | 108,813 | 108,813 | 108,813 |
| Common stock and additional paid-in capital | 2,435 | 2,546 | 2,637 | 2,723 | 6,494 | 6,646 | 6,646 |
| Accumulated deficit | (18,668) | (34,699) | (53,881) | (72,886) | (93,417) | (97,949) | (97,949) |
| Total stockholders' deficit | (16,415) | (32,115) | (51,428) | (70,376) | (90,044) | (94,274) | (94,274) |

See Note 2 to our financial statements for a description of the method used to compute shares used in computing basic and diluted net loss per share and shares used in computing pro forma basic and diluted net loss per share.

MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

The following discussion and analysis of our financial condition and results of operations should be read together with our financial statements and related notes included elsewhere in this prospectus. This discussion and analysis contains forward-looking statements that involve risks, uncertainties and assumptions. Our actual results may differ materially from those anticipated in these forward-looking statements as a result of many factors, including but not limited to those set forth under "Risk Factors" and elsewhere in this prospectus. All forward-looking statements included in this prospectus are based on information available to us on the date of this prospectus, and we assume no obligation to update any forward-looking statements contained in this prospectus. See "Special Note Regarding Forward-Looking Statements."

Business Overview

We are a clinical-stage biopharmaceutical company focused on the discovery, development and commercialization of novel small molecule therapeutics for oncology, inflammatory diseases and other unmet medical needs. We have developed a proprietary fragment-based drug discovery approach, called "Tethering," that we combine with other drug discovery tools, such as structure-based design and medicinal chemistry, to discover and develop novel therapeutics. We have built our product candidate portfolio through internal discovery and the in-licensing of novel cancer therapeutics. We are advancing our product candidates through in-house research and development efforts and strategic collaborations with leading pharmaceutical and biopharmaceutical companies.

From our incorporation in 1998 through 2001, our operations consisted primarily of developing and refining our drug discovery technologies. Since 2002, we have focused on developing novel small molecule drugs mainly to treat cancer and inflammatory diseases.

We are advancing three proprietary oncology product candidates through in-house research and development efforts, SNS-595, SNS-032 and an Aurora kinase inhibitor. We are currently conducting two Phase I clinical trials with SNS-595, our lead product candidate, and we expect to commence up to two Phase II clinical trials in various types of solid tumors and an additional Phase I clinical trial in certain leukemias in the second half of 2005. In addition, we expect to commence a Phase I clinical trial with our second most advanced product candidate, SNS-032, in the second half of 2005. We in-licensed this compound from BMS in April 2005. We expect to continue preclinical studies and select a development candidate for our third product candidate, an Aurora kinase inhibitor, in 2005 and to file an IND and commence Phase I clinical trials in 2006. We have worldwide development and commercialization rights to SNS-595, SNS-032 (for diagnostic and therapeutic applications) and our Aurora kinase inhibitors program. We may in the future enter into collaborations to maximize the commercial potential of these programs.

We currently have five strategic collaborations with Biogen Idec, Johnson & Johnson PRD and Merck focused on the discovery and development of new product candidates. As of March 31, 2005, we had received an aggregate of approximately \$57.0 million in cash in the form of stock purchase proceeds, fees and loans from our collaboration partners.

Since our inception, we have generated significant losses. As of March 31, 2005, we had an accumulated deficit of \$97.9 million. We expect our net losses to increase primarily due to our anticipated clinical trial activities.

Financial Operations Overview

Revenue

We have not generated any revenue from sales of commercial products and do not expect to generate any product revenue for the foreseeable future. To date, our revenue has consisted of collaboration revenue and grant and fellowship revenue.

Collaboration Revenue. We generate revenue primarily through our collaborations. We currently have five ongoing research-based collaborations. Each of these collaborations includes a technology access fee, research funding, milestone payments and royalties upon sales of future products that may result from the collaborations. The table below sets forth our revenue since January 1, 2002 from each of our collaborators.

| | Year Ended December 31, | | | Three Months |
|-----------------------|-------------------------|-----------------|------------------|----------------------------|
| | 2002 | 2003 | 2004 | Ended March 31, 2005 |
| | (in thousands) | | | |
| Biogen Idec | \$ 32 | \$ 857 | \$ 4,201 | \$ 2,209 |
| Chiesi Farmaceutici | 2,003 | 841 | — | — |
| Johnson & Johnson PRD | 1,167 | 2,350 | 1,334 | 348 |
| Merck | — | 3,651 | 4,604 | 1,313 |
| Total | \$ 3,202 | \$ 7,699 | \$ 10,139 | \$ 3,870 |

In May 2002, we entered into our collaboration with Johnson & Johnson PRD. In December 2002, we entered into our initial collaboration with Biogen Idec. In February 2003, we entered into our initial collaboration with Merck. Our collaboration with Chiesi Farmaceutici was terminated on December 31, 2002, and we completed our remaining performance obligations in 2003. In July 2004, we entered into a second collaboration with Merck. In August 2004, we entered into a second collaboration with Biogen Idec.

In 2005 and 2006, we expect to receive additional research funding from our collaborators totaling at least \$14.0 million. This funding is discretionary, but is not dependent upon the achievement of milestones. In addition, we may receive milestone payments if one or more of our research collaboration programs reach a milestone for which a payment is due.

Grant and Fellowship Revenue. Grant and fellowship revenue is recognized as we perform services under the applicable grant. As of March 31, 2005, we had been awarded \$5.4 million, and had recognized as revenue \$2.5 million, in federal grants under the Small Business Innovation Research, or SBIR, program. In addition, we have recognized revenue from other grants and fellowships. We do not plan to perform any additional work under our SBIR grants in the foreseeable future.

Research and Development Expense

Most of our operating expenses to date have been for research and development activities. Research and development expense represents costs incurred to discover and develop novel small molecule therapeutics, including Phase I clinical trial costs for SNS-595, to develop our proprietary fragment-based Tethering drug discovery approach, to develop in-house research and preclinical study capabilities, to discover and advance product candidates toward clinical trials and in connection with in-licensing activities. We expense all research and development costs as they are incurred. The table

below sets forth our research and development expense since January 1, 2002 for our product candidate programs.

| | Year Ended December 31, | | | Three Months | Total |
|---|-------------------------|------------------|------------------|----------------------------|------------------|
| | 2002 | 2003 | 2004 | Ended March 31, 2005 | |
| (in thousands) | | | | | |
| SNS-595 | \$ — | \$ 420 | \$ 4,587 | \$ 1,449 | \$ 6,456 |
| Aurora kinase inhibitors | — | 175 | 3,688 | 1,681 | 5,544 |
| Raf kinase inhibitors | 31 | 2,411 | 2,967 | 430 | 5,839 |
| Other kinase inhibitors | — | — | 879 | 765 | 1,644 |
| Cathepsin S inhibitors | 1,635 | 2,319 | 967 | 149 | 5,070 |
| TNF family inhibitors | 23 | 2,565 | 2,526 | 525 | 5,639 |
| BACE inhibitors for Alzheimer's disease | 2,749 | 3,072 | 2,266 | 471 | 8,558 |
| Anti-viral inhibitors | 165 | 98 | 32 | 33 | 328 |
| Other | 13,838 | 10,266 | 5,704 | 1,113 | 30,921 |
| Total | \$ 18,441 | \$ 21,326 | \$ 23,616 | \$ 6,616 | \$ 69,999 |

We in-licensed SNS-032 from BMS in April 2005, and we did not incur any research and development expense for this program prior to that time.

We incur research and development expense associated with both partnered and unpartnered research activities, as well as the development and expansion of our drug discovery technologies. Research and development expense relating to our collaborations with Biogen Idec, Merck and Johnson & Johnson PRD consist primarily of costs related to Tethering, lead optimization, preclinical studies and other activities related to the identification and optimization of compounds for development of kinase inhibitors for the treatment of cancer, cytokine and enzyme inhibitors for the treatment of inflammatory diseases, antiviral inhibitors for the treatment of viral disease as well as protease inhibitors for the treatment of Alzheimer's disease. Under our Biogen Idec agreement, we have an option on a target-by-target basis to co-fund post-Phase I development costs for up to two oncology kinase targets, which may include Raf kinase. If we exercise one or both of our options, our research and development expenses will increase significantly. Research and development expense related to co-development activities that we elect to co-fund would consist primarily of manufacturing costs for the product candidate, clinical trial-related costs, costs for consultants and contract research employee compensation and facilities costs and depreciation of equipment.

We expect to incur research and development expense to conduct preclinical studies on our Aurora kinase inhibitors program and to conduct clinical trials on any Aurora kinase inhibitors which result from that program, as well as clinical trials on SNS-032 and SNS-595. Clinical trials are costly, and as we continue to advance our product candidates through preclinical and clinical development, we expect our research and development expenses to increase. For example, we expect to spend at least \$31 million to advance our SNS-595 program to completion of Phase II clinical trials in small cell and non-small cell lung cancers and a Phase I clinical trial in acute leukemias, to advance our SNS-032 program to completion of our planned Phase I clinical trial, and to select a development candidate, file an investigational new drug application with FDA and complete Phase I clinical trials for our Aurora kinase inhibitors program. As of the date of this prospectus, due to the risks inherent in the clinical trial process and given the early state of development of our programs, we are unable to estimate the costs we will incur in the continued development of our product candidates for potential commercialization. Due to these same factors, we are unable to determine the anticipated completion dates for our current research and development programs. Clinical development timelines, probability

of success and development costs vary widely. While we are currently focused on advancing SNS-595 through clinical development, we anticipate that we will make determinations as to which programs to pursue and how much funding to direct to each program on an ongoing basis in response to the scientific and clinical success of each product candidate, as well as an ongoing assessment as to the product candidate's commercial potential. In addition, we cannot forecast which product candidates will be subject to future collaborative or licensing arrangements, when such arrangements will be secured, if at all, and to what degree such arrangements would affect our development plans and capital requirements. As a result, we do not know when and to what extent we will receive cash inflows from our product candidates. See "Business—Strategic Collaborations."

General and Administrative Expense

Our general and administrative expense consists primarily of salaries and other related costs for personnel in finance, human resources, facilities management, legal, including intellectual property management, and general administration and non-cash stock compensation. Other significant costs include facilities costs and fees paid to outside legal advisors and auditors.

Critical Accounting Policies and Significant Judgments and Estimates

This discussion and analysis of our financial condition and results of operations is based on our financial statements, which have been prepared in accordance with U.S. generally accepted accounting principles. The preparation of these financial statements requires management to make estimates and judgments that affect the reported amounts of assets, liabilities and expenses and the disclosure of contingent assets and liabilities at the date of the financial statements, as well as revenue and expenses during the reporting periods. We evaluate our estimates and judgments on an ongoing basis. We base our estimates on historical experience and on various other factors we believe are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying value of assets and liabilities that are not readily apparent from other sources. Actual results could therefore differ materially from those estimates under different assumptions or conditions.

Our significant accounting policies are more fully described in Note 1 to our financial statements included elsewhere in this prospectus. We believe the following critical accounting policies reflect our more significant estimates and assumptions used in the preparation of our financial statements.

Revenue Recognition

In accordance with Emerging Issues Task Force, or EITF, 00-21, *Accounting for Revenue Arrangements with Multiple Deliverables*, which we adopted effective July 1, 2003, revenue arrangements with multiple deliverable items are divided into separate units of accounting based on whether certain criteria are met, including whether the delivered item has stand-alone value to the customer and whether there is objective and reliable evidence of the fair value of the undelivered items. We allocate the consideration we receive among the separate units of accounting based on their respective fair value, and we apply the applicable revenue recognition criteria to each of the separate units. Where an item in a revenue arrangement with multiple deliverables does not constitute a separate unit of accounting and for which delivery has not occurred, we defer revenue until the delivery of the item is completed.

We record upfront, non-refundable license fees and other fees received in connection with research and development collaborations as deferred revenue and recognize these amounts ratably over the relevant period specified in the agreements, generally the research term.

We recognize research funding related to collaborative research with our collaboration partners as the related research services are performed. This funding is normally based on a specified amount per full-time equivalent employee per year.

We recognize revenue from milestone payments, which are substantially at risk at the time the collaboration agreement is entered into, upon completion of the applicable milestone events. We intend to recognize any future royalty revenue based on reported product sales by third-party licensees.

We recognize grant revenue from government agencies and private research foundations as the related qualified research and development costs are incurred, up to the limit of the prior approval funding amounts.

Clinical Trial Accounting

We record accruals for estimated clinical trial costs, comprising payments for work performed by contract research organizations and participating clinical trial sites. These costs may be a significant component of future research and development expenses. We accrue costs for clinical trials performed by contract research organizations based on estimates of work performed under the contracts. Costs of setting up clinical trial sites for participation in trials are expensed immediately. Costs related to patient enrollment are accrued as patients are entered in the trial reduced by an initial payment made to the hospital when the first patient is enrolled. These cost estimates may or may not match the actual costs incurred for services performed by the organizations as determined by patient enrollment levels and related activities. If we have incomplete or inaccurate information, we may underestimate costs associated with various trials at a given point in time. Although our experience in estimating these costs is limited, the difference between accrued expenses based on our estimates and actual expenses have not been material to date.

Stock-Based Compensation

We account for employee stock options using the intrinsic-value method in accordance with Accounting Principles Board, or APB, Opinion No. 25, *Accounting for Stock Issued to Employees*, and Financial Accounting Standards Board Interpretation, or FIN, No. 44, *Accounting for Certain Transactions Involving Stock Compensation, an Interpretation of APB No. 25*, and have adopted the disclosure-only provisions of SFAS No. 123, *Accounting for Stock-Based Compensation*.

In December 2002, the Financial Accounting Standards Board, or FASB, issued Statement of Financial Accounting Standards, or SFAS, No. 148, *Accounting for Stock-Based Compensation—Transition and Disclosure*. SFAS No. 148 amends SFAS No. 123 to provide alternative methods of transition for a voluntary change to the fair value based method of accounting for stock-based employee compensation. In addition, SFAS No. 148 amends the disclosure provisions of SFAS No. 123 and APB Opinion No. 28, *Interim Financial Reporting*, to require disclosure in the summary of significant accounting policies of the effects of an entity's accounting policy with respect to employee stock compensation on reported net loss. We have elected to continue to follow the intrinsic-value method of accounting as prescribed by APB Opinion No. 25.

The information regarding net loss as required by SFAS No. 123, presented in Note 1 to our financial statements, has been determined as if we had accounted for our employee stock options under the fair value method of SFAS No. 123. The resulting effect on net loss to date pursuant to SFAS No. 123 is not likely to be representative of the effects on net loss pursuant to SFAS No. 123 in future years, since future years are likely to include additional grants and the irregular impact of future years' vesting.

We account for stock compensation arrangements to non-employees in accordance with SFAS No. 123, as amended by SFAS No. 148, and EITF No. 96-18, *Accounting for Equity Instruments That Are Issued to Other than Employees for Acquiring, or in Conjunction with Selling, Goods or Services*, using a fair value approach. The compensation costs of these arrangements are subject to remeasurement over the vesting terms as earned.

Stock compensation expense, which is a non-cash charge, results from stock option grants at exercise prices that, for financial reporting purposes, are deemed to be below the estimated fair value of the underlying common stock on the date of grant. Given the absence of an active market for our common stock, our board of directors determined the estimated fair value of our common stock on the date of grant based on several factors, including progress and milestones achieved in our business and sales of preferred stock. In connection with the preparation of our financial statements necessary for our initial public offering, we have reassessed the estimated fair value of our common stock. Stock compensation expense per share equals the difference between the reassessed fair value per share of our common stock on the date of grant and the exercise price per share, and is amortized on a straight line basis over the vesting period of the underlying option, generally four years. From inception through March 31, 2005, we recorded deferred stock compensation of \$3.4 million which is amortized over the vesting period of the options. At March 31, 2005, we had a total of \$2.7 million remaining to be amortized.

The total unamortized deferred stock compensation recorded for all option grants through March 31, 2005 is expected to be amortized as follows: \$634,000 for the remainder of 2005, \$845,000 in each of 2006 and 2007 and \$424,000 in 2008.

Results of Operations

Three-Months Ended March 31, 2004 and 2005

Revenue. Revenue increased from \$1.6 million for three months ended March 31, 2004 to \$3.9 million for the three months ended March 31, 2005. Collaboration revenue increased from \$1.6 million for the three months ended March 31, 2004 to \$3.9 million for the three months ended March 31, 2005, primarily due to a \$2.0 million increase in collaboration revenue from Biogen Idec. We expect our 2005 revenue to continue to exceed 2004 revenue due to our August 2004 collaboration with Biogen Idec.

Research and development expense. Research and development expense increased from \$6.1 million for the three months ended March 31, 2004 to \$6.6 million for the three months ended March 31, 2005, primarily due to a \$1.5 million increase in expense related to our kinase program and a \$480,000 increase in expense related to the initiation of Phase I clinical trials for SNS-595, partially offset by a \$220,000 reduction in expense related to our Cathepsin S program and a \$1.3 million reduction in expense for other programs. We expect to incur significant research and development expenses over the next several years, only a portion of which we expect to be funded by our collaboration partners. If SNS-595 progresses through the clinic and we bring additional product candidates, such as SNS-032, into clinical trials, our spending will further increase. In addition, under our August 2004 collaboration with Biogen Idec, we have an option to co-fund a portion of the development costs of product candidates for up to two targets that may result from this collaboration. Our decision to exercise this option would materially increase our research and development expenses.

Research and development expense associated with SNS-595 increased from \$1.0 million for the three months ended March 31, 2004 to \$1.4 million for the three months ended March 31, 2005. Research and development expense associated with our Aurora kinase inhibitors program increased from \$644,000 for the three months ended March 31, 2004 to \$1.7 million for the three months ended March 31, 2005. In the future, we may seek development partners to help offset the cost of clinical and preclinical development and commercialization of these and other product candidates. Research and development expense for all other programs decreased from \$4.5 million for the three months ended March 31, 2004 to \$3.5 million for the three months ended March 31, 2005.

General and administrative expense. General and administrative expense increased from \$1.7 million for the three months ended March 31, 2004 to \$1.9 million for the three months ended March 31, 2005, primarily due to a \$180,000 increase in salary and related expense due to a \$109,000

increase in non-cash stock compensation expense and a \$71,000 increase in other salary and related expense. As a public company, we will operate in an increasingly demanding regulatory environment that requires us to comply with the Sarbanes-Oxley Act of 2002 and the related rules and regulations of the Securities and Exchange Commission, or SEC, and the Nasdaq National Market, including those related to expanded disclosures, accelerated reporting requirements and more complex accounting rules. We expect that our general and administrative expenses will continue to increase in subsequent periods due to these requirements and to increasing personnel and infrastructure expenses as we advance our product candidates.

Interest income and expense. Interest income increased from \$111,000 for the three months ended March 31, 2004 to \$205,000 for the three months ended March 31, 2005, primarily due to higher interest rates and higher average balances of cash, cash equivalents and marketable securities. Interest expense decreased from \$110,000 for the three months ended March 31, 2004 to \$106,000 for the three months ended March 31, 2005 due to slightly lower outstanding debt obligations.

Years Ended December 31, 2003 and 2004

Revenue. Revenue increased from \$8.3 million in 2003 to \$10.3 million in 2004. Collaboration revenue increased from \$7.7 million in 2003 to \$10.1 million in 2004, primarily due to a \$3.3 million increase in collaboration revenue from Biogen Idec and a \$953,000 increase in collaboration revenue from Merck, partially offset by a \$1.0 million decrease in collaboration revenue from Johnson & Johnson PRD and an \$841,000 decrease in collaboration revenue from Chiesi Farmaceutici. The increase in collaboration revenue from Biogen Idec and Merck resulted from new collaborations in 2004. The decrease in collaboration revenue from Johnson & Johnson PRD resulted from a decrease in personnel working on the collaboration. Our collaboration with Chiesi Farmaceutici terminated on December 31, 2002, and we completed our remaining performance obligations in 2003. Grant and fellowship revenue decreased from \$561,000 in 2003 to \$166,000 in 2004, primarily due to our decision in 2003 to only perform limited additional work under SBIR grants for the foreseeable future.

Research and development expense. Research and development expense increased from \$21.3 million in 2003 to \$23.6 million in 2004, primarily due to \$4.2 million increase in expenses related to the initiation of clinical trials of SNS-595 and a \$4.9 million increase in expenses associated with our kinase programs, partially offset by a \$1.4 million reduction in expenses related to our Cathepsin S inhibitors program, an \$806,000 reduction in expenses related to our BACE inhibitors program and a \$4.6 million reduction in expenses related to other programs.

Research and development expense associated with SNS-595 increased from \$420,000 in 2003 to \$4.6 million in 2004. Research and development expense associated with our Aurora kinase inhibitors program increased from \$175,000 in 2003 to \$3.7 million in 2004. Research and development expense for all other programs decreased from \$20.7 million in 2003 to \$15.3 million in 2004. The expense associated with these programs is partially offset by research fees and milestone payments associated therewith.

General and administrative expense. General and administrative expense increased from \$6.1 million in 2003 to \$7.4 million in 2004, primarily due to a \$1.0 million increase in salary and related expenses resulting from the expansion of our executive team and a \$216,000 increase in legal expenses primarily resulting from increased collaboration activities.

Interest income and expense. Interest income decreased from \$713,000 in 2003 to \$518,000 in 2004, primarily due to lower interest rates and lower average balances of cash, cash equivalents and marketable securities. Interest expense decreased from \$521,000 in 2003 to \$387,000 in 2004, primarily due to a lower average interest rate on outstanding debt obligations.

Years Ended December 31, 2002 and 2003

Revenue. Revenue increased from \$4.7 million in 2002 to \$8.3 million in 2003. Collaboration revenue increased from \$3.2 million in 2002 to \$7.7 million in 2003. The increase in collaboration revenue in 2003 compared to 2002 was primarily due to our May 2002 collaboration with Johnson & Johnson PRD, our December 2002 collaboration with Biogen Idec and our February 2003 collaboration with Merck. Grant and fellowship revenue decreased from \$1.5 million in 2002 to \$561,000 in 2003. The decrease in grant and fellowship revenue in 2003 compared to 2002 was primarily due to our decision in 2003 to only perform limited additional work under SBIR grants for the foreseeable future.

Research and development expense. Research and development expense increased from \$18.4 million in 2002 to \$21.3 million in 2003, primarily due to a \$1.2 million increase in personnel expenses, a \$1.1 million increase in office related expenses and a \$575,000 increase in allocated facility expenses of increased research activity in connection with our collaborations. Research and development expense in 2003 includes a one-time licensing fee to Dainippon Pharmaceutical to acquire exclusive worldwide development and marketing rights for SNS-595.

General and administrative expense. General and administrative expense decreased from \$6.2 million in 2002 to \$6.1 million in 2003. The decrease in 2003 compared to 2002 was primarily due to a \$200,000 decrease in facilities-related expense, partially offset by a \$83,000 increase in office related expenses.

Interest income and expense. Interest income decreased from \$1.4 million in 2002 to \$713,000 in 2003, primarily due to lower interest rates and lower average balances of cash, cash equivalents and marketable securities. Interest expense decreased from \$594,000 in 2002 to \$521,000 in 2003. The decrease in interest expense in 2003 compared to 2002 was primarily due to a decrease in our average cost of borrowing, partially offset by a \$222,000 increase in borrowings.

Income Taxes

Since inception, we have incurred operating losses and, accordingly, have not recorded a provision for income taxes for any of the periods presented. As of December 31, 2004, we had net operating loss carryforwards for federal and state income tax purposes of \$76.3 million and \$36.9 million, respectively. We also had federal research and development tax credit carryforwards of \$1.1 million. If not utilized, the federal net operating loss and tax credit carryforwards will expire beginning in 2018. Utilization of net operating loss and credit carryforwards may be subject to a substantial annual limitation due to limitations provided by the Internal Revenue Code of 1986, as amended, that are applicable if we experience an "ownership change" that may occur, for example, as a result of this offering aggregated with certain other sales of our stock before or after this offering. If not utilized, the state net operating loss carryforward will expire beginning in 2008. The annual limitation may result in the expiration of our net operating loss and tax credit carryforwards before they can be used.

Liquidity and Capital Resources

Sources of Liquidity

As of March 31, 2005, we had cash, cash equivalents and marketable securities of \$28.9 million and outstanding equipment financing and debt obligations of \$6.0 million. Since our inception, we have funded our operations primarily through the issuance of preferred stock, research funding and technology access fees from our collaboration partners, research grants, loans from Biogen Idec and other debt financings. Through March 31, 2005, we had received net proceeds of \$108.8 million from the issuance of preferred stock, including \$20.0 million from Biogen Idec, and common stock and \$2.5 million in SBIR grants.

Cash Flow

Net cash used in operating activities decreased from \$13.9 million in 2002 to \$11.9 million in 2003 and \$10.4 million in 2004. Net cash used in operating activities was \$7.9 million for the three months ended March 31, 2005. Net cash used in operating activities for these periods consisted primarily of our net loss, partially offset by depreciation and amortization and deferred revenue.

Net cash provided by investing activities decreased from \$14.7 million in 2002 to \$5.7 million in 2003. Net cash used in investing activities was \$7.1 million in 2004. Net cash provided by investing activities was \$4.9 million for the three months ended March 31, 2005. Our investing activities for these periods consisted primarily of the investment of the proceeds of our sales of preferred stock.

Net cash provided by financing activities decreased from \$5.6 million in 2002 to \$288,000 in 2003 and increased to \$14.6 million in 2004. Net cash provided by financing activities was \$315,000 for the three months ended March 31, 2005. Our financing activities for these periods consisted primarily of the issuance of preferred stock and indebtedness incurred under our collaboration with Biogen Idec.

Credit Arrangements

In June 2000, we entered into an equipment financing agreement with General Electric Capital Corporation, which has been amended from time to time. The credit facility is available through May 2005. The equipment loans are secured by the equipment financed. As of March 31, 2005, we had outstanding \$2.4 million to finance equipment purchases and leasehold improvements and \$1.1 million remained available under the facility. Outstanding borrowings bear interest at annual rates ranging from 7.4% to 12.5%, and are payable over 36 to 48 months. In connection with this agreement, we issued in May 2003 a warrant to purchase 3,000 shares of Series C preferred stock at \$4.80 per share and in June 2004, a warrant to purchase 1,435 shares of Series C preferred stock at \$4.80 per share. The warrants expire in June 2013 and June 2014, respectively.

In December 2002, we executed a promissory note in favor of Biogen Idec for an aggregate principal amount of up to \$4.0 million. Under the promissory note, we have a drawdown period of ten calendar quarters beginning on April 1, 2003 and ending on June 30, 2005. The principal and accrued interest of each draw are due five years from the date of advance of each draw and bear interest at 3.0% above LIBOR to be paid quarterly. As of March 31, 2005, we had drawn \$3.6 million and \$400,000 remained available for future draws. We may use a portion of our net proceeds from this offering to repay all or a portion of our outstanding indebtedness to Biogen Idec.

Operating Capital and Capital Expenditure Requirements

We expect to continue to incur substantial operating losses in the future. We will not receive any product revenue until a product candidate has been approved by FDA or similar regulatory agencies in other countries and successfully commercialized. We currently anticipate that our cash, cash equivalents and marketable securities, together with the proceeds from this offering and revenue generated from our collaborations, will be sufficient to fund our operations at least through March 31, 2006. However, we will need to raise substantial additional funds to continue our operations and bring future products to market. We cannot be certain that any of our programs will be successful or that we will be able to raise sufficient funds to complete the development and commercialize any of our product candidates currently in development, should they succeed. Additionally, we plan to continue to evaluate in-licensing and acquisition opportunities to gain access to new drugs or drug targets that would fit with our strategy. Any such transaction would likely increase our funding needs in the future.

Our future funding requirements will depend on many factors, including but not limited to:

- the rate of progress and cost of our clinical trials, preclinical studies and other discovery and research and development activities;

- the costs associated with establishing manufacturing and commercialization capabilities;
- the costs of acquiring or investing in businesses, product candidates and technologies;
- the costs of filing, prosecuting, defending and enforcing any patent claims and other intellectual property rights;
- the costs and timing of seeking and obtaining FDA and other regulatory approvals;
- the effect of competing technological and market developments; and
- the economic and other terms and timing of any collaboration, licensing or other arrangements into which we may enter.

Until we can generate a sufficient amount of product revenue to finance our cash requirements, which we may never do, we expect to finance future cash needs primarily through public or private equity offerings, debt financings or strategic collaborations. We do not know whether additional funding will be available on acceptable terms, or at all. If we are not able to secure additional funding when needed, we may have to delay, reduce the scope of or eliminate one or more of our clinical trials or research and development programs. In addition, we may have to partner one or more of our product candidate programs at an earlier stage of development, which would lower the economic value of those programs to our company.

Contractual Obligations

The following table discloses aggregate information about our contractual obligations and the periods in which payments are due as of December 31, 2004 (in thousands):

| Contractual Obligations | Payment due by period | | | | |
|--|-----------------------|------------------|-----------------|-----------------|-------------------|
| | Total | Less than 1 year | 1-3 years | 3-5 years | More than 5 years |
| Equipment financing | \$ 2,529 | \$ 1,291 | \$ 1,113 | \$ 125 | \$ — |
| Indebtedness under collaboration agreement | 3,200 | — | — | 3,200 | — |
| Operating lease obligations | 25,104 | 2,638 | 5,516 | 5,852 | 11,098 |
| Total | \$ 30,833 | \$ 3,929 | \$ 6,629 | \$ 9,177 | \$ 11,098 |

The contractual summary above reflects only payment obligations that are fixed and determinable. We have additional contractual payments obligations that are contingent on future events. Our operating lease obligations relate to the lease for our headquarters in South San Francisco, California.

We also have agreements with clinical sites, and contract research organizations for the conduct of our clinical trials. We make payments to these sites and organizations based upon the number of patients enrolled and the period of follow-up in the trials.

Recent Accounting Pronouncements

In December 2004, the FASB issued Statement No. 123 (revised 2004), *Share-Based Payment*, or SFAS No. 123R, which is a revision of SFAS No. 123, and supersedes APB Opinion 25. SFAS 123R requires all share-based payments to employees and directors, including grants of stock options, to be recognized in the statement of operations based on their fair values, beginning with the first annual period after June 15, 2005, with early adoption encouraged. On April 14, 2005, the SEC adopted a new rule that amended the compliance dates for SFAS No. 123R such that we are now allowed to adopt the new standard effective January 1, 2006. The pro forma disclosures previously permitted under SFAS No. 123 will no longer be an alternative to financial statement recognition. As permitted by SFAS

No. 123, we currently account for share-based payments to employees using APB Opinion 25's intrinsic value method and, as such, recognize no compensation cost for employee stock options.

Under SFAS 123R, we must determine the appropriate fair value model and related assumptions to be used for valuing share-based payments, the amortization method for compensation cost and the transition method to be used at the date of adoption. The transition methods include modified prospective and retroactive adoption options. Under the retroactive option, prior periods may be restated either as of the beginning of the year of adoption or for all periods presented. The modified prospective method requires that compensation expense be recorded for all unvested stock options and restricted stock at the beginning of the first quarter of adoption of SFAS 123R, while the retroactive method would record compensation expense for all unvested stock options and restricted stock beginning with the first period restated. We are currently evaluating the requirements of SFAS 123R as well as option valuation methodologies related to our stock option plans. Although we have not yet determined the method of adoption or the effect of adopting SFAS 123R, we expect that the adoption of SFAS 123R will have a material impact on our consolidated results of operations. The impact of adoption of SFAS 123R cannot be predicted at this time because it will depend on, among other things, the levels of share-based payments granted in the future, the method of adoption and the option valuation method used. SFAS 123R also requires the benefits of tax deductions in excess of recognized compensation costs to be reported as a financing cash flow, rather than as an operating cash flow as required under current literature. This requirement will reduce net operating cash flows and increase net financing cash flows in periods after adoption.

Related Party Transactions

For a description of our related party transactions, see "Certain Relationships and Related Party Transactions."

Off-Balance Sheet Arrangements

We do not have any off-balance sheet arrangements, including structured finance, special purpose or variable interest entities.

Qualitative and Quantitative Disclosures About Market Risk

The primary objective of our investment activities is to preserve our capital for the purpose of funding operations while at the same time maximizing the income we receive from our investments without significantly increasing risk. To achieve these objectives, our investment policy allows us to maintain a portfolio of cash equivalents and short-term investments in a variety of securities, including commercial paper, money market funds and corporate debt securities. Our cash and cash equivalents as of March 31, 2005 included liquid money market accounts. Our marketable securities as of March 31, 2005 included readily marketable debt securities. Due to the short-term nature of these instruments, a 1% movement in market interest rates would not have a significant impact on the total value of our portfolio as of March 31, 2005.

CONVERSION OF PREFERRED STOCK AND REVERSE STOCK SPLIT

Due to the antidilution provisions of our certificate of incorporation, the conversion ratios of our Series B, C, C-1 and C-2 preferred stock may be adjusted in connection with the conversion of our outstanding preferred stock into common stock. We will effect a reverse stock split to ensure that we have 17,500,000 shares of common stock outstanding immediately prior to this offering, after giving effect to such antidilution adjustments, if any, as described below and assuming the exercise of all outstanding options and warrants.

In connection with this offering, all of our outstanding preferred stock will be converted into common stock. If the valuation of our company is greater than or equal to \$242.0 million, each share of Series B, C, C-1 and C-2 preferred stock will convert into one share of common stock in connection with this offering. If our valuation is less than \$242.0 million, the conversion ratios of our Series C, C-1 and C-2 preferred stock will be increased. If our valuation is less than \$170.0 million, the conversion ratio of our Series B preferred stock will also be increased. Therefore, based on the valuation of our company in connection with this offering, the holders of the Series B, C, C-1 and C-2 preferred stock may hold a greater percentage of the 17,500,000 shares, options and warrants to be outstanding prior to the issuance of the shares offered by this prospectus. For purposes of the foregoing, our valuation will be the product of our initial public offering price multiplied by the sum of (i) the number of outstanding shares of common stock on an as-converted basis and (ii) the number of outstanding stock options and warrants. We will not know the conversion ratios of our Series B, C, C-1 and C-2 preferred stock until immediately prior to the effectiveness of our registration statement, of which this prospectus forms a part.

In this prospectus, we have estimated the conversion ratios of our preferred stock and the ratio of the reverse stock split using an assumed initial public offering price of \$ per share. We will not know the precise ratio of the reverse stock split until the initial public offering price is established.

Upon completion of this offering, our existing stockholders will continue to have significant influence over the outcome of corporate actions requiring stockholder approval, including the election of directors, any merger, consolidation or sale of all or substantially all of our assets or any other significant corporate transaction. Changes in our valuation in connection with this offering will result in changes in the conversion ratios of our preferred stock and the reverse stock split ratio as described above. As a result, changes in our valuation in connection with this offering will impact the relative ownership of our common stock among our existing stockholders upon completion of this offering. The following table shows the beneficial ownership of our common stock upon completion of this offering at different assumed initial public offering prices by each person, or group of affiliated persons, known

by us to beneficially own more than 5% of our voting securities, all of our executive officers and directors as a group and all of our existing stockholders as a group.

| | \$ | \$ | \$ | \$ | \$ | \$ | \$ |
|--|----|----|----|----|----|----|----|
| Abingworth BioVentures II SICAV | % | % | % | % | % | % | % |
| Biogen Idec | | | | | | | |
| Entities affiliated with Credit Suisse First Boston | | | | | | | |
| Entities affiliated with Mayfield Associates | | | | | | | |
| Entities affiliated with Venrock Associates | | | | | | | |
| Entities affiliated with Warburg Pincus | | | | | | | |
| All executive officers and directors as a group (12 persons) | | | | | | | |
| All other existing stockholders as a group | | | | | | | |

BUSINESS

Overview

We are a clinical-stage biopharmaceutical company focused on the discovery, development and commercialization of novel small molecule therapeutics for oncology, inflammatory diseases and other unmet medical needs. We have built our product candidate portfolio through internal discovery and the in-licensing of novel cancer therapeutics. We are advancing our product candidates through in-house research and development efforts and strategic collaborations with leading pharmaceutical and biopharmaceutical companies. We believe the quality and breadth of our product candidate pipeline, platform technology, strategic collaborations and scientific team will enable us to become a fully integrated biopharmaceutical company with a diversified portfolio of novel therapeutics for major diseases.

