

RIGEL PHARMACEUTICALS INC
Form 10-K
March 08, 2007

UNITED STATES SECURITIES AND EXCHANGE COMMISSION

WASHINGTON, D.C. 20549

FORM 10-K

(Mark One)

**ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE
SECURITIES EXCHANGE ACT OF 1934**

For the fiscal year ended December 31, 2006

or

**TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE
SECURITIES EXCHANGE ACT OF 1934**

Commission file number 0-29889

RIGEL PHARMACEUTICALS, INC.

(Exact name of registrant as specified in its charter)

Delaware

(State or other jurisdiction of incorporation or
organization)

1180 Veterans Blvd.

South San Francisco, California

(Address of principal executive offices)

94-3248524

(IRS Employer Identification Number)

94080

(Zip Code)

(650) 624-1100

(Registrant's telephone number, including area code)

Securities registered pursuant to Section 12(b) of the Act:

Title of each class:

Common Stock, par value \$.001 per share

Name of exchange on which registered:

The Nasdaq Global Market

Securities registered pursuant to Section 12(g) of the Act:

None

Indicate by check mark if the registrant is a well-known seasoned issuer, as defined in Rule 405 of the Securities Act. Yes No

Indicate by check mark if the registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the Act. Yes No

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. Yes No

Indicate by check mark if disclosure of delinquent filers pursuant to Item 405 of Regulation S-K is not contained herein, and will not be contained, to the best of registrant's knowledge, in definitive proxy or information statements incorporated by reference in Part III of this Form 10-K or any amendment to this Form 10-K.

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Indicate by a check mark whether the registrant is a large accelerated filer, an accelerated filer or a non-accelerated filer. See definition of accelerated filer and large accelerated filer in Rule 12b-2 of the Exchange Act).

Large accelerated filer

Accelerated filer

Non-accelerated filer

Indicate by a check mark whether the registrant is a shell company (as defined in Exchange Act Rule 12b-2) Yes No

The approximate aggregate market value of the Common Stock held by non-affiliates of the registrant, based upon the closing price of the registrant's Common Stock as reported on the Nasdaq National Market on June 30, 2006, the last business day of the registrant's most recently completed second fiscal quarter, was \$129,633,471. Shares of the registrant's outstanding Common Stock held by each executive officer, director and holder of 5% or more of the registrant's outstanding Common Stock have been excluded. The determination of affiliate status for the purposes of this calculation is not necessarily a conclusive determination for other purposes.

As of February 27, 2007, there were 25,185,213 shares of the registrant's Common Stock outstanding.

DOCUMENTS INCORPORATED BY REFERENCE

Items 10, 11, 12, 13 and 14 of Part III incorporate information by reference from the definitive proxy statement for the Registrant's Annual Meeting of Stockholders to be held on May 31, 2007.

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FORWARD-LOOKING STATEMENTS

This annual report on Form 10-K, including the documents that we incorporate by reference, contains statements indicating expectations about future performance and other forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, that involve risks and uncertainties. We usually use words such as may, will, should, expect, plan, anticipate, believe, estimate, predict, future, intend, potential or continue or the negative of these terms and other expressions to identify these forward-looking statements. These statements appear throughout this annual report on Form 10-K and are statements regarding our current intent, belief or expectation, primarily with respect to our operations and related industry developments. Examples of these statements include, but are not limited to, statements regarding the following: our business and scientific strategies; the progress of our product development programs, including clinical testing; our corporate collaborations, including revenues that may be received from these collaborations; our drug discovery technologies; our research and development expenses; protection of our intellectual property; sufficiency of our cash resources; and our operations and legal risks. You should not place undue reliance on these forward-looking statements. Our actual results could differ materially from those anticipated in these forward-looking statements for many reasons, including as a result of the risks and uncertainties discussed under the heading Risk Factors in Part I, Item 1A of this annual report on Form 10-K. Any forward-looking statement speaks only as of the date on which it is made, and we undertake no obligation to update any forward-looking statement to reflect events or circumstances after the date on which the statement is made or to reflect the occurrence of unanticipated events. New factors emerge from time to time, and it is not possible for us to predict which factors will arise. In addition, we cannot assess the impact of each factor on our business or the extent to which any factor, or combination of factors, may cause actual results to differ materially from those contained in any forward-looking statements.