We are advancing three proprietary oncology product candidates through in-house research and development efforts, SNS-595, SNS-032 and an Aurora kinase inhibitor. All three are inhibitors of the cell division process, known as cell-cycle inhibitors, intended for the treatment of cancer. We are currently conducting two Phase I clinical trials with our lead product candidate, SNS-595, and we expect to commence up to two Phase II clinical trials in various types of solid tumors and an additional Phase I clinical trial in certain leukemias in the second half of 2005. In addition, in 2006 we intend to commence Phase II clinical trials to evaluate SNS-595 as a stand-alone therapy and Phase Ib clinical trials to evaluate SNS-595 in combination with standard treatments in additional tumor types. In preclinical studies, SNS-595 has demonstrated broad anti-tumor activity. We plan to commence a Phase I clinical trial with our second most advanced product candidate, SNS-032, in the second half of 2005. We in-licensed this compound from BMS in April 2005. In addition, we expect to continue preclinical studies and to select a development candidate for our third product candidate, an Aurora kinase inhibitor, in 2005 and to file an IND and commence Phase I clinical trials in 2006. We believe that small molecule Aurora kinase inhibitors have the potential to limit the growth of multiple tumor types without causing significant peripheral neuropathy. We have worldwide development and commercialization rights to SNS-595, SNS-032 (for diagnostic and therapeutic applications) and our Aurora kinase inhibitors program. We may in the future enter into collaborations to maximize the commercial potential of these programs.

We currently have five strategic collaborations with three leading pharmaceutical and biopharmaceutical companies from which, as of March 31, 2005, we had received an aggregate of approximately \$57.0 million in cash in the form of stock purchase proceeds, fees and loans. We have two separate collaborations with Biogen Idec in oncology and in inflammatory diseases. The oncology program with Biogen Idec is focused on developing multiple kinase inhibitors, including our Raf kinase inhibitor, for which we have an option to co-develop and co-promote on a worldwide basis. We also work with Biogen Idec on the development of small molecule inhibitors of TNF. We are collaborating with Johnson & Johnson PRD on the development of product candidates for the treatment of inflammatory diseases from our Cathepsin S inhibitors program. We have two separate collaborations with Merck to develop therapeutics for Alzheimer's disease and for viral diseases. In the last year, each of our current collaboration partners has either extended its existing collaboration or entered into a new collaboration with our company. We believe that our strategic collaborations will enable us to leverage and expand our internal development capabilities, manage our cash expenditures and diversify risk across our pipeline.

We have developed a proprietary method of discovering drugs in pieces, or fragments. We call this fragment-based discovery approach "Tethering." We combine Tethering with other drug discovery tools, such as structure-based design and medicinal chemistry, to discover and develop novel therapeutics for major diseases. Tethering allows us to screen drug fragments based on binding properties rather than function, which we believe enables us to identify compounds that may not be discovered through

conventional methods of drug discovery. We believe that this capability allows us to efficiently design product candidates that bind to sites or regions on a specific protein not readily accessed by other discovery methods. Tethering is applicable to most proteins, and we have used Tethering on over 15 different protein targets to date.

Strategy

We are focused on discovering, developing and commercializing novel small molecule therapeutics for oncology, inflammatory diseases and other unmet medical needs. The key elements of our strategy are as follows:

- *Focus on small molecules with differentiated therapeutic benefits.* We discover and develop novel small molecule drugs designed to inhibit a specific molecular target, such as Aurora or Raf kinase. We also develop small molecule drugs, such as SNS-595 and SNS-032, that we believe have desirable properties or that target disease pathways not fully exploited by existing therapeutics. We believe either approach may result in drugs with improved therapeutic benefits as compared to existing drugs.
- *Maximize the value of our pipeline of product candidates through internal development and strategic collaborations.* We are advancing a diversified portfolio of novel therapeutics through internal development and strategic collaborations. We plan to retain all or a portion of the U.S. commercial rights to some of our novel cancer therapeutics. We may enter into future collaborations for late-stage development and commercialization of these cancer therapeutics. We intend to continue to collaborate with leading pharmaceutical and biopharmaceutical companies on other programs at the discovery or early research stage to leverage and expand our internal development capabilities, manage our cash expenditures and diversify risk across our pipeline. We have retained the economic rights to our three most advanced programs, SNS-595, SNS-032 and our Aurora kinase inhibitors, while also entering into multiple strategic collaborations for other programs.
- *Expand our portfolio of product candidates through our internal drug discovery engine and in-licensing.* We intend to leverage our proprietary fragment-based Tethering drug discovery approach, in combination with other drug discovery tools, such as structure-based design and medicinal chemistry, to expand our portfolio of product candidates for oncology, inflammatory diseases and other unmet medical needs. We may further augment our internal discovery efforts by in-licensing novel therapeutics. We in-licensed SNS-595 and SNS-032 and discovered our Aurora kinase inhibitors through internal drug discovery efforts. We believe that this combination approach will enable us to accelerate the expansion of our portfolio of product candidates.

Product Candidate Pipeline

As of May 15, 2005, we have the following programs in various stages of research and development:

| Program | Status | Planned Activities | Commercial Rights |
|---|--|---|-----------------------|
| Oncology Programs | | | |
| SNS-595 | Two Phase I clinical trials ongoing | Commencement of up to two Phase II single-agent clinical trials in multiple tumor types and an additional Phase I clinical trial in leukemias planned in the second half of 2005; Commencement of Phase II single-agent and Phase Ib combination clinical trials in additional tumor types planned for 2006 | Sunesis |
| SNS-032 | Planning additional Phase I clinical trial | Commencement of an additional Phase I clinical trial in the second half of 2005 | Sunesis |
| Aurora kinase inhibitors | Preclinical | Continuation of preclinical studies and selection of a development candidate planned for 2005; Filing of IND and commencement of Phase I clinical trials in 2006 | Sunesis |
| Raf kinase inhibitors | Preclinical | Continuation of preclinical studies and selection of a development candidate planned for 2006; Filing of IND and commencement of Phase I clinical trials in 2007 | Biogen Idec/Sunesis |
| Other kinase inhibitors | Discovery | | Biogen Idec/Sunesis |
| Inflammatory Disease Programs | | | |
| Cathepsin S inhibitors | Discovery | | Johnson & Johnson PRD |
| TNF family inhibitors | Discovery | | Biogen Idec |
| Other Programs | | | |
| BACE inhibitors for Alzheimer's disease | Discovery | | Merck |
| Anti-viral inhibitors | Discovery | | Merck |

Overview of Cancer Market and Therapeutics

Market

Cancer is the second leading cause of death in the United States, with 570,000 deaths and 1.4 million new cases estimated in 2005, according to the American Cancer Society. Cancers can be divided broadly into two groups: solid tumor cancers that affect organs in the body, such as the lungs and colon; and hematological, or blood-borne, cancers, such as leukemia. The American Cancer Society estimates that solid tumor cancers accounted for approximately 509,000 cancer-related deaths in 2004 and will account for approximately 1.3 million, or 92%, of new cases diagnosed in 2005.

Existing Drug Treatments

Cancer is characterized by uncontrolled cell growth. Cell growth and function are controlled by proteins that communicate and relay signals within cells. In normal cell proliferation, when a cellular signaling pathway is activated, or "on," it sends a signal telling the cell to grow and divide. When a component of a signaling pathway is mutated, the signal may not turn "off" or it may be constantly "on," causing the cell to continuously reproduce itself, resulting in a tumor.

The goals of cancer therapy are to cure the patient and, in the absence of cure, to improve the quality of life and extend the life expectancy of the patient. The most common form of pharmaceutical treatment for cancer is cytotoxic therapeutics, which are designed to target and kill rapidly proliferating cells. Cytotoxic drugs include irinotecan, doxorubicin, taxanes and other inhibitors of cellular proliferation. In addition, newer therapies designed to hit a specific molecular target, such as Gleevec and Tarceva, may be used in combination with or as alternatives to cytotoxic therapies.

Due to the genetic diversity among tumors, a combination of drug therapies is generally used to treat any given tumor type, and many patients progress rapidly through all available therapies. Despite the introduction of a number of new therapeutics over the last few years, there is significant demand for new drugs that, by themselves or in combination with existing therapies, can significantly improve the quality of life and extend the life expectancy of cancer patients.

Although cytotoxic therapies are widely used, their mechanism of action targets all proliferating cell populations, not just cancer cells, and therefore may result in significant side effects, including immune system compromise known as myelosuppression, nausea, vomiting, diarrhea, sores in the mouth and the digestive tract known as mucositis, hair loss, peripheral nerve cell death known as peripheral neuropathy and damage to the heart known as cardiotoxicity. Cytotoxic drugs may have a narrow "therapeutic window" between efficacy and toxicity, which means there is only a small variance between a therapeutic dose and a toxic dose. Proper dosing is a challenge with drugs that have a narrow therapeutic window because dosing below the therapeutic window results in the patient receiving a sub-therapeutic exposure to the drug, whereas dosing above the therapeutic window results in exposing the patient to a toxic level of the drug. Dosing can be particularly challenging with cytotoxic drugs because a number of them have demonstrated highly variable PK. PK variability results in differences in drug exposure among patients and, in some cases, in the same patient even at the same dose. As a result, it is difficult for physicians to determine the proper therapeutic dose for a patient at any particular time, and patients are frequently under-dosed or over-dosed.

Notwithstanding their limitations, it is widely believed that cytotoxic therapeutics will continue to be a mainstay of cancer therapy. We believe significant commercial opportunities exist for new cytotoxic drugs that act by different mechanisms to existing drugs and that have a more manageable side effect profile, both as single-agent therapies in patients with resistant, or refractory, disease and in combination with current established therapies. We believe that our SNS-595, SNS-032 and Aurora programs are well positioned to take advantage of these opportunities.

We also believe there is a need for novel molecularly-targeted therapeutics that can be used in combination with, or as alternatives to, cytotoxic therapeutics to improve the outcome of cancer treatment. Molecularly-targeted therapeutics may have fewer unwanted side effects because they are less likely to affect cell activity unrelated to cancer. We believe that these targeted therapeutics, such as Gleevec, Tarceva and Velcade, are likely to capture an increasing share of the cancer market and even contribute to its growth. For example, Novartis reported 2004 sales of Gleevec at \$1.6 billion, a 45% increase from 2003. While these targeted therapeutics have demonstrated clinical benefit in some patients, there remains a significant unmet medical need in cancer patients with other tumor types or resistant tumors. We believe that our Raf kinase inhibitors program which also targets specific molecules, is well positioned to benefit from these trends in cancer therapy.

SNS-595 Program

SNS-595 is a novel cytotoxic drug that we believe represents a new class of anti-tumor drugs. SNS-595 is in a chemical class known as naphthyridine analogues. Although naphthyridine analogues have been used as antibiotics and have been demonstrated to be safe in human treatment, no members of this chemical class have been approved for the treatment of cancer. SNS-595 is a broadly active cell-cycle inhibitor that we believe works in a different way than any other cancer therapy, known as a novel mechanism of action, and induces the arrest of proliferating cancer cells immediately before the cell divides into two new cells, leading to cell death. We obtained worldwide development and commercialization rights to SNS-595 from Dainippon through a license agreement in 2003.

Opportunity

We believe SNS-595 has the following characteristics:

- broad anti-tumor activity as demonstrated in multiple animal models;
- favorable PK profile that may enable more predictable dosing within the therapeutic window;
- ability for physicians to combine with other cancer therapies with minimal drug-drug interactions;
- novel mechanism of action, which may result in activity in multi-drug-resistant cancers;
- convenient intravenous dosing that can be completed in a matter of minutes in an outpatient setting and on a schedule consistent with existing chemotherapy schedules;
- anti-tumor activity through oral administration in animal models;
- absence of cardiotoxicity or peripheral neuropathy observed in animals and humans to date; and
- relative ease and availability of manufacturing.

Clinical Trials

In June 2004, we began the first of two Phase I clinical trials evaluating SNS-595 in groups of patients with advanced solid tumors. In these clinical trials, we are exploring doses and schedules of administration in preparation for Phase II clinical trials designed to evaluate initial clinical efficacy. As of May 1, 2005, we had administered 114 cycles of treatment to a total of 40 patients in our Phase I clinical trials. As of the date of this prospectus, we have observed stable disease lasting at least six cycles in four patients and one minor response in an ovarian cancer patient. Based on the preliminary results of our Phase I clinical trials to date, the dose limiting toxicity appears to be a reduction of the white blood cells circulating in the blood, a process known as myelosuppression, in the absence of any other dose limiting toxicities. We are conducting these clinical trials at three leading medical centers and expect to treat 30 to 40 patients in each trial.

The first Phase I clinical trial of SNS-595 is a single-dose administration followed by a 21-day observation period constituting one cycle. Patients participating in this trial may receive up to six cycles of treatment. We plan to complete this trial in the third quarter of 2005.

The second Phase I clinical trial, which began in October 2004, is evaluating the administration of three weekly doses of SNS-595 followed by a 14-day observation period in each 28-day cycle. Patients participating in this trial may receive up to six cycles of treatment. We plan to complete this trial by the end of the third quarter of 2005.

Patients from both Phase I clinical trials whose disease stabilizes while on treatment or who exhibit a partial or complete response after six cycles of treatment may participate in a continuation trial following the completion of the initial trial.

Preclinical Studies

SNS-595 has been the subject of numerous preclinical studies conducted by us and Dainippon. In 2000, Dainippon reported data from studies of SNS-595 in various mouse models. In these models, SNS-595 demonstrated superior therapeutic activity compared to several widely used cytotoxic drugs. Specifically, SNS-595 showed 98.9% to 99.3% inhibition of the growth of established tumors in three different solid tumor mouse models when compared to control animals. Statistical analysis showed that the chance that these differences in tumor size following treatment with SNS-595 were not due to the effect of the drug was less than 5%, known as a probability, or p-value, of less than 0.05. In some cases, complete tumor regressions were observed. In these models, a number of marketed cytotoxic drugs, including paclitaxel and irinotecan, had significantly less activity than SNS-595. In other mouse models involving the study of human tumors in mice with compromised immune systems, SNS-595 has shown broad activity in all models tested and inhibited the growth of established tumors by more than 80% in 14 of 17 tumor lines evaluated, including several drug-resistant tumor lines. This data is statistically significant with p-values of less than 0.05. While we do not know whether SNS-595 will demonstrate comparable activity in humans, we believe that these data are encouraging and support further development.

SNS-595 has also demonstrated excellent PK properties in animals and humans to date. SNS-595 demonstrated, in all species tested, precise and reproducible PK results and low inter-individual PK variability. We believe this is because levels of SNS-595 in the blood are less affected by the metabolic processes than many other cytotoxic drugs. As a result, we expect to see similar drug exposures across all patients treated with SNS-595, a consistent adverse event profile and fewer unexpected toxicities.

The potential toxicity of single and repeated doses of SNS-595 has been explored in a number of animal studies that suggest the mechanism-based dose-limiting toxicities in humans receiving SNS-595 may be similar to some of those observed in approved cytotoxic agents, including temporary toxicity to bone marrow cells, the gastrointestinal system and other systems with rapidly dividing cells. These toxicities are usually reversible and can be adequately managed by experienced medical oncology practitioners.

Development Plan

We plan to commence up to two Phase II clinical trials in various types of solid tumors and an additional Phase I clinical trial in certain leukemias in the second half of 2005. We currently plan to design these trials to evaluate SNS-595 as a stand-alone therapy in patients with small cell and non-small cell lung cancers and acute leukemias. In addition, in 2006 we intend to commence Phase II clinical trials to evaluate SNS-595 as a stand-alone therapy and Phase Ib clinical trials to evaluate SNS-595 in combination with standard treatments in additional tumor types. We may modify the tumor types selected for these Phase II and Phase I clinical trials based on the anti-tumor activity observed in animal models, tumor types showing responses in our Phase I clinical trials and strategic regulatory and market considerations.

SNS-032 Program

SNS-032 is a targeted inhibitor of certain cyclin-dependent kinases, including CDK2, CDK7 and CDK9. Kinases are proteins found in cells that are critical in the communication and relay of signals to promote cell growth or function. Alterations in several proteins that control CDK2 have been shown to be associated with poor prognosis and survival in several cancer types, including breast, ovarian and lung cancers. We believe that prolonged or repeated exposure to SNS-032 will inhibit CDK2, as well as CDK7 and CDK9, and that this inhibition can be a beneficial cancer treatment. We also believe that SNS-032 may be beneficial in addition to or in combination with other cytotoxic chemotherapeutic agents that act by other mechanisms in the cell cycle. We obtained worldwide rights to develop and

commercialize SNS-032 for diagnostic and therapeutic applications from BMS under a license agreement executed in April 2005.

Opportunity

We believe that SNS-032 has the following characteristics:

- differentiated target and mechanism of action as compared to existing anticancer therapies;
- opportunity to be first-in-class inhibitor of CDK2, CDK7 and CDK9;
- broad anti-tumor activity as demonstrated in preclinical models of mouse and human tumors;
- toxicology consistent with its proposed activity as a cytotoxic anticancer drug;
- potential for both oral and IV formulations;
- potential for additive or synergistic activity when SNS-032 is administered in combination with cytotoxic chemotherapeutic agents that act by other mechanisms;
- relative ease and availability of manufacturing; and
- complementary to our existing programs.

Clinical Trials

BMS has conducted three Phase I dose-escalation clinical trials evaluating the safety and tolerability of SNS-032 at three different dosing regimens in approximately 135 patients with refractory solid tumors. The first Phase I clinical trial was initiated in August 2001 and evaluated the administration of a one-hour IV infusion every three weeks. The second Phase I clinical trial was initiated in March 2002 and evaluated the administration of a 24-hour IV infusion every three weeks. The third Phase I clinical trial was initiated in July 2002 and evaluated the administration of a one-hour IV infusion every week, with the third dose given orally to measure the availability of the drug in the body when given orally, known as oral bioavailability. BMS did not complete the second and third clinical trials.

In these clinical trials, SNS-032 was generally well tolerated and demonstrated toxicity consistent with a cytotoxic anticancer agent. Observed toxicities included QTc prolongation, decreased white blood cell count and liver toxicity. While no objective tumor responses were observed, a number of patients experienced stable disease. We believe that patient exposure to the drug may have been suboptimal or inadequate to obtain tumor responses and that more frequent or more dose-intensive regimens will improve the likelihood of achieving responses with SNS-032 as a stand-alone agent.

Preclinical Studies

In previous preclinical studies conducted by BMS, SNS-032 was shown to be a broadly active inhibitor of the proliferation of tumor cell lines. We believe that the observed cell death caused by this inhibitor is the result of cell cycle arrest. In addition, SNS-032 demonstrated broad anti-tumor activity in multiple mouse and human tumor models, including breast, ovarian, colorectal and skin cell cancers. SNS-032 has also shown synergistic activity in preclinical models when combined with currently approved anti-tumor drugs, including Gemcitabine and Cisplatin.

BMS also conducted a series of PK and metabolism studies with SNS-032. SNS-032 demonstrated predictable drug exposure between species and was shown to be orally bioavailable in mice, rats, dogs and humans. SNS-032 was found to be a weak inhibitor of major human drug metabolizing enzymes, suggesting that the potential for negative side effects resulting from drug-drug interactions when combined with other therapeutics could possibly be low.

The preclinical data indicate that the toxicities of SNS-032 are primarily myelosuppression and gastro-intestinal toxicity, which are similar to the toxicities of other cytotoxic drugs. The dose-limiting toxicities in pre-clinical studies were myelosuppression and gastro-intestinal toxicity.

Development Plan

We plan to commence a new Phase I clinical trial in the second half of 2005. We currently plan to design this study to evaluate the safety and tolerability of frequent, repeated exposure to SNS-032 in patients with refractory solid tumors, such as lung, breast and ovarian tumors, and in chronic lymphocytic leukemia. Patients will receive an escalating dose of SNS-032 as an IV infusion to assess the safety and tolerability of a dose and schedule that we would plan to use in subsequent Phase II clinical trials in a variety of tumors.

Concurrently, we intend to develop an oral formulation of SNS-032, which may prove to be more convenient for patients, and to discover additional CDK inhibitors as alternative drug candidates.

Other Oncology Kinase Programs

We are applying Tethering in several programs to discover and develop additional novel kinase inhibitors for the treatment of cancer. Kinases are proteins found in cells that are critical in the communication and relay of signals to promote cell growth or function. In normal cell proliferation, when a cellular signaling pathway is activated, or "on," it sends a signal telling the cell to grow and divide. When a component of a signaling pathway involving a kinase is mutated, the signal may not turn "off" and thus may be constantly "on," causing the cell to continuously reproduce itself. This unregulated growth is a principal characteristic of cancer cells. It is widely believed that the signaling pathway plays an integral role in the growth of some tumor types. We believe that by inhibiting a kinase in a specific overactive pathway, the pathway can be turned "off," restoring normal signaling.

Technology/Scientific Overview

There is significant pharmaceutical industry interest in kinases as key points of intervention for treating disease. Many strategies are used in the pharmaceutical industry to discover kinase inhibitors for drug development, including high-throughput functional screening of kinase-regulated pathways.

There are 518 known human kinases, many of which are known to be involved in cancer and other diseases. Most small molecule kinase inhibitors bind to a main site common among many kinases, thereby affecting multiple kinases rather than only those involved in the targeted disease. As a result, it has been difficult to discover small molecule kinase inhibitors that bind only to the kinase involved in the targeted disease process and not to other kinases. The ability to target a single kinase is referred to as specificity. Binding without specificity may lead to unwanted toxicity problems.

A small but growing number of compounds, including Gleevec, inhibit the targeted kinase with greater specificity by binding not only the main site but also a nearby region called the variable binding region. Each kinase has a different variable binding region. As a result, we believe inhibitors that also bind to the variable binding region will bind with greater specificity to the kinase of interest, and we use Tethering to identify these kinase inhibitors. We believe that these specific inhibitors may be associated with reduced toxicity.

Aurora Kinase Inhibitors Program

We believe that Aurora kinase inhibitors represent an area of interest in the pharmaceutical industry and within the cancer treatment community. Aurora kinases are key enzymes involved in cell growth and division and play an essential role in the abnormal growth and proliferation of tumor cells. Aurora kinases are known to be overexpressed in a number of tumor types, including colon cancer,

breast cancer and leukemia. Aurora kinase inhibition retards cell proliferation and limits tumor growth by initiating programmed cell death. Because Aurora kinase is more highly expressed in active cells rather than resting cells, we believe that Aurora kinase therapies may selectively target cancer cells, which are actively dividing, over cells in a normal or resting state, which may lead to reduced toxicities.

The goal of this program is to develop novel Aurora kinase inhibitors that exhibit broad activity in tumors with superior drug-like properties that do not cause significant peripheral neuropathy. We are conducting preclinical studies, including animal studies. One compound in our lead series was dosed on a once-a-week schedule for three weeks in colon and pancreatic solid tumor mouse models. In these models, our compound caused 80% or greater inhibition of tumor growth in ways consistent with inhibition of Aurora A and B with minimal observed toxicity. These results were statistically significant, with a p-value of less than 0.05. We believe these results are comparable to those observed in the same tumor models for VX-680, an Aurora inhibitor in development by Vertex Pharmaceuticals and Merck. We are currently using the data from these preclinical experiments to optimize the activity and PK properties of our Aurora kinase inhibitors. We anticipate selecting a development candidate in 2005 with the goal of filing an IND and commencing Phase I clinical trials in 2006. We have worldwide rights to commercialize any drugs resulting from our Aurora kinase inhibitors program.

Raf Kinase Inhibitors Program

We are developing our Raf kinase inhibitors program in collaboration with Biogen Idec. We believe that Raf kinase inhibitors represent an area of interest in the pharmaceutical industry and within the cancer treatment community.

Raf kinase is an enzyme in the Ras pathway, a signaling pathway important to cell proliferation. The Ras pathway is believed to be abnormally activated in many human cancers by various mechanisms. In approximately 15% of human cancers, a Ras gene is activated by mutation. We believe that several inhibitors of kinases in the Ras pathway have shown evidence of clinical activity in clinical trials.

The goal of this program is to develop Raf kinase inhibitors with improved pharmaceutical properties as compared to other Raf kinase inhibitors in development. We applied Tethering to discover highly specific and potent Raf kinase inhibitors. We and Biogen Idec are conducting preclinical studies and anticipate selecting a development candidate in 2006. We expect Biogen Idec to file an IND and commence Phase I clinical trials in 2007. We have an option to co-develop and co-promote any drugs developed through this program on a worldwide basis.

Other Kinase Inhibitors Programs

As part of our collaboration with Biogen Idec, we are applying Tethering to discover novel small molecule leads that inhibit up to five additional oncology or human immune system kinase targets. We and Biogen Idec are working together on the identification, optimization and development of inhibitor drugs for these kinases. We are also working on the identification and optimization of kinase inhibitor drugs outside of our collaboration with Biogen Idec.

Inflammatory Diseases Programs

Cathepsin S Inhibitors Program

Cathepsin S is an enzyme involved in the activation of T-cells. Inappropriate activation of T-cells may lead to some inflammatory diseases, such as asthma, rheumatoid arthritis, multiple sclerosis, psoriasis and Crohn's disease. In collaboration with Johnson & Johnson PRD, we are applying Tethering to discover small molecule inhibitors of Cathepsin S. We intend to develop these inhibitors into drugs for the treatment of major inflammatory diseases. We believe that small molecule

Cathepsin S inhibitors would have the advantages of a novel mechanism of action, ease of oral administration and ease of manufacturing. Johnson & Johnson PRD holds worldwide rights to commercialize any drugs resulting from this program.

TNF Family Inhibitors Program

TNF and related cytokine family members are proteins involved in inflammatory diseases, including rheumatoid arthritis, psoriasis and Crohn's disease. While inhibition of TNF has been validated as a treatment for inflammatory diseases, all of the currently marketed TNF inhibitors are injectable biologics. We believe that small molecule inhibitors would have advantages, such as ease of oral administration and ease of manufacturing, but discovery of small molecule TNF inhibitors has been historically challenging. In collaboration with Biogen Idec, we are applying Tethering to discover small molecule TNF family inhibitors. Examples of TNF inhibitors include Enbrel and Humira, which had reported sales of approximately \$1.9 billion and \$852 million, respectively, in 2004. Biogen Idec holds worldwide rights to commercialize any drugs resulting from this program.

Other Programs

BACE Inhibitors for Alzheimer's Disease

In collaboration with Merck, we are applying Tethering to identify and optimize inhibitors of BACE, an important enzyme target in Alzheimer's disease. BACE, or beta-secretase, is involved in the formation of A-beta peptide, which is the predominant substance in the plaques found in the brains of Alzheimer's patients and believed to contribute to their disease. Merck holds worldwide rights to commercialize any drugs resulting from this collaboration and is responsible for advancing these compounds into lead optimization, preclinical studies and clinical trials.

Anti-Viral Inhibitors Program

We are collaborating with Merck to identify small molecule inhibitors of an anti-viral target by a novel mechanism. We are providing Merck with a series of small molecule compounds that we derived from Tethering that target a specific viral protein. Merck holds worldwide rights to commercialize any drugs resulting from this collaboration and is responsible for advancing these compounds into lead optimization, preclinical studies and clinical trials.

Rationale For Fragment-Based Drug Discovery

We have developed a proprietary fragment-based drug discovery approach, called Tethering, that we use in combination with other drug discovery tools, such as structure-based design and medicinal chemistry, to discover and develop novel therapeutics for major diseases.

Limitations of Existing Drug Discovery Approaches

Pharmaceutical discovery often begins with the hypothesis that a target protein in the body is involved in a certain disease and that compounds that block or inhibit the action of that target will provide therapeutic benefit. The search for these compounds typically starts by screening a collection of molecules to find "hits" that inhibit the target function. These hits are then improved through medicinal chemistry to create more advanced molecules that are tested in animal models of the disease to determine whether they provide therapeutic benefit. Molecules that test positively in animal models are optimized to have the necessary properties to become drugs and are ultimately tested in human clinical trials.

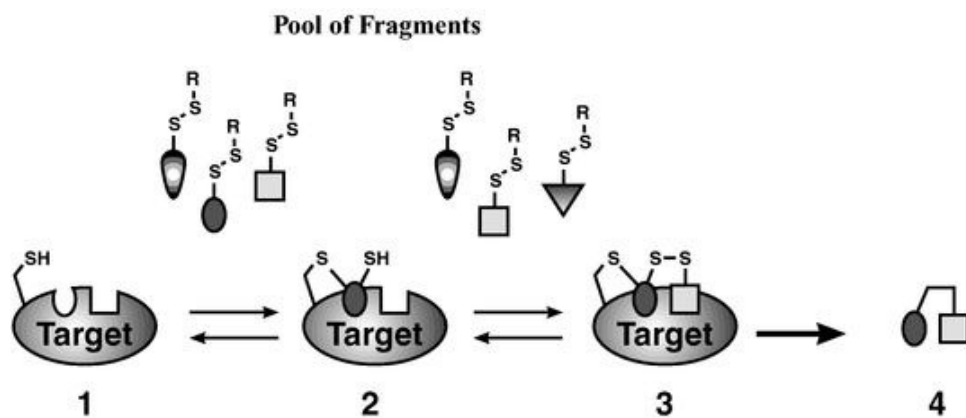
Combinatorial chemistry has expanded the size of compound collections, and advances in automated high-throughput screening, or HTS, have enabled the screening of million-compound libraries. Despite these advances, HTS is limited by the number of complex, fully formed compounds that can practically be made and stored in a collection. Even very large collections represent only a small fraction of the compounds that could be made. Thus, new approaches to searching the vast potential diversity of chemical compounds are highly desired. Another challenge with HTS is that it typically identifies compounds that bind to the main binding site of kinases. It can be difficult to find molecules that bind to the variable binding region of kinases using HTS. We believe that kinase inhibitors that bind to the variable binding region have significant potential therapeutic benefit.

We believe fragment-based drug discovery offers an alternative strategy for identifying drug-like compounds that bind to proteins. In contrast with HTS where compounds are identified by measuring activity, fragment-based discovery involves the identification of multiple drug fragments by measuring binding. Individual fragments that bind to nearby sites on the protein are identified and combined to form a drug-like compound. By first determining which fragments interact with the protein in the area of interest and then combining them, we believe there is a higher likelihood of identifying novel compounds that will bind to the target. A key challenge of fragment-based drug discovery is how to identify which fragments bind to the protein. Fragments typically bind weakly and can be difficult to detect using conventional methods.

Our Drug Discovery Platform

Tethering is our proprietary fragment-based drug discovery approach that we believe overcomes the limitations of existing conventional and fragment-based discovery methods. Tethering enables us to identify weak-binding fragments that would otherwise be difficult to detect. In Tethering, we first expose a target protein having a sulfur-containing amino acid named cysteine to a collection of specially designed fragments that also contain sulfur. Those fragments capable of binding to the target near the cysteine form a reversible chemical link known as a disulfide bond that stabilizes the binding of the fragment to the target protein. The formation of the disulfide bond results in an increase in the weight of the protein that allows us to identify the fragment using mass spectrometry. Although the disulfide bond helps to stabilize the weakly binding fragment to the protein, fragments that do not naturally bind to the protein are not detected.

We create drug-like compounds by combining multiple fragments through a process called "Tethering with extenders." Once we have identified an initial fragment that binds to the target protein, we use this initial fragment as the basis for an extender to search for a companion fragment by the same process used to discover the initial fragment. Subsequently, the initial and companion fragments are combined into a single molecule and the attachment to the protein is removed. This ultimately generates a soluble drug-like compound that can be optimized through medicinal chemistry. In Tethering with extenders, the surface of the target protein is used as a mold to construct its own inhibitor. This approach can be applied to most protein targets.



- 1 A pool of fragments is screened against a protein target.
- 2 A fragment binds to the protein target.
- 3 Following a second screening, a new fragment binds to the protein target and the initial fragment, forming a drug-like compound.
- 4 The drug-like compound is released from the protein target for further optimization.

By screening and identifying individual fragments that bind to the target and only combining the fragments that bind, we are able to significantly expand the number of drug-like compounds that can be evaluated.

Our Tethering approach has formed the basis of all of our collaborations to date. We believe our collaboration partners have been attracted to our company and our Tethering approach because of its potential to identify novel drug-like compounds that are difficult to detect through other means. We have applied Tethering to over 15 different protein targets, including various kinases, to produce drug-like compounds. We optimize the drug-like compound to produce drug candidates by integrating Tethering with medicinal chemistry and structure-based drug design and by introducing pharmacology, including absorption, distribution, metabolism and excretion tests, early in the drug discovery process.

Strategic Collaborations

We currently have five strategic collaborations with three leading pharmaceutical and biopharmaceutical companies. Each collaboration is target specific and involves personnel from both our company and our collaboration partner working together. These alliances are designed to enable us to leverage and expand our internal development capabilities, manage our cash expenditures and diversify risk across our pipeline. Through our strategic collaborations, we are able to pursue more programs than we could fund on our own. As of March 31, 2005, we had received an aggregate of approximately \$57.0 million in cash in the form of stock purchase proceeds, fees and loans from our collaboration partners. We believe that approximately 175 scientists currently work on our programs and programs partnered with our company. Approximately one-half of these scientists are our employees and of those, approximately 50% are funded through our collaborations.

In forming each of our strategic collaborations, we have agreed not to conduct certain research, independently or with any commercial third party, that is on the same target as that covered by the collaboration agreement. Some of our collaborations also significantly restrict our ability to utilize intellectual property derived from a collaboration for a purpose outside of the collaboration.

Biogen Idec (formerly Biogen, Inc)—TNF Family Research Collaboration

In December 2002, we entered into a collaboration with Biogen Idec to apply Tethering to discover and develop small molecule modulators of up to four members of the TNF trimeric cytokine super-family plus up to two additional un-named targets. The primary focus of the program is to discover small molecule inhibitors of TNF, an important cytokine involved in the regulation of the human immune system for which only protein drugs, such as Enbrel, are currently available. During the research term of the agreement, we and Biogen Idec agreed to work together exclusively to identify and develop small molecule pharmaceutical compounds that inhibit a member of the TNF family as well as other collaboration targets, with the exception that either party may collaborate with a third party on a Phase II clinical trial or later stage compound against a collaboration target. Our exclusivity obligation continues for an additional year after the end of the research term. Biogen Idec holds worldwide rights to commercialize any drugs resulting from this program.

Pursuant to this agreement, we received a \$3.0 million upfront technology access fee. In addition, Biogen Idec made a \$6.0 million equity investment in our company. The agreement also provides for a maintenance fee payable to us of \$357,500 per quarter, starting in April 2004 and continuing until the end of the initial research term, and a \$4.0 million credit facility from which we may make 10 quarterly draws of \$400,000 each, of which as of March 31, 2005 we had drawn an aggregate of \$3.6 million. Both parties agreed to dedicate resources as provided in the research plan. To date, we have received payments totaling \$8.0 million under this collaboration, including \$3.6 million in loan proceeds. The initial research term is for 30 months, after which Biogen Idec has the option to extend the research term for one year upon payment of a technology maintenance fee and a commitment to provide research funding.

We granted Biogen Idec a worldwide non-exclusive license to our intellectual property relating to Tethering with respect to specific collaboration targets and an exclusive license to our portion of the collaboration intellectual property for the commercialization of a small molecule product arising from the collaboration. Biogen Idec is required to pay up to \$60.5 million in pre-commercialization milestones per compound, assuming the compound is approved for multiple indications, as well as royalty payments based on product sales. Royalty rates payable to us may be reduced if Biogen Idec is required to license additional intellectual property related to Tethering from one or more third parties in order to commercialize a collaboration product. Rights to collaboration products revert to us with a reverse royalty to Biogen Idec if Biogen Idec fails to use commercially reasonable and diligent efforts during development and commercialization of such products. Royalty obligations under the agreement continue on a country-by-country and product-by-product basis until the later of the date on which no valid patent claim relating to a product exists or 10 years from the date of first sale of the product.

Our agreement with Biogen Idec will continue for so long as a product arising from the collaboration is the subject of an active development project or for so long as there is an obligation to pay royalties under the agreement. The agreement may be terminated earlier by Biogen Idec without cause upon 30 days' written notice, in which case each party will have exclusive rights to the compounds it solely invented during the collaboration and non-exclusive rights to jointly invented compounds, Biogen Idec will remain obligated to pay milestones and royalties to us, and we will owe a modest royalty to Biogen Idec. The agreement may also be terminated by either party for uncured breach or bankruptcy of the other party. If Biogen Idec terminates the agreement in connection with our breach or bankruptcy, it retains its licenses from us but receives a reduction in its milestones and royalty obligations. If we terminate the agreement for Biogen Idec's breach or bankruptcy, we will receive exclusive licenses from Biogen Idec and be obligated to make modest royalty payments to Biogen Idec.

Biogen Idec—Kinase Research, Development and Commercialization Collaboration

In August 2004, we entered into a collaboration agreement with Biogen Idec to discover, develop and commercialize small molecule inhibitors of Raf kinase and up to five additional targets. The primary focus of the program is to discover small molecule inhibitors of kinases that play a role in oncology indications or in the regulation of the human immune system. During the research term, we and Biogen Idec agreed to work together exclusively to develop pharmaceutical compounds against collaboration targets with the exception that either party may collaborate with a third party on a Phase II clinical trial or later stage compound against a collaboration target. Our exclusivity obligation continues for an additional year after the end of the research term. We also agreed not to develop or commercialize any compound active against a collaboration target that is the subject of the agreement.

Pursuant to this agreement, we received a \$7.0 million upfront technology access fee. In addition, Biogen Idec made a \$14.0 million equity investment in our company. To date, we have received payments totaling \$23.9 million under this collaboration, including the \$14.0 million equity investment. The initial research term is four years, and both parties agreed to dedicate the research personnel provided in the research plan. Biogen Idec has the option to extend the research term for up to two additional one-year periods upon payment of an additional technology access fee and a commitment to provide research funding. Biogen Idec will bear all costs related to this program for all targets through at least the completion of Phase I clinical trials, after which we have the right to participate in the co-development and co-promotion of product candidates for up to two targets.

We granted Biogen Idec a worldwide non-exclusive license to our intellectual property relating to Tethering with respect to specific collaboration targets and an exclusive license to our portion of the collaboration intellectual property for the commercialization of small molecule compounds that have a specified activity against collaboration kinases arising from the collaboration. Biogen Idec is required to pay up to \$60.5 million in pre-commercialization milestones per target as well as royalty payments depending on product sales. Royalty payments may be increased if we exercise our option on co-development and co-promotion rights. Royalty rates payable to us will be reduced if Biogen Idec is required to license additional intellectual property related to Tethering from one or more third parties in order to commercialize a collaboration product. Rights to collaboration products revert to us with a reverse royalty to Biogen Idec if Biogen Idec fails to use commercially reasonable and diligent efforts during development and commercialization of co-funded products. If we do not exercise our co-funding option for a product directed at a target selected for further collaborative work, then Biogen Idec may pursue such target on its own. We also have a non-exclusive license, with the right to obtain an exclusive license, from Biogen Idec under joint collaboration intellectual property to develop and commercialize products against other kinase targets. We will owe royalty payments to Biogen Idec for sales of any such products. Royalty obligations under the agreement continue on a country-by-country and product-by-product basis until the later of the date on which no valid patent claim relating to a product exists or 10 years from the date of first sale of the product.

Our agreement with Biogen Idec will continue for so long as a product arising from the collaboration is the subject of an active development project or for so long as there is an obligation to pay royalties under the agreement. The agreement may be terminated earlier by Biogen Idec without cause at any time before the second anniversary of the agreement upon six months' written notice or immediately upon written notice and payment of a termination fee. After the second anniversary of the agreement, Biogen Idec may terminate the agreement without cause upon 90 days' written notice. Either party may also terminate the agreement for the other party's uncured breach or bankruptcy. If Biogen Idec terminates the agreement early without cause or we terminate due to Biogen Idec's breach or bankruptcy, all co-funded products not approved for sale prior to termination will revert to us, and we will receive a reduction in the royalties we owe to Biogen Idec. If Biogen Idec terminates the agreement early due to our breach or bankruptcy, Biogen Idec will receive a reduction in the royalties

it owes to us. Many of the parties' other product rights are not substantially affected by early termination.

Johnson & Johnson PRD—Research, Development and Commercialization Collaboration

In May 2002, we entered into a collaboration agreement with Johnson & Johnson PRD to discover, develop and commercialize small molecule inhibitors of Cathepsin S, an enzyme that is important in regulating an inflammatory response. During the period of the research term plus two years, we and Johnson & Johnson PRD agreed to work together exclusively to develop pharmaceutical compounds against Cathepsin S. Johnson & Johnson PRD retains the sole right to determine whether a product candidate enters development. Johnson & Johnson PRD holds worldwide rights to commercialize any drugs resulting from this program.

The agreement provides for payment by Johnson & Johnson PRD to us of a technology access fee and research funding. To date, we have received payments totaling \$5.0 million under this collaboration. The initial research term was two years, and Johnson & Johnson PRD had the option to extend the research term for up to two additional one-year periods with the same level of research funding. Johnson & Johnson PRD exercised its first option to extend the research term through May 2005, and in December 2004, Johnson & Johnson PRD extended the research term further to December 31, 2005. We do not expect that this collaboration will be extended beyond 2005.

We granted Johnson & Johnson PRD a worldwide non-exclusive license to our intellectual property relating to Tethering on Cathepsin S and an exclusive license under the collaboration intellectual property for the commercialization of small molecule products arising from the collaboration. Patents and patent applications arising from the collaboration are owned by our company. Johnson & Johnson PRD is required to pay research and development milestones of up to \$24.5 million well as royalty payments depending on product sales. Royalty rates payable to us may be reduced if Johnson & Johnson PRD is required to license additional intellectual property related to Tethering from one or more third parties in order to commercialize a collaboration product. Royalty obligations under the agreement continue on a country-by-country and product-by-product basis until the later of the date on which no valid patent claim relating to a product exists or 10 years from the date of first sale of the product.

Our agreement with Johnson & Johnson PRD will continue for so long as a product arising from the collaboration is the subject of an active development project or for so long as there is an obligation to pay royalties under the agreement. Johnson & Johnson PRD may terminate the agreement earlier without cause after the end of the research term and upon six months' written notice, and either party may terminate the agreement earlier for the other party's uncured breach or bankruptcy. All early terminations extinguish Johnson & Johnson PRD's licenses from us. If Johnson & Johnson PRD terminates the agreement early without cause or if we terminate due to Johnson & Johnson PRD's breach or bankruptcy, Johnson & Johnson PRD will grant us certain exclusive licenses and transfer its regulatory filings to us, and we will be obligated to pay modest royalties to Johnson & Johnson PRD in return.

Merck—BACE Research, Development and Commercialization Collaboration

In February 2003, we entered into a license and collaboration agreement with Merck to discover, develop and commercialize small molecule inhibitors of BACE, or beta secretase, an enzyme that is believed to be important for the progression of Alzheimer's disease. During the period of the research term plus one year, we and Merck agreed to work together exclusively to develop a pharmaceutical compound against the collaboration target, with the exception that Merck may acquire from a third party a compound that satisfies development candidate criteria specified in the agreement. Merck holds worldwide rights to commercialize any drugs resulting from this collaboration.

The agreement provides for payment by Merck to us of a technology access fee and research funding. To date, we have received payments totaling \$11.2 million under this collaboration. The initial research term is three years and both parties agreed to dedicate the resource funding provided in the research plan. Merck has the option to extend the research term for an additional one-year period with the same level of research funding.

We granted Merck a worldwide, non-exclusive license to our intellectual property relating to Tethering on BACE and an exclusive license to a composition of matter patent and future intellectual property relating to BACE. Merck is required to pay research and development milestones of up to \$90.3 million as well as royalty payments depending on product sales. Royalty rates payable to us may be reduced if Merck is required to license additional intellectual property from one or more third parties in order to commercialize a collaboration product or if a third party markets a version of the collaboration product. Royalty obligations under the agreement continue on a country-by-country and product-by-product basis until the later of the date on which no valid patent claim relating to a product exists or 12 years from the date of first sale of the product. We retain the right to develop and commercialize non-pharmaceutical products containing compounds arising from the collaboration. We would owe Merck a royalty based on sales of any such products.

Our agreement with Merck will continue for so long as a product arising from the collaboration is the subject of an active development project or for so long as there is an obligation to pay royalties under the agreement. The agreement may be terminated earlier by Merck at any time after the third anniversary of the agreement upon three months' written notice, or may be terminated by either party for the other party's uncured breach or bankruptcy.

Merck—Anti-viral License and Research Collaboration

In July 2004, we entered into a license and collaborative research agreement with Merck that allows Merck to discover and develop small molecule drugs against an enzyme target for treating viral infections. During the period from the beginning of the research term until the time that Merck ceases activities against the enzyme target, we agreed not to work with any third party on compounds that inhibit the enzyme target. Merck holds worldwide rights to commercialize any drugs resulting from this collaboration.

The agreement provides for a payment by Merck to us of an upfront technology access fee and annual license fees. To date, we have received \$2.6 million under this collaboration. The initial research term is three years and may be extended for one year upon mutual agreement of the parties. Merck may end the research term in January 2006 upon 90 days' written notice.

We assigned to Merck small molecule compounds related to the viral target and our interest in research program patents and to compounds that act on the target through our inhibition mode. Merck owns all intellectual property generated in the course of performing the research except for improvements related to Tethering, which we own. Merck is required to pay pre-commercialization milestones of up to \$22.1 million as well as royalty payments based on product sales. Royalty rates payable to us may be reduced if Merck is required to license additional intellectual property from one or more third parties in order to commercialize a collaboration product. Merck may also reduce its royalty payments to us if the product is not covered by a patent or if a third party markets a competitive product. Royalty obligations under the agreement continue on a country-by-country and product-by-product basis until the later of the date on which no valid patent claim relating to a product exists or 12 years from the date of first sale of the product.

Our agreement with Merck will continue for so long as a product arising from the collaboration is the subject of an active development project or for so long as there is an obligation to pay royalties under the agreement. The agreement may be terminated earlier by Merck on the 18-month anniversary

of the agreement upon 90 days' written notice, or may be terminated by either party for the other party's uncured breach or bankruptcy.

Dainippon Pharmaceutical

In October 2003, we entered into a licensing agreement with Dainippon Pharmaceutical in which we obtained a worldwide exclusive license, including the right to sublicense, to SNS-595 and related compounds.

The agreement provides to Dainippon an upfront payment and milestone payments of up to \$10.7 million for starting Phase II clinical testing, Phase III clinical testing, and for filing NDAs and receiving regulatory approval in the United States, Europe and Japan for cancer treatment. If SNS-595 is approved for a cancer indication in the United States, Europe or Japan, milestone payments become payable to Dainippon. If SNS-595 is approved for a non-cancer indication, additional milestone payments become payable to Dainippon.

The agreement also provides for royalty payments to Dainippon at rates that are based on total annual net sales. We may reduce our royalty payments to Dainippon if a third party markets a competitive product or we must pay royalties for third party intellectual property rights necessary to commercialize SNS-595. Royalty obligations under the agreement continue on a country-by-country and product-by-product basis until the later of the date on which no valid patent claims relating to a product exist or 10 years from the date of the first sale of the product.

If we discontinue seeking regulatory approval and/or sale of the product in a region, we are required to return to Dainippon its rights to the product in that region. The agreement may be terminated by either party for the other party's uncured breach or bankruptcy.

Bristol-Myers Squibb Company

In April 2005, we entered into a license agreement with BMS in which we obtained worldwide exclusive and non-exclusive diagnostic and therapeutic licenses, including rights to sublicense, to SNS-032 and any related compounds that are active against CDK-1, -2, -4, -7 and -9 and are covered by licensed intellectual property.

The agreement provides to BMS an \$8.0 million upfront payment, which we paid in April 2005 through the issuance of 1,666,667 shares of our Series C-2 preferred stock, and milestone payments totaling up to \$78.0 million for beginning Phase I, Phase II and Phase III clinical testing, and for filing NDAs and receiving regulatory approval in the United States, Europe and Japan as well as for achieving certain commercial milestones. Our Series C-2 preferred stock will be converted into common stock in connection with this offering, and we granted registration rights to BMS on a pro rata basis with our other preferred stockholders. For additional information, please see "Conversion of Preferred Stock and Reverse Stock Split" and "Description of Capital Stock—Registration Rights." Milestone payments are distributed among IV and oral formulations and various cancer indications. We may, at our election, pay some of these milestone payments in equity or a mixture of cash and equity, rather than entirely in cash. Shares of our stock issued in connection with milestone payments will be valued at the per share price for our last round of private financing prior to our initial public offering and thereafter will be valued at the average closing price of our common stock for a specified five-day period prior to issuance.

The agreement also provides for royalty payments to BMS at rates that are based on total annual net sales. Royalty obligations under the agreement continue on a country-by-country basis until the later of (1) expiration of all patents that are owned by us or exclusively licensed to us (whether by BMS or a third party) that cover a licensed product, (2) 10 years following the first commercial sale of a licensed product or (3) expiration of all applicable data exclusivity with respect to a licensed product.

The U.S. composition of matter patent covering SNS-032 is due to expire on October 21, 2018, and most of its foreign counterparts are due to expire on December 7, 2020.

After completion of any Phase II clinical trial with SNS-032 or other licensed product under a U.S. IND, should we desire to sublicense our rights under the agreement, BMS will have the first right to negotiate with us for such sublicense. If we and BMS do not reach agreement within a designated period of time, then we are free to sublicense to any third party provided the financial terms are not less favorable than those offered to BMS. We cannot grant a sublicense to any third party before the completion of such Phase II clinical trial unless we receive BMS's consent.

The agreement may be terminated by BMS for our uncured breach (other than a diligence breach) or bankruptcy. BMS may terminate this agreement on a country-by-country basis for our uncured failure to use commercially reasonable efforts to develop and/or commercialize at least one licensed compound or licensed product in a particular country or territory. Further, if such uncured failure occurs in certain countries, BMS may terminate the agreement as to entire designated territories. BMS may also terminate the agreement if we develop or market a competitive product within certain designated time periods. We may terminate this agreement with respect to a specific licensed product in a particular country without cause but with a specified notice period. We may also terminate the agreement for BMS's uncured breach.

Intellectual Property

We patent the technology, inventions and improvements that we consider important to the development of our business. As of May 31, 2005, we owned or had exclusive rights to 61 issued U.S. and foreign patents and 108 pending U.S. and foreign patent applications. Forty-three issued patents and seven pending applications relate to SNS-595, which cover compositions of matter and method of use in oncology and formulations. The issued patents are generally due to expire in 2015. The U.S. composition of matter patent is due to expire on October 6, 2015, and most of its foreign counterparts are due to expire on June 6, 2015. Two pending U.S. applications in each of our Aurora and Raf kinase programs relate to composition of matter, methods of use in oncology and other kinase-mediated diseases and formulations. We intend to seek patent term extension that may be available, including under the Hatch-Waxman Act, which provides up to five years of patent extension. Four issued patents, which will expire between 2018 and 2021, and 57 pending applications relate to Tethering. The remaining patents and applications relate to other aspects of our technology or other drug discovery programs that we are no longer actively pursuing.

In addition, we have obtained from BMS exclusive rights for SNS-032 and certain other related compounds active against CDK-1, -2, -4, -7 and -9. These exclusive rights primarily derive from four issued U.S. patents, their foreign counterparts, and other patents and applications that claim priority to these four issued U.S. patents. The U.S. composition of matter patent covering SNS-032 is due to expire on October 21, 2018 and most of its foreign counterparts are due to expire on December 7, 2020.

Our ability to build and maintain our proprietary position for our technology and drug candidates will depend on our success in obtaining effective claims and enforcing those claims once granted. The patent positions of biopharmaceutical companies like ours are generally uncertain and involve complex legal and factual questions for which important legal principles remain unresolved. No consistent policy regarding the breadth of patent claims has emerged to date in the United States. The patent situation outside the United States is even more uncertain. We do not know whether any of our patent applications or those patent applications that we license will result in the issuance of any patents. The patents we own or license and those that may issue in the future may be challenged, invalidated or circumvented, and the rights granted under any issued patents may not provide us with proprietary protection or competitive advantages.

We may not be able to develop patentable products or be able to obtain patents from pending patent applications. Even if patents are issued, they may not be sufficient to protect the technology and drug candidates owned by or licensed to us. These current patents and patents that may issue in the future may be challenged, invalidated, infringed or circumvented, and the rights granted in those patents may not provide proprietary protection or competitive advantage to us. Patent applications filed before November 29, 2000 in the United States are maintained in secrecy until patents issue. Later filed U.S. applications and patent applications in most foreign countries generally are not published until at least 18 months after they are filed. Scientific and patent publication often occurs long after the date of the scientific discoveries disclosed in those publications. Accordingly, we cannot be certain that we were the first to invent the subject matter covered by any patent application or that we were the first to file a patent application for any inventions.

Our commercial success depends on our ability to operate without infringing patents and proprietary rights of third parties. We cannot determine with certainty whether patents or patent applications of other parties may materially affect our ability to conduct our business. The existence of third party patent applications and patents could significantly reduce the coverage of patents owned by or licensed to us and limit our ability to obtain meaningful patent protection. If patents containing competitive or conflicting claims are issued to third parties and these claims are ultimately determined to be valid, we may be enjoined from pursuing research, development or commercialization of products, or be required to obtain licenses to these patents or to develop or obtain alternative technology.

We may need to commence litigation to enforce any patents issued to us or to determine the scope and validity of third party proprietary rights. Litigation would result in substantial costs, even if the eventual outcome is favorable to us. An adverse outcome in litigation could subject us to significant liabilities to third parties and require us to seek licenses of the disputed rights from third parties or to cease using the technology if such licenses are unavailable.

We also rely on trade secrets to protect our technology, especially where we do not believe patent protection is appropriate or obtainable. However, trade secrets are difficult to protect.

We seek to protect our proprietary information by requiring our employees, consultants, contractors and other advisers to execute nondisclosure and assignment of invention agreements upon commencement of their employment or engagement. Agreements with our employees also prevent them from bringing the proprietary rights of third parties to us. We also require confidentiality or material transfer agreements from third parties that receive our confidential data or materials. There can be no assurance that these agreements will provide meaningful protection, that these agreements will not be breached, that we will have an adequate remedy for any such breach, or that our trade secrets will not otherwise become known or independently developed by a third party.

Government Regulation

FDA and comparable regulatory agencies in state and local jurisdictions and in foreign countries impose substantial requirements upon the clinical development, manufacture, marketing and distribution of drugs. These agencies and other federal, state and local entities regulate research and development activities and the testing, manufacture, quality control, safety, efficacy, labeling, storage, record keeping, approval, advertising and promotion of our drug candidates and drugs.

U.S. Government Regulation

In the United States, FDA regulates drugs under the Federal Food, Drug, and Cosmetic Act, or FFDCFA, and implementing regulations. The process required by FDA before our drug candidates may be marketed in the United States generally involves the following:

- completion of extensive preclinical laboratory tests, preclinical animal studies and formulation studies, all performed in accordance with FDA's good laboratory practice, or GLP, regulations;
- submission to FDA of an investigational new drug, or IND, application which must become effective before clinical trials may begin;
- performance of adequate and well-controlled clinical trials to establish the safety and efficacy of the product candidate for each proposed indication;
- submission of a new drug application, or NDA, to FDA;
- satisfactory completion of an FDA pre-approval inspection of the manufacturing facilities at which the product candidate is produced to assess compliance with current good manufacturing practice, or cGMP, regulations; and
- FDA review and approval of the NDA prior to any commercial marketing, sale or shipment of the drug.

The testing and approval process requires substantial time, effort and financial resources, and we cannot be certain that any approvals for our drug candidates will be granted on a timely basis, if at all.

Preclinical tests include laboratory evaluation of product chemistry, formulation and stability, as well as studies to evaluate toxicity in animals. The results of preclinical tests, together with manufacturing information and analytical data, are submitted as part of an IND application to FDA. The IND automatically becomes effective 30 days after receipt by FDA, unless FDA, within the 30-day time period, raises concerns or questions about the conduct of the clinical trial, including concerns that human research subjects will be exposed to unreasonable health risks. In such a case, the IND sponsor and FDA must resolve any outstanding concerns before the clinical trial can begin. Our submission of an IND, or those of our collaboration partners, may not result in FDA authorization to commence a clinical trial. A separate submission to an existing IND must also be made for each successive clinical trial conducted during product development, and FDA must grant permission before each clinical trial can begin. Further, an independent institutional review board, or IRB, for each medical center proposing to conduct the clinical trial must review and approve the plan for any clinical trial before it commences at that center and it must monitor the study until completed. FDA, the IRB or the sponsor may suspend a clinical trial at any time on various grounds, including a finding that the subjects or patients are being exposed to an unacceptable health risk. Clinical testing also must satisfy extensive Good Clinical Practice, or GCP, requirements and regulations for informed consent.

Clinical Trials

For purposes of NDA submission and approval, clinical trials are typically conducted in the following three sequential phases, which may overlap:

- *Phase I clinical trials* are initially conducted in a limited population to test the drug candidate for safety, dose tolerance, absorption, metabolism, distribution and excretion in healthy humans or, on occasion, in patients, such as cancer patients. In some cases, particularly in cancer trials, a sponsor may decide to conduct what is referred to as a "Phase Ib" evaluation, which is a second safety-focused Phase I clinical trial typically designed to evaluate the impact of the drug candidate in combination with currently approved drugs.

- *Phase II clinical trials* are generally conducted in a limited patient population to identify possible adverse effects and safety risks, to determine the efficacy of the drug candidate for specific targeted indications and to determine dose tolerance and optimal dosage. Multiple Phase II clinical trials may be conducted by the sponsor to obtain information prior to beginning larger and more expensive Phase III clinical trials. In some cases, a sponsor may decide to conduct what is referred to as a "Phase IIb" evaluation, which is a second, confirmatory Phase II clinical trial that could, if positive and accepted by FDA, serve as a pivotal clinical trial in the approval of a drug candidate.
- *Phase III clinical trials* are commonly referred to as pivotal trials. When Phase II clinical trials demonstrate that a dose range of the drug candidate is effective and has an acceptable safety profile, Phase III clinical trials are undertaken in large patient populations to further evaluate dosage, to provide substantial evidence of clinical efficacy and to further test for safety in an expanded and diverse patient population at multiple, geographically dispersed clinical trial sites.

In some cases, FDA may condition approval of an NDA for a drug candidate on the sponsor's agreement to conduct additional clinical trials to further assess the drug's safety and efficacy after NDA approval. Such post-approval trials are typically referred to as Phase IV clinical trials.

New Drug Application

The results of drug candidate development, preclinical testing and clinical trials are submitted to FDA as part of an NDA. The NDA also must contain extensive manufacturing information. Once the submission has been accepted for filing, by law FDA has 180 days to review the application and respond to the applicant. The review process is often significantly extended by FDA requests for additional information or clarification. FDA may refer the NDA to an advisory committee for review, evaluation and recommendation as to whether the application should be approved. FDA is not bound by the recommendation of an advisory committee, but it generally follows such recommendations. FDA may deny approval of an NDA if the applicable regulatory criteria are not satisfied, or it may require additional clinical data or an additional pivotal Phase III clinical trial. Even if such data are submitted, FDA may ultimately decide that the NDA does not satisfy the criteria for approval. Data from clinical trials are not always conclusive and FDA may interpret data differently than we or our collaboration partners interpret data. Once issued, FDA may withdraw drug approval if ongoing regulatory requirements are not met or if safety problems occur after the drug reaches the market. In addition, FDA may require testing, including Phase IV clinical trials, and surveillance programs to monitor the effect of approved products that have been commercialized, and FDA has the power to prevent or limit further marketing of a drug based on the results of these post-marketing programs. Drugs may be marketed only for the approved indications and in accordance with the provisions of the approved label. Further, if there are any modifications to the drug, including changes in indications, other labeling changes, or manufacturing processes or facilities, we may be required to submit and obtain FDA approval of a new NDA or NDA supplement, which may require us to develop additional data or conduct additional preclinical studies and clinical trials.

Fast Track Designation

FDA's fast track program is intended to facilitate the development and to expedite the review of drugs that are intended for the treatment of a serious or life-threatening condition for which there is no effective treatment and which demonstrate the potential to address unmet medical needs for the condition. Under the fast track program, the sponsor of a new drug candidate may request FDA to designate the drug candidate for a specific indication as a fast track drug concurrent with or after the filing of the IND for the drug candidate. FDA must determine if the drug candidate qualifies for fast track designation within 60 days of receipt of the sponsor's request.

If fast track designation is obtained, FDA may initiate review of sections of an NDA before the application is complete. This rolling review is available if the applicant provides and FDA approves a schedule for the submission of the remaining information and the applicant pays applicable user fees. However, the time period specified in the Prescription Drug User Fees Act, which governs the time period goals FDA has committed to reviewing an application, does not begin until the complete application is submitted. Additionally, the fast track designation may be withdrawn by FDA if FDA believes that the designation is no longer supported by data emerging in the clinical trial process.

In some cases, a fast track designated drug candidate may also qualify for one or more of the following programs:

- *Priority Review.* Under FDA policies, a drug candidate is eligible for priority review, or review within a six-month time frame from the time a complete NDA is accepted for filing, if the drug candidate provides a significant improvement compared to marketed drugs in the treatment, diagnosis or prevention of a disease. A fast track designated drug candidate would ordinarily meet FDA's criteria for priority review. We do not know whether any of our drug candidates will receive a priority review designation or, if a priority designation is received, whether that review or approval will be faster than conventional FDA procedures, or that FDA will ultimately grant drug approval.
- *Accelerated Approval.* Under FDA's accelerated approval regulations, FDA is authorized to approve drug candidates that have been studied for their safety and efficacy in treating serious or life-threatening illnesses and that provide meaningful therapeutic benefit to patients over existing treatments based upon either a surrogate endpoint that is reasonably likely to predict clinical benefit or on the basis of an effect on a clinical endpoint other than patient survival. In clinical trials, surrogate endpoints are alternative measurements of the symptoms of a disease or condition that are substituted for measurements of observable clinical symptoms. A drug candidate approved on this basis is subject to rigorous post-marketing compliance requirements, including the completion of Phase IV or post-approval clinical trials to validate the surrogate endpoint or confirm the effect on the clinical endpoint. Failure to conduct required post-approval studies, or to validate a surrogate endpoint or confirm a clinical benefit during post-marketing studies, will allow FDA to withdraw the drug from the market on an expedited basis. All promotional materials for drug candidates approved under accelerated regulations are subject to prior review by FDA.

When appropriate, we and our collaboration partners intend to seek fast track designation, accelerated approval or priority review for our drug candidates. We cannot predict whether any of our drug candidates will obtain a fast track or accelerated approval designation, or the ultimate impact, if any, of the fast track or the accelerated approval process on the timing or likelihood of FDA approval of any of our drug candidates.

Satisfaction of FDA regulations and approval requirements or similar requirements of foreign regulatory agencies typically takes several years, and the actual time required may vary substantially based upon the type, complexity and novelty of the product or disease. Typically, if a drug candidate is intended to treat a chronic disease, as is the case with some of the drug candidates we are developing, safety and efficacy data must be gathered over an extended period of time. Government regulation may delay or prevent marketing of drug candidates for a considerable period of time and impose costly procedures upon our activities. FDA or any other regulatory agency may not grant approvals for new indications for our drug candidates on a timely basis, or at all. Even if a drug candidate receives regulatory approval, the approval may be significantly limited to specific disease states, patient populations and dosages. Further, even after regulatory approval is obtained, later discovery of previously unknown problems with a drug may result in restrictions on the drug or even complete withdrawal of the drug from the market. Delays in obtaining, or failures to obtain, regulatory approvals

for any of our drug candidates would harm our business. In addition, we cannot predict what adverse governmental regulations may arise from future United States or foreign governmental action.

Other Regulatory Requirements

Any drugs manufactured or distributed by us or our collaboration partners pursuant to FDA approvals are subject to continuing regulation by FDA, including recordkeeping requirements and reporting of adverse experiences associated with the drug. Drug manufacturers and their subcontractors are required to register with FDA and certain state agencies, and are subject to periodic unannounced inspections by FDA and certain state agencies for compliance with ongoing regulatory requirements, including cGMPs, which impose certain procedural and documentation requirements upon us and our third-party manufacturers. Failure to comply with the statutory and regulatory requirements can subject a manufacturer to possible legal or regulatory action, such as warning letters, suspension of manufacturing, seizure of product, injunctive action or possible civil penalties. We cannot be certain that we or our present or future third-party manufacturers or suppliers will be able to comply with the cGMP regulations and other ongoing FDA regulatory requirements. If our present or future third-party manufacturers or suppliers are not able to comply with these requirements, FDA may halt our clinical trials, require us to recall a drug from distribution, or withdraw approval of the NDA for that drug.

FDA closely regulates the post-approval marketing and promotion of drugs, including standards and regulations for direct-to-consumer advertising, off-label promotion, industry-sponsored scientific and educational activities and promotional activities involving the Internet. A company can make only those claims relating to safety and efficacy that are approved by FDA. Failure to comply with these requirements can result in adverse publicity, warning letters, corrective advertising and potential civil and criminal penalties. Physicians may prescribe legally available drugs for uses that are not described in the drug's labeling and that differ from those tested by us and approved by FDA. Such off-label uses are common across medical specialties, including cancer therapy. Physicians may believe that such off-label uses are the best treatment for many patients in varied circumstances. FDA does not regulate the behavior of physicians in their choice of treatments. FDA does, however, impose stringent restrictions on manufacturers' communications regarding off-label use.

Foreign Regulation

In addition to regulations in the United States, we will be subject to a variety of foreign regulations governing clinical trials and commercial sales and distribution of our future products. Whether or not we obtain FDA approval for a product, we must obtain approval of a product by the comparable regulatory authorities of foreign countries before we can commence clinical trials or marketing of the product in those countries. The approval process varies from country to country, and the time may be longer or shorter than that required for FDA approval. The requirements governing the conduct of clinical trials, product licensing, pricing and reimbursement vary greatly from country to country.

Under European Union regulatory systems, marketing authorizations may be submitted either under a centralized or mutual recognition procedure. The centralized procedure provides for the grant of a single marketing authorization that is valid for all European Union member states. The mutual recognition procedure provides for mutual recognition of national approval decisions. Under this procedure, the holder of a national marketing authorization may submit an application to the remaining member states. Within 90 days of receiving the applications and assessment report, each member state must decide whether to recognize approval.

In addition to regulations in Europe and the United States, we will be subject to a variety of foreign regulations governing clinical trials and commercial distribution of our future products.

Manufacturing

We outsource the manufacture of SNS-595 to third-party contract manufacturers. The active pharmaceutical ingredient of SNS-595 is manufactured by a single-source supplier through a 13-step convergent synthesis in which two intermediates are manufactured in a parallel process and then combined and deprotected in the final two steps. The active pharmaceutical ingredient is then formulated and vials are filled and finished by a different third party manufacturer. The active pharmaceutical ingredient is classified as a toxic substance, which limits the number of suppliers qualified to manufacture it. We have a sufficient supply of both the active pharmaceutical ingredient for SNS-595 and finished product to conduct our current and planned clinical trials of SNS-595 through the fourth quarter of 2005. We expect that additional active pharmaceutical ingredient and finished product will be manufactured, tested and released by the third quarter of 2005.

We will outsource the manufacture of SNS-032 to third-party contract manufacturers. As part of our agreement with BMS, we acquired enough of the active pharmaceutical ingredient of SNS-032 for at least our planned Phase I clinical trial for SNS-032. However, before we are able to commence this trial, we must convert the active pharmaceutical ingredient into finished product. We expect that a sufficient supply of finished product to conduct our planned clinical trial of SNS-032 will be manufactured, tested and released by the third quarter of 2005.

Competition

We compete primarily in the segments of the biopharmaceutical markets that address cancer and inflammatory diseases, each of which is highly competitive. We face significant competition from many pharmaceutical, biopharmaceutical and biotechnology companies that are researching and selling products designed to address cancer and inflammatory diseases. Many of our competitors have significantly greater financial, manufacturing, marketing and drug development resources than we do. Large pharmaceutical companies in particular have extensive experience in clinical testing and in obtaining regulatory approvals for drugs. These companies also have significantly greater research capabilities than we do. In addition, many universities and private and public research institutes are active in cancer and inflammation research, some of which are in direct competition with us.

Our product candidates will compete with a number of cytotoxic drugs that are currently marketed or in development that also target proliferating cells but at different points of the cell cycle or with a different mechanism of action. These drugs include irinotecan, doxorubicin, taxanes and other cell-cycle inhibitors. To compete effectively with these agents, our product candidates will need to demonstrate advantages that lead to improved clinical efficacy compared to these competitors. We believe there are currently over 40 cell-cycle inhibitors undergoing clinical trials.

SNS-032 is a CDK inhibitor. We believe that several companies, including Cyclacel, AstraZeneca, Schering AG and Pfizer, are conducting Phase I or Phase II clinical trials with similar compounds and others are developing CDK inhibitors that may compete with SNS-032. We are not aware of any CDK inhibitors that are currently being marketed.

We are not aware of any marketed Aurora kinase inhibitors to treat cancer. We believe, however, that Vertex and Merck are co-developing an Aurora kinase inhibitor and that Millennium Pharmaceuticals, Rigel Pharmaceuticals, Pfizer, AstraZeneca, Schering AG and others also may be developing Aurora kinase inhibitors. Other molecules that may compete with our Aurora kinase inhibitor may include other naturally occurring cytotoxics.

We believe that our Raf kinase inhibitor would compete with BAY 43-9006 developed jointly by Bayer AG and Onyx Pharmaceuticals and several compounds developed by Pfizer. Onyx and Bayer recently announced their intention to file an NDA and to seek accelerated approval basis on interim results from their Phase III clinical trials.

We also compete with other companies that may be pursuing drug discovery using other technologies, including fragment-based technologies.

We believe that our ability to successfully compete will depend on, among other things:

- our ability to develop novel compounds with attractive pharmaceutical properties and to secure and protect intellectual property rights based on our innovations;
- the efficacy, safety and reliability of our drug candidates;
- the speed at which we develop our drug candidates;
- our ability to design and successfully complete appropriate clinical trials;
- our ability to maintain a good relationship with regulatory authorities;
- the timing and scope of regulatory approvals;
- the success of our collaborations;
- our ability to manufacture and sell commercial quantities of future products to the market; and
- acceptance of future products by physicians and other healthcare providers.

Facilities

As of March 31, 2005, we leased approximately 54,000 square feet of office and laboratory space in South San Francisco, California. Our lease expires in June 2013, subject to our option to extend the lease through June 2018. We believe that our current facilities will be sufficient to meet our needs through 2005. We may lease or sublease additional space that we believe will be available on commercially reasonable terms.

Employees

As of May 11, 2005, our workforce consisted of 118 full-time employees, 49 of whom hold Ph.D. or M.D. degrees, or both, and 22 of whom hold other advanced degrees. Of our total workforce, 94 are engaged in research and development and 24 are engaged in business development, finance, legal, human resources, facilities and information technology administration and general management. We have no collective bargaining agreements with our employees, and we have not experienced any work stoppages. We believe that our relations with our employees are good.

Legal Proceedings

From time to time, we may be involved in litigation relating to claims arising out of our operations. We are not currently involved in any material legal proceedings.

MANAGEMENT

Executive Officers and Directors

The following table lists our executive officers and directors and their respective ages and positions as of May 31, 2005:

| Name | Age | Position |
|--|-----|--|
| James W. Young, Ph.D. | 60 | Executive Chairman |
| Daniel N. Swisher, Jr. | 42 | Chief Executive Officer and Director |
| James A. Wells, Ph.D. | 54 | President, Chief Scientific Officer and Director |
| Eric H. Bjerkholt | 45 | Senior Vice President and Chief Financial Officer |
| Daniel C. Adelman, M.D. | 47 | Senior Vice President of Drug Discovery and Development |
| Daryl B. Winter, Ph.D., J.D. | 61 | Senior Vice President, General Counsel and Corporate Secretary |
| Anthony B. Evin, Ph.D. ⁽¹⁾⁽²⁾ | 64 | Director |
| Stephen P.A. Fodor, Ph.D. ⁽³⁾ | 51 | Director |
| Matthew K. Fust | 40 | Director |
| Steven D. Goldby ⁽¹⁾⁽³⁾ | 65 | Director |
| Russell C. Hirsch, M.D., Ph.D. ⁽²⁾⁽³⁾ | 42 | Director |
| Jonathan S. Leff ⁽¹⁾⁽²⁾ | 36 | Director |

(1) Member of the audit committee.

(2) Member of the compensation committee.

(3) Member of the nominating and corporate governance committee.