PART I

Item 1. Business

Overview

Rigel Pharmaceuticals, Inc. was incorporated in Delaware in June 1996, and is based in South San Francisco. Rigel is a clinical-stage drug development company that discovers and develops novel, small molecule drugs for the treatment of inflammatory diseases, cancer and viral diseases. Our goal is to file one new investigative new drug (IND) application in a significant indication each year. We have achieved this goal each year beginning in 2002. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Rigel's productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. We have product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia, asthma and allergy as well as in cancer.

During 2006 and the start of 2007, we:

- Initiated a Phase 2 clinical trial in rheumatoid arthritis, or RA, with R788 (Third Quarter 2006);
- Initiated a Phase 2 clinical trial in Immune Thrombocytopenia Purpura, or ITP, with R788 (2007);
- Filed an Investigational New Drug Application to test R788 as a treatment for patients with Lymphoma (Fourth Quarter 2006);
- Selected R348, an orally-available selective inhibitor of Janus Kinase 3 (JAK3) to enter preclinical studies (Fourth Quarter 2006)

- Announced that Merck Serono, S.A., or Merck Serono, initiated two Phase 1 studies with R763, the first for the treatment of patients with refractory solid tumors (Third Quarter 2006) and the second for the treatment of patients with hematologic tumors (2007); and
- Announced Pfizer's selection of R343 for advanced preclinical development in allergic asthma triggering a \$5.0 million milestone payment to us (Second Quarter of 2006).

Strategy

Rigel's research team is focused on creating a portfolio of product candidates that can be developed into small molecule therapeutics for our own proprietary programs as well as with potential collaborative partners. We recognize that the product development process is subject to both high costs and a high risk of failure. We believe that identifying a variety of product candidates and working in conjunction with other pharmaceutical companies may minimize the risk of failure, fill the product pipeline gap at major pharmaceutical companies and ultimately, may increase the likelihood of advancing clinical development and commercial success.

The key elements to our scientific and business strategy are to:

- *utilize our robust discovery engine to rapidly discover and validate new product candidates in a broad range of therapeutic indications;*
- *develop a diverse portfolio of drug candidates that address a large range of therapeutic indications or that represent significant market opportunities;*
- *advance at least one new product candidate into the clinic each year; and*
- *establish strategic collaborations with pharmaceutical and biotechnology companies, preferably after Phase 2 trials, to develop and market our product candidates.*

Clinical and Preclinical Product Development Programs

Rigel's product development portfolio features multiple novel small molecule drug candidates whose specialized mechanisms of action are intended to provide therapeutic benefit for a range of immune/autoimmune disease areas as well as cancers and infection diseases.

| Product Pipeline | | Current Stage | Outlook |
|------------------|--|------------------------|--|
| R788 - | <i>Oral SYK Inhibitor</i> | | |
| | RA | Phase 2 | Top-line data in 2H 2007 |
| | ITP | Phase 2 | Top-line data in middle 2007 |
| | B-Cell Lymphoma | IND filed, Phase 1 | Expect to initiate a clinical trial in 1H 2007 |
| R763 - | <i>Oral Aurora Kinase Inhibitor</i> | | |
| | Solid Tumors | Phase 1 - Merck Serono | |
| | Hematological malignancies | Phase 1 - Merck Serono | |
| R343 - | <i>Inhaled SYK Inhibitor</i> | | |
| | Asthma | Preclinical - Pfizer | Phase 1 in 2007 |
| R348 - | <i>Oral JAK3 Inhibitor</i> | | |
| | RA/Psoriasis | Preclinical | |
| | Transplant rejection | Preclinical | |

Key: Phase 1 refers to clinical testing in humans to determine safety; Phase 2 refers to clinical testing in humans to determine efficacy.

Clinical Stage Programs

Rheumatoid Arthritis

Disease background. Rheumatoid arthritis, or RA, is a chronic inflammatory disease that affects multiple tissues, but typically produces its most pronounced symptoms in the joints. RA is often progressive and debilitating, preventing people from living a symptom-free life. Ultimately the chronic inflammation of the joints affects nearly 2.1 million people in the United States.

The current treatment options for RA have significant potential side effects and other shortfalls, including gastrointestinal complications and kidney damage. RA patients receive multiple drugs depending on the extent and aggressiveness of the disease. Most RA patients eventually require some form of disease modifying anti-rheumatic drug or DMARD. DMARDs include methotrexate, an anti-cancer agent, and/or a variety of immunomodulatory agents (TNF inhibitors, co-stimulation inhibitors), of which, the latter must be delivered via injection.