James W. Young, Ph.D. has served as our Executive Chairman since December 2003. From May 2000 to November 2003, Dr. Young served as our Chief Executive Officer. From September 1995 to March 2000, Dr. Young served as Vice President for Research, as Senior Vice President, Research and Development, and as Group Vice President at ALZA Corporation, a provider of drug delivery solutions. From September 1992 to August 1995, Dr. Young served as Senior Vice President for Business Development and as President of the Pharmaceuticals Division of Affymax, N.V., a drug discovery and product development company. From September 1987 to August 1992, he served as Senior Vice President for Business Development and as Senior Vice President and General Manager of the Pharmaceuticals Division at Sepracor Inc. Dr. Young is a member of the Board of Directors of Corixa Corporation, a biotechnology company, and a privately held company. Dr. Young holds a B.S. in Chemistry from Fordham University and a Ph.D. in Organic Chemistry from Cornell University.

Daniel N. Swisher, Jr. has served as our Chief Executive Officer and a member of our board of directors since December 2003. From December 2001 to December 2003, he served as our Chief Business Officer and Chief Financial Officer. From June 1992 to September 2001, Mr. Swisher served in various management roles, including Senior Vice President of Sales and Marketing for ALZA Corporation. Mr. Swisher holds a B.A. in History from Yale University and an M.B.A. from the Stanford Graduate School of Business.

James A. Wells, Ph.D. is a co-founder of our company and has served as our President and Chief Scientific Officer since April 1998 and as a member of our board of directors since our inception in 1998. Dr. Wells formerly was a staff scientist at Genentech, Inc. He is an Adjunct Professor of Pharmaceutical Chemistry at the University of California, San Francisco. He has published more than 100 peer-reviewed scientific papers and has been named inventor on more than 50 issued or filed patents. He has won a number of research awards including the Pfizer Award in Enzyme Chemistry given by the American Chemical Society in 1990, the DuVigneaud award given by the American Peptide

Society in 1998, the Aviv Award given by the Protein Society in 1998 and the Hans Neurath Award given by the Protein Society in 2003. In 1999, he was elected Member to the U.S. National Academy of Sciences. Dr. Wells is a member of the Board of Directors and of the Scientific Advisory Board of a privately held company. Dr. Wells holds a B.A. in Biochemistry from the University of California at Berkeley and a Ph.D. in Biochemistry from Washington State University and was a Damon Runyon-Walter Winchell Post-doctoral Fellow in the Biochemistry Department at Stanford University. We expect that in 2005 Dr. Wells will accept an academic position at a major institution, and in connection with doing so will resign from his position as our President and Chief Scientific Officer. We expect Dr. Wells will act as a consultant to our company and continue to serve on our board of directors and scientific advisory board.

Eric H. Bjerkholt has served as our Senior Vice President and Chief Financial Officer since January 2004. From January 2002 to January 2004, Mr. Bjerkholt served as Senior Vice President and Chief Financial Officer at IntraBiotics Pharmaceuticals, Inc., a biopharmaceutical company. Mr. Bjerkholt was a co-founder of LifeSpring Nutrition, Inc., a privately held nutraceutical company, and from May 1999 to March 2002 served at various times as its Chief Executive Officer, President and Chief Financial Officer. From 1990 to 1997, Mr. Bjerkholt was an investment banker at J.P. Morgan & Co. Mr. Bjerkholt is a member of the Board of Directors of StemCells, Inc., a publicly held biotechnology company, and a privately held company. Mr. Bjerkholt holds a Cand. Oecon degree in Economics from the University of Oslo and an M.B.A. from Harvard Business School.

Daniel C. Adelman, M.D. has served as our Senior Vice President of Drug Discovery and Development since September 2004. From May 2003 to August 2003, he served as our Senior Vice President of Clinical Development. From May 1998 to May 2003, Dr. Adelman served in various roles, including Vice President of Clinical Operations and Biometrics at Pharmacyclics, Inc., a pharmaceutical company. From December 1994 to May 1998, Dr. Adelman served as Clinical Scientist at Genentech, Inc. Dr. Adelman began his career at University of California, San Francisco, School of Medicine, where he was Director of Clinical Allergy/Immunology in the Division of Allergy and Immunology, and Director of the Outpatient Center for Clinical Research. He continues to serve as Adjunct Professor of Medicine at University of California, San Francisco, is a fellow of both the American Academy of Allergy and Immunology and the American College of Physicians and is on the editorial board of Clinical Immunology. Dr. Adelman is board-certified in allergy and immunology and completed a National Institutes of Health/Public Health Service Tumor Immunology Fellowship at University of California, Los Angeles, School of Medicine. He holds a B.A. in Biology from University of California, Berkeley and an M.D. from the University of California, Davis.

Daryl B. Winter, Ph.D., J.D. has served as our Senior Vice President, General Counsel and Corporate Secretary since April 2000. From July 1989 to January 1999, Dr. Winter served as patent and licensing counsel at Genentech, Inc. Dr. Winter holds a B.S. in Chemistry from the University of Washington and a Ph.D. in Biochemistry from the State University of New York and was a National Institutes of Health Post-doctoral Fellow. He also holds a J.D. from Northwestern University School of Law.

Anthony B. Evnin, Ph.D. has served as a member of our board of directors since 1998. Dr. Evnin has been with Venrock Associates, a venture capital firm, since 1974 and is currently a Managing General Partner. He is currently a member of the Board of Directors of Icagen, Inc., Memory Pharmaceuticals Corp. and Renovis, Inc., each a biopharmaceutical company, as well as being on the board of directors of a number of private companies. He holds an A.B. in Chemistry from Princeton University and a Ph.D. in Chemistry from Massachusetts Institute of Technology.

Stephen P.A. Fodor, Ph.D. has served as a member of our board of directors since 2001. Dr. Fodor is a co-founder of Perlegen Sciences, Inc., a biotechnology company, and has served as Chairman of Perlegen's Board of Directors since the company's inception. He is also founder, Chairman, and Chief

Executive Officer of Affymetrix, Inc., a biotechnology company. Dr. Fodor previously held various positions at the Affymax Research Institute from 1989 to 1992, where he led the development of the GeneChip Technology. Dr. Fodor holds an M.S. in Biochemistry from Washington State University and an M.A. and a Ph.D. in Chemistry from Princeton University.

Matthew K. Fust has served as a member of our board of directors since May 2005. Since May 2003, Mr. Fust has been Chief Financial Officer at Jazz Pharmaceuticals, Inc., a pharmaceutical company. From May 2002 to May 2003, Mr. Fust was Chief Financial Officer at Perlegen Sciences, Inc., a biotechnology company. From June 1996 to January 2002, Mr. Fust was with ALZA Corporation, a pharmaceutical company, first as Controller and then as Chief Financial Officer. Mr. Fust holds a B.A. in Accounting from the University of Minnesota and an M.B.A. from Stanford Graduate School of Business.

Steven D. Goldby has served as a member of our board of directors since 2001. Since July 1998, Mr. Goldby has served as Chairman and Chief Executive Officer of Symyx Technologies, Inc., a material sciences company. From 1982 to 1997, Mr. Goldby served as Chief Executive Officer of MDL Information Systems, Inc. From 1968 to 1973, Mr. Goldby held various management positions at ALZA Corporation, including President of ALZA Pharmaceuticals. Mr. Goldby holds a B.S. in Chemistry from the University of North Carolina and a J.D. from Georgetown University Law Center.

Russell C. Hirsch, M.D., Ph.D. has served as a member of our board of directors since 1998. Since February 2001, Dr. Hirsch has served as a Managing Director of Prospect Management Co. II, LLC. Prior to joining Prospect Management Co. II, LLC, he was a member of the Health Care Technology Group at Mayfield Fund. He joined Mayfield Fund in 1992 and served as a Venture Partner from 1993 to 1994 and as a General Partner from 1995 to 2000. Dr. Hirsch holds a B.A. in Chemistry from the University of Chicago and an M.D. and a Ph.D. in Biochemistry from the University of California, San Francisco.

Jonathan S. Leff has served as a member of our board of directors since 2000. Since January 2000, Mr. Leff has served as a General Partner of Warburg, Pincus & Co., which is the Managing Partner of Warburg Pincus LLC, and as a Managing Director and Member of Warburg Pincus LLC. Mr. Leff served as a Vice President of Warburg Pincus LLC from January 1999 to December 1999 and as an Associate from July 1996 to December 1998. Mr. Leff serves on the Board of Directors of Allos Therapeutics, Inc., a biopharmaceutical company, Intermune, Inc., a biopharmaceutical company, Neurogen Corporation, a small molecule drug discovery and development company, Transkaryotic Therapies Inc., a biopharmaceutical company, ZymoGenetics Inc., a biotherapeutic company, and several private companies. Mr. Leff holds a B.A. in Government from Harvard University and an M.B.A. from the Stanford Graduate School of Business.

Our executive officers are elected by, and serve at the discretion of, our board of directors. There are no family relationships between our directors and executive officers.

Board Composition

Our amended and restated bylaws permit our board of directors to establish by resolution the authorized number of directors, and eight directors are currently authorized. In accordance with our amended and restated certificate of incorporation, immediately after this offering, our board of directors will be divided into three classes with staggered three-year terms. At each annual meeting of stockholders, the successors to directors whose terms then expire will be elected to serve from the time of election and qualification until the third annual meeting following election. Our directors have been divided among the three classes as follows:

- the Class I directors will be Drs. Fodor, Hirsch and Young, and their terms will expire at the annual meeting of stockholders to be held in 2005;

- the Class II directors will be Drs. Evin and Wells and Mr. Goldby, and their terms will expire at the annual meeting of stockholders to be held in 2006; and
- the Class III directors will be Messrs. Leff, Fust and Swisher, and their terms will expire at the annual meeting of stockholders to be held in 2007.

Our amended and restated certificate of incorporation provides that the authorized number of directors may be changed only by resolution of the board of directors. Any additional directorships resulting from an increase in the number of directors will be distributed among the three classes so that, as nearly as possible, each class will consist of one-third of the directors.

The division of our board of directors into three classes with staggered three-year terms may delay or prevent a change of our management or a change in control.

Voting Arrangement

Pursuant to our Investor Rights Agreement that we entered into with a warrant holder and certain holders of our preferred stock, Credit Suisse First Boston Equity Partners, L.P. and its affiliates have the right to nominate a director to our board of directors and holders of Series C preferred stock are obligated to vote for such nominee. Mr. Goldby was elected to our board of directors pursuant to this agreement.

Board Committees

Our board of directors has an audit committee, a compensation committee and a nominating and corporate governance committee.

Audit Committee

The audit committee is chaired by Mr. Leff, and also includes Dr. Evin and Mr. Goldby, all of whom will be independent, within the meaning of applicable SEC and Nasdaq rules, upon completion of this offering. The board has designated Mr. Goldby as the audit committee financial expert, as such term is currently defined under the SEC rules implementing Section 407 of the Sarbanes-Oxley Act of 2002. We believe that the composition and functioning of our audit committee complies with all applicable requirements of the Sarbanes-Oxley Act of 2002 and the Nasdaq National Market and SEC rules and regulations. We intend to comply with future requirements to the extent they become applicable to us.

Our audit committee is responsible for, among other things:

- overseeing the accounting and financial reporting processes and audits of our financial statements;
- appointing independent auditors to audit our financial statements;
- overseeing and monitoring (a) the integrity of our financial statements, (b) our compliance with legal and regulatory requirements as they relate to financial statements or accounting matters, (c) our independent auditor's qualifications, independence and performance and (d) our internal accounting and financial controls;
- preparing the report that SEC rules require be included in our annual proxy statement;
- providing our board of directors with the results of its monitoring and recommendations; and
- providing to our board of directors additional information and materials as it deems necessary to make our board of directors aware of significant financial matters that require the attention of our board of directors.

Compensation Committee

The compensation committee is chaired by Dr. Evnin, and also includes Dr. Hirsch and Mr. Leff, all of whom will be independent, within the meaning of applicable Nasdaq rules, upon completion of this offering. Each member of the compensation committee is an "outside" director as that term is defined in Section 162(m) of the Internal Revenue Code of 1986, as amended, and a "non-employee" director within the meaning of Rule 16b-3 of the rules promulgated under the Securities Exchange Act of 1934, as amended. We believe that the composition and functioning of our compensation committee complies with all applicable requirements of the Nasdaq National Market. We intend to comply with future requirements to the extent they become applicable to us.

Our compensation committee is responsible for, among other things:

- reviewing and approving for our chief executive officer and other executive officers (a) the annual base salary, (b) the annual incentive bonus, including the specific goals and amount, (c) equity compensation, (d) employment agreements, severance arrangements, and change in control agreements/provisions and (e) any other benefits, compensations, compensation policies or arrangements;
- reviewing, approving and/or making recommendations to our board of directors regarding the compensation of our senior management and other employees;
- making recommendations to our board of directors regarding the compensation of members of our board;
- reviewing and approving general compensation goals and guidelines for employees and the criteria by which bonuses to employees are determined;
- preparing a report to be included in our annual proxy statement; and
- acting as administrator of our benefit plans, including making amendments to the plans, and changes in the number of shares reserved for issuance thereunder.

Our compensation committee has the authority to delegate to one or more subcommittees to the extent allowed by applicable law.

Nominating and Corporate Governance Committee

The nominating and corporate governance committee is chaired by Mr. Goldby, and also includes Drs. Fodor and Hirsch, all of whom will be independent, within the meaning of applicable SEC and Nasdaq rules, upon completion of this offering. We believe that the composition and functioning of our nominating and corporate governance committee complies with all applicable requirements of the Nasdaq National Market and SEC rules and regulations. We intend to comply with future requirements to the extent they become applicable to us.

Our nominating and corporate governance committee is responsible for, among other things:

- reviewing board structure, composition and practices, and making recommendations on these matters to our board of directors;
- reviewing, soliciting and making recommendations to our board of directors and stockholders with respect to candidates for election to our board of directors; and
- overseeing compliance by employees with our Code of Conduct.

Compensation Committee Interlocks and Insider Participation

As noted above, the compensation committee of our board of directors consists of Drs. Evnin and Hirsch and Mr. Leff.

None of the members of our compensation committee has, at any time, been one of our officers or employees. None of our executive officers currently serves, or in the past year has served, as a member of our board of directors or compensation committee of any entity that has one or more executive officers serving on our board of directors or compensation committee.

Director Compensation

We do not currently provide cash compensation to members of our board of directors. In connection with their services as directors, Mr. Goldby was granted options to acquire 50,000 shares of common stock in January 2001 and January 2003 and 30,000 shares of common stock in April 2005, Dr. Fodor was granted options to acquire 50,000 shares of common stock in March 2001 and January 2003 and 30,000 shares of common stock in April 2005 and Mr. Fust was granted options to acquire 90,000 shares of common stock in May 2005. The options granted to Mr. Goldby and Dr. Fodor in 2001 and 2003 each have an exercise price of \$0.60 per share, the options granted to Mr. Goldby and Dr. Fodor in 2005 each have an exercise price of \$2.25 per share and the option granted to Mr. Fust has an exercise price of \$2.25 per share. Each option vests at a rate of 1/24th per month over a period of two years, except for the options granted to Mr. Goldby and Dr. Fodor in April 2005, which vest in full on the first anniversary of the date of grant. Our non-employee directors are reimbursed for reasonable out-of-pocket expenses incurred in connection with attending board and committee meetings.

Executive Compensation

The following table sets forth the compensation awarded to, earned by or paid to our Chief Executive Officer and our other four most highly compensated executive officers whose total salary and bonus exceeded \$100,000 for services rendered to us during 2003 and 2004. We refer to these persons as our "named executive officers" elsewhere in this prospectus.

Summary Compensation Table

| Name and Principal Position | Year | Annual Compensation | | Long Term Compensation | All Other Compensation ⁽¹⁾ |
|---|------|---------------------|-----------|-------------------------------|---------------------------------------|
| | | Salary | Bonus | Securities Underlying Options | |
| Daniel N. Swisher, Jr. Chief Executive Officer | 2004 | \$ 305,000 | \$ 74,000 | 390,000 | \$ 768 |
| | 2003 | 268,992 | 55,000 | 200,000 | 768 |
| James A. Wells, Ph.D. President and Chief Scientific Officer | 2004 | 265,000 | 55,000 | 80,000 | 768 |
| | 2003 | 260,000 | 50,000 | 200,000 | 768 |
| Daniel C. Adelman, M.D. ⁽²⁾ Senior Vice President of Drug Discovery and Development | 2004 | 249,000 | 60,000 | 130,000 | 768 |
| | 2003 | 138,000 | 25,000 | 200,000 | 448 |
| Daryl B. Winter, Ph.D., J.D. Senior Vice President and General Counsel | 2004 | 255,500 | 60,000 | 80,000 | 768 |
| | 2003 | 251,000 | 45,000 | 50,000 | 768 |
| Eric H. Bjerkholt ⁽³⁾ Senior Vice President and Chief Financial Officer | 2004 | 240,682 | 55,000 | 325,000 | 768 |

(1) Represents term life insurance and accidental death and dismemberment insurance premiums.

- (2) Dr. Adelman joined our company in May 2003.
- (3) Mr. Bjerkholt joined our company in January 2004.

Stock Option Grants in 2004

The following table sets forth information with respect to stock options granted to our named executive officers during 2004.

2004 Option Grants

| | Individual Grants | | | | Potential Realizable Value at Assumed Annual Rates of Stock Price Appreciation for Option Term | |
|------------------------------|---|--|--------------------------|-----------------|--|-----|
| | Number of Securities Underlying Options Granted | Percent of Total Options Granted in 2004 | Exercise Price Per Share | Expiration Date | 5% | 10% |
| | | | | | | |
| Daniel N. Swisher, Jr. | 300,000 | 14.8% | \$ 0.60 | 1/21/2014 | | |
| | 90,000 | 4.4 | 0.60 | 6/24/2014 | | |
| James A. Wells, Ph.D. | 80,000 | 3.9 | 0.60 | 6/24/2014 | | |
| Daniel C. Adelman, M.D. | 50,000 | 2.5 | 0.60 | 1/21/2014 | | |
| | 80,000 | 3.9 | 0.60 | 6/24/2014 | | |
| Daryl B. Winter, Ph.D., J.D. | 80,000 | 3.9 | 0.60 | 6/24/2014 | | |
| Eric H. Bjerkholt | 250,000 | 12.3 | 0.60 | 1/21/2014 | | |
| | 75,000 | 3.7 | 0.60 | 6/9/2014 | | |

In 2004, we granted options to purchase an aggregate of 2,026,100 shares of our common stock to our employees, directors and consultants under our 1998 Stock Option Plan and our 2001 Stock Option Plan. These options vest over a four-year period with 25% vesting on the first anniversary of the date of grant and the remaining 75% vesting 1/48th per month over the subsequent 36 months, provided that 75,000 of such options granted to Mr. Bjerkholt vest upon our achievement of specified milestones. Each option has a 10-year term, subject to early termination if the optionee's service with us ceases. Upon termination of employment, vesting will typically cease and the employee will typically have three to six months to exercise any vested options. Under certain circumstances in connection with a change in control, the vesting of certain option grants may accelerate and become immediately exercisable. Each stock option was granted with an exercise price equal to the estimated fair value of our common stock on the grant date, as determined by our board of directors. Given the absence of an active market for our common stock, our board of directors determined the estimated fair value of our common stock on the date of grant based on several factors, including progress and milestones achieved in our business and sale of preferred stock. See "—Employee Benefit Plans" for more details regarding our stock option plans.

With respect to the amounts disclosed in the column captioned "Potential Realizable Value at Assumed Annual Rates of Stock Price Appreciation for Option Term," the 5% and 10% assumed annual rates of compounded stock price appreciation are mandated by rules of the SEC, and do not represent our estimate or projection of our stock price performance. The potential realizable values at 5% and 10% appreciation are calculated by:

- multiplying the number of shares of common stock subject to a given stock option by an assumed initial public offering price of \$ per share;
- assuming that the aggregate stock value derived from that calculation compounds at the annual 5% or 10% rate shown in the table until the expiration of the option; and
- subtracting from that result the aggregate option exercise price.

2004 Stock Option Values

The following table provides information concerning the number and value of unexercised options held by our named executive officers as of December 31, 2004. Options to purchase 50,000 shares were exercised by our named executive officers in 2004. Amounts presented under the caption "Value of Unexercised In-the-Money Options at December 31, 2004" are based on an assumed initial public offering price of \$ _____ minus the exercise price, multiplied by the number of shares subject to the stock option, without taking into account any taxes that may be payable in connection therewith. Our 1998 Stock Option Plan and our 2001 Stock Option Plan allow for the early exercise of options granted. All options exercised early are subject to repurchase by us at the original exercise price. The repurchase right lapses over time.

| Name | Number of Securities Underlying Unexercised Options at December 31, 2004 | | Value of Unexercised In-The-Money Options at December 31, 2004 | |
|------------------------------|--|---------------|--|---------------|
| | Exercisable | Unexercisable | Exercisable | Unexercisable |
| Daniel N. Swisher, Jr. | 1,140,000 | — | — | — |
| James A. Wells, Ph.D. | 520,000 | — | — | — |
| Daniel C. Adelman, M.D. | 330,000 | — | — | — |
| Daryl B. Winter, Ph.D., J.D. | 270,000 | — | — | — |
| Eric H. Bjerkholt | 325,000 | — | — | — |

Employment, Severance and Change of Control Agreements

We have entered into employment agreements with each of our named executive officers and our Executive Chairman. These employment agreements include severance and change of control arrangements.

Daniel N. Swisher, Jr.

In December 2003, we entered into an employment agreement with Daniel N. Swisher, Jr., our Chief Executive Officer. Under the agreement, Mr. Swisher is entitled to receive an initial base salary of \$300,000, subject to increase by our board of directors, and may earn incentive bonuses of up to 40% of his base salary based on achievement of objectives mutually agreed upon by Mr. Swisher and our board of directors. Mr. Swisher was granted an option to purchase 300,000 shares of common stock at an exercise price of \$0.60 per share.

If Mr. Swisher is terminated without cause for any reason other than disability or there is an "effective termination," he will be entitled to receive as severance, 12 months of accelerated vesting of all his outstanding options, plus continued payment of his base salary for a period of 12 months. However, if Mr. Swisher obtains other full-time employment his continued salary payments will be reduced each month by the amount of such monthly base salary. Mr. Swisher will also be entitled to receive health benefits for up to 12 months following such termination. "Effective termination" includes, among other things, a significant reduction of duties, material reduction of base salary, significant reduction in benefits or relocation of more than 100 miles of our company's offices.

Upon a change of control, any unvested portion of Mr. Swisher's stock options will be automatically accelerated in full and any right we possess to repurchase any unvested shares of common stock held by Mr. Swisher will lapse in full.

James A. Wells, Ph.D.

In April 1998, we entered into an employment agreement with James A. Wells, Ph.D., our President and Chief Scientific Officer. Under the agreement, Dr. Wells was entitled to receive an initial base salary of \$190,000, subject to increase by our board of directors, and received a \$10,000 signing

bonus. In the event Dr. Wells is terminated without cause, he will be entitled to receive 12 months accelerated vesting of his outstanding stock options and warrants.

Eric H. Bjerkholt

In December 2003, we entered into an employment agreement with Eric H. Bjerkholt, our Senior Vice President and Chief Financial Officer. We amended the agreement in June 2004. Under the agreement, Mr. Bjerkholt was entitled to receive an initial base salary of \$240,000, subject to increase by our board of directors, and may earn incentive bonuses of up to 25% of his base salary based on achievement of corporate and personal objectives. Mr. Bjerkholt was granted two options, one to purchase 250,000 shares of common stock and one to purchase 75,000 shares of common stock, each at an exercise price equal to \$0.60 per share. The option to purchase 75,000 shares will fully vest upon the occurrence of a financing event prior to July 5, 2005 in which we raise at least \$20.0 million.

If Mr. Bjerkholt is terminated without cause for any reason other than disability or there is an "effective termination," he will be entitled to receive as severance, accelerated vesting of his option to purchase 250,000 shares of common stock, plus continued payment of his base salary for a period of up to nine months. However, if Mr. Bjerkholt obtains other full-time employment his continued salary payments will be reduced each month by the amount of such monthly base salary. Mr. Bjerkholt will also be entitled to receive health benefits for up to nine months following such termination. In addition, upon a change of control, any unvested portion of Mr. Bjerkholt's option to purchase 250,000 shares of common stock will automatically be accelerated in full and any right we possess to repurchase any unvested shares of common stock held by Mr. Bjerkholt will lapse in full.

Daniel C. Adelman, M.D.

In April 2003, we entered into an employment agreement with Daniel C. Adelman, M.D., our Senior Vice President of Drug Discovery and Development. Under the agreement, Dr. Adelman was entitled to receive an initial base salary of \$230,000, subject to increase by our board of directors. Dr. Adelman was granted an option to purchase 200,000 shares of common stock at an exercise price of \$0.60 per share. If Dr. Adelman is terminated without cause for any reason other than disability or there is an "effective termination," he will be entitled to receive as severance, 12 months of accelerated vesting of all his outstanding options, plus continued payment of his base salary for a period of nine months. However, if Dr. Adelman obtains other full-time employment his continued salary payments will be reduced each month by the amount of such monthly base salary. Dr. Adelman will also be entitled to receive health benefits for up to 12 months following such termination. In addition, upon a change in control, any unvested portion of Dr. Adelman's option to purchase 200,000 shares of our common stock will be automatically accelerated in full and any right we possess to repurchase any unvested shares of common stock held by Dr. Adelman will lapse in full.

Daryl B. Winter, Ph.D., J.D.

In April 2000, we entered into an employment agreement with Daryl B. Winter, Ph.D., J.D., our Senior Vice President and General Counsel, which was amended in April 2003. Under the agreement, Dr. Winter was entitled to receive an initial base salary of \$251,000, subject to increase by our board of directors, and may earn incentive bonuses based on achievement of objectives. Under prior agreements with us, Dr. Winter was granted an aggregate of 420,000 shares of common stock at an average price per share of \$0.39. We have entered into two loans with Dr. Winter. See "Certain Relationships and Related Party Transactions" for a further description of the terms of these loans.

If Dr. Winter is terminated without cause for any reason other than disability, he will be entitled to receive as severance 12 months of accelerated vesting of all his outstanding options, plus continued payment of his base salary for a period of nine months. However, if Dr. Winter obtains other full-time

employment his continued salary payments will be reduced each month by the amount of such monthly base salary. Dr. Winter will also be entitled to receive health benefits for up to nine months following such termination. If, following a change in control, Dr. Winter is terminated without cause or there is an "effective termination," any unvested portion of Dr. Winter's stock options will be automatically accelerated and any right we possess to repurchase any unvested shares of common stock held by Dr. Winter will lapse in full. "Effective termination" is defined as, in addition to the events specified above, any acts or omissions of our company or any of our employees, agents or board of directors that result in Dr. Winter being required to resign under the rules of attorney professional responsibility, failure by our company to comply with the provisions relating to his professional reporting responsibilities, or any other breach by us of any agreement between us and Dr. Winter.

In addition, if Dr. Winter is still providing services to the acquirer on the one year anniversary of the change of control, any unvested portion of Dr. Winter's stock options will be automatically accelerated and any right we possess to repurchase any unvested shares held by Dr. Winter will lapse in full.

James W. Young, Ph.D.

In December 2003, we entered into an employment agreement with James W. Young, Ph.D., our Executive Chairman. Under the agreement, Dr. Young was entitled to receive an initial base salary of \$200,000, subject to increase by our board of directors, and may earn incentive bonuses of up to 40% of his base salary, upon achievement of objectives mutually agreed upon by Dr. Young and our board of directors.

In May 2000, we loaned \$135,000 to Dr. Young pursuant to a full recourse promissory note to finance the purchase of common stock. The note was secured by shares of our common stock held by Dr. Young, and had an interest rate of 6.6% per annum, compounded semi-annually. The note was not forgivable, and the principal and accrued interest was due and payable upon the earlier of (i) 180 days after the date of termination of Dr. Young's status as an employee or consultant of our company or (ii) May 1, 2005. This loan was repaid in full in May 2005.

If Dr. Young is terminated without cause for any reason other than disability or if there is an "effective termination," he will be entitled to receive as severance continued payment of his base salary and benefits pursuant to our benefit plans for a period of (i) nine months, if he is terminated at some time after the one year anniversary until up to the two year anniversary of the commencement of his employment as Executive Chairman, or (ii) six months, if he is terminated after the two year anniversary of the commencement of his employment as Executive Chairman. In each case, if Dr. Young obtains other full-time employment, his continued salary payments will be reduced each month by the amount of his monthly base salary at such other employment. Regardless of the timing of his termination, Dr. Young will receive 12 months accelerated vesting of his stock options. Upon a change of control, any unvested portion of Dr. Young's stock options will be automatically accelerated in full and any right we possess to repurchase any unvested shares of common stock held by Dr. Young will lapse in full.

Employee Benefit Plans

2005 Equity Incentive Award Plan

Our 2005 Equity Incentive Award Plan, which we refer to as the 2005 Plan, is intended to serve as the successor equity incentive program to our 1998 Stock Option Plan and 2001 Stock Option Plan, which we refer to sometimes as the predecessor plans. Our 2005 Plan was adopted by our board of directors and stockholders in January 2005, and our 2005 Plan will become effective upon completion of this offering. Upon completion of this offering, all shares of stock remaining available for issuance and not subject to outstanding options under the predecessor plans will become part of the available

pool of shares under our 2005 Plan, and no further option grants will be made under those predecessor plans. The options granted under the predecessor plans will continue to be governed by their existing terms, unless our compensation committee elects to extend one or more features of our 2005 Plan to those options. The 2005 Plan will terminate on the earlier of (i) 10 years after its adoption by our board of directors or (ii) when our compensation committee, with the approval of our board of directors, terminates the 2005 Plan.

Share Reserve. 6,591,099 shares of common stock have been authorized for issuance under our 2005 Plan plus any options granted under our predecessor plans that expire unexercised or are repurchased by us pursuant to the terms of such options. The number of shares of common stock reserved for issuance under our 2005 Plan will automatically increase on the first trading day of each year, beginning in 2006, by an amount equal to the least of (i) 4.0% of our outstanding shares of common stock on such date, (ii) 4,000,000 shares or (iii) a lesser amount determined by our board of directors. The maximum aggregate number of shares that may be issued or transferred under the 2005 Plan during the term of the 2005 Plan will be 42,000,000 shares. In addition, no participant in our 2005 Plan may be issued or transferred more than 1,000,000 shares of common stock pursuant to awards under the 2005 Plan per calendar year.

Equity Awards. Our 2005 Plan will provide for the following types of awards:

- *Stock Options.* The 2005 Plan provides for the grant of incentive stock options, or ISOs, and non-qualified stock options to employees, directors and consultants. Incentive stock options may only be granted to employees. Options may be granted with terms determined by the plan administrator, provided that ISOs are subject to statutory ISO limitations. Stock options may be granted as "early exercise" stock options.
- *Restricted Stock.* With respect to restricted stock, participants generally have all of the rights of a stockholder with respect to such stock. Restricted stock may generally be subject to a repurchase right by us in the event the recipient ceases to be employed. Restricted stock may be issued for nominal or no cost and may be subject to vesting over time or upon achievement of milestones.
- *Performance Share Awards.* Performance awards include stock bonuses or other performance or incentive awards paid in cash or common stock. They may provide for payments based upon increases in the market value, book value, net profits or other measure of value of our common stock or other specific performance criteria determined appropriate by the plan administrator, in each case over a period or periods determined by the plan administrator.
- *Dividend Equivalents.* Dividend equivalents are rights to receive the equivalent value of dividends paid on our common stock. They represent the value of the dividends per share paid by us, calculated with reference to the number of shares covered by stock options, stock appreciation rights, deferred stock or performance awards held by the participant.
- *Restricted Stock Units.* The 2005 Plan provides for grants of our common stock to participants. Restricted stock units are typically awarded to participants without payment of consideration, but are subject to vesting conditions based upon a vesting schedule or performance criteria established by the plan administrator. Unlike restricted stock, the stock underlying restricted stock units will not be issued until the restricted stock units have vested, and recipients of restricted stock units generally will have no voting or dividend rights prior to the time the vesting conditions are satisfied.
- *Stock Payments.* Stock payments include payments in the form of common stock made in lieu of all or any portion of compensation that would otherwise be paid to the participant. Stock payments may also be based upon specific performance criteria determined appropriate by the plan administrator.

- *Performance-based Awards.* Performance-based awards include awards other than options or stock appreciation rights which comply with the IRS requirements under Section 162(m) of the Internal Revenue Code for performance-based compensation. They may provide for payments based upon increases in the market value, book value, net profits or other measure of value of our common stock or other specific performance criteria determined appropriate by the plan administrator, in each case over a period or periods determined by the plan administrator.
- *Stock Appreciation Rights.* Stock appreciation rights may be granted in connection with a stock option, or independently. Stock appreciation rights typically will provide for payments to the holder based upon increases in the price of our common stock over the exercise price of the related option. The plan administrator may elect to pay stock appreciation rights in cash or in common stock or in a combination of cash and common stock.

Eligibility. The individuals eligible to participate in our 2005 Plan include our officers and other employees, our non-employee board members and any consultants we hire.

Administration. The 2005 Plan will be administered by our compensation committee. This committee will act as the plan administrator and will determine which eligible individuals are to receive awards under the 2005 Plan, the time or times when such awards are to be made, the number of shares subject to each such award, the status of any granted option as either an incentive stock option or a non-statutory stock option under the federal tax laws, the vesting schedule to be in effect for the award and the maximum term for which any award is to remain outstanding. The committee will also determine the exercise price of options granted, the purchase price for rights to purchase restricted stock and, if applicable, restricted units and the strike price for stock appreciation rights. The committee may also amend the terms of the 2005 Plan and outstanding equity awards. Amendments to the 2005 Plan are subject to stockholder approval to the extent required by law, rule or regulation.

Plan Features. Our 2005 Plan will include the following features:

- The exercise price for the shares of common stock subject to option grants made under our 2005 Plan may be paid in cash or in shares of common stock held by the optionee for longer than six months valued at fair market value on the exercise date. The option may be exercised through a same-day sale program without any cash outlay by the optionee. In addition, the committee may provide financial assistance to one or more optionees, provided such optionee is not an executive officer or board member in the exercise of their outstanding options or the purchase of their unvested shares by allowing such individuals to deliver a full-recourse, interest-bearing promissory note in payment of the exercise price and any associated withholding taxes incurred in connection with such exercise or purchase.
- The 2005 Plan will include change in control provisions, which may result in the accelerated vesting of outstanding awards. In the event of a change in control of our company, for example, if we are acquired by merger or asset sale, each outstanding award under the 2005 Plan will accelerate and immediately vest with regard to 50% of the award, and if the remainder of the award is not to be assumed by the successor corporation, the full amount of the award will automatically accelerate and become immediately vested. Additionally, in the event the remainder of the award is assumed by the successor corporation, then any remaining unvested shares would accelerate and immediately vest in the event the optionee is terminated without cause or resigns for good reason within 12 months following such change in control.

Non-Employee Director Stock Options. Under the 2005 Plan, our non-employee directors will receive annual, automatic, non-discretionary grants of nonqualified stock options.

Each new non-employee director will receive an option to purchase 90,000 shares as of the date he or she first becomes a non-employee director. This option grant vests in equal annual installments over

two years. In addition, on the date of each annual meeting, each individual who continues to serve as a non-employee director on such date will receive an automatic option grant to purchase an additional 30,000 shares of our common stock, commencing with our 2006 annual meeting of stockholders. This option grant vests in equal monthly installments over 12 months following the date of grant.

The exercise price of each option granted to a non-employee director will be equal to 100% of the fair market value on the date of grant of the shares covered by the option. Options will have a maximum term of 10 years measured from the grant date, subject to termination in the event of the optionee's cessation of board service. The 2005 Plan provides that the optionee will have a 12-month period following a cessation of board service in which to exercise any outstanding vested options.

Employee Stock Purchase Plan

Our Employee Stock Purchase Plan, which we refer to as our ESPP, was adopted by our board of directors and stockholders in January 2005. The ESPP will become effective immediately upon the signing of the underwriting agreement for this offering. The ESPP is designed to allow our eligible employees and the eligible employees of our participating subsidiaries to purchase shares of common stock, at semi-annual intervals, with their accumulated payroll deductions.

Share Reserve. 750,000 shares of our common stock will initially be reserved for issuance. The number of shares of common stock reserved under our ESPP will automatically increase on the first trading day each year, beginning in 2006, by an amount equal to the least of: (i) 0.5% of our outstanding shares of common stock outstanding on such date, (ii) 500,000 shares or (iii) a lesser amount determined by our board of directors. The maximum aggregate number of shares which may be issued over the term of the ESPP is 5,000,000 shares. In addition, no participant in our ESPP may be issued or transferred more than \$25,000 of shares of common stock pursuant to awards under the ESPP per calendar year.

Offering Periods. The ESPP will have a series of successive overlapping offering periods, with a new offering period beginning on the first business day of December 1 and June 1 each year. Each offering period will have a duration of 12 months, unless otherwise determined by the compensation committee. However, the initial offering period will start on the date the underwriting agreement for this offering is signed and will end on the last business day in May 2006.

Eligible Employees. Individuals scheduled to work more than 20 hours per week for more than five calendar months per year may join an offering period on the start date of that period. However, employees may participate in only one offering period at a time.

Payroll Deductions. A participant may contribute up to 20% of his or her compensation through payroll deductions, and the accumulated deductions will be applied to the purchase of shares on each semi-annual purchase date. The purchase price per share will be equal to 85% of the fair market value per share on the start date of the offering period in which the participant is enrolled or, if lower, 85% of the fair market value per share on the semi-annual purchase date. Semi-annual purchase dates will occur on the last business day of May and November each year. However, a participant may not purchase more than 5,000 shares on any purchase date, and not more than 10,000 shares may be purchased in total by any participant during any offering period. Our compensation committee will have the authority to change these limitations for any subsequent offering period.

Reset Feature. If the fair market value per share of our common stock on any purchase date is less than the fair market value per share on the start date of the one-year offering period, then that offering period will automatically terminate, and a new one-year offering period will begin on the next business day. All participants in the terminated offering will be transferred to the new offering period.

Change in Control. Should we be acquired by merger or sale of substantially all of our assets or more than 50% of our voting securities, then all outstanding purchase rights may either be assumed by the acquirer and all outstanding purchase rights will be exercised at an early purchase date prior to the effective date of the acquisition. The purchase price in effect for each participant will be equal to 85% of the market value per share on the start date of the offering period in which the participant is enrolled at the time the acquisition occurs or, if lower, 85% of the fair market value per share on the purchase date prior to the acquisition.

Plan Provisions. The plan will terminate no later than 10 years after the date of its effectiveness. The board may at any time amend, suspend or discontinue the plan. However, certain amendments may require stockholder approval.

1998 Stock Option Plan and 2001 Stock Option Plan

In 1998, we adopted the 1998 Stock Option Plan, or 1998 Plan, which authorizes the issuance of up to 10,341,350 shares of our common stock. In 2001, we adopted the 2001 Stock Option Plan, or 2001 Plan, which authorizes the issuance of up to 1,443,650 shares of our common stock. Under both the 1998 Plan and 2001 Plan, our board of directors is authorized to grant incentive stock options or non-statutory stock options to eligible employees, members of our board of directors and consultants, although incentive stock options may be granted only to employees. Under both plans, incentive stock options may be granted at an exercise price of not less than 100% of the fair market value of common stock on the date of grant. Under the 1998 Plan, non-statutory stock options may be granted at a price not less than 85% of the fair market value of the common stock on the date of grant. Under the 2001 Plan, non-statutory stock options may be granted at a price determined by our board of directors. Options generally become exercisable 25% on the first anniversary of the vesting commencement date and then 1/48th for each month thereafter so that all options are fully vested and exercisable after four years, and expire no later than ten years from the date of grant.

The options currently outstanding under our 1998 Plan and 2001 Plan will terminate in the event we are acquired by merger or sale of substantially all our assets, unless those options are assumed by the acquiring entity or our repurchase rights with respect to any unvested shares subject to those options are assigned to such entity. However, a number of those options also contain a special acceleration provision pursuant to which the shares subject to those options will immediately vest upon an involuntary termination of the optionee's employment within 12 months following an acquisition in which the repurchase rights with respect to those shares are assigned to the acquiring entity. We do not intend to issue any future stock options under the 1998 Plan or 2001 Plan.

401(k) Plan

We sponsor a 401(k) Plan that is a defined contribution plan intended to qualify under Section 401(a) of the Internal Revenue Code of 1986, as amended. All employees who are 18 years of age or older and have been employed by our company for at least 3 months are eligible to participate. Our 401(k) Plan is a discretionary contribution plan, whereby participants may voluntarily make pre-tax contributions to the 401(k) plan of up to 60% of their eligible earnings, up to the maximum statutory limit. Under the 401(k) Plan, each employee is fully vested in his or her deferred salary contributions. Employee contributions are held and invested by the 401(k) Plan's trustee. Each participant's contributions, and the corresponding investment earnings, are generally not taxable until withdrawn. Individual participants may direct the trustee to invest their accounts in authorized investment alternatives.

Limitations of Liability and Indemnification

Our amended and restated certificate of incorporation and amended and restated bylaws provide that we will indemnify our directors and officers, and may indemnify our employees and other agents, to the fullest extent permitted by the Delaware General Corporation Law, which prohibits our amended and restated certificate of incorporation from limiting the liability of our directors for the following:

- any breach of the director's duty of loyalty to us or to our stockholders;
- acts or omissions not in good faith or that involve intentional misconduct or a knowing violation of law;
- unlawful payment of dividends or unlawful stock repurchases or redemptions; and
- any transaction from which the director derived an improper personal benefit.

If Delaware law is amended to authorize corporate action further eliminating or limiting the personal liability of a director, then the liability of our directors will be eliminated or limited to the fullest extent permitted by Delaware law, as so amended. Our amended and restated certificate of incorporation does not eliminate a director's duty of care and, in appropriate circumstances, equitable remedies, such as injunctive or other forms of non-monetary relief, remain available under Delaware law. This provision also does not affect a director's responsibilities under any other laws, such as the federal securities laws or other state or federal laws.

Under our amended and restated bylaws, we are also empowered to enter into indemnification agreements with our directors and officers and to purchase insurance on behalf of any person whom we are required or permitted to indemnify. We have entered into indemnification agreements with our directors, executive officers and others. Under these agreements, we are required to indemnify them against expenses, judgments, fines and amounts paid in settlement (if such settlement is approved in advance by us), and in each case, to the extent actually and reasonably incurred in connection with any actual or threatened proceeding, if any of them may be made a party to such proceeding because he or she is or was one of our directors or officers. We are obligated to pay these amounts only if the officer or director acted in good faith and in a manner that he or she reasonably believed to be in, or not opposed to, our best interests. With respect to any criminal proceeding, we are obligated to pay these amounts only if the officer or director had no reasonable cause to believe that his or her conduct was unlawful. The indemnification agreements also set forth procedures that will apply in the event of a claim for indemnification thereunder. We believe that these bylaw provisions and indemnification agreements are necessary to attract and retain qualified persons as directors and officers. We also maintain directors' and officers' liability insurance.

The limitation of liability and indemnification provisions in our amended and restated certificate of incorporation and amended and restated bylaws may discourage stockholders from bringing a lawsuit against directors for breach of their fiduciary duties. They may also reduce the likelihood of derivative litigation against directors and officers, even though an action, if successful, might benefit us and our stockholders. A stockholder's investment may be harmed to the extent we pay the costs of settlement and damage awards against directors and officers pursuant to these indemnification provisions.

Insofar as indemnification for liabilities arising under the Securities Act of 1933, as amended, or the Securities Act, may be permitted to our directors, officers and controlling persons pursuant to the foregoing provisions, or otherwise, we have been advised that, in the opinion of the SEC, such indemnification is against public policy as expressed in the Securities Act, and is, therefore, unenforceable.

There is no pending litigation or proceeding naming any of our directors or officers as to which indemnification is being sought, nor are we aware of any pending or threatened litigation that may result in claims for indemnification by any director or officer.

CERTAIN RELATIONSHIPS AND RELATED PARTY TRANSACTIONS

From January 1, 2002 to the date of this prospectus, we have entered into the following transactions with our executive officers, directors and holders of more than 5% of our securities.

Sale of Series C-1 and C-2 Preferred Stock

On December 18, 2002, we sold 1,250,000 shares of Series C-1 preferred stock to Biogen Idec at a price of \$4.80 per share, for gross proceeds of \$6.0 million in connection with the collaboration we entered into with Biogen Idec on that same date. On August 30, 2004, we sold 2,916,667 shares of Series C-2 preferred stock to Biogen Idec at a price of \$4.80 per share, for gross proceeds of \$14.0 million in connection with the collaboration we entered into with Biogen Idec on August 25, 2004. See "Business—Strategic Collaborations."

Investor Rights Agreement

We and the holders of our preferred stock and a warrant holder have entered into an agreement, pursuant to which these stockholders and the warrant holder will have registration rights with respect to their shares of common stock following this offering. See "Description of Capital Stock—Registration Rights" for a further description of the terms of this agreement.

Employment Agreements

We have entered into employment agreements with our executive officers. See "Management—Employment, Severance and Change of Control Agreements."

Indemnification of Directors and Officers

Our amended and restated certificate of incorporation and amended and restated bylaws provide that we will indemnify each of our directors and officers to the fullest extent permitted by the Delaware General Corporation Law. Furthermore, we have entered into indemnification agreements with each of our directors and officers. For further information, see "Management—Limitations of Liability and Indemnification."

Loans to Officers

Our officers have the following loans outstanding with us:

| Officer | Date of Loan | Principal Amount | Interest Rate | Largest Outstanding Balance During 2004 | Outstanding Balance as of December 31, 2004 | Outstanding Balance as of Date of this Prospectus |
|------------------------------|----------------|---------------------------|---------------|---|---|---|
| James W. Young, Ph.D. | May 17, 2000 | \$ 135,000 ⁽¹⁾ | 6.6% | \$ 135,000 | \$ 135,000 | \$ — |
| Daryl B. Winter, Ph.D., J.D. | April 13, 2000 | 90,000 ⁽²⁾ | 6.6 | 90,000 | — | — |
| | April 13, 2000 | 100,000 ⁽³⁾ | 6.6 | 100,000 | 100,000 | — |

- (1) This loan was evidenced by a full recourse promissory note and was used to purchase 450,000 shares of our common stock pursuant to an option grant. This loan was repaid in full in May 2005.
- (2) This loan was evidenced by full recourse promissory note and was used to purchase 300,000 shares of our common stock pursuant to an option grant. This note was forgiven in full in April 2004.
- (3) This loan was evidenced by a full recourse promissory note and was used, in part, to purchase a home. The loan was secured by shares of our common stock and had a five year term expiring in April 2005. Principal and accrued interest were forgiven under the loan upon the five-year anniversary of his employment in April 2005.

Under applicable law, we cannot extend the term or otherwise modify these notes.

Voting Arrangement

We have entered into an Investor Rights Agreement with a warrant holder and certain holders of our preferred stock. See "Management—Voting Arrangement."

Biogen Idec

In December 2002, we issued a promissory note to Biogen Idec for up to \$4.0 million in connection with a research collaboration agreement with Biogen Idec. Under the promissory note, we may drawdown up to \$4.0 million, from time to time, over a period of ten calendar quarters beginning on April 1, 2003 and ending on June 30, 2005. The principal and accrued interest of each draw will be due five years from the date of advance of each draw and bear interest at 3% above LIBOR to be paid quarterly. As of March 31, 2005, we had drawn \$3.6 million and \$400,000 remained available for future draws. We may use a portion of our net proceeds from this offering to repay all or a portion of our outstanding indebtedness to Biogen Idec.

PRINCIPAL STOCKHOLDERS

The following table sets forth, as of March 31, 2005, information regarding beneficial ownership of our capital stock by:

- each person, or group of affiliated persons, known by us to beneficially own more than 5% of our voting securities;
- each of our executive officers;
- each of our directors; and
- all of our executive officers and directors as a group.

Beneficial ownership is determined according to the rules of the SEC and generally means that a person has beneficial ownership of a security if he, she or it possesses sole or shared voting or investment power of that security, and includes options and warrants that are currently exercisable or exercisable within 60 days. Except as indicated by footnote, and subject to community property laws where applicable, we believe the persons named in the table have sole voting and investment power with respect to all shares of common stock shown as beneficially owned by them.

This table lists applicable percentage ownership based on 40,807,287 shares of common stock outstanding as of March 31, 2005, after giving effect to the conversion of our outstanding preferred stock into common stock in connection with this offering, and based on _____ shares of common stock outstanding upon completion of this offering.

Shares of common stock subject to stock options and warrants currently exercisable or exercisable within 60 days of March 31, 2005 are deemed to be outstanding for computing the percentage ownership of the person holding these options and warrants and the percentage ownership of any group of which the holder is a member, but are not deemed outstanding for computing the percentage of any other person.

Unless otherwise indicated, the address for each of the stockholders in the table below is c/o Sunesis Pharmaceuticals, Inc., 341 Oyster Point Boulevard, South San Francisco, California 94080.

| Name of Beneficial Owner | Beneficial Ownership | | | Percentage of Shares Outstanding | |
|--|---|---|---|----------------------------------|-----------------------------------|
| | Shares Beneficially Owned ⁽¹⁾⁽²⁾ | Shares Subject to Right of Repurchase Within 60 Days of March 31, 2005 ⁽³⁾ | Options and Warrants Exercisable Within 60 Days | Before the Offering | After the Offering ⁽²⁾ |
| 5% Stockholders: | | | | | |
| Abingworth BioVentures II SICAV ⁽⁴⁾ | 3,311,859 | — | — | 8.1% | |
| Biogen Idec ⁽⁵⁾ | 4,166,667 | — | | 10.2 | |
| Entities affiliated with Credit Suisse First Boston ⁽⁶⁾ | 6,458,333 | — | — | 15.9 | |
| Entities affiliated with Mayfield Associates ⁽⁷⁾ | 4,878,846 | — | — | 12.0 | |
| Entities affiliated with Venrock Associates ⁽⁸⁾ | 3,993,910 | — | — | 9.8 | |
| Entities affiliated with Warburg Pincus ⁽⁹⁾ | 6,732,540 | — | — | 16.5 | |

Executive Officers and Directors:

| | | | | |
|---|------------|-----------|-----------|------|
| James W. Young, Ph.D. ⁽¹⁰⁾ | 1,450,000 | 210,418 | 400,000 | 3.5 |
| Daniel N. Swisher, Jr. | 1,190,000 | 457,711 | 1,140,000 | 2.8 |
| James A. Wells, Ph.D. | 1,995,000 | 171,668 | 620,000 | 4.8 |
| Eric H. Bjerkholt ⁽¹¹⁾ | 325,000 | 166,667 | 325,000 | * |
| Daniel C. Adelman, M.D. | 330,000 | 212,292 | 330,000 | * |
| Daryl B. Winter, Ph.D. | 570,000 | 180,000 | 270,000 | 1.4 |
| Anthony B. Evnin, Ph.D. ⁽⁸⁾ | 3,993,910 | — | — | 9.8 |
| Stephen P.A. Fodor, Ph.D. | 130,000 | 30,000 | 130,000 | * |
| Matthew K. Fust ⁽¹²⁾ | — | — | — | * |
| Steven D. Goldby | 130,000 | 30,000 | 130,000 | * |
| Russell C. Hirsch, M.D., Ph.D. ⁽⁷⁾ | — | — | — | * |
| Jonathan S. Leff ⁽⁹⁾ | 6,732,560 | — | — | 16.5 |
| All executive officers and directors as a group (12 persons) | 16,846,470 | 1,458,756 | 3,245,000 | 38.2 |

* Represents beneficial ownership of less than one percent (1%) of the outstanding shares of our common stock.

(1) Includes shares of common stock subject to a right of repurchase within 60 days of March 31, 2005 and shares issuable pursuant to stock options and warrants exercisable within 60 days of March 31, 2005.

(2) Upon completion of this offering, our existing stockholders will own _____ shares, representing _____ %, of our outstanding common stock. Changes in our valuation in connection with this offering will impact the relative ownership of our common stock upon completion of this offering among our existing stockholders. For purposes of this table, we have assumed an initial public offering price of \$ _____ per share, but the relative number of shares of common stock owned and the percentage ownership among our existing stockholders will change if our initial public offering price is other than \$ _____ per share. See "Conversion of Preferred Stock and Reverse Stock Split."

(3) Represents shares of common stock subject to a right of repurchase, at the original option exercise price, in the event the holder ceases to provide services to us. The option exercise prices range from \$0.30 to \$0.60.

(4) Abingworth Bioventures II SICAV (in liquidation) is a Luxembourg registered investment company. William Knight, Paul Meyers, Karl U. Sanne, Jean Welter and Genevieve Blauen are the members of the Board of Liquidators, which has powers equivalent to a company's board of directors. These individuals may be deemed to share dispositive and voting power over the shares which are, or may be, deemed to be beneficially owned by Abingworth Bioventures II SICAV (in liquidation). Each of these individuals disclaims beneficial ownership of such shares, except to the extent of his or her pecuniary interest therein.

(5) Biogen Idec MA, Inc., a Massachusetts corporation, is a wholly-owned subsidiary of Biogen Idec Inc., a Delaware corporation that is publicly traded on the Nasdaq National Market. James C. Mullen, William H. Rastetter, Thomas J. Bucknum, Peter N. Kellogg, James C. Mullen, Michael F. Phelps and Thomas J. Bucknum are the directors and executive officers of Biogen Idec MA, Inc. These individuals may be deemed to share dispositive and voting power over the shares which are,

or may be, deemed to be beneficially owned by Biogen Idec MA, Inc. Each of these individuals disclaims beneficial ownership of these shares, except to the extent of his or her pecuniary interest therein.

- (6) Includes (i) 333,250 shares held by Credit Suisse First Boston EMA Partners Fund 2000, L.P., (ii) 441,750 shares held by Credit Suisse First Boston EMA Private Equity Fund 2000, L.P., (iii) 1,240,646 shares held by Credit Suisse First Boston Equity Partners (Bermuda), L.P., (iv) 4,438,396 shares held by Credit Suisse First Boston Equity Partners, L.P. and (v) 4,291 shares held by Credit Suisse First Boston U.S. Executive Advisors, L.P. An affiliate of Credit Suisse Group, of which Credit Suisse First Boston LLC is an indirect wholly-owned subsidiary, is either the general partner, managing general partner or investment manager of each of those entities. Credit Suisse Group and Credit Suisse First Boston LLC each disclaims beneficial ownership of the shares owned by such investment partnerships to the extent attributable to partnership interests therein held by persons other than Credit Suisse Group and its affiliates. The address of Credit Suisse First Boston and its affiliates is Eleven Madison Avenue, New York, New York 10010.
- (7) Includes (i) 243,943 shares held by Mayfield Associates Fund III, L.P. and (ii) 4,634,903 shares held by Mayfield IX, L.P. A. Grant Heidrick, III, William D. Unger, Wendell G. Van Auken, III, Kevin A. Fong, Yogen K. Dalal and F. Gibson Myers, Jr. are the Managing Directors of Mayfield VIII Management L.L.C., which is the General Partner of Mayfield Associates Fund III, L.P., and also are the Managing Directors of Mayfield IX Management L.L.C., which is the General Partner of Mayfield IX, L.P. These individuals may be deemed to share dispositive and voting power over the shares, which are, or may be, deemed to be beneficially owned by Mayfield Associates Fund III, L.P. and Mayfield IX, L.P. Each of these individuals disclaim beneficial ownership of such shares, except to the extent of his or her pecuniary interest therein. Dr. Hirsch, one of our directors, served as a Venture Partner from 1993 to 1994 and a General Partner from 1995 to 2000 of Mayfield Fund and is now a Managing Partner of Prospect Management Co. II, LLC. Dr. Hirsch disclaims beneficial ownership of such shares, except to the extent of his pecuniary interest therein. The address of Mayfield Fund and its affiliates is 2800 Sand Hill Road, Menlo Park, California 94025.
- (8) Includes (i) 1,661,038 shares held by Venrock Associates, (ii) 2,265,885 shares held by Venrock Associates II, L.P. and (iii) 66,987 shares held by Venrock Entrepreneur's Fund, L.P. Anthony B. Evnin, Michael C. Brooks, Eric S. Copeland, Bryan E. Roberts, Ray A. Rothrock, Michael F. Tyrrell and Anthony Sun are the general partners of Venrock Associates and Venrock Associates II, L.P. These individuals may be deemed to share dispositive and voting power over the shares which are, or may be, deemed to be beneficially owned by Venrock Associates and Venrock Associates II, L.P. Each of these individuals disclaims beneficial ownership of these shares, except to the extent of his or her pecuniary interest therein. The general partner of Venrock Entrepreneurs Fund, L.P. is Venrock Management LLC. Anthony B. Evnin, Michael C. Brooks, Eric S. Copeland, Bryan E. Roberts, Ray A. Rothrock, Michael F. Tyrrell and Anthony Sun are the members of Venrock Management LLC. These individuals may be deemed to share dispositive and voting power over the shares which are, or may be, deemed to be beneficially owned by Venrock Entrepreneurs Fund, L.P. Each of these individuals disclaims beneficial ownership of these shares, except to the extent of his or her pecuniary interest therein. The address of Venrock Associates and its affiliates is 30 Rockefeller Plaza, Room 5508, New York, New York 10112.
- (9) Includes (i) 6,635,135 shares held by Warburg, Pincus Equity Partners, L.P., (ii) 53,130 shares held by Warburg, Pincus Netherlands Equity Partners I, C.V., (iii) 35,420 shares held by Warburg, Pincus Netherlands Equity Partners II, C.V., (iv) 8,855 shares held by Warburg, Pincus Netherlands Equity Partners III, C.V. and (v) 20 shares held by family members. Mr. Leff, one of our directors, is a General Partner of Warburg, Pincus & Co. and a Managing Director and Member of Warburg Pincus LLC. Mr. Leff may be deemed to have an indirect pecuniary interest in an indeterminate

portion of the shares held by the Warburg Pincus entities. Mr. Leff disclaims beneficial ownership of such shares except to the extent of his pecuniary interest therein. The address of Warburg Pincus and its affiliates is 466 Lexington Avenue, New York, New York 10017.

- (10) Includes 85,000 shares held by family members. Dr. Young disclaims beneficial ownership of such shares, except to the extent of his pecuniary interest therein.
- (11) A total of 75,000 shares underlying Mr. Bjerkholt's option is subject to accelerated vesting upon the occurrence of a financing event in which we raise at least \$20.0 million, if such event is completed by July 5, 2005. The beneficial ownership calculation assumes vesting and exercisability of these shares.
- (12) Mr. Fust joined our board of directors in May 2005, and was granted an option to purchase 90,000 shares of common stock at an exercise price of \$2.25 per share. The option is exercisable in full, and vests 1/24 per month over two years.

DESCRIPTION OF CAPITAL STOCK

The following information describes our common stock and preferred stock, as well as options to purchase our common stock and provisions of our amended and restated certificate of incorporation and amended and restated bylaws to be effective upon completion of this offering. This description is only a summary and does not purport to be complete. You should also refer to our amended and restated certificate of incorporation and amended and restated bylaws which have been filed with the SEC as exhibits to our registration statement, of which this prospectus forms a part.

Upon the completion of this offering, we will be authorized to issue up to 105,000,000 shares of capital stock, \$0.0001 par value, divided into two classes designated common stock and preferred stock. Of our authorized shares, 100,000,000 shares will be designated as common stock and 5,000,000 shares will be designated as preferred stock.

Common Stock

As of March 31, 2005, there were 5,917,375 shares of common stock outstanding that were held of record by 206 stockholders. After giving effect to the sale of common stock in this offering, there will be _____ shares of common stock outstanding. As of March 31, 2005, there were outstanding options to purchase a total of 7,052,975 shares of our common stock under our 1998 Plan and 2001 Plan.

The holders of common stock are entitled to one vote for each share held of record on all matters submitted to a vote of the stockholders. Our stockholders do not have cumulative voting rights in the election of directors. Accordingly, holders of a majority of the shares voting are able to elect all of our directors. Subject to preferences that may be granted to any then outstanding preferred stock, holders of common stock are entitled to receive ratably only those dividends as may be declared by the board of directors out of funds legally available therefore. In the event of our liquidation, dissolution or winding up, holders of common stock are entitled to share ratably in all of our assets remaining after we pay our liabilities and distribute the liquidation preference of any then outstanding preferred stock. Holders of common stock have no preemptive or other subscription or conversion rights. There are no redemption or sinking fund provisions applicable to the common stock. The shares of our common stock to be issued in this offering will be fully paid and non-assessable.

Preferred Stock

Upon the completion of this offering, our board of directors will have the authority, without further action by our stockholders, to issue up to 5,000,000 shares of preferred stock in one or more series and to fix the rights, preferences, privileges and restrictions thereof. These rights, preferences and privileges could include dividend rights, conversion rights, voting rights, terms of redemption, liquidation preferences, sinking fund terms and the number of shares constituting any series or the designation of such series, any or all of which may be greater than the rights of common stock. The issuance of our preferred stock could adversely affect the voting power of holders of common stock and the likelihood that such holders will receive dividend payments and payments upon liquidation. In addition, the issuance of preferred stock could have the effect of delaying, deferring or preventing a change in our control or other corporate action. Upon completion of this offering, no shares of preferred stock will be outstanding, and we have no present plan to issue any shares of preferred stock.

Warrants

As of March 31, 2005, we had outstanding warrants to purchase the following amounts of shares:

| | Shares | Exercise Price | Expiration |
|--------------------------|---------|----------------|---------------|
| Series A Preferred Stock | 48,743 | \$ 1.00 | August 2005 |
| Common Stock | 130,000 | \$ 1.00 | April 2008 |
| Series B Preferred Stock | 71,538 | \$ 2.60 | December 2009 |
| Common Stock | 175,000 | \$ 4.00 | May 2010 |
| Series C Preferred Stock | 486,750 | \$ 4.80 | July 2010 |
| Series C Preferred Stock | 3,000 | \$ 4.80 | June 2013(1) |
| Series C Preferred Stock | 1,435 | \$ 4.80 | June 2014(2) |

- (1) The expiration date of the warrant is the earlier of 36 months after our initial public offering or June 2013.
- (2) The expiration date of the warrant is the earlier of 36 months after our initial public offering or June 2014.

Registration Rights

After the closing of this offering, the holders of approximately 37,103,072 shares of our common stock, including 737,723 shares issuable upon exercise of outstanding warrants, will be entitled to certain rights with respect to the registration of such shares under the Securities Act. In the event that we propose to register any of our securities under the Securities Act, either for our own account or for the account of other securityholders, other than for our initial public offering, these holders are entitled to notice of such registration and are entitled to include their common stock in such registration, subject to certain marketing and other limitations. Beginning six months after the closing of this offering, the holders of at least 50% of these securities have the right to require us, on not more than two occasions, to file a registration statement on Form S-1 under the Securities Act in order to register the resale of their shares of common stock. We may, in certain circumstances, defer such registrations and the underwriters have the right, subject to certain limitations, to limit the number of shares included in such registrations. Further, these holders may require us to register the resale of all or a portion of their shares on Form S-3, subject to certain conditions and limitations. In addition, these holders have certain "piggyback" registration rights.

Anti-Takeover Effects of Provisions of the Amended and Restated Certificate of Incorporation and Amended and Restated Bylaws

Our amended and restated certificate of incorporation will provide for our board of directors to be divided into three classes, with staggered three-year terms. Only one class of directors will be elected at each annual meeting of our stockholders, with the other classes continuing for the remainder of their respective three-year terms. Because our stockholders do not have cumulative voting rights, our stockholders holding a majority of the shares of common stock outstanding will be able to elect all of our directors. Our amended and restated certificate of incorporation and amended and restated bylaws will provide that all stockholder action must be effected at a duly called meeting of stockholders and not by a consent in writing, and that only our board of directors, chairman of the board, chief executive officer, or president (in the absence of a chief executive officer) or holder of greater than 10% of our common stock may call a special meeting of stockholders. Our amended and restated certificate of incorporation will require a 66 ²/₃% stockholder vote for the amendment, repeal or modification of certain provisions of our amended and restated certificate of incorporation and amended and restated bylaws relating to the absence of cumulative voting, the classification of our board of directors, the

requirement that stockholder actions be effected at a duly called meeting, and the designated parties entitled to call a special meeting of the stockholders.

The combination of the classification of our board of directors, the lack of cumulative voting and the 66 ²/₃% stockholder voting requirements will make it more difficult for our existing stockholders to replace our board of directors as well as for another party to obtain control of us by replacing our board of directors. Since our board of directors has the power to retain and discharge our officers, these provisions could also make it more difficult for existing stockholders or another party to effect a change in management. In addition, the authorization of undesignated preferred stock makes it possible for our board of directors to issue preferred stock with voting or other rights or preferences that could impede the success of any attempt to change our control.

These provisions may have the effect of deterring hostile takeovers or delaying changes in our control or management. These provisions are intended to enhance the likelihood of continued stability in the composition of our board of directors and its policies and to discourage certain types of transactions that may involve an actual or threatened change in control. These provisions are designed to reduce our vulnerability to an unsolicited acquisition proposal. The provisions also are intended to discourage certain tactics that may be used in proxy fights. However, such provisions could have the effect of discouraging others from making tender offers for our shares and, as a consequence, they also may inhibit fluctuations in the market price of our shares that could result from actual or rumored takeover attempts. Such provisions may also have the effect of preventing changes in our management.

Section 203 of the Delaware General Corporation Law

We are subject to Section 203 of the Delaware General Corporation Law, which prohibits a Delaware corporation from engaging in any business combination with any interested stockholder for a period of three years after the date that such stockholder became an interested stockholder, with the following exceptions:

- before such date, the board of directors of the corporation approved either the business combination or the transaction that resulted in the stockholder becoming an interested holder;
- upon completion of the transaction that resulted in the stockholder becoming an interested stockholder, the interested stockholder owned at least 85% of the voting stock of the corporation outstanding at the time the transaction began, excluding for purposes of determining the voting stock outstanding (but not the outstanding voting stock owned by the interested stockholder) those shares owned (i) by persons who are directors and also officers and (ii) employee stock plans in which employee participants do not have the right to determine confidentially whether shares held subject to the plan will be tendered in a tender or exchange offer; or
- on or after such date, the business combination is approved by the board of directors and authorized at an annual or special meeting of the stockholders, and not by written consent, by the affirmative vote of at least 66 ²/₃% of the outstanding voting stock that is not owned by the interested stockholder.

In general, Section 203 defines business combination to include the following:

- any merger or consolidation involving the corporation and the interested stockholder;
- any sale, transfer, pledge or other disposition of 10% or more of the assets of the corporation involving the interested stockholder;

- subject to certain exceptions, any transaction that results in the issuance or transfer by the corporation of any stock of the corporation to the interested stockholder;
- any transaction involving the corporation that has the effect of increasing the proportionate share of the stock or any class or series of the corporation beneficially owned by the interested stockholder; or
- the receipt by the interested stockholder of the benefit of any loss, advances, guarantees, pledges or other financial benefits by or through the corporation.

In general, Section 203 defines an "interested stockholder" as an entity or person who, together with the person's affiliates and associates, beneficially owns, or within three years prior to the time of determination of interested stockholder status did own, 15% or more of the outstanding voting stock of the corporation.

Transfer Agent and Registrar

The transfer agent and registrar for our common stock is Mellon Investor Services LLC.

Nasdaq National Market Listing

We have applied to have our stock approved for quotation on the Nasdaq National Market under the symbol "SNSS."

U.S. FEDERAL INCOME TAX CONSEQUENCES TO NON-U.S. HOLDERS

The following is a general discussion of certain material U.S. federal income and estate tax consequences of the ownership and disposition of our common stock by a beneficial owner thereof that is a "Non-U.S. Holder." A "Non-U.S. Holder" is a person or entity that, for U.S. federal income tax purposes, is a non-resident alien individual, a foreign corporation or a foreign estate or trust. The test for whether an individual is a resident of the U.S. for federal estate tax purposes differs from the test used for federal income tax purposes.

This discussion is based on the U.S. Internal Revenue Code of 1986, as amended, judicial decisions and administrative regulations and interpretations in effect as of the date of this prospectus, all of which are subject to change, including changes with retroactive effect. This discussion does not address all aspects of U.S. federal income and estate taxation that may be relevant to Non-U.S. Holders in light of their particular circumstances (including, without limitation, Non-U.S. Holders who are "controlled foreign corporations," "passive foreign investment companies," U.S. expatriates, pass-through entities or who hold their common stock through pass-through entities) and does not address any tax consequences arising under the laws of any state, local or non-U.S. jurisdiction. Prospective holders should consult their tax advisors with respect to the federal income and estate tax consequences of holding and disposing of our common stock in light of their particular situations and any consequences to them arising under the laws of any state, local or non-U.S. jurisdiction.

Dividends

Subject to the discussion below, distributions, if any, made to a Non-U.S. Holder of our common stock out of our current or accumulated earnings and profits generally will constitute dividends for U.S. tax purposes and will be subject to withholding tax at a 30% rate or such lower rate as may be specified by an applicable income tax treaty. To obtain a reduced rate of withholding under a treaty, a Non-U.S. Holder generally will be required to provide us with a properly-executed IRS Form W-8BEN certifying the Non-U.S. Holder's entitlement to benefits under that treaty. Treasury Regulations and the applicable treaty provide rules to determine whether, for purposes of determining the applicability of a tax treaty, dividends paid to a Non-U.S. Holder that is an entity should be treated as paid to the entity or to those holding an interest in that entity. To the extent distributions exceed our current and accumulated earnings and profits, they will constitute a return of capital and will first reduce the Non-U.S. Holder's basis in our common stock, but not below zero, and then will be treated as gain from the sale of stock.

There will be no withholding tax on dividends paid to a Non-U.S. Holder that are effectively connected with the Non-U.S. Holder's conduct of a trade or business within the United States if a properly-executed IRS Form W-8ECI, stating that the dividends are so connected, is provided to us. Instead, the effectively connected dividends will be subject to regular U.S. income tax, generally in the same manner as if the Non-U.S. Holder were a U.S. citizen or resident alien or a domestic corporation, as the case may be, unless a specific treaty exemption applies. A corporate Non-U.S. Holder receiving effectively connected dividends may also be subject to an additional "branch profits tax," which is imposed, under certain circumstances, at a rate of 30% (or such lower rate as may be specified by an applicable treaty) on the corporate Non-U.S. Holder's effectively connected earnings and profits, subject to certain adjustments. If you are eligible for a reduced rate of withholding tax pursuant to a tax treaty, you may obtain a refund of any excess amounts currently withheld if you file an appropriate claim for refund with the U.S. Internal Revenue Service.

Gain on Disposition of Common Stock

A Non-U.S. Holder generally will not be subject to U.S. federal income tax with respect to gain realized on a sale or other disposition of our common stock unless (i) the gain is effectively connected

with a trade or business of such holder in the United States or, if a treaty applies, is attributable to a permanent establishment of the Non-U.S. Holder in the U.S., (ii) in the case of Non-U.S. Holders who are nonresident alien individuals and hold our common stock as a capital asset, such individuals are present in the United States for 183 or more days in the taxable year of the disposition and certain other conditions are met, or (iii) our common stock constitutes a U.S. real property interest by reason of our status as a "United States real property holding corporation," or USRPHC, for U.S. federal income tax purposes at any time during the shorter of the period during which you hold our common stock or the five-year period ending on the date on which you dispose of shares of our common stock and, if our common stock is treated as regularly traded on an established securities market (within the meaning of applicable Treasury regulations), you held, directly or indirectly, at any time within the five-year period preceding the disposition, more than 5% of our common stock.

If you are a Non-U.S. Holder described in (i) above, you will be required to pay tax on the net gain derived from the sale at regular graduated U.S. federal income tax rates, and corporate Non-U.S. Holders described in (i) above may be subject to the branch profits tax at a 30% rate or such lower rate as may be specified by an applicable income tax treaty. If you are an individual Non-U.S. Holder described in (ii) above, you will be required to pay a flat 30% tax on the gain derived from the sale, which tax may be offset by U.S. source capital losses (even though you are not considered a resident of the United States).

The determination of whether we are a USRPHC depends on the fair market value of our U.S. real property interests relative to the fair market value of our interests in real property located outside the U.S. and the fair market value of our other business assets. While we believe that we are not a USRPHC, there can be no assurances that we are not a USRPHC. Even if we are not a USRPHC at the present time, since the determination of USRPHC status in the future will be based upon the composition of our assets from time to time, there can be no assurances that we will not become a USRPHC in the future. However, as indicated above, so long as our common stock is treated as "regularly traded" on an established securities market (within the meaning of applicable Treasury regulations), our common stock will not be treated as a U.S. real property interest unless you hold, directly or indirectly, at any time within the five-year period preceding your disposition, more than 5% of our common stock. If any gain on your disposition is taxable because we are a USRPHC and your ownership of our common stock exceeds 5%, you will be taxed on such disposition generally in the manner applicable to U.S. persons and in addition, the purchaser of your common stock may be required to withhold a tax equal to 10% of the amount realized on the sale. You should consult your tax advisor regarding the application of the USRPHC rules discussed above to a disposition by you of our common stock.