Orally-available Syk Inhibitor Program. We intend to focus our RA program on the development of a safe oral DMARD that can be used early in the course of the disease, preventing its progression prior to major bone and cartilage destruction.

R788 is our lead product candidate. It has a novel mechanism of action blocking IgG receptor signaling in macrophages and B-cells. We completed R788 studies to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this trial suggest no adverse interaction. In September 2006, we commenced a Phase 2, multicenter, ascending dose, randomized, double-blind, placebo-controlled, dose ranging study to evaluate up to three doses of R788 in RA patients failing to respond to methotrexate. We expect to receive results from the study in the second half of 2007.

Orally-available JAK3 inhibitor Program. Another approach to the treatment of RA may be through the inhibition of JAK3, a cytoplasmic tyrosine kinase which plays an important role in lymphocyte differentiation and proliferation in a variety of autoimmune diseases. Rigel is conducting a preclinical development program with R348, an oral, selective JAK3 inhibitor which is aimed at evaluating the drug candidates' potential in RA and other diseases (see Preclinical Research Programs section on page 5).

Immune Thrombocytopenia Purpura

Disease background. Immune thrombocytopenia purpura, also referred to as thrombocytopenia or ITP, is a blood disorder whereby the immune system attacks and destroys platelets in the blood resulting in an abnormally low platelet count, which can result in easy bruising, bleeding gums, and internal bleeding. Approximately 200,000 people in the U.S. suffer from ITP. The majority of cases are in women, with 50% of the new cases being found in children.

First line medical therapy for ITP consists primarily of steroids, which help prevent bleeding by decreasing the rate of platelet destruction. The current treatment options for chronic ITP have potentially significant side effects and lack long-term effectiveness. When steroid therapy fails, the patient's spleen may need to be removed (splenectomy), which poses the risk of other significant complications. There is no consensus on the appropriate management for chronic ITP, but due to the fact that sustained remission is infrequent new therapies are needed. Rigel is focusing its ITP program on the chronic form of the disorder, targeting the underlying autoimmune cause of the disease.

Orally-available Syk Inhibitor Program. Platelet destruction from ITP is mediated by IgG signaling. R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We commenced Phase 2 clinical trials of R788 to evaluate its safety and efficacy in refractory ITP patients in the January 2007 and expect to receive results from the study in the middle of 2007.

B-Cell Lymphoma

Disease background. Lymphoma is a large class of blood cancers that affect the lymphatic system, which is part of the immune system. In 2006, lymphoma affected an estimated 500,000 Americans, with 332,000 of them suffering from non-Hodgkins varieties of the disease. Diffuse large B-cell lymphoma is the most common type of non-Hodgkin's lymphoma and is generally categorized as aggressive, marked by rapidly growing tumors in the lymph nodes, spleen, liver, bone marrow and other organs.

A variety of treatment options exist, including chemotherapy and radiation, but the five year survival rates for non-Hodgkins lymphoma patients are only about 50%. For those who do survive, recurrences of the disease are common, warranting additional and novel approaches to treatment of the lymphoma as well.

Orally-available Syk Inhibitor Program. Research has shown that Syk kinase appears to amplify B-cell antigen receptor signaling, a mechanism which causes proliferation of B-cell lymphoma cell lines. Inhibition of Syk kinase, via Rigel's R788, resulted in the inhibited proliferation of and was shown to be cytotoxic in multiple diffuse large B-cell lymphoma cell lines, suggesting that R788 may be useful in the treatment of certain B-cell lymphomas.

Rigel has filed an IND for this indication and expects to begin a clinical trial in the first half of 2007.

Lupus

Disease background. Systemic Lupus Erythematosus (SLE) is a chronic inflammatory disease that can affect multiple parts of the body, including the skin, joints, lungs, blood vessels, hearts, kidneys, liver, brain and the nervous system. An autoimmune disease, Lupus occurs when the body's immune system loses its ability to distinguish between foreign substances (antigens) and its own cells and tissues. The patient's immune system produces auto-antibodies which target the body's cells and form immune complexes. As these immune complexes build up in the tissues, inflammation, pain and other disease symptoms flare up. The disease leads to inflammation-mediated end organ damage and may, in severe cases, be life-threatening.