Information Reporting Requirements and Backup Withholding

Generally, we must report to the U.S. Internal Revenue Service the amount of dividends paid, the name and address of the recipient, and the amount, if any, of tax withheld. A similar report is sent to the holder. Pursuant to tax treaties or certain other agreements, the U.S. Internal Revenue Service may make its reports available to tax authorities in the recipient's country of residence.

Backup withholding will generally not apply to payments of dividends made by us or our paying agents to a Non-U.S. Holder if the holder has provided its federal taxpayer identification number, if any, or the required certification that it is not a U.S. person (which is generally provided by furnishing a properly-executed IRS Form W-8BEN), unless the payer otherwise has knowledge or reason to know that the payee is a U.S. person.

Under current U.S. federal income tax law, information reporting and backup withholding will apply to the proceeds of a disposition of our common stock effected by or through a U.S. office of a broker unless the disposing holder certifies as to its non-U.S. status or otherwise establishes an

exemption. Generally, U.S. information reporting and backup withholding will not apply to a payment of disposition proceeds where the transaction is effected outside the United States through a non-U.S. office of a non-U.S. broker. However, unless the Non-U.S. Holder is entitled to an exemption, U.S. information reporting requirements (but not backup withholding) will apply to a payment of disposition proceeds where the transaction is effected outside the United States by or through an office outside the United States of a broker that fails to maintain documentary evidence that the holder is a Non-U.S. Holder and that certain conditions are met and the broker is (i) a U.S. person, (ii) a foreign person which derived 50% or more of its gross income for certain periods from the conduct of a trade or business in the United States, (iii) a "controlled foreign corporation" for U.S. federal income tax purposes, or (iv) a foreign partnership (a) at least 50% of the capital or profits interest in which is owned by U.S. persons, or (b) that is engaged in a U.S. trade or business. Backup withholding may apply to a payment of disposition proceeds if the broker has actual knowledge that the holder is a U.S. person.

Backup withholding is not an additional tax. Rather, the tax liability of persons subject to backup withholding will be reduced by the amount of tax withheld. If withholding results in an overpayment of taxes, a refund may be obtained, provided that the required information is furnished to the U.S. Internal Revenue Service.

Federal Estate Tax

An individual who at the time of death is not a citizen or resident of the United States and who is treated as the owner of, or has made certain lifetime transfers of, an interest in our common stock will be required to include the value thereof in his gross estate for U.S. federal estate tax purposes, and may be subject to U.S. federal estate tax unless an applicable estate tax treaty provides otherwise.

SHARES ELIGIBLE FOR FUTURE SALE

Prior to this offering, no public market existed for our common stock. Market sales of shares of our common stock after this offering and from time to time, and the availability of shares for future sale, may reduce the market price of our common stock. Sales of substantial amounts of our common stock, or the perception that these sales could occur, could harm prevailing market prices for our common stock and could impair our future ability to obtain capital, especially through an offering of equity securities.

Based on 40,807,287 shares outstanding on March 31, 2005, we will have _____ shares of common stock outstanding upon completion of this offering, assuming no outstanding options or warrants are exercised prior to the closing of this offering. Of those shares, the _____ shares of common stock sold in this offering will be freely transferable without restriction, unless purchased by persons deemed to be our "affiliates" as that term is defined in Rule 144 under the Securities Act. Any shares purchased by an affiliate may not be resold except pursuant to an effective registration statement or an applicable exemption from registration, including an exemption under Rule 144 promulgated under the Securities Act. The remaining _____ shares of common stock to be outstanding immediately following the completion of this offering are "restricted," which means they were originally sold in offerings that were not registered under the Securities Act. These restricted shares may only be sold through registration under the Securities Act or under an available exemption from registration, such as provided through Rule 144, 144(k) or Rule 701.

Taking into account the lock-up agreements described below, the number of shares that will be available for sale in the public market under the provisions of Rule 144, 144(k) and 701 will be as follows:

| Days After the Effective Date | Number of Shares Eligible for Sale in the U.S. Public Market/Percent of Outstanding Stock | Comment |
|---------------------------------|--|--|
| Upon completion of offering | | Shares sold by us in this offering |
| At various times after 180 days | | Shares eligible for sale under Rules 144, 144(k) and 701 |

Additionally, of the 7,052,975 shares issuable upon exercise of options to purchase our common stock outstanding as of March 31, 2005, approximately 3,392,814 shares were vested and will be eligible for sale pursuant to Rule 701 180 days after the completion of this offering.

Rule 144

In general, under Rule 144, a person (or persons whose shares are aggregated), including an affiliate, who has beneficially owned shares of our common stock for one year or more, including the holding period of any prior owner other than one of our affiliates, is entitled to sell within any three-month period a number of shares that does not exceed the greater of:

- one percent of the number of shares of our common stock then outstanding, which will equal _____ shares; or
- the average weekly trading volume of our common stock on the Nasdaq National Market during the four calendar weeks preceding the filing of a notice on Form 144 with respect to the sale.

Sales of restricted shares under Rule 144 are also subject to requirements on the manner of sale, notice and the availability of our current public information. Rule 144 also provides that affiliates that sell shares of our common stock that are not restricted shares must nonetheless comply with the same restrictions applicable to restricted shares, other than the holding period requirement.

Rule 144(k)

Under Rule 144(k), a person (or persons whose shares are aggregated) who is deemed not to have been our affiliate at any time during the 90 days preceding a sale and who has beneficially owned the shares proposed to be sold for at least two years, including the holding period of any prior owner other than one of our affiliates, is entitled to sell restricted shares under Rule 144(k) without complying with the volume limitations, manner of sale provisions, notice requirements or the provisions relating to the availability of current public information.

Rule 701

Under Rule 701, shares of our common stock acquired upon the exercise of currently outstanding options or pursuant to other rights granted under our stock plans may be resold, beginning 90 days after the date of this prospectus, to the extent not subject to lock-up agreements, by:

- persons other than affiliates, subject only to the manner-of-sale provisions of Rule 144; and
- our affiliates, subject to the manner-of-sale, current public information and filing requirements of Rule 144, in each case, without compliance with the one-year holding period requirement of Rule 144.

As of March 31, 2005, options to purchase a total of 7,052,975 shares of common stock were outstanding, of which approximately 3,392,814 were vested. All shares of our common stock issuable under these options are subject to contractual lock-up agreements with us or the underwriters.

Form S-8 Registration Statements

Upon completion of this offering, we intend to file one or more registration statements on Form S-8 under the Securities Act to register shares of common stock reserved for issuance under our 1998 Plan, 2001 Plan, 2005 Plan and ESPP, thus permitting the resale of such shares by non-affiliates in the public market without restriction under the Securities Act. Such registration statements will become effective immediately upon filing.

Lock-up Agreements

Each of our executive officers and directors and substantially all of our stockholders entered into lock-up agreements pursuant to which they have agreed, subject to limited exceptions, not to offer, sell, or otherwise transfer or dispose of, directly or indirectly, any shares of common stock or securities convertible into or exchangeable or exercisable for shares of common stock for a period of 180 days from the date of this prospectus without the prior written consent of Lehman Brothers Inc. and SG Cowen & Co., LLC. The lock-up agreements permit transfers of shares of our common stock subject to certain restrictions, transfers of shares as a gift to trusts or immediate family members or to certain entities or persons affiliated with the stockholder. Lehman Brothers Inc. and SG Cowen & Co., LLC may, in their joint discretion, at any time and without notice, release for sale in the public market all or any portion of the shares subject to the lock-up agreements. All of the shares that are not subject to the underwriters' lock-up agreements are subject to similar contractual lock-up restrictions with us. After the 180-day lock-up period, these shares may be sold, subject to applicable securities laws. Notwithstanding the foregoing, for the purpose of allowing the underwriters to comply with NASD Rule 2711(f)(4), if, under certain circumstances, we release earnings results or material news or make certain announcements that we will release earnings results, or a material event relating to us occurs, then the 180-day lock-up period will be extended until 18 days following the date of release of the earnings results or the occurrence of the material news or material event, as applicable.

Registration Rights

After the offering, the holders of approximately 37,103,072 shares of our common stock, including 737,723 shares issuable upon exercise of outstanding warrants, will be entitled to registration rights. For more information on these registration rights, see "Description of Capital Stock—Registration Rights."

UNDERWRITING

We are offering shares of our common stock described in this prospectus through the underwriters named below. Lehman Brothers Inc. and SG Cowen & Co., LLC are acting as joint book-running managers for this offering. We have entered into an underwriting agreement with the underwriters. Subject to the terms and conditions of the underwriting agreement, each of the underwriters has severally agreed to purchase the following respective number of shares of our common stock:

| <u>Underwriters</u> | <u>Number of Shares</u> |
|------------------------|-------------------------|
| Lehman Brothers Inc. | |
| SG Cowen & Co., LLC | |
| Needham & Company, LLC | |
| | |
| | |
| Total | |

The underwriting agreement provides that the underwriters are obligated to purchase all the shares of common stock in the offering if any are purchased, other than those shares covered by the underwriters' option described below. The underwriting agreement also provides that if an underwriter defaults, the purchase commitments of non-defaulting underwriters may be increased or the offering may be terminated.

Option to Purchase Additional Shares

We have granted to the underwriters a 30-day option to purchase from time to time, in whole or in part, on a pro rata basis up to additional shares at the initial public offering price less underwriting discounts and commissions. The option may be exercised if the underwriters sell more than _____ shares in the offering.

Commission and Discount

The underwriters propose to offer the shares of common stock initially at the public offering price on the cover page of this prospectus and to selling group members at that price less a selling concession of \$ _____ per share. The underwriters and selling group members may allow a discount of \$ _____ per share on sales to other broker dealers. After the initial public offering, the underwriters may change the public offering price and concession and discount to broker dealers.

The following table summarizes the compensation and estimated expenses we will pay:

| | Per Share | | Total | |
|---|----------------------------|-------------------------|----------------------------|-------------------------|
| | Without Exercise of Option | With Exercise of Option | Without Exercise of Option | With Exercise of Option |
| Underwriting discounts and commissions paid by us | \$ | \$ | \$ | \$ |
| Estimated expenses payable by us | \$ | \$ | \$ | \$ |

Discretionary Sales

The representatives have informed us that the underwriters do not expect discretionary sales to exceed 5% of the shares of common stock being offered.

No Sales of Similar Securities

We have agreed that we will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, or file with the SEC a registration statement under the Securities Act relating to, any shares of our common stock or securities convertible into or exchangeable or exercisable for any shares of our common stock, or publicly disclose the intention to make any offer, sale, pledge, disposition or filing, without the prior written consent of Lehman Brothers Inc. and SG Cowen & Co., LLC for a period of 180 days after the date of this prospectus, subject to specified exceptions.

Our officers, directors and substantially all of our stockholders have agreed that they will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, any shares of our common stock or securities convertible into or exchangeable or exercisable for any shares of our common stock, enter into a transaction that would have the same effect, or enter into any swap, hedge or other arrangement that transfers, in whole or in part, any of the economic consequences of ownership of our common stock, whether any of these transactions are to be settled by delivery of our common stock or other securities, in cash or otherwise, or publicly disclose the intention to make any offer, sale, pledge or disposition, or to enter into any transaction, swap, hedge or other arrangement, without, in each case, the prior written consent of Lehman Brothers Inc. and SG Cowen & Co., LLC for a period of 180 days after the date of this prospectus, subject to specified exceptions.

Indemnification and Contribution

We have agreed to indemnify the underwriters against liabilities under the Securities Act, or contribute to payments that the underwriters may be required to make in that respect.

Nasdaq National Market Quotation

We have applied to have our common stock approved for quotation on the Nasdaq National Market under the symbol "SNSS."

Offering Price Determination

Prior to the offering, there has been no public market for the common stock. The initial public offering price for the common stock will be determined by negotiation between us and the underwriters, and does not reflect the market price for the common stock following the offering. The principal factors considered in determining the initial public offering price will include:

- the history of and prospects for our industry and for biopharmaceutical companies generally;
- an assessment of our management;
- our present operations;
- our historical results of operations;
- our earnings prospects;
- the general condition of the securities markets at the time of the offering; and
- the recent market prices of, and the demand for, publicly traded common stock of generally comparable companies.

We cannot be sure that the initial public offering price will correspond to the price at which the common stock will trade in the public market following this offering or that an active trading market for the common stock will develop and continue after this offering.

Price Stabilization, Short Positions and Penalty Bids

In connection with the offering, the underwriters may engage in stabilizing transactions, short sales and purchases to cover positions created by short sales and penalty bids in accordance with Regulation M under the Securities Exchange Act of 1934.

- Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specified maximum.
- A short position involves a sale by the underwriters of shares in excess of the number of shares the underwriters are obligated to purchase, which creates a syndicate short position. The short position may be either a covered short position or a naked short position. In a covered short position, the number of shares involved in the sales made by the underwriters is not greater than the number of shares that they may purchase in their option to purchase additional shares. In a naked short position, the number of shares involved is greater than the number of shares in their option to purchase additional shares. The underwriters may close out any covered short position by either exercising their option to purchase additional shares and/or purchasing shares in the open market. In determining the source of shares to close out the short position, the underwriters will consider, among other things, the price of shares available for purchase in the open market as compared to the price at which they may purchase shares through their option to purchase additional shares. If the underwriters sell more shares than could be covered by their option to purchase additional shares (i.e., a naked short position), the position can only be closed out by buying shares in the open market. A naked short position is more likely to be created if the underwriters are concerned that there could be downward pressure on the price of the shares in the open market after pricing that could adversely affect investors who purchase in the offering.
- Syndicate covering transactions involve purchases of the common stock in the open market after the distribution has been completed in order to cover syndicate short positions.
- Penalty bids permit the underwriters to reclaim a selling concession from a syndicate member when the common stock originally sold by the syndicate member is purchased in a stabilizing or syndicate covering transaction to cover syndicate short positions.

These stabilizing transactions, syndicate covering transactions and penalty bids may have the effect of raising or maintaining the market price of our common stock or preventing or retarding a decline in the market price of our common stock. As a result, the price of our common stock may be higher than the price that might otherwise exist in the open market. These transactions may be effected on the Nasdaq National Market or otherwise and, if commenced, may be discontinued at any time.

Electronic Distribution

A prospectus in electronic format may be made available on the Internet sites or through other online services maintained by one or more of the underwriters and/or selling group members participating in this offering or by their affiliates. In those cases, prospective investors may view offering terms online and, depending upon the particular underwriter or selling group member, prospective investors may be allowed to place orders online. The underwriters may agree with us to allocate a specific number of shares for sale to online brokerage account holders. Any such allocation for online distributions will be made by the representatives on the same basis as other allocations.

Other than the prospectus in electronic format, the information on any underwriter's or selling group member's website and any information contained in any other website maintained by an underwriter or selling group member is not part of this prospectus or the registration statement of which this prospectus forms a part, has not been approved and/or endorsed by us or any underwriter or

selling group member in its capacity as underwriter or selling group member and should not be relied upon by investors.

Stamp Taxes

If you purchase shares of common stock offered in this prospectus, you may be required to pay stamp taxes and other charges under the laws and practices of the country of purchase, in addition to the offering price listed on the cover page of this prospectus.

Relationships

The underwriters may in the future perform investment banking and advisory services for us from time to time for which they may in the future receive customary fees and expenses. The underwriters may, from time to time, engage in transactions with or perform services for us in the ordinary course of their business.

LEGAL MATTERS

The validity of the shares of common stock offered hereby has been passed upon for Sunesis Pharmaceuticals, Inc. by Latham & Watkins LLP, Menlo Park, California. Cooley Godward LLP, Palo Alto, California, is counsel for the underwriters in connection with this offering.

EXPERTS

Ernst & Young LLP, independent registered public accounting firm, have audited our financial statements at December 31, 2003 and 2004 and for the each of the three years in the period ended December 31, 2004, as set forth in their report. We have included our financial statements in this prospectus and elsewhere in the registration statement in reliance on Ernst & Young LLP's report, given on their authority as experts in accounting and auditing.

WHERE YOU CAN FIND MORE INFORMATION

We have filed with the SEC a registration statement on Form S-1 under the Securities Act that registers the shares of our common stock to be sold in this offering. The registration statement, including the attached exhibits and schedules, contains additional relevant information about us and our capital stock. The rules and regulations of the SEC allow us to omit from this prospectus certain information included in the registration statement. For further information about us and our common stock, you should refer to the registration statement and the exhibits and schedules filed with the registration statement. With respect to the statements contained in this prospectus regarding the contents of any agreement or any other document, in each instance, the statement is qualified in all respects by the complete text of the agreement or document, a copy of which has been filed as an exhibit to the registration statement. In addition, upon completion of this offering, we will file reports, proxy statements and other information with the SEC under the Securities Exchange Act of 1934. You may obtain copies of this information by mail from the Public Reference Section of the SEC, 450 Fifth Street, N.W., Room 1024, Washington, D.C. 20549, at prescribed rates. You may obtain information on the operation of the public reference rooms by calling the SEC at 1-800-SEC-0330. The SEC also maintains an Internet website that contains reports, proxy statements and other information about issuers, like us, that file electronically with the SEC. The address of that website is www.sec.gov.

We intend to provide our stockholders with annual reports containing audited financial statements, with an opinion expressed by an independent accounting firm and to file with the SEC quarterly reports containing unaudited combined financial data for the first three quarters of each year.

INDEX TO FINANCIAL STATEMENTS

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REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

The Board of Directors and Stockholders
Sunesis Pharmaceuticals, Inc.

We have audited the accompanying balance sheets of Sunesis Pharmaceuticals, Inc. as of December 31, 2003 and 2004, and the related statements of operations, convertible preferred stock and stockholders' deficit, and cash flows for each of the three years in the period ended December 31, 2004. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits.

We conducted our audits in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audits provide a reasonable basis for our opinion.

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of Sunesis Pharmaceuticals, Inc. at December 31, 2003 and 2004, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2004, in conformity with U.S. generally accepted accounting principles.

/s/ ERNST & YOUNG LLP

San Jose, California
January 21, 2005

Sunesis Pharmaceuticals, Inc.
Balance Sheets

| | December 31, | | March 31, | Pro forma |
|--|----------------------|----------------------|----------------------|--|
| | 2003 | 2004 | 2005 | stockholders' equity at March 31, 2005 |
| | | | (unaudited) | (unaudited) |
| Assets | | | | |
| Current assets: | | | | |
| Cash and cash equivalents | \$ 10,477,503 | \$ 7,587,512 | \$ 4,883,613 | |
| Marketable securities | 23,365,382 | 29,224,509 | 24,013,265 | |
| Notes and interest receivable from officers and employees | 11,700 | 163,720 | 167,021 | |
| Prepays and other current assets | 924,539 | 1,675,539 | 1,950,790 | |
| Total current assets | 34,779,124 | 38,651,280 | 31,014,689 | |
| Notes and interest receivable from officers and employees | 236,488 | 85,350 | 85,350 | |
| Property and equipment, net | 4,990,588 | 3,989,357 | 3,887,185 | |
| Deposits and other assets | 300,000 | 300,000 | 300,000 | |
| Total assets | \$ 40,306,200 | \$ 43,025,987 | \$ 35,287,224 | |
| Liabilities and stockholders' deficit | | | | |
| Current liabilities: | | | | |
| Accounts payable | \$ 980,661 | \$ 1,662,535 | \$ 771,878 | |
| Accrued compensation | 1,256,679 | 1,599,217 | 884,683 | |
| Other accrued liabilities | 89,582 | 359,404 | 409,027 | |
| Current portion of deferred revenue | 3,074,549 | 6,031,895 | 4,964,910 | |
| Current portion of equipment financing | 2,169,630 | 1,291,363 | 1,241,307 | |
| Total current liabilities | 7,571,101 | 10,944,414 | 8,271,805 | |
| Deferred revenue | 4,098,528 | 7,677,805 | 6,471,851 | |
| Borrowings under debt facility with related party | 1,600,000 | 3,200,000 | 3,600,000 | |
| Non current portion of equipment financing | 1,648,610 | 1,238,430 | 1,155,410 | |
| Deferred rent and other non-current liabilities | 942,394 | 1,196,288 | 1,249,417 | |
| Commitments | | | | |
| Convertible preferred stock, \$0.0001 par value; 38,582,000 shares authorized, issuable in series: | | | | |
| Series A, 8,682,000 shares designated, 8,467,500 shares issued and outstanding at December 31, 2003 and 2004 and March 31, 2005 (unaudited) (aggregate liquidation preference of \$8,467,500 at December 31, 2003 and 2004 and March 31, 2005 (unaudited)); no shares outstanding pro forma (unaudited) | 8,445,567 | 8,445,567 | 8,445,567 | \$ — |
| Series B, 10,600,000 shares designated, 9,690,771 shares issued and outstanding at December 31, 2003 and 2004 and March 31, 2005 (unaudited) (aggregate liquidation preference of \$25,196,005 at December 31, 2003 and 2004 and March 31, 2005 (unaudited)); no shares outstanding pro forma (unaudited) | 24,388,838 | 24,388,838 | 24,388,838 | — |
| Series C, 13,250,000 shares designated, 12,500,000 shares issued and outstanding at December 31, 2003 and 2004 and March 31, 2005 (unaudited) (aggregate liquidation preference of \$60,000,000 at December 31, 2003 and 2004 and March 31, 2005 (unaudited)); no shares outstanding pro forma (unaudited) | 56,001,692 | 56,001,692 | 56,001,692 | — |
| Series C-1, 1,250,000 shares designated, issued and outstanding at December 31, 2003 and 2004 and March 31, 2005 (unaudited) (aggregate liquidation preference of \$6,000,000 at December 31, 2003 and 2004 and March 31, 2005 (unaudited)); no shares outstanding pro forma (unaudited) | 5,985,372 | 5,985,372 | 5,985,372 | — |
| Series C-2, 4,800,000 shares designated, 2,916,667 shares issued and outstanding at December 31, 2004 and March 31, 2005 (unaudited) (aggregate liquidation preference of \$14,000,000 at December 31, 2004 and March 31, 2005 (unaudited)); no shares outstanding pro forma (unaudited) | — | 13,991,150 | 13,991,150 | — |
| Stockholders' equity (deficit): | | | | |
| Common stock, \$0.0001 par value: 110,000,000 shares authorized; 5,442,573, 5,908,226 and 5,982,349 shares issued and outstanding at December 31, 2003 and 2004 and March 31, 2005 (unaudited), respectively; 40,807,287 shares outstanding pro forma (unaudited) | 544 | 591 | 598 | 4,080 |
| Additional paid-in capital | 2,722,341 | 6,492,926 | 6,645,851 | 115,454,988 |
| Notes receivable from stockholders | (225,000) | (135,000) | (135,000) | (135,000) |
| Deferred stock compensation | — | (2,915,673) | (2,748,948) | (2,748,948) |
| Accumulated other comprehensive income (loss) | 12,656 | (69,770) | (87,731) | (87,731) |
| Accumulated deficit | (72,886,443) | (93,416,643) | (97,948,648) | (97,948,648) |
| Total stockholders' equity (deficit) | (70,375,902) | (90,043,569) | (94,273,878) | \$ 14,538,741 |
| Total liabilities, convertible preferred stock and stockholders' (deficit) | \$ 40,306,200 | \$ 43,025,987 | \$ 35,287,224 | |

See accompanying notes.

Sunesis Pharmaceuticals, Inc.
Statements of Operations

| | Year ended December 31, | | | Three months ended March 31, | |
|---|-------------------------|------------------------|------------------------|------------------------------|-----------------------|
| | 2002 | 2003 | 2004 | 2004 | 2005 |
| | | | | (unaudited) | |
| Revenue: | | | | | |
| Collaboration revenue | \$ 3,170,006 | \$ 6,842,290 | \$ 5,937,641 | \$ 1,362,189 | \$ 1,661,028 |
| Collaboration revenue from related party | 32,258 | 857,148 | 4,201,017 | 214,287 | 2,209,286 |
| Grant and fellowship revenue | 1,474,143 | 560,646 | 166,331 | 54,937 | 32,779 |
| Total revenue | 4,676,407 | 8,260,084 | 10,304,989 | 1,631,413 | 3,903,093 |
| Operating expenses: | | | | | |
| Research and development | 18,440,797 | 21,325,731 | 23,615,551 | 6,148,444 | 6,616,217 |
| General and administrative | 6,179,094 | 6,136,518 | 7,352,220 | 1,706,903 | 1,920,828 |
| Total operating expenses | 24,619,891 | 27,462,249 | 30,967,771 | 7,855,347 | 8,537,045 |
| Loss from operations | (19,943,484) | (19,202,165) | (20,662,782) | (6,223,934) | (4,633,952) |
| Interest income | 1,359,861 | 712,931 | 517,645 | 111,213 | 205,216 |
| Interest expense | (594,047) | (520,586) | (386,749) | (110,198) | (106,027) |
| Other income (expense), net | (4,590) | 4,662 | 1,686 | 7 | 2,758 |
| Net loss | \$ (19,182,260) | \$ (19,005,158) | \$ (20,530,200) | \$ (6,222,912) | \$ (4,532,005) |
| Basic and diluted net loss per share | \$ (4.41) | \$ (3.80) | \$ (3.71) | \$ (1.18) | \$ (0.77) |
| Shares used in computing basic and diluted net loss per share | 4,351,983 | 4,996,860 | 5,533,739 | 5,292,428 | 5,863,822 |
| Pro forma basic and diluted net loss per share (unaudited) | | | \$ (0.53) | | \$ (0.11) |
| Shares used in computing pro forma basic and diluted net loss per share (unaudited) | | | 38,637,365 | | 40,688,760 |

See accompanying notes.

Sunesis Pharmaceuticals, Inc.
Statements of Convertible Preferred Stock and Stockholders' Deficit

| | Convertible Preferred Stock | | Common Stock | | Additional Paid-In Capital | Notes Receivable from Stockholders | Deferred Stock Compensation | Accumulated Other Comprehensive Income (Loss) | Accumulated Deficit | Total Stockholders' Deficit |
|--|-----------------------------|---------------|--------------|--------|----------------------------|------------------------------------|-----------------------------|---|---------------------|-----------------------------|
| | Shares | Amount | Shares | Amount | | | | | | |
| Balance at December 31, 2001 | 30,658,271 | \$ 88,836,097 | 5,307,365 | \$ 531 | \$ 2,545,770 | \$ (265,807) | \$ — | \$ 303,081 | \$ (34,699,025) | \$ (32,115,450) |
| Issuance of common stock pursuant to stock option exercises at \$0.10 to \$0.60 per share, net of repurchases | — | — | 71,458 | 7 | 34,639 | — | — | — | — | 34,646 |
| Issuance of common stock in exchange for services | — | — | 2,694 | — | 1,562 | — | — | — | — | 1,562 |
| Expense related to fair value of options granted to nonemployees | — | — | — | — | 54,286 | — | — | — | — | 54,286 |
| Issuance of Series C-1 convertible preferred stock to investors at \$4.80 per share for cash in December 2002, net of issuance costs of \$14,628 | 1,250,000 | 5,985,372 | — | — | — | — | — | — | — | — |
| Repayment of stockholder note in June 2002 | — | — | — | — | — | 7,081 | — | — | — | 7,081 |
| Reclassification of interest on notes to interest receivable | — | — | — | — | — | 8,340 | — | — | — | 8,340 |
| Components of comprehensive loss: | | | | | | | | | | |
| Net loss | — | — | — | — | — | — | — | — | (19,182,260) | (19,182,260) |
| Unrealized loss on investments | — | — | — | — | — | — | — | (235,991) | — | (235,991) |
| Comprehensive loss | | | | | | | | | | (19,418,251) |
| Balance at December 31, 2002 | 31,908,271 | 94,821,469 | 5,381,517 | 538 | 2,636,257 | (250,386) | — | 67,090 | (53,881,285) | (51,427,786) |
| Issuance of common stock pursuant to stock options exercises at \$0.10 to \$0.60 per share, net of repurchases | — | — | 61,056 | 6 | 41,162 | — | — | — | — | 41,168 |
| Repayment of stockholder note in June 2003 | — | — | — | — | — | 25,386 | — | — | — | 25,386 |
| Expenses related to fair value of options granted to nonemployees | — | — | — | — | 36,098 | — | — | — | — | 36,098 |
| Issuance of warrant to purchase preferred stock in connection with financing arrangement | — | — | — | — | 8,824 | — | — | — | — | 8,824 |
| Components of comprehensive loss: | | | | | | | | | | |
| Net loss | — | — | — | — | — | — | — | — | (19,005,158) | (19,005,158) |
| Unrealized loss on investments | — | — | — | — | — | — | — | (54,434) | — | (54,434) |
| Comprehensive loss | | | | | | | | | | (19,059,592) |
| Balance at December 31, 2003 | 31,908,271 | 94,821,469 | 5,442,573 | 544 | 2,722,341 | (225,000) | — | 12,656 | (72,886,443) | (70,375,902) |
| Issuance of common stock pursuant to stock options exercises at \$0.10 to \$0.60 per share for cash, net of unvested stock options exercised early | — | — | 465,653 | 47 | 233,116 | — | — | — | — | 233,163 |
| Deferred stock compensation related to employee stock option grants, net of cancellations | — | — | — | — | 3,339,691 | — | (3,339,691) | — | — | — |
| Amortization deferred stock compensation | — | — | — | — | — | — | 424,018 | — | — | 424,018 |
| Expenses related to fair value of options granted to nonemployees | — | — | — | — | 194,474 | — | — | — | — | 194,474 |
| Issuance of warrant to purchase preferred stock in connection with financing arrangement | — | — | — | — | 3,304 | — | — | — | — | 3,304 |
| Issuance of Series C-2 convertible preferred stock to investors at \$4.80 per share for cash in Sept, 2004, net of issuance costs of \$8,850 | 2,916,667 | 13,991,150 | — | — | — | — | — | — | — | — |
| Repayment of stockholder note in April, 2004 | — | — | — | — | — | 90,000 | — | — | — | 90,000 |
| Components of comprehensive loss: | | | | | | | | | | |
| Net loss | — | — | — | — | — | — | — | — | (20,530,200) | (20,530,200) |
| Unrealized loss on investments | — | — | — | — | — | — | — | (82,426) | — | (82,426) |

| | | | | | | | | | | |
|--|-------------------|-----------------------|------------------|---------------|---------------------|---------------------|-----------------------|--------------------|------------------------|------------------------|
| Comprehensive loss | | | | | | | | | | (20,612,626) |
| Balance at December 31, 2004 | 34,824,938 | 108,812,619 | 5,908,226 | 591 | 6,492,926 | (135,000) | (2,915,673) | (69,770) | (93,416,643) | (90,043,569) |
| Issuance of common stock pursuant to stock options exercises at \$0.30 to \$0.60 per share, including vesting of stock options exercised early (unaudited) | — | — | 74,123 | 7 | 43,467 | — | — | — | — | 43,474 |
| Deferred stock compensation related to employee stock option grants (unaudited) | — | — | — | — | 43,757 | — | (43,757) | — | — | — |
| Amortization deferred stock compensation (unaudited) | — | — | — | — | — | — | 210,482 | — | — | 210,482 |
| Expenses related to fair value of options granted to nonemployees (unaudited) | — | — | — | — | 65,701 | — | — | — | — | 65,701 |
| Components of comprehensive loss: | | | | | | | | | | |
| Net loss (unaudited) | — | — | — | — | — | — | — | — | (4,532,005) | (4,532,005) |
| Unrealized loss on investments (unaudited) | — | — | — | — | — | — | — | (17,961) | — | (17,961) |
| Comprehensive loss (unaudited) | — | — | — | — | — | — | — | — | — | (4,549,966) |
| Balance at March 31, 2005 (unaudited) | 34,824,938 | \$ 108,812,619 | 5,982,349 | \$ 598 | \$ 6,645,851 | \$ (135,000) | \$ (2,748,948) | \$ (87,731) | \$ (97,948,648) | \$ (94,273,878) |

See accompanying notes.

Sunesis Pharmaceuticals, Inc.
Statements of Cash Flows

| | Year ended December 31, | | | Three months ended March 31, | |
|--|-------------------------|-----------------|-----------------|------------------------------|----------------|
| | 2002 | 2003 | 2004 | 2004 | 2005 |
| | | | | (unaudited) | |
| Cash flows from operating activities | | | | | |
| Net loss | \$ (19,182,260) | \$ (19,005,158) | \$ (20,530,200) | \$ (6,222,912) | \$ (4,532,005) |
| Adjustments to reconcile net loss to net cash used in operating activities: | | | | | |
| Depreciation and amortization | 2,177,427 | 2,630,042 | 2,170,808 | 666,034 | 421,900 |
| Stock compensation expense | 55,848 | 36,098 | 618,492 | 46,108 | 276,183 |
| Changes in operating assets and liabilities: | | | | | |
| Prepays and other current assets | (208,124) | (197,063) | (747,696) | (105,540) | (275,251) |
| Notes and interest receivable from officers and employees | (11,107) | (29,549) | (882) | — | (3,301) |
| Deposits and other assets | 39,533 | — | — | — | — |
| Accounts payable | (699,763) | 488,804 | 681,874 | 84,721 | (890,657) |
| Accrued compensation | 543,443 | 179,057 | 342,538 | (398,459) | (714,534) |
| Other accrued liabilities | 58,762 | (60,307) | 264,333 | 17,444 | 45,033 |
| Deferred rent | 377,249 | 280,407 | 253,894 | 72,406 | 53,129 |
| Deferred revenue | 2,936,486 | 3,799,090 | 6,536,623 | 230,461 | (2,272,939) |
| Net cash used in operating activities | (13,912,506) | (11,878,579) | (10,410,216) | (5,609,737) | (7,892,442) |
| Cash flows from investing activities | | | | | |
| Purchases of property and equipment, net | (1,060,223) | (1,666,959) | (1,169,577) | (195,719) | (319,728) |
| Purchases of marketable securities | (23,492,855) | (36,893,824) | (35,264,682) | (452,872) | (3,392,428) |
| Maturities of marketable securities | 39,224,825 | 44,310,576 | 29,323,129 | 5,691,615 | 8,585,711 |
| Net cash provided by (used in) investing activities | 14,671,747 | 5,749,793 | (7,111,130) | 5,043,024 | 4,873,555 |
| Cash flows from financing activities | | | | | |
| Proceeds from borrowings under debt facility with related party | — | 1,600,000 | 1,600,000 | 400,000 | 400,000 |
| Proceeds from borrowings under note payable and equipment loans | 1,688,293 | 1,415,385 | 935,036 | 102,270 | 233,111 |
| Payments on note payable and equipment loans | (2,128,148) | (2,793,770) | (2,223,483) | (613,254) | (366,187) |
| Proceeds from issuance of common stock and exercise of options, net of repurchases | 41,727 | 66,554 | 328,652 | 53,387 | 48,064 |
| Proceeds from issuance of convertible preferred stock, net of issuance costs | 5,985,372 | — | 13,991,150 | — | — |
| Net cash provided by (used in) financing activities | 5,587,244 | 288,169 | 14,631,355 | (57,597) | 314,988 |
| Net increase (decrease) in cash and cash equivalents | 6,346,485 | (5,840,617) | (2,889,991) | (624,310) | (2,703,899) |
| Cash and cash equivalents at beginning of period | 9,971,635 | 16,318,120 | 10,477,503 | 10,477,503 | 7,587,512 |
| Cash and cash equivalents at end of period | \$ 16,318,120 | \$ 10,477,503 | \$ 7,587,512 | \$ 9,853,193 | \$ 4,883,613 |
| Supplemental disclosure of cash flow information | | | | | |
| Interest paid | \$ 594,047 | \$ 520,586 | \$ 386,749 | \$ 110,198 | \$ 106,027 |
| Non-cash activities: | | | | | |
| Deferred stock based compensation | \$ — | \$ — | \$ 3,339,691 | \$ 787,865 | \$ 43,757 |
| Issuance of warrants for financing arrangement | \$ — | \$ 8,824 | \$ 3,304 | \$ — | \$ — |

See accompanying notes.



Sunesis Pharmaceuticals, Inc.