More than 16,000 Americans develop lupus each year and estimates are that nearly 1.5 million Americans have been diagnosed with Lupus. Current treatments involve a range of non-steroidal anti-inflammatory drugs (NSAIDs) and corticosteroids to stem inflammation as needed.

Orally-available Syk Inhibitor Program. R788 has been shown to block immune complex mediated inflammation in preclinical studies and may also provide protection against organ failure in patients with the disease. Rigel is evaluating the feasibility of entering R788 in clinical tests in patients with SLE in the future.

Oncology

Disease background. Cancer is the second leading cause of death in the United States. More than one million Americans are diagnosed with cancer each year, and nearly half of all men and over one-third of all women in the United States will develop cancer during their lifetimes. Anyone can get cancer at any age, however, approximately 77% of all cancers are diagnosed in people age 55 and older. Although cancer occurs in all racial and ethnic groups, the rate of incidence varies from group to group.

Aurora Kinase Inhibitor Program. Aurora kinase plays a central role in the cell division process and the overexpression of Aurora kinase can cause cells to quickly form an abnormal number of chromosomes. As such, Aurora kinase is frequently associated with various solid tumor human cancers such as cancers of the breast, bladder, colon, ovary, head and neck, and pancreas. Increased knowledge of Aurora kinase and its potential to regulate cell growth may be the basis for treating and even preventing some cancers.

Rigel has identified R763 as a lead compound in our Aurora kinase inhibition program, targeting cancer cell proliferation. R763 is a potent, highly-selective, small-molecule inhibitor of Aurora kinase. In October 2005, we signed a licensing agreement with Merck Serono, that gave Merck Serono the exclusive rights to develop and commercialize R763, in addition to other product candidates arising from our Aurora kinase inhibitor program. Under the agreement, we were responsible for filing an IND for R763, while Merck Serono will be responsible for the further development and commercialization of R763. We filed an IND for R763 with the Food and Drug Administration, or FDA, in December 2005 and obtained FDA approval to proceed under the IND in January 2006. Merck Serono initiated clinical trials for R763 in solid tumors in September 2006 and leukemia in 2007.

Asthma

Disease background. Allergic asthma is a chronic inflammatory disorders of the airways. Asthma affects the lower respiratory tract and is marked by episodic flare-ups, or attacks, that can be life threatening. In some patients, allergens, such as pollen, trigger the production of immunoglobulin E antibodies, or IgE antibodies, which then bind to mast cells and cause an intracellular signal that results in the release of various chemical mediators. When this process occurs repeatedly over time, it creates persistent inflammation of the airway passages, resulting in the chronic congestion and airway obstruction associated with allergic rhinitis and asthma, respectively.

Inhaled Syk Inhibitor Program. In the first quarter of 2005, we announced a collaborative research and license agreement with Pfizer, Inc. for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as chronic obstructive pulmonary disease (COPD). The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk, or spleen tyrosine kinase, a novel drug target for respiratory diseases. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases.

In May 2006, Rigel announced that Pfizer had selected R343 for advanced preclinical development in allergic asthma via intrapulmonary delivery. As a result, Rigel received a \$5 million milestone payment from Pfizer. We expect Pfizer will enter the clinic with R343 by the end of 2007.

Preclinical Research Programs

Rigel is conducting proprietary research in three broad disease areas: immunology/inflammation, virology and oncology. With each disease area, we are investigating mechanisms of action of pathogens as well as screening compounds against potential novel intracellular targets and optimizing those leads that appear to have the greatest potential.

Immunology/Inflammation. Currently, we are researching autoimmune mediated inflammation disorders such as RA, transplant rejection, Graft vs. Host Disease (GVHD), psoriasis, multiple sclerosis and inflammation of the bowel. We have identified more than one kinase that may be inhibited in order to treat inflammation related disorders, and we are in the process of screening other compounds against various kinases in order to find additional lead compounds to potentially treat inflammation-related disorders.

Rigel's JAK3 Program is focused on RA, organ transplant and other immune disorders. JAK3 is an attractive target based on its selectivity. It plays a critical role in lymphocyte development and function. In October 2006, Rigel selected R348, an orally-available potent, selective JAK3 inhibitor to enter preclinical studies. During 2007, we hope to move it into the clinic in one or more of the indications noted above.

Virology. In the area of virology, Rigel is investigating various targets to inhibit the replication of hepatitis C virus (HCV) and Human Immune Deficiency Virus (HIV). Recent Rigel data elucidates the signaling pathway of HIV replication and suggests the potential for an entirely new class of antiretroviral therapies. Rigel will continue to explore innovative and selective means of arresting these and other viral pathogens and expects to advance this area of preclinical research in 2007.

Oncology. In addition to our programs focused on inhibiting kinases, as with the clinical candidate R763 which is under development by our partner, Merck Serono, Rigel is exploring ligases, a class of enzymes that also may yield possible drug targets in immunology and virology. Aside from R763, our oncology research programs are focused in two areas: ubiquitin ligases and inhibition of the tyrosine kinase receptor known as Axl.

Ubiquitin ligase program. Ubiquitin ligases are enzymes that regulate protein degradation within the cell. The breakdown of proteins, in turn, affects many important cellular functions, including cell division. Targeting ligases represents a novel approach to treating diseases where normal cellular processes are out of balance. Because unchecked cell division is the hallmark of cancer, researchers believe that this part of the cell machinery represents a particularly compelling target for cancer therapies. Ubiquitin ligase targets are numerous and modular. This provides the potential for intervening in a highly specific fashion with respect to a disease, potentially improving efficacy and minimizing side-effects.

We believe we are a leader in investigating and characterizing the ubiquitin ligase system for the discovery and development of potential new therapeutics in the oncology as well as immunology and virology areas. We have initiated one of the industry's broadest efforts with respect to ubiquitin ligases, working on the development of numerous ligase targets, and were one of the first companies to discover potent and highly selective small molecule inhibitors of ubiquitin ligases. Some of these inhibitors have shown positive activity in animal models of disease.

In November 2004, we entered into a broad collaboration agreement with Merck and Co., Inc., or Merck, to investigate ubiquitin ligases to find treatments for cancer and potentially other diseases. The collaboration is based on a number of new targets designated by Merck. However, we may nominate our own targets for potential inclusion in the collaboration. We also have an ongoing program with Daiichi to pursue compounds against a specific ubiquitin ligase target.

Axl Inhibition. Our scientists identified and characterized a role for the receptor tyrosine kinase Axl in angiogenesis and tumor growth. These findings were published in *Cancer Research*, the journal of the American Association for Cancer Research in October 2005. We found that Axl signaling controls diverse processes in endothelial cells, including growth, survival, migration, and morphologic differentiation mechanisms that are central to tumor growth and formation. As part of an effort to develop novel therapeutic strategies for cancer treatment, we developed a unique genetic screening protocol to discover genes that regulate cell migration in primary human endothelial cells. Our findings indicate that Axl regulates processes vital for both neovascularization and tumorigenesis. Axl inhibition could potentially target tumor growth and angiogenesis.

Corporate Collaborations

Rigel currently has collaborations with six major pharmaceutical/biotech companies to leverage our product development efforts. These collaborations are: one with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics, two with Pfizer Inc.; one initiated

in 1999 and the other in January 2005, relating to intrapulmonary asthma and allergy therapeutics; one with Novartis Pharma AG with four different programs relating to immunology, oncology and chronic bronchitis; one with Daiichi Pharmaceuticals Co., Ltd. in the area of oncology; one with Merck, also in the area of oncology, and one with Merck Serono, relating to our Aurora kinase inhibitor program.

Merck Serono

In October 2005, we entered into a collaborative research and license agreement with Merck Serono under which we granted to Merck Serono an exclusive license to develop and commercialize product candidates from our Aurora kinase inhibitor program. Our Aurora kinase inhibitor program includes R763 which is a highly potent, orally-available multi-Aurora kinase inhibitor that has been shown in vitro and in in-vivo tumor xenograft models to inhibit proliferation and trigger apoptosis in several tumor cell lines including the cancer of the cervix, colon, lung, pancreas and prostate.

We were responsible for all costs associated with the preparation and filing of an IND for R763, while Merck Serono is responsible for all development of R763 following regulatory acceptance of the IND and costs thereafter. In February 2006, we received a milestone payment of \$5 million upon the regulatory acceptance of the R763 IND in January 2006. In September 2006, we received a \$3.0 million payment from Merck Serono in connection with the initiation of the Phase 1 study of R763. In February 2007, we announced that Merck Serono has also initiated a Phase 1 study in hematologic tumors. We will be eligible to receive additional milestones, under certain conditions, upon commencement of a Phase 2 clinical trial of R763, other clinical events and marketing approval and royalties on any future product sales.