Notes to Financial Statements

**(Information as of March 31, 2005 and for the
three months ended March 31, 2004 and 2005 is unaudited)**

1. Organization and Summary of Significant Accounting Policies

Organization

Sunesis Pharmaceuticals, Inc. (the "Company") was incorporated in the state of Delaware on February 10, 1998, and its facilities are located in South San Francisco, California. Sunesis is a clinical-stage biopharmaceutical company focused on discovering, developing and commercializing novel small molecule therapeutics for oncology, inflammatory diseases and other unmet medical needs. The Company's primary activities since incorporation have been conducting research and development internally and through corporate collaborators, in-licensing pharmaceutical compounds, performing business and financial planning, and raising capital.

Need to Raise Additional Capital

The accompanying financial statements have been prepared assuming that the Company will continue as a going concern. The Company has incurred significant net losses and negative cash flows from operations since its inception. At March 31, 2005, the Company had an accumulated deficit of \$97,948,648. At March 31, 2005, management believes that currently available cash, cash equivalents and marketable securities together with amounts available to be borrowed under existing financing agreements will provide sufficient funds to enable the Company to meet its obligations at least through March 31, 2006. Management plans to continue to finance the Company's operations with a combination of equity issuances, debt arrangements, and revenues from collaborations with pharmaceutical companies, technology licenses, and in the longer term, product sales and royalties. If adequate funds are not available, the Company may be required to delay, reduce the scope of, or eliminate one or more of its development programs or obtain funds through collaborative arrangements with others that may require the Company to relinquish rights to certain of its technologies, product candidates, or products that the Company would otherwise seek to develop or commercialize itself. The Company intends to raise additional funds through the issuance of equity securities, if available on terms acceptable to the Company.

Use of Estimates

The preparation of financial statements in conformity with U.S. generally accepted accounting principles requires management to make estimates and assumptions that materially affect the amounts reported in the financial statements and accompanying notes. Actual results could differ from these estimates.

Unaudited Interim Results

The accompanying balance sheet as of March 31, 2005, the statements of operations and cash flows for the three months ended March 31, 2004 and 2005 and the statement of convertible preferred stock and stockholders' deficit for the three months ended March 31, 2005 are unaudited. The unaudited interim financial statements have been prepared on the same basis as the annual financial statements and, in the opinion of management, reflect all adjustments, which include only normal recurring adjustments necessary to present fairly the Company's financial position as of March 31, 2005, and results of operations and cash flows for the three months ended March 31, 2004 and 2005. The financial data and other information disclosed in these notes to financial statements related to the

three-month periods are unaudited. The results of operations for the three months ended March 31, 2005 are not necessarily indicative of the results to be expected for the year ending December 31, 2005 or for any other interim period or for any other future year.

Unaudited Pro Forma Stockholders' Equity

The Company has filed a registration statement with the Securities and Exchange Commission for the Company to sell shares of its common stock to the public. If the initial public offering is completed under the terms presently anticipated, all of the Series A, Series B, Series C, Series C-1, and Series C-2 convertible preferred stock outstanding at the time of the offering will convert into 34,824,938 shares of common stock, assuming a one-for-one conversion ratio. Unaudited pro forma stockholders' equity, as adjusted for the assumed conversion of the preferred stock, is set forth on the accompanying balance sheets.

Clinical Trials Accounting

All of the Company's clinical trials are performed by contract research organizations ("CROs") and participating clinical trial sites. Some CROs bill monthly for services performed, and others bill based upon milestones achieved. For the latter, the Company accrues clinical trial expenses based on the services performed each period. Costs of setting up clinical trial sites for participation in the trials are expensed immediately as research and development expenses. Clinical trial site costs related to patient enrollment are accrued as patients are entered into the trial reduced by any initial payment made to the clinical trial site when the first patient is enrolled.

Cash Equivalents and Marketable Securities

The Company considers all highly liquid securities with original maturities of three months or less from the original date of purchase to be cash equivalents, which consist of money market funds and corporate debt securities. Marketable securities consist of securities with original maturities greater than three months, and consist of money market funds, corporate debt securities and U.S. government obligations.

Management determines the appropriate classification of securities at the time of purchase. The Company has classified its entire investment portfolio as available-for-sale. The Company views its available-for-sale portfolio as available for use in current operations. Accordingly, the Company has classified all investments as short-term, even though the stated maturity may be one year or more beyond the current balance sheet date. Available-for-sale securities are carried at fair value with unrealized gains and losses reported in accumulated other comprehensive income (loss) as a separate component of stockholders' deficit. The estimated fair values have been determined by the Company using available market information.

The amortized cost of securities is adjusted for amortization of premiums and accretion of discounts to maturity. Such amortization is included in interest income. Realized gains and losses and declines in value judged to be other-than-temporary on available-for-sale securities, if any, are recorded

in other income (expense), net. The cost of securities sold is based on the specific-identification method. Interest and dividends are included in interest income.

Concentrations of Credit Risk and Financial Instruments

The Company invests cash that is not currently being used for operational purposes in accordance with its investment policy. The policy allows for the purchase of low risk debt securities issued by U.S. government agencies and very highly rated banks and corporations, subject to certain concentration limits. The maturities of these securities are maintained at no longer than 18 months. The Company believes its established guidelines for investment of its excess cash maintain safety and liquidity through its policies on diversification and investment maturity.

Financial instruments that potentially subject the Company to concentrations of credit risk consist principally of cash and cash equivalents, available-for-sale investment securities, and employee receivables. The carrying amounts of cash equivalents and available-for-sale investment securities approximate fair value due to their short term nature. The carrying amounts of borrowings under the Company's debt facilities approximate fair value based on the current interest rates for similar borrowing arrangements.

The Company is exposed to credit risk in the event of default by the institutions holding the cash, cash equivalents, and available-for-sale securities to the extent of the amounts recorded on the balance sheets.

Property and Equipment

Property and equipment are stated at cost, less accumulated depreciation and amortization. Depreciation is determined using the straight-line method over the estimated useful lives of the respective assets, generally three to five years. Leasehold improvements are amortized on a straight-line basis over the shorter of their estimated useful lives or the term of the lease.

Stock-Based Compensation

The Company accounts for employee stock options using the intrinsic-value method in accordance with Accounting Principles Board ("APB") Opinion No. 25, *Accounting for Stock Issued to Employees*, Financial Accounting Standards Board Interpretation ("FIN") No. 44, *Accounting for Certain Transactions Involving Stock Compensation, an Interpretation of APB No. 25*, a related interpretation and has adopted the disclosure-only provisions of SFAS No. 123, *Accounting for Stock-Based Compensation*.

The Company has elected to continue to follow the intrinsic-value method of accounting as prescribed by APB Opinion No. 25. The information regarding net loss as required by SFAS No. 123 has been determined as if the Company had accounted for its employee stock options under the fair value method of that Statement. The resulting effect on net losses to date pursuant to SFAS No. 123 is not likely to be representative of the effects on net loss pursuant to SFAS No. 123 in future years, since future years are likely to include additional grants and the impact of future years' vesting.

Stock compensation arrangements to non-employees are accounted for in accordance with SFAS No. 123, as amended, and EITF No. 96-18, *Accounting for Equity Instruments That Are Issued to Other than Employees for Acquiring, or in Conjunction with Selling, Goods or Services*, using a fair value approach. The compensation costs of these arrangements are subject to remeasurement over the vesting terms as earned.

During the year ended December 31, 2004 and the three months ended March 31, 2005, certain stock options were granted with exercise prices that were below the reassessed fair value of the common stock at the date of grant. In accordance with APB Opinion No. 25, deferred stock compensation of \$3,339,691 and \$43,757 was recorded during the year ended December 31, 2004 and the three months ended March 31, 2005, respectively. The deferred stock compensation will be amortized over the related vesting terms of the options. The Company recorded employee stock compensation expense of \$424,018 and \$210,482 for the year ended December 31, 2004 and the three months ended March 31, 2005, respectively.

The expected future amortization expense for deferred compensation as of March 31, 2005 is as follows:

| Year ending December 31, | |
|--------------------------|--------------|
| 2005 | \$ 633,675 |
| 2006 | 844,900 |
| 2007 | 844,900 |
| 2008 | 423,919 |
| 2009 | 1,554 |
| | \$ 2,748,948 |

The following table illustrates the weighted-average assumptions for the minimum value model used in determining the fair value of options granted to employees:

| | Year ended December 31, | | | Three months ended March 31, | |
|--------------------------------|-------------------------|---------|---------|------------------------------|---------|
| | 2002 | 2003 | 2004 | 2004 | 2005 |
| Risk-free interest rate | 4.6% | 4.0% | 4.2% | 4.1% | 4.0% |
| Dividend yield | 0% | 0% | 0% | 0% | 0% |
| Weighted-average expected life | 5 years | 5 years | 5 years | 5 years | 5 years |

The following table illustrates the effect on net loss per share had the Company applied the fair value provisions of SFAS No. 123 to employee stock compensation:

| | Year ended December 31, | | | Three months ended March 31, | |
|---|-------------------------|-----------------|-----------------|------------------------------|----------------|
| | 2002 | 2003 | 2004 | 2004 | 2005 |
| Net loss, as reported | \$ (19,182,260) | \$ (19,005,158) | \$ (20,530,200) | \$ (6,222,912) | \$ (4,532,005) |
| Add: employee stock compensation expense based on the intrinsic value method | — | — | 424,018 | 32,229 | 210,482 |
| Deduct: total employee stock-based compensation expense determined under the fair value method for all awards | (122,482) | (151,952) | (649,089) | (84,277) | (247,838) |
| Pro forma net loss | \$ (19,304,742) | \$ (19,157,110) | \$ (20,755,271) | \$ (6,274,960) | \$ (4,569,361) |
| Net loss per share: | | | | | |
| Basic and diluted, as reported | \$ (4.41) | \$ (3.80) | \$ (3.71) | \$ (1.18) | \$ (0.77) |
| Basic and diluted, pro forma | \$ (4.44) | \$ (3.83) | \$ (3.75) | \$ (1.19) | \$ (0.78) |

Comprehensive Loss

The Company displays comprehensive loss and its components as part of the statement of convertible preferred stock and stockholders' deficit. Comprehensive loss is comprised of net loss and unrealized gains (losses) on available for sale securities.

Revenue Recognition

In accordance with Emerging Issues Task Force, or EITF, 00-21, *Accounting for Revenue Arrangements with Multiple Deliverables*, which the Company adopted effective July 1, 2003, revenue arrangements with multiple deliverable items are divided into separate units of accounting if certain criteria are met, including whether the delivered item has stand-alone value to the customer and whether there is objective and reliable evidence of the fair value of the undelivered items. The Company allocates the consideration it receives among the separate units of accounting based on their respective fair value, and applies the applicable revenue recognition criteria to each of the separate units. Where an item in a revenue arrangement with multiple deliverables does not constitute a separate unit of accounting and for which delivery has not occurred, the Company defers revenue until the delivery of the item is completed.

Upfront, non-refundable license fees and other fees received in connection with research and development collaboration are recorded as deferred revenue and recognized ratably over the relevant period specified in the agreements, generally the research term.

Research funding related to collaborative research with the Company's collaboration partners is recognized as the related research services are performed. This funding is normally based on a specified amount per full-time equivalent employee per year.

Revenue from milestone payments, which are substantially at risk at the time the collaboration agreement is entered into and performance-based at the date of the collaboration agreement, is recognized upon completion of the applicable milestone events. Royalty revenue is recognized based on reported product sales by third-party licensees.

Grant revenues from government agencies and private research foundations are recognized as the related qualified research and development costs are incurred, up to the limit of the prior approval funding amounts.

Research and Development

All research and development costs, including those funded by third parties, are expensed as incurred. Research and development costs consist of salaries, employee benefits, laboratory supplies, costs associated with clinical trials, including amounts paid to clinical research organizations, other professional services and facility costs.

Income Taxes

The Company accounts for income taxes under SFAS No. 109, *Accounting for Income Taxes* ("SFAS 109"). Under this method, deferred tax assets and liabilities are determined based on the differences between the financial reporting and tax bases of assets and liabilities and are measured using the enacted tax rates that will be in effect when the differences are expected to reverse. Valuation allowances are established when necessary to reduce deferred tax assets to the amounts expected to be realized.

Long-Lived Assets

The Company periodically assesses the impairment of long-lived assets in accordance with the provisions of SFAS No. 144 ("SFAS 144"), *Accounting for the Impairment or Disposal of Long-Lived Assets*. A review for impairment is performed whenever events or changes in circumstances indicate that the carrying amount of such assets may not be recoverable, such as a significant industry or economic downturn, significant changes in the manner of use of the acquired assets or the strategy for the Company's overall business. If indicators of impairment exist, recoverability is assessed by comparing the estimated undiscounted cash flows resulting from the use of the asset and its eventual disposition against its carrying amount. If the aggregate undiscounted cash flows are less than the carrying amount of the asset, the resulting impairment charge to be recorded is calculated based on the excess of the carrying value of the asset over the fair value of such asset, with fair value determined based on an estimate of discounted future cash flows or other appropriate measure of fair value. For the years ended December 31, 2002, 2003 and 2004 and the three months ended March 31, 2005, no impairment charges were recorded.

Recent Accounting Pronouncements

In December 2004, the FASB issued Statement No. 123 (revised 2004), *Share-Based Payment*, or SFAS No. 123R, which is a revision of SFAS No. 123, and supersedes APB Opinion 25. SFAS 123R requires all share-based payments to employees and directors, including grants of stock options, to be recognized in the statement of operations based on their fair values, beginning with the first annual period after June 15, 2005, with early adoption encouraged. On April 14, 2005, the SEC adopted a new rule that amended the compliance dates for SFAS No. 123R such that we are now allowed to adopt the new standard effective January 1, 2006. The pro forma disclosures previously permitted under SFAS No. 123 will no longer be an alternative to financial statement recognition. As permitted by SFAS No. 123, the Company currently accounts for share-based payments to employees using APB Opinion 25's intrinsic value method and, as such, recognizes no compensation cost for employee stock options.

Under SFAS 123R, the Company must determine the appropriate fair value model and related assumptions to be used for valuing share-based payments, the amortization method for compensation cost and the transition method to be used at the date of adoption. The transition methods include modified prospective and retroactive adoption options. Under the retroactive option, prior periods may be restated either as of the beginning of the year of adoption or for all periods presented. The modified prospective method requires that compensation expense be recorded for all unvested stock options and restricted stock at the beginning of the first quarter of adoption of SFAS 123R, while the retroactive method would record compensation expense for all unvested stock options and restricted stock beginning with the first period restated. The Company is currently evaluating the requirements of SFAS 123R as well as option valuation methodologies related to its stock option plans. Although the Company has not yet determined the method of adoption or the effect of adopting SFAS 123R, we expect that the adoption of SFAS 123R will have a material impact on the Company's consolidated results of operations. The impact of adoption of SFAS 123R cannot be predicted at this time because it will depend on, among other things, the levels of share-based payments granted in the future, the method of adoption and the option valuation method used. SFAS 123R also requires the benefits of tax deductions in excess of recognized compensation costs to be reported as a financing cash flow, rather than as an operating cash flow as required under current literature. This requirement will reduce net operating cash flows and increase net financing cash flows in periods after adoption.

2. Net Loss per Share

Basic net loss per share is calculated by dividing the net loss by the weighted-average number of common shares outstanding for the period, less the weighted average unvested common shares subject to repurchase. Diluted net loss per share is computed by dividing the net loss by the weighted-average number of common shares outstanding, less the weighted average unvested common shares subject to repurchase, and dilutive potential common shares for the period determined using the treasury stock method. For purposes of this calculation, preferred stock, options to purchase stock, and warrants to purchase stock are considered to be potential common shares and are only included in the calculation of diluted net loss per common share when their effect is dilutive.

The unaudited pro forma basic and diluted net loss per common share calculations assume the conversion of all outstanding shares of preferred stock into shares of common stock using the as-if-converted method as of January 1, 2003 or the date of issuance, if later.

| | Year ended December 31, | | | Three months ended March 31, | |
|---|-------------------------|-----------------|-----------------|------------------------------|----------------|
| | 2002 | 2003 | 2004 | 2004 | 2005 |
| Historical | | | | | |
| Numerator: | | | | | |
| Net loss | \$ (19,182,260) | \$ (19,005,158) | \$ (20,530,200) | \$ (6,222,912) | \$ (4,532,005) |
| Denominator: | | | | | |
| Weighted-average common shares outstanding | 5,334,558 | 5,405,756 | 5,664,790 | 5,473,019 | 5,976,600 |
| Less: Weighted-average unvested common shares subject to repurchase | (982,575) | (408,896) | (131,051) | (180,391) | (112,779) |
| Denominator for basic and diluted net loss per share | 4,351,983 | 4,996,860 | 5,533,739 | 5,292,428 | 5,863,822 |
| Basic and diluted net loss per share | \$ (4.41) | \$ (3.80) | \$ (3.71) | \$ (1.18) | \$ (0.77) |
| Pro forma | | | | | |
| Net loss | | | \$ (20,530,200) | | \$ (4,532,005) |
| Pro forma basic and diluted net loss per share (unaudited) | | | \$ (0.53) | | \$ (0.11) |
| Denominator for pro forma basic and diluted net loss per share: | | | | | |
| Shares used above | | | 5,533,739 | | 5,863,822 |
| Pro forma adjustments to reflect assumed weighted-average effect of conversion of preferred stock (unaudited) | | | 33,103,626 | | 34,824,938 |
| Shares used to compute pro forma basic and diluted net loss per common share (unaudited) | | | 38,637,365 | | 40,688,760 |
| Outstanding securities not included in diluted net loss per share calculation | | | | | |
| Preferred stock | 31,908,271 | 31,908,271 | 34,824,938 | 31,908,271 | 34,824,938 |
| Options to purchase common stock | 4,848,784 | 5,824,567 | 7,140,666 | 6,316,341 | 7,052,975 |
| Warrants | 912,031 | 915,031 | 916,466 | 915,031 | 916,466 |
| | 37,669,086 | 38,647,869 | 42,882,070 | 39,139,643 | 42,798,379 |

3. Short-Term Investments

The following is a summary of available-for-sale securities:

| | Amortized Cost | Gross Unrealized Gains | Gross Unrealized Losses | Estimated Fair Value |
|---|-------------------|------------------------------|-------------------------------|-------------------------|
| December 31, 2003 | | | | |
| Money market funds | \$ 10,447,156 | \$ — | \$ — | \$ 10,447,156 |
| Corporate debt obligations | 23,352,726 | 17,644 | (4,988) | 23,365,382 |
| Total | 33,799,882 | 17,644 | (4,988) | 33,812,538 |
| Less amounts classified as cash equivalents | (10,447,156) | — | — | (10,447,156) |
| Total marketable securities | \$ 23,352,726 | \$ 17,644 | \$ (4,988) | \$ 23,365,382 |
| December 31, 2004 | | | | |
| Money market funds | \$ 7,512,583 | \$ — | \$ — | \$ 7,512,583 |
| U.S government and related agency issues | 1,946,735 | — | (1,555) | 1,945,180 |
| Corporate debt obligations | 20,388,712 | — | (70,087) | 20,318,625 |
| Commercial paper | 6,265,926 | 2,535 | (670) | 6,267,791 |
| Certificate of deposit | 692,906 | 7 | — | 692,913 |
| Total | 36,806,862 | 2,542 | (72,312) | 36,737,092 |
| Less amounts classified as cash equivalents | (7,512,583) | — | — | (7,512,583) |
| Total marketable securities | \$ 29,294,279 | \$ 2,542 | \$ (72,312) | \$ 29,224,509 |
| March 31, 2005 | | | | |
| Money market funds | \$ 4,790,932 | \$ — | \$ — | \$ 4,790,932 |
| U.S. government and related agency issues | 998,308 | — | (408) | 997,900 |
| Corporate debt obligations | 17,888,908 | — | (87,123) | 17,781,785 |
| Commercial paper | 5,233,780 | 360 | (560) | 5,233,580 |
| Total | 28,891,928 | 360 | (88,091) | 28,804,197 |
| Less amounts classified as cash equivalents | (4,790,932) | — | — | (4,790,832) |
| Total marketable securities | \$ 24,100,996 | \$ 360 | \$ (88,091) | \$ 24,013,265 |

There were no realized gains or losses on the sale of available-for-sale securities for the years ended December 31, 2002, 2003 and 2004 and the three months ended March 31, 2005.

At December 31, 2003 and 2004 and March 31, 2005, the contractual maturities of marketable securities were as follows:

| | December 31, 2003 | | December 31, 2004 | | March 31, 2005 | |
|---------------------------|----------------------|----------------------|----------------------|----------------------|----------------------|----------------------|
| | Amortized Cost | Fair Value | Amortized Cost | Fair Value | Amortized Cost | Fair Value |
| Due in one year or less | \$ 21,195,898 | \$ 21,208,175 | \$ 25,604,553 | \$ 25,556,472 | \$ 23,305,563 | \$ 23,227,004 |
| Due in more than one year | 2,156,828 | 2,157,207 | 3,689,726 | 3,668,037 | 795,433 | 786,261 |
| Total | \$ 23,352,726 | \$ 23,365,382 | \$ 29,294,279 | \$ 29,224,509 | \$ 24,100,996 | \$ 24,013,265 |

4. License Agreements

The Regents of the University of California

In December 1998, the Company entered into an exclusive license agreement with The Regents of the University of California (the "Regents") for rights to certain technology to identify small molecule drug leads. The agreement provides the Company with an exclusive license to develop, make, use, and sell products derived from the licensed technology, and will continue for the life of the last-to-expire patent. To date, the licensed technology has produced two issued patents, U.S. Patent Nos. 6,344,330 and 6,344,334 which are both due to expire on March 27, 2018. The agreement provides for the Company to pay the Regents noncreditable, nonrefundable fees of up to \$75,000 according to a payment schedule of which \$55,000 has been paid, as well as to issue to the Regents 50,000 shares of common stock, which were issued in December 1998. The Company has agreed to achieve certain development milestones of compounds derived from the licensed technology, including initiation of preclinical testing due June 30, 2002 and initiation of clinical testing due June 30, 2004. If such milestones are not met, the Regents, upon providing written notice to the Company, may seek to either terminate the agreement or amend the exclusive license to be a nonexclusive license. Because the Company no longer uses the licensed technology and none of the Company's preclinical or clinical compound originates from the licensed technology, the preclinical and clinical milestones have not been met. The Company has not received written notice from the Regents and continues to provide the Regents of status reports of the state of the licensed technology. The Company also continues to maintain patents and patent applications that cover the licensed technology because of its belief that some aspects of the licensed technology may provide some value in the future.

Dainippon Pharmaceutical Co., Ltd.

In October 2003, the Company entered into an agreement with Dainippon Pharmaceutical Co., Ltd. ("Dainippon") to acquire exclusive worldwide development and marketing rights for Dainippon's anti-cancer compound, referred to as SNS-595.

Under the terms of this agreement, the Company made a non-refundable payment of \$700,000 which was included in research and development expense. The Company may in the future make a

series of milestone payments of up to \$10.7 million to Dainippon based on successful development and regulatory approval of SNS-595, including a \$500,000 payment upon commencement of Phase II clinical trials, as well as royalty payments based on any future total annual product sales. In return, the Company has received an exclusive, worldwide license to develop and market SNS-595.

5. Collaborative Research Agreements

Chiesi Farmaceutici S.p.A.

In October 2001, the Company entered into a research collaboration to discover and develop small molecules that inhibit a well-validated protein target involved in immunological diseases with Chiesi Farmaceutici S.p.A ("Chiesi"). Using its proprietary discovery technology, the Company was to generate development candidates and Chiesi was to have an exclusive option to enter into an exclusive license to develop and market resulting products in certain territories.

Under the terms of the agreement with Chiesi, the Company received an upfront, nonrefundable payment of \$500,000 and research funding and was to receive research and development milestones and royalty payments based on future events and sales. The upfront fee was recognized as revenue over the three-year term of the agreement. Costs associated with research and development activities attributable to this agreement approximated the research funding revenue recognized. This agreement was terminated on December 31, 2002, and the Company completed its remaining performance obligations in 2003.

Johnson & Johnson Pharmaceutical Research and Development, L.L.C.

In May 2002, the Company entered into a research collaboration to discover small molecule inhibitors of Cathepsin S with Johnson & Johnson Pharmaceutical Research & Development, L.L.C ("JJPRD"). The Company applies its proprietary Tethering technology to discover novel inhibitors of Cathepsin S in this collaboration.

Under the terms of the agreement, the Company received a non-refundable and non-creditable technology access fee of \$500,000 in February 2003, and certain research funding to be paid in advance quarterly. The Company may in the future receive research and development milestones of up to \$24.5 million as well as royalty payments from JJPRD based on future product sales. On December 15, 2002, the Company and JJPRD amended their collaboration to increase the number of JJPRD funded full-time equivalents for 2003. In December 2002, JJPRD also made the first milestone payment of \$250,000 to the Company for the delivery of a novel lead series of compounds. On December 15, 2003, the Company and JJPRD again amended their collaboration to extend the research funding for one additional year from May 3, 2004 through May 2, 2005. On December 22, 2004, the Company and JJPRD amended their collaboration to extend the research funding from May 3, 2005 until December 31, 2005. Unamortized upfront fees are being recognized as revenue ratably over the remaining research term. Costs associated with research and development activities attributable to this agreement approximate the research funding recognized.

Biogen Idec, Inc.

In December 2002, the Company entered into research collaboration with Biogen Idec, Inc. ("Biogen Idec") to discover oral therapeutics. The collaboration applies the Company's proprietary Tethering technology to generate small molecule leads to selected TNF family cytokines involved in immune and inflammatory disease.

During the initial phase of the collaboration, both companies contribute scientists and discovery resources to the collaboration at their own cost. Under an exclusive worldwide license to compounds resulting from these efforts, Biogen Idec has the right to develop, manufacture, and commercialize compounds discovered under the collaboration.

Under the terms of the agreement, the Company received an upfront, nonrefundable and noncreditable technology access fee of \$3,000,000 which is being recognized as revenue over the 30-month term of the agreement and the one-year option period. In addition, the Company started receiving quarterly maintenance fees of \$357,500 commencing April 1, 2004, and the Company may in the future receive research and development milestones of up to \$60.5 million and royalty payments based on total annual future product sales. In certain circumstances, such as the cessation of the development of particular compounds, milestone payments received may be credited against future milestone payments with respect to compounds directed to the same target as the discontinued compound. As such, the Company recognizes the milestones received as revenue ratably over the remaining term of the agreement.

Concurrent with the signing of the agreement, Biogen Idec made a \$6,000,000 equity investment and purchased 1,250,000 shares of the Company's Series C-1 preferred stock at a price of \$4.80 per share. Biogen Idec has also agreed to loan the Company up to \$4,000,000 with a drawdown period of ten calendar quarters beginning on January 1, 2003 and ending on June 30, 2005. The principal and accrued interest of each draw will be due five years from the date of advance of each draw and bear interest at three percent above LIBOR (LIBOR was 1.46% at December 31, 2003, 3.10% at December 31, 2004 and 3.19% at March 31, 2005) to be paid quarterly. As of December 31, 2003 and 2004 and March 31, 2005, the Company had drawn \$1,600,000, \$3,200,000 and \$3,600,000, respectively, with \$2,400,000, \$800,000 and \$400,000, respectively, available for future draws. This agreement will expire in July 2005, unless extended.

On August 27, 2004, the Company entered into the second research collaboration with Biogen Idec to discover and develop small molecules targeting kinases, a family of cell signaling enzymes that play a role in the progression of cancer. The Company applies its proprietary Tethering technology to generate novel small molecule leads that inhibit the oncology kinase targets that are covered by this collaboration.

One of the kinase targets in the collaboration is Raf, and the Company's Raf program was folded into the collaboration. Under the terms of the agreement, the Company received a \$7,000,000 upfront nonrefundable and noncreditable technology access fee, which is being recognized as revenue over an initial four-year research term. In the event that Biogen Idec decides to exercise its option to extend the initial four-year research term for one additional year, Biogen Idec will pay to the Company an additional technology access fee specified in the agreement. In addition, the Company will receive

quarterly research funding of \$1.2 million to be paid in advance to support some of its scientific personnel, and the Company may in the future receive pre-commercialization milestone payments of up to \$60.5 million and royalty payments based on any product sales. The Company retains an option to participate in the co-development and co-promotion of product candidates for up to two targets that may emerge from this collaboration.

Concurrent with the signing of the agreement, Biogen Idec made a \$14,000,000 equity investment and purchased 2,916,667 shares of the Company's Series C-2 preferred stock at a price of \$4.80 per share.

Merck & Co., Inc.

In February 2003, the Company and Merck & Co., Inc. ("Merck") entered into a four-year research collaboration to discover novel oral therapeutics to BACE, an Alzheimer's disease target. The Company contributed an initial series of small-molecule inhibitors and use of Tethering to discover additional novel series of small molecules. Under the terms of the agreement, the Company received a nonrefundable and noncreditable technology access fee of \$5,000,000 which is being recognized as revenue ratably over the research term of four years. In addition, the Company receives research and development funding, paid in advance quarterly, and may in the future receive a series of milestone payments of up to \$90.3 million based on the successful development and approval of a compound identified through the program. Merck will also make royalty payments based on future sales, and will receive an exclusive, worldwide license to products resulting from the collaboration. Merck and the Company will also have the option to expand the collaboration to additional therapeutic targets.

On July 22, 2004, the Company and Merck entered into a second multi-year research collaboration to discover novel oral drugs for the treatment of viral infections. The Company provided Merck with a series of small molecule compounds targeting viral infections. These compounds were derived from Tethering. Merck will be responsible for advancing these compounds into lead optimization, preclinical development, and clinical studies. Merck will pay annual license fees for the Company's consulting services and ongoing access to Tethering as a means of identifying additional compounds for the treatment of viral infections.

Under the terms of the agreement, the Company received an upfront, nonrefundable and noncreditable technology access fee of \$2.3 million which is being recognized as revenue over an initial three-year research term, annual license fees aggregating \$950,000 and payments based on the achievement of development milestones of up to \$22.1 million. In addition, the Company will receive royalty payments based on net sales for any products resulting from the collaboration. Merck receives an exclusive worldwide license to any products resulting from the collaboration.

In connection with the above collaboration agreements, the Company recognized the following revenues, which include the amortization of upfront fees received, research funding, and milestones earned:

| | Year ended December 31, | | | Three months ended March 31, | |
|---------------------------|-------------------------|--------------|---------------|------------------------------|--------------|
| | 2002 | 2003 | 2004 | 2004 | 2005 |
| Chiesi | \$ 2,003,340 | \$ 841,661 | \$ — | \$ — | \$ — |
| J&J PRD | 1,166,666 | 2,350,001 | 1,334,333 | 329,688 | 348,031 |
| Merck | — | 3,650,628 | 4,603,308 | 1,032,501 | 1,312,997 |
| | 3,170,006 | 6,842,290 | 5,937,641 | 1,362,189 | 1,661,028 |
| Biogen Idec-related party | 32,258 | 857,148 | 4,201,017 | 214,287 | 2,209,286 |
| | \$ 3,202,264 | \$ 7,699,438 | \$ 10,138,658 | \$ 1,576,476 | \$ 3,870,314 |

6. Notes Receivable from Officers and Employees

In July 1999, the Company issued a full recourse note receivable of \$150,000 to an employee to finance the purchase of personal assets. The note is secured by shares of the Company's common stock held by the employee and is non-interest bearing with a four-year term. The principal is to be forgiven at the rate of 25% annually upon the anniversary of the employment date. As of December 31, 2003, the Company had forgiven the total amount of the note. The Company has recorded the forgiveness and the tax portion of the imputed interest as a charge to general and administrative expense.

In April 2000, the Company issued a full recourse note receivable of \$100,000 to an officer to finance the purchase of a home. The note is secured by shares of the Company's common stock held by the employee, and bears an interest rate of 6.6% per annum, with a five-year term. Under the terms of the loan, the principal and accrued interest were forgiven in April 2005.

In July 2001, the Company issued a full recourse note receivable of \$85,350 to an employee to finance the purchase of personal assets. The note is secured by a second deed of trust on the employee's residence, and is non-interest bearing with a five-year term. The note is not forgivable, and in the event the employee ceases employment with the Company, the note shall become due immediately.

7. Property and Equipment

Property and equipment consist of the following:

| | December 31, | | March 31, 2005 |
|--|--------------|--------------|-------------------|
| | 2003 | 2004 | |
| Computer equipment and software | \$ 1,992,114 | \$ 2,242,659 | \$ 2,305,281 |
| Furniture and office equipment | 551,710 | 576,188 | 576,188 |
| Laboratory equipment | 6,845,518 | 7,446,076 | 7,669,683 |
| Leasehold improvements | 4,881,839 | 4,930,832 | 4,964,331 |
| | 14,271,181 | 15,195,755 | 15,515,483 |
| Less accumulated depreciation and amortization | (9,280,593) | (11,206,398) | (11,628,298) |
| | \$ 4,990,588 | \$ 3,989,357 | \$ 3,887,185 |

Equipment purchased under equipment financing agreements (see Note 8) is included in property and equipment. At December 31, 2003 and 2004 and March 31, 2005, financed equipment had a cost basis of \$8,674,231, \$5,886,831 and \$5,768,857, respectively, with accumulated depreciation of \$5,477,326, \$3,474,704 and \$3,474,561, respectively.

8. Equipment Financing and Debt Facility

In June 2000, the Company entered into an equipment financing agreement with a financing company, which has been amended from time to time. The credit facility is available through May 2005. The equipment loans are secured by the equipment financed.

In conjunction with an amendment to the agreement in May 2003, the Company issued warrants to the financing company to purchase 3,000 shares of the Company's Series C convertible preferred stock at \$4.80 per share and in conjunction with another amendment to the agreement in June 2004, the Company issued warrants to the financing company to purchase 1,435 shares of the Company's Series C convertible preferred stock at \$4.80 per share.

The fair values of the warrants issued in May 2003 and June 2004 are \$8,824 and \$3,304, respectively, as determined using the Black-Scholes options pricing model, and are being accounted for as prepaid interest and expensed on a straight-line basis over the term of the agreement (12 months). The warrants shall be exercisable until the earlier of ten years after the date of issuance or three years after the Company completes an initial public offering. Under the terms of the amended agreement, the Company is required to meet certain equipment holding ratios in respect of categories of equipment financed by the end of the equipment financing line in April 2005 as specified in the agreement.

As of December 31, 2003 and 2004 and March 31, 2005, the Company had drawn \$6,464,245, \$7,399,281 and \$7,632,392, respectively, to finance equipment purchases and leasehold improvements and had \$1,951,347, \$1,117,234 and \$884,123, respectively, available under the facility. Outstanding borrowings bear interest at rates ranging from 7.4% to 12.49% as of December 31, 2003 and 2004 and March 31, 2005, and are to be paid over 36 to 48 months.

As of December 31, 2003 and 2004 and March 31, 2005, the Company was in compliance with all the covenants in these loan agreements.

Pursuant to the collaboration agreement with Biogen Idec, the Company had drawn \$1,600,000, \$3,200,000 and \$3,600,000 under a facility loan agreement as of December 31, 2003 and 2004 and March 31, 2005, respectively. Refer to Note 5 with regard to the terms and conditions of the facility.

Aggregate future minimum payments under all debt arrangements at December 31, 2004 are as follows:

| | |
|-----------------------------------|--------------|
| Year ending December 31, | |
| 2005 | \$ 1,449,873 |
| 2006 | 809,075 |
| 2007 | 399,041 |
| 2008 | 1,731,131 |
| 2009 | 1,600,000 |
| | <hr/> |
| Total minimum payments | 5,989,120 |
| Less amount representing interest | (259,327) |
| | <hr/> |
| Present value of minimum payments | 5,729,793 |
| Less current portion | (1,291,363) |
| | <hr/> |
| Long-term portion | \$ 4,438,430 |
| | <hr/> |

9. Commitments and Contingencies

In May 2000, the Company entered into a noncancelable operating lease for its facilities in South San Francisco, California, which expires in June 2013.

Following is a schedule of the Company's noncancelable lease commitments:

| | |
|--------------------------|---------------|
| Year ending December 31, | |
| 2005 | \$ 2,637,976 |
| 2006 | 2,717,115 |
| 2007 | 2,798,629 |
| 2008 | 2,882,588 |
| 2009 | 2,969,065 |
| 2010 and thereafter | 11,098,559 |
| | <hr/> |
| | \$ 25,103,932 |
| | <hr/> |

The operating lease agreement provides for increasing monthly rent payments over the lease term. The Company recognizes rent expense on a straight-line basis. For the years ended December 31, 2002, 2003 and 2004 and the three months ended March 31, 2005, the Company recorded rent expense, net of sublease rental, of \$2,794,711, \$2,812,932, \$2,817,186 and \$704,296, respectively. The deferred rent balance of \$942,394, \$1,194,166 and \$1,247,647 at December 31, 2003 and 2004 and March 31, 2005, respectively, represents the difference between actual rent payments and the straight-line expense.

Contingencies

From time to time, the Company may become involved in claims and other legal matters arising in the ordinary course of business. As of March 31, 2005, management is not aware of any matters that could have a material adverse effect on the financial position, results of operations or cash flows of the Company.

10. Stockholders' Deficit

In December 2004 the Board of Directors and stockholders of the Company approved an amendment to the Certificate of Incorporation filed with the State of Delaware. Under the terms of the amended articles of incorporation, the authorized common stock increased to 110,000,000 shares and the authorized preferred stock increased to 38,582,000 shares with 8,682,000 shares designated as Series A, 10,600,000 shares designated as Series B, 13,250,000 shares designated as Series C, 1,250,000 shares designated as Series C-1, and 4,800,000 shares designated as Series C-2.

Common Stock

Holders of common stock are entitled to one vote per share on all matters to be voted upon by the stockholders of the Company. Subject to the preferences that may be applicable to any outstanding shares of preferred stock, the holders of common stock are entitled to receive ratably such dividends, if any, as may be declared by the Board of Directors. No dividends have been declared to date.

Convertible Preferred Stock

The Company initially recorded the Series A, B, C, C-1, and C-2 convertible preferred stock ("preferred stock") at their fair values on the date of issuance, net of issuance costs. A redemption event will only occur upon the liquidation, winding up, change in control or sale of substantially all of the assets of the Company. As the redemption event is outside of the control of the Company, all shares of preferred stock have been presented outside of permanent equity in accordance with EITF topic D-98, *Classification and Measurement of Redeemable Securities*. Further, the Company has also elected not to adjust the carrying values of the preferred stock to the redemption value of such shares, since it is uncertain whether or when a redemption event will occur. Subsequent adjustments to increase the carrying values to the redemption values will be made if it becomes probable that such redemption will occur.

Preferred stockholders are entitled to receive noncumulative dividends at the rate of 8% of the respective liquidation preference per share (as converted) per annum, when and if declared by the Board of Directors, payable in preference to common stock dividends. As of December 31, 2004 and March 31, 2005, no dividends have been declared or paid by the Company.

In the event of any liquidation, dissolution, or winding up of the Company, the holders of Series A, B, C, C-1, and C-2 preferred stock would be entitled to receive, prior to and in preference to any distribution of any of the assets or surplus funds of the Company to the common stockholders, \$1.00, \$2.60, \$4.80, \$4.80, and \$4.80 per share, respectively, and all declared but unpaid dividends on the preferred stock. Following the payment of this liquidation preference, any remaining assets or

surplus funds would be distributed to the holders of preferred stock and common stock on a pro rata basis until the holders of Series A, B, C, C-1, and C-2 preferred stock have received a total of \$3.00, \$4.60, \$8.49, \$8.49, and \$8.49 per share, respectively. Any remaining assets would be distributed to the common stockholders.

Series A, B, C, C-1 and C-2 preferred stock is convertible into common stock at the option of the holder at the then-effective conversion price. The initial conversion price per share of Series A, B, C, C-1 and C-2 preferred stock is \$1.00, \$2.60, \$4.80, \$4.80, and \$4.80, respectively, and is subject to adjustment as specified in the Certificate of Incorporation. Each share of preferred stock will convert upon the closing of an initial public offering with an implied pre-money valuation of at least \$150.0 million and aggregate cash proceeds in excess of \$40 million or upon the vote by holders of at least 85% of the then outstanding preferred stock.

Under the terms of the amended Certificate of Incorporation, the conversion rights of the preferred stock are amended to include a provision that adjusts the conversion ratio of Series B, C, C-1 and C-2 preferred stock based on the Pre-Money Valuation of the Company immediately prior to an initial public offering ("IPO").

"Pre-Money Valuation" means the product of the IPO price per share multiplied by the number of shares of common stock outstanding immediately prior to the issuance of IPO stock and assuming the exercise of all outstanding options and warrants and the conversion of all outstanding preferred stock into common stock after giving effect to antidilution adjustments, if any, as specified in the Certificate of Incorporation.

As of March 31, 2005, (i) an IPO with a Pre-Money Valuation of greater than or equal to \$234.0 million would not have caused any adjustments to the conversion ratios of the Series B, C, C-1 or C-2 preferred stock, (ii) an IPO with a Pre-Money Valuation of less than \$234.0 million would have caused an adjustment to the conversion ratios of the Series C, C-1 and C-2 preferred stock and (iii) an IPO with a Pre-Money Valuation of less than \$158.0 million would have caused an adjustment to the conversion ratios of the Series B, C, C-1 and C-2 preferred stock.

If the conversion ratios are adjusted in accordance with the above terms, the Company will record a deemed dividend associated with the conversion of the preferred stock to reflect the fair value of the additional shares issued upon such conversion. The deemed dividend will be recorded in the period in which the additional shares are issued and will increase the net loss allocable to common stockholders in the calculation of basic and diluted net loss per common share allocable to common stockholders.

The holders of each share of preferred stock are entitled to the number of votes equal to the number of shares of common stock into which such shares of preferred stock could be converted.

Incentive Stock Plans

The Company's 1998 Stock Plan (the "1998 Plan") was adopted by the Board of Directors in February 1998 and provides for the issuance of common stock, purchase rights, and granting of options to employees, officers, directors, and consultants of the Company. The Company grants shares of common stock for issuance under the 1998 Plan at no less than the estimated fair value of the

underlying stock on the grant date, as determined by the Board of Directors. Options granted and shares underlying stock purchase rights issued under the 1998 Plan vest over periods determined by the Board of Directors, generally four years, and expire no more than 10 years after the date of grant. Stock that is purchased prior to vesting is subject to the Company's right of repurchase, which lapses over the vesting period.

The Board of Directors and stockholders initially authorized 3,085,000 shares of common stock for issuance under the 1998 Plan. From that date through June 30, 2004, the board of directors and stockholders approved increases in the number of shares of common stock authorized for issuance pursuant to the 1998 Plan aggregating 7,256,350 shares which is net of 472,650 shares allocated to the 2001 Stock Plan (the "2001 Plan").

In October 2001, the Company's Board of Directors adopted the 2001 Plan under which shares may be allocated for grant as either incentive stock options or nonstatutory stock option grants directly from available shares authorized and reserved for issuance under the 1998 Plan. The options vest as determined by the Board of Directors and expire no more than 10 years after the date of grant. All 442,650 options granted under the 2001 Plan during 2001 were allocated from the shares reserved under the 1998 Plan. The terms of the 2001 Plan are substantially consistent to the 1998 Plan. In April 2002, the Board of Directors approved 471,000 shares of common stock to be reserved for issuance under the 2001 Plan. In April 2003, the management allocated 30,000 shares to the 2001 plan from shares reserved under the 1998 plan. In June 2003, the Board of Directors approved an additional 500,000 shares of common stock to be reserved for issuance under the 2001 Plan.

Effective in October 2001, any unvested shares repurchased by the Company after that date at their original issue prices will become available for future grant in both plans. As of December 31, 2003 and 2004 and March 31, 2005, 233,439, 114,900 and 111,400 shares, respectively, of common stock purchased upon option exercises are subject to repurchase.

In accordance with Emerging Issues Task Force ("EITF") 00-23, *Issues Related to the Accounting for Stock Compensation Under APB Opinion No. 25*, and FIN No. 44, shares purchased after March 2002 under an early exercise of stock options are not deemed to be issued until those shares vest. Since March 2002, the Company has issued an aggregate of 28,400 shares of common stock pursuant to the early exercise of stock options. At December 31, 2003 and 2004 and March 31, 2005, there were zero, 9,149 and 7,650, respectively, of these shares issued subject to the Company's right to repurchase at the original purchase price of \$0.60 per share. The amounts received in exchange for these shares have been recorded as a liability for early exercise of stock options in the accompanying consolidated balance sheets and will be transferred into common stock and additional paid-in capital as the shares vest.

Activity under the Company's stock option plans is summarized as follows:

| | Options Outstanding | | |
|-------------------------------------|----------------------------|------------------|---------------------------------|
| | Shares Available for Grant | Number of Shares | Weighted-Average Exercise Price |
| Balance at December 31, 2001 | 366,900 | 2,656,984 | \$ 0.54 |
| Options authorized | 2,750,000 | — | — |
| Options granted | (2,559,300) | 2,559,300 | \$ 0.60 |
| Options exercised | — | (88,125) | \$ 0.41 |
| Options canceled | 279,375 | (279,375) | \$ 0.59 |
| Shares repurchased | 16,667 | — | \$ 0.10 |
| Balance at December 31, 2002 | 853,642 | 4,848,784 | \$ 0.57 |
| Options authorized | 1,800,000 | — | — |
| Options granted | (1,474,400) | 1,474,400 | \$ 0.60 |
| Options exercised | — | (95,831) | \$ 0.47 |
| Options canceled | 402,786 | (402,786) | \$ 0.59 |
| Shares repurchased | 34,855 | — | \$ 0.10 |
| Balance at December 31, 2003 | 1,616,883 | 5,824,567 | \$ 0.58 |
| Options authorized | 750,000 | — | \$ — |
| Options granted | (2,026,100) | 2,026,100 | \$ 0.62 |
| Options exercised | — | (474,752) | \$ 0.63 |
| Options canceled | 235,249 | (235,249) | \$ 0.47 |
| Balance at December 31, 2004 | 576,032 | 7,140,666 | \$ 0.60 |
| Options granted | (37,000) | 37,000 | \$ 2.25 |
| Options exercised | — | (72,624) | \$ 0.59 |
| Options canceled | 52,067 | (52,067) | \$ 0.61 |
| Balance at March 31, 2005 | 591,099 | 7,052,975 | \$ 0.61 |

The following table summarizes information about stock options outstanding and exercisable at December 31, 2003:

| Range of Exercise Prices | Options Outstanding and Exercisable | | |
|--------------------------|-------------------------------------|---------------------------------|---|
| | Number of Shares | Weighted-Average Exercise Price | Weighted-Average Remaining Contractual Life (In years) |
| \$0.10 | 149,000 | \$ 0.10 | 4.93 |
| \$0.30 | 187,666 | \$ 0.30 | 6.25 |
| \$0.60 | 5,487,901 | \$ 0.60 | 8.37 |
| | 5,824,567 | \$ 0.58 | 8.21 |

The following table summarizes information about stock options outstanding and exercisable at December 31, 2004:

| Options Outstanding and Exercisable | | | |
|-------------------------------------|------------------|---------------------------------|---|
| Range of Exercise Prices | Number of Shares | Weighted-Average Exercise Price | Weighted-Average Remaining Contractual Life |
| | | | (In years) |
| \$0.10 | 60,000 | \$ 0.10 | 4.26 |
| \$0.30 | 75,333 | \$ 0.30 | 5.29 |
| \$0.60 | 6,763,833 | \$ 0.60 | 7.91 |
| \$0.75 | 145,500 | \$ 0.75 | 9.77 |
| \$0.85 | 96,000 | \$ 0.85 | 9.94 |
| | <u>7,140,666</u> | \$ 0.60 | 7.91 |

The weighted-average fair value of options granted during the years ended December 31, 2002, 2003, and 2004 was \$0.12, \$0.17, and \$1.87, respectively.

The following table summarizes information about stock options outstanding and exercisable at March 31, 2005:

| Options Outstanding and Exercisable | | | |
|-------------------------------------|------------------|---------------------------------|---|
| Range of Exercise Prices | Number of Shares | Weighted-Average Exercise Price | Weighted-Average Remaining Contractual Life |
| | | | (In years) |
| \$0.10 | 60,000 | \$ 0.10 | 4.01 |
| \$0.30 | 72,000 | \$ 0.30 | 5.03 |
| \$0.60 | 6,647,475 | \$ 0.60 | 7.66 |
| \$0.75 | 140,500 | \$ 0.75 | 9.52 |
| \$0.85 | 96,000 | \$ 0.85 | 9.69 |
| \$2.25 | 37,000 | \$ 2.25 | 9.86 |
| | <u>7,052,975</u> | \$ 0.61 | 7.68 |

The weighted average fair value of options granted during the three months ended March 31, 2005 was \$2.73.

The Company has granted common stock options to non-employees in exchange for services. These options have vesting periods ranging from immediate vesting to 48 months. The Company granted options to non-employees to purchase 114,000, 88,750, 63,500 and 5,000 shares in 2002, 2003, 2004 and the three months ended March 31, 2005, respectively. The Black-Scholes option pricing model is used to calculate the fair value of the options as they vest. The Company has recognized \$54,286, \$36,098, \$194,474 and \$65,701 of expense for the estimated fair value of these options for the years ended December 31, 2002, 2003 and 2004 and the three months ended March 31, 2005, respectively.

The Company has also reserved 75,000 shares of its common stock related to a performance stock option for another executive as a part of an employment agreement. Under the terms of the agreement, the shares will vest at the end of the vesting period. In addition, when certain milestones have been fully achieved within the time period specified in the agreement, vesting of the options will accelerate. As of March 31, 2005, no milestones have been achieved.

Warrants

The Company has outstanding warrants to purchase preferred and common stock at December 31, 2004 as follows:

| | Shares | Exercise Price | Expiration |
|--------------------|---------|-------------------|---------------|
| Preferred Series A | 48,743 | \$ 1.00 | August 2005 |
| Common Stock | 130,000 | \$ 1.00 | April 2008 |
| Preferred Series B | 71,538 | \$ 2.60 | December 2009 |
| Common Stock | 175,000 | \$ 4.00 | May 2010 |
| Preferred Series C | 486,750 | \$ 4.80 | July 2010 |
| Preferred Series C | 3,000 | \$ 4.80 | June 2013 |
| Preferred Series C | 1,435 | \$ 4.80 | June 2014 |

Notes Receivable from Officers

In June 1999, the Company issued notes receivable to an officer to finance the purchase of shares of the Company's common stock. The principal amount of the notes totaled \$32,468, the notes bore interest at 5.3% per annum, were full recourse, were secured by shares of the Company's common stock held by the officer and had maturity dates of June 2003 and June 2005. The officer repaid the outstanding balance on the loan during 2003.

In April 2000, the Company issued a note receivable to an officer to finance the purchase of shares of the Company's common stock. The principal amount of the note was \$90,000 and the note bore interest at 6.6% per annum, was full recourse, was secured by shares of the Company's common stock held by the employee, and had a maturity date of April 2004. In 2004 the Company forgave the total outstanding balance of the note. The Company has recorded the forgiveness and the tax portion of the imputed interest as a charge to general and administrative expense.

In May 2000, the Company issued a note receivable to an officer to finance the purchase of shares of the Company's common stock. The principal amount of the note is \$135,000 and the note bears interest at 6.6% per annum, is full recourse, is secured by shares of the Company's common stock held by the employee, and has a maturity date of May 2005. This loan was repaid in full with interest in May 2005. Principal and interest on the note are not forgivable.

Reserved Shares

The Company had reserved shares of common stock for future issuances as follows:

| | December 31, 2003 | December 31, 2004 | March 31, 2005 |
|---|----------------------|-------------------|-------------------|
| Common stock issuable under warrants | 305,000 | 305,000 | 305,000 |
| Conversion of preferred stock issuable under warrants | 610,031 | 611,466 | 611,466 |
| Conversion of Series A preferred stock | 8,467,500 | 8,467,500 | 8,467,500 |
| Conversion of Series B preferred stock | 9,690,771 | 9,690,771 | 9,690,771 |
| Conversion of Series C preferred stock | 12,500,000 | 12,500,000 | 12,500,000 |
| Conversion of Series C-1 preferred stock | 1,250,000 | 1,250,000 | 1,250,000 |
| Conversion of Series C-2 preferred stock | — | 2,916,667 | 2,916,667 |
| Stock option plans | 7,441,450 | 7,716,698 | 7,644,074 |
| | <u>40,264,752</u> | <u>43,458,102</u> | <u>43,385,478</u> |

11. Income Taxes

As of December 31, 2004, the Company had federal net operating loss carryforwards of approximately \$76.3 million. The Company also had federal research and development tax credit carryforwards of approximately \$1.1 million. The net operating loss and tax credit carryforwards will expire at various dates beginning in 2018, if not utilized. As of December 31, 2004, the Company had a state net operating loss carryforward of approximately \$36.9 million, which expires beginning in 2008.

Utilization of the net operating loss and tax credit carryforwards may be subject to a substantial annual limitation due to the ownership change limitations provided by the Internal Revenue Code of 1986, as amended, that are applicable if the Company experiences an "ownership change," which may occur, for example, as a result of the Company's initial public offering and other sales of the Company's stock, and similar state provisions. The annual limitation may result in the expiration of net operating losses and credits before utilization.

As of December 31, 2004 and 2003, the Company had deferred tax assets of approximately \$38,547,000 and \$31,818,000, respectively. Realization of the deferred tax assets is dependent upon future taxable income, if any, the amount and timing of which are uncertain. Accordingly, the net deferred tax assets have been fully offset by a valuation allowance. The net valuation allowance increased by approximately \$9,891,000 and \$6,729,000 during the years ended December 31, 2003 and 2004, respectively.

The income tax benefit recognized differs from the amount computed by applying the statutory income tax rate of 34% to pretax loss as follows:

| | Year ended December 31, | | |
|--|-------------------------|----------------|----------------|
| | 2002 | 2003 | 2004 |
| Statutory rate | \$ (6,521,968) | \$ (6,461,754) | \$ (6,980,268) |
| Current year net operating losses and temporary differences, no tax benefit recognized | 6,493,187 | 6,426,884 | 6,737,902 |
| Other permanent differences | 28,781 | 34,870 | 242,366 |
| | \$ — | \$ — | \$ — |

Deferred income taxes reflect the net tax effects of loss and credit carryforwards and temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax purposes. Significant components of the Company's deferred tax assets for federal and state income taxes are as follows:

| | December 31, | |
|---|---------------|---------------|
| | 2003 | 2004 |
| Deferred tax assets: | | |
| Net operating loss carryforwards | \$ 23,130,000 | \$ 28,139,000 |
| Deferred revenue | 2,542,000 | 4,981,000 |
| Capitalized research costs | 1,682,000 | 1,962,000 |
| Property and equipment | 263,000 | 263,000 |
| Book/tax difference in depreciation | 760,000 | 960,000 |
| Accrued liabilities | 151,000 | 177,000 |
| Federal and state research credit carryforwards | 3,290,000 | 2,065,000 |
| Gross deferred tax assets | 31,818,000 | 38,547,000 |
| Valuation allowance | (31,818,000) | (38,547,000) |
| Net deferred tax assets | \$ — | \$ — |

12. Guarantees and Indemnifications

In November 2002, the FASB issued Interpretation No. 45, "Guarantor's Accounting and Disclosure Requirements for Guarantees, including Indirect Guarantees of Indebtedness of Others" ("FIN 45"). FIN 45 requires that upon issuance of a guarantee, the guarantor must recognize a liability for the fair value of the obligations it assumes under that guarantee.

As permitted under Delaware law and in accordance with the Company's Bylaws, the Company indemnifies its officers and directors for certain events or occurrences, subject to certain limits, while the officer or director is or was serving at the Company's request in such capacity. The Company terminates its indemnification agreements with its officers and directors upon the termination of their employment, but the termination will not affect claims for indemnification relating to events occurring prior to the effective date of termination. The maximum amount of potential future indemnification is unlimited; however, the Company's director and officer insurance policy limits the Company's exposure and may enable the Company to recover a portion of any future amounts paid. Accordingly, the

Company believes that the fair value of these indemnification agreements is minimal. Therefore, the Company has not recorded any liabilities for these agreements as of December 31, 2003 or 2004 or March 31, 2005.

13. Event (Unaudited) Subsequent to Date of Independent Registered Public Accounting Firm's Opinion

In April 2005, the Company entered into a license agreement with BMS in which the Company obtained worldwide exclusive and non-exclusive diagnostic and therapeutic licenses, including rights to sublicense, to SNS-032 and any related compounds active against CDK-1, -2, -4, -7 and -9 and are covered by licensed intellectual property.

The agreement provides to BMS an upfront payment of \$8.0 million payable in 1,666,667 shares of the Company's Series C-2 preferred stock, and milestone payments totaling up to \$78.0 million for beginning Phase I, Phase II and Phase III clinical testing, and for filing NDAs and receiving regulatory approval in the United States, Europe and Japan as well as for achieving certain commercial milestones. The upfront payment of \$8.0 million will be expensed as acquired in-process research and development cost as the compound has not reached technical feasibility and has no foreseeable alternate future uses. Milestone payments are distributed among IV and oral formulations and various cancer indications. The Company may, at its election, pay some of these milestone payments in equity or a mixture of cash and equity, rather than entirely in cash.

The agreement also provides for royalty payments to BMS at rates that are based on total annual net sales. Royalty obligations under the agreement continue on a country-by-country basis until the later of (1) expiration of all patents that are owned by the Company or exclusively licensed to the Company (whether by BMS or a third party) that cover a licensed product, (2) 10 years following the first commercial sale of a licensed product or (3) expiration of all applicable data exclusivity with respect to a licensed product.

After completion of any Phase II clinical trial with SNS-032 or other licensed product under a U.S. IND, should the Company desire to sublicense its rights under the agreement, BMS will have the first right to negotiate with the Company for such sublicense. If the Company and BMS do not reach agreement within a designated length of time, then the Company is free to sublicense to any third party provided the financial terms are not less favorable than those offered to BMS. The Company cannot grant a sublicense to any third party before the completion of such Phase II clinical trial unless the Company receives BMS's consent.

The agreement may be terminated by BMS for the Company's uncured breach (other than a diligence breach) or bankruptcy. BMS may terminate this agreement on a country-by-country basis for the Company's uncured failure to use commercially reasonable efforts to develop and/or commercialize at least one licensed compound or licensed product in a particular country or territory. Further, if such uncured failure occurs in certain countries, BMS may terminate the agreement as to entire designated territories. BMS may also terminate this agreement if the Company develops or markets a competitive product within certain designated time periods. The Company may terminate this agreement with respect to a specific licensed product in a particular country without cause but with a specified notice period. The Company may also terminate this agreement for BMS's uncured breach.



SUNESIS

PART II
INFORMATION NOT REQUIRED IN PROSPECTUS

ITEM 13. Other Expenses of Issuance and Distribution.

The following table sets forth the costs and expenses, other than underwriting discounts and commissions, payable by Sunesis Pharmaceuticals, Inc. in connection with the sale of the common stock being registered hereby. All amounts are estimates except the Securities and Exchange Commission Registration Fee and the NASD filing fee.

| | <u>Amount to be Paid</u> |
|---|--------------------------|
| Securities and Exchange Commission registration fee | \$ 10,152 |
| NASD filing fee | 9,125 |
| Nasdaq National Market initial listing fee | 100,000 |
| Blue sky qualification fees and expenses | 10,000 |
| Printing and engraving expenses | 250,000 |
| Legal fees and expenses | 800,000 |
| Accounting fees and expenses | 550,000 |
| Transfer agent and registrar fees | 5,000 |
| Miscellaneous | 15,723 |
| | <hr/> |
| Total | \$ 1,750,000 |

ITEM 14. Indemnification of Directors and Officers.

As permitted by Section 145 of the Delaware General Corporation Law, the amended and restated bylaws of the registrant provide that (i) the registrant is required to indemnify its directors and officers to the fullest extent not prohibited by the Delaware General Corporation Law, (ii) the registrant may, in its discretion, indemnify its other employees and agents as set forth in the Delaware General Corporation Law, (iii) the registrant is required to advance all expenses incurred by its directors and officers in connection with certain legal proceedings, (iv) the rights conferred in the bylaws are not exclusive, and (v) the registrant is authorized to enter into indemnification agreements with its directors, officers, employees and agents. Article IX of the amended and restated bylaws provides for the indemnification of officers, directors and third parties acting on our behalf if such person acted in good faith and in a manner reasonably believed to be in and not opposed to our best interest and, with respect to any criminal action or proceeding, the indemnified party had no reason to believe his or her conduct was unlawful.

Article VI of the amended and restated certificate of incorporation of the registrant provides for the indemnification of directors to the fullest extent permissible under Delaware law.

The registrant has entered into agreements with its directors and officers that require the registrant to indemnify such persons against expenses, judgments, fines, settlements, and other amounts that any such person becomes legally obligated to pay (including with respect to a derivative action) in connection with any proceeding, whether actual or threatened, to which such person may be made a party by reason of the fact that such person is or was a director or officer of the registrant or any of its affiliates. The indemnification agreements also set forth certain procedures that will apply in the event of a claim for indemnification thereunder. At present, no litigation or proceeding is pending that involves a director or officer of the registrant regarding which indemnification is sought, nor is the registrant aware of any threatened litigation that may result in claims for indemnification.

The underwriting agreement filed as Exhibit 1.1 to this registration statement provides for indemnification under certain circumstances by the underwriters of the registrant, its directors, and certain of its officers for liabilities arising under the Securities Act of 1933, as amended, or otherwise.

The registrant maintains a directors' and officers' insurance and registrant reimbursement policy. The policy insures directors and officers against unindemnified losses arising from certain wrongful acts in their capacities as directors and officers and reimburses the registrant for those losses for which the registrant has lawfully indemnified the directors and officers. The policy contains various exclusions, none of which apply to this offering.

The Eighth Amended and Restated Investor Rights Agreement, as amended, among the registrant and certain investors provides for cross-indemnification in connection with registration of the registrant's common stock on behalf of such investors.

See also the undertakings set out in response to Item 17.

ITEM 15. Recent Sales of Unregistered Securities.

From January 1, 2002 through April 30, 2005, the registrant has issued and sold the following unregistered securities:

1. The registrant sold an aggregate of 731,332 shares of common stock to employees, directors and consultants for cash consideration in the aggregate amount of \$362,254 upon the exercise of stock options and stock awards, 51,522 shares of which have been repurchased.
2. The registrant granted stock options and stock awards to employees, directors and consultants under its 1998 Stock Option Plan and 2001 Stock Option Plan covering an aggregate of 6,168,300 shares of common stock, each with exercise prices ranging from \$0.60 to \$2.25 per share. Of these, options covering an aggregate of 978,435 were cancelled without being exercised.
3. In December 2002, the registrant issued and sold an aggregate of 1,250,000 shares of Series C-1 convertible preferred stock at a purchase price per share of \$4.80 to Biogen, Inc., which represented that it was an accredited investor, for an aggregate purchase price of \$6,000,000.
4. In August 2004, the registrant issued and sold an aggregate of 2,916,667 shares of Series C-2 convertible preferred stock at a purchase price per share of \$4.80 to Biogen Idec, which represented that it was an accredited investor, for an aggregate purchase price of \$14,000,000.
5. In June 2003, the registrant issued a warrant to purchase 3,000 shares of our Series C preferred stock at an exercise price per share of \$4.80 to General Electric Capital Corporation, which represented that it was an accredited investor, for an aggregate exercise price of \$14,400.
6. In June 2004, the registrant issued a warrant to purchase 1,435 shares of our Series C preferred stock at an exercise price per share of \$4.80 to General Electric Capital Corporation, which represented that it was an accredited investor, for an aggregate exercise price of \$6,888.
7. In April 2005, the registrant issued and sold an aggregate of 1,666,667 shares of Series C-2 convertible preferred stock at a purchase price per share of \$4.80 to Bristol-Myers Squibb Company, which represented that it was an accredited investor for an aggregate purchase price of \$8,000,000.

The registrant claimed exemption from registration under the Securities Act for the sales and issuances of securities in the transactions described in paragraphs (1) and (2) above under Section 4(2) of the Securities Act in that such sales and issuances did not involve a public offering or under Rule 701 promulgated under the Securities Act, in that they were offered and sold either pursuant to written compensatory plans or pursuant to a written contract relating to compensation, as provided by Rule 701.

The registrant claimed exemption from registration under the Securities Act for the sale and issuance of securities in the transactions described in paragraphs (3) through (7) by virtue of Section 4(2) and/or Regulation D promulgated thereunder as transactions not involving any public offering. All of the purchasers of unregistered securities for which the registrant relied on Section 4(2) and/or Regulation D represented that they were accredited investors as defined under the Securities Act. The registrant claimed such exemption on the basis that (a) the purchasers in each case represented that they intended to acquire the securities for investment only and not with a view to the distribution thereof and that they either received adequate information about the registrant or had access, through employment or other relationships, to such information and (b) appropriate legends were affixed to the stock certificates issued in such transactions.

ITEM 16. Exhibits and Financial Statement Schedules.

(a) Exhibits.

EXHIBIT INDEX

| Exhibit Number | Description |
|-------------------|--|
| 1.1* | Form of Underwriting Agreement. |
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| 3.2** | Form of Amendment to Eighth Amended and Restated Certificate of Incorporation. |
| 3.3** | Amended and Restated Certificate of Incorporation of the Registrant (Delaware) to be effective prior to the closing of the offering. |
| 3.4** | Amended Bylaws of the Registrant as currently in effect. |
| 3.5** | Amended and Restated Bylaws of the Registrant to be effective upon the closing of the offering. |
| 4.1** | Specimen Common Stock certificate of the Registrant. |
| 5.1* | Opinion of Latham & Watkins LLP. |
| 10.1** | 1998 Stock Option Plan and Form of Stock Option Agreement. |
| 10.2** | 2001 Stock Option Plan and Form of Stock Option Agreement. |
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| 10.8** | Employment Agreement, dated April 18, 2003, by and between the Registrant and Daniel C. Adelman. |
| 10.9** | Employment Agreement, dated December 1, 2003, by and between the Registrant and Eric H. Bjerkholt. |
| 10.10** | First Amendment to Employment Agreement, dated June 21, 2004, by and between the Registrant and Eric H. Bjerkholt. |
| 10.11** | Employment Agreement, dated December 1, 2003, by and between the Registrant and Daniel N. Swisher, Jr. |

- 10.12** Employment Agreement, dated December 1, 2003, by and between the Registrant and James W. Young.
- 10.13** Promissory Note, dated April 13, 2000, by and between the Registrant and Daryl B. Winter, for principal amount of \$90,000.
- 10.14** Promissory Note, dated April 13, 2000, by and between the Registrant and Daryl B. Winter, for principal amount of \$100,000 and Employment Agreement, dated April 5, 2000, by and between the Registrant and Daryl B. Winter, defining the terms of the Promissory Note.
- 10.15** Promissory Note, dated May 17, 2000, by and between the Registrant and James W. Young, for principal amount of \$135,000.
- 10.16** Promissory Note, dated December 18, 2002, by and between the Registrant and Biogen, Inc., for principal amount of up to \$4,000,000.
- 10.17** Eighth Amended and Restated Investor Rights Agreement, dated August 30, 2004, by and among the Registrant and certain stockholders and warrant holders.
- 10.18** Warrant, dated April 9, 1998, by and between the Registrant and James A. Wells.
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- 10.20** Warrant, dated July 7, 2000, by and between the Registrant and Broadview Ltd. Limited and Amendment No. 1 thereto, dated December 2004.
- 10.21** Warrant, dated June 11, 2003, by and between the Registrant and General Electric Capital Corporation.
- 10.22** Warrant, dated June 21, 2004, by and between the Registrant and General Electric Capital Corporation and Amendment No. 1 thereto, dated December 16, 2004.
- 10.23** Lease, dated May 12, 2000, by and between Registrant and ARE-Technology Centers SSF, LLC, for office space located at 341 Oyster Point Boulevard, South San Francisco, California.
- 10.24** First Amendment to Lease Agreement, dated December 20, 2000, by and between the Registrant and ARE-Technology Centers SSF, LLC for office space located at 341 Oyster Point Boulevard, South San Francisco, California.
- 10.25** Master Security Agreement, dated June 15, 2000 and amendments thereto, by and between the Registrant and General Electric Capital Corporation, Negative Pledge Agreement, dated May 17, 2002, and Form of Promissory Note.
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| | |
|----------|--|
| 10.32**† | Notice of Extension and Second Amendment to Collaboration Agreement, dated December 15, 2003, between the Registrant and Johnson & Johnson Pharmaceutical Research & Development, LLC. |
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| 10.34**† | License and Collaboration Agreement, dated February 12, 2003, by and between the Registrant and Merck & Co., Inc. |
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| 10.39** | Amendment to Eighth Amended and Restated Investor Rights Agreement, dated as of April 27, 2005, among the Registrant and Investors listed on the signature pages thereto. |
| 23.1 | Consent of Independent Registered Public Accounting Firm. |
| 23.2* | Consent of Latham & Watkins LLP (See Exhibit 5.1). |
| 24.1** | Power of Attorney (see page II-6 of registration statement on Form S-1 filed on December 23, 2004). |

* To be filed by amendment.

** Previously filed.

† Portions of the exhibit have been omitted pursuant to a request for confidential treatment. The omitted information has been filed separately with the Securities and Exchange Commission.

(b) Schedules

All schedules have been omitted because they are inapplicable or the requested information is shown in the financial statements of the Registrant or the notes thereto.

ITEM 17. Undertakings.

The undersigned registrant hereby undertakes to provide to the underwriters at the closing specified in the underwriting agreement certificates in such denominations and registered in such names as required by the underwriters to permit prompt delivery to each purchaser.

Insofar as indemnification by the registrant for liabilities arising under the Securities Act may be permitted to directors, officers and controlling persons of the registrant pursuant to the provisions described in Item 14 or otherwise, the registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is against public policy as expressed in the Securities Act and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the registrant of expenses incurred or paid by a director, officer or controlling person of the registrant in the successful defense of any action, suit or proceeding) is asserted by such director, officer or controlling person in connection with the securities being registered, the registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such

indemnification by it is against public policy as expressed in the Securities Act and will be governed by the final adjudication of such issue.

The undersigned registrant hereby undertakes that:

(1) For purposes of determining any liability under the Securities Act, the information omitted from the form of prospectus filed as part of this registration statement in reliance upon Rule 430A and contained in the form of prospectus filed by the registrant pursuant to Rule 424(b)(1) or (4) or 497(h) under the Securities Act shall be deemed to be part of this registration statement as of the time it was declared effective.

(2) For the purpose of determining any liability under the Securities Act, each post-effective amendment that contains a form of prospectus shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial *bona fide* offering thereof.

SIGNATURES

Pursuant to the requirements of the Securities Act of 1933, the registrant has duly caused this amendment to the registration statement to be signed on its behalf by the undersigned, thereunto duly authorized, in South San Francisco, State of California, on the 1st day of June, 2005.

SUNESIS PHARMACEUTICALS, INC.

By: /s/ DANIEL N. SWISHER, JR.

Daniel N. Swisher, Jr.
Chief Executive Officer

Pursuant to the requirements of the Securities Act of 1933, this Registration Statement has been signed by the following persons in the capacities and on the dates indicated:

| Signature | Title | Date |
|----------------------------|--|--------------|
| * | Executive Chairman of the Board | June 1, 2005 |
| James W. Young, Ph.D. | | |
| /s/ DANIEL N. SWISHER, JR. | Chief Executive Officer and Director <i>(Principal Executive Officer)</i> | June 1, 2005 |
| Daniel N. Swisher, Jr. | | |
| /s/ ERIC H. BJERKHOLT | Senior Vice President and Chief Financial Officer <i>(Principal Financial Officer and Principal Accounting Officer)</i> | June 1, 2005 |
| Eric H. Bjerkholt | | |
| * | Director | June 1, 2005 |
| Anthony B. Evnin, Ph.D. | | |
| * | Director | June 1, 2005 |
| Stephen P.A. Fodor, Ph.D. | | |
| | Director | |
| Matthew K. Fust | | |
| * | Director | June 1, 2005 |
| Steven D. Goldby | | |

*

Director

June 1, 2005

Russell C. Hirsch, M.D., Ph.D.

*

Director

June 1, 2005

Jonathan S. Leff

/s/ JAMES A. WELLS

President, Chief Scientific Officer and Director

June 1, 2005

James A. Wells, Ph.D.

*By:

/s/ DANIEL N. SWISHER, JR.

Daniel N. Swisher, Jr.
Attorney-in-Fact

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Exhibit 23.1

Consent of Independent Registered Public Accounting Firm

We consent to the reference to our firm under the caption "Experts" and to the use of our report dated January 21, 2005, in the Registration Statement (Amendment No. 3 to Form S-1 No. 333-121646) and related Prospectus of Sunesis Pharmaceuticals, Inc. for the registration of its common stock.

/s/ ERNST & YOUNG LLP

San Jose, California
May 26, 2005

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