Pfizer

Effective January 1999, we entered into a research collaboration with Pfizer to identify and validate intracellular drug targets that control and inhibit the production of IgE in B-cells in the area of asthma/allergy. The research phase of the collaboration was initially scheduled to end in January 2001, but Pfizer elected to exercise its option to extend the collaboration to January 2002. During the research phase, the collaboration was successful in identifying several intracellular drug targets that control the production of IgE, a key mediator in allergic reactions and asthma in B-cells. Through the conclusion of the research phase of the collaboration, which was extended to February 2002, Pfizer accepted a total of seven validated targets. Pfizer continues to be obligated to pay us various milestones and royalties if certain conditions are met.

In January 2005, we entered into a second research collaboration with Pfizer that has a license component. The collaboration is for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases such as COPD. The collaboration is primarily focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk kinase. Pursuant to the terms of the current collaboration, we received an upfront cash payment, and are eligible to receive milestone payments and royalties on any future product sales. Pfizer made an equity investment in Rigel at a premium and will be responsible for the worldwide development and commercialization of any resulting products.

In May 2006, we achieved the first milestone payment when Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. Pfizer paid us \$5.0 million for the exclusive right to R343 and will be responsible for all development related to R343 going forward.

Merck

In November 2004, we entered into a broad collaboration agreement with Merck to investigate ubiquitin ligases, a new class of drug target, to find treatments for cancer and potentially other diseases. Under the terms of the agreement, we received an initial cash payment and will receive funding for our

research scientists for two and a half years, at which point the research phase of this collaboration will terminate. The collaboration is based on a number of new targets designated by Merck. In addition, we may nominate our own targets for potential inclusion in the collaboration. Merck is responsible for worldwide development and commercialization of any resulting compounds and will pay us royalties on future product sales, if any. Under this collaboration, if certain conditions are met, we are eligible to receive milestone payments for selection of target, preclinical and clinical events and have thus far achieved such milestones.

Daiichi

In August 2002, we entered into an agreement with Daiichi to pursue research related to ligases, a novel class of drug targets that control cancer cell proliferation through protein degradation. Through this collaboration, we are working with Daiichi to discover and develop cancer pharmaceutical drugs. The initial stages of the Daiichi collaboration focused on the development of the assay for the specific target and the initiation of high-throughput compound screening to identify therapeutic molecules we and Daiichi would like to advance to later stages of product development. Daiichi holds all development and promotion rights to the product. Per the agreement, the research phase of this collaboration expired in August 2005. Daiichi may become obligated to pay us certain other milestone payments, and we are also entitled to receive royalties on any commercialized products to emerge from the collaboration.

Novartis

In May 1999, we entered into a broad collaboration with Novartis on up to five different five-year research projects to identify drug targets for products that can treat, prevent or diagnose the effects of human disease. Two of the research projects that were conducted jointly by Novartis and us focused in the areas of transplant rejection and autoimmunity. In May 2002, Novartis elected to conclude the research phases of our two initial joint projects in the autoimmunity and transplant rejection areas in November 2002 and February 2003, respectively. The third research project, a project conducted at Novartis, was focused on identifying small molecule drug targets that regulate chronic bronchitis. In July 2001, we amended the agreement to add a three-year joint project at our facilities in the area of angiogenesis and as a result of this amendment, Novartis provided funding for research that was conducted at our facilities and made an additional upfront payment. In January 2002, Novartis chose not to exercise its option to add a final project that was to be conducted at their facility.

Johnson & Johnson

From December 1998 thru 2003, we engaged in a research collaboration with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, to identify, discover and validate novel drug targets that regulate cell cycle, and, specifically, to identify drug targets and the active peptides that bind to them that can restore a mutated cell's ability to stop uncontrolled cell division. We entered into an amendment in July 2000, which expanded the collaboration by having us perform compound screening and medicinal chemistry on some of the validated targets accepted by Johnson & Johnson. We have identified several novel drug targets in this program, nine of which have been accepted by Johnson & Johnson as validated and two of which completed high-throughput screening, or HTS, at our facilities. Johnson & Johnson continues to be obligated to pay us various milestones and royalties if certain conditions are met.

Our Discovery Engine

The technologies that we use in connection with both our proprietary product development programs and our corporate collaborations are designed to identify protein targets for compound screening and validate the role of those targets in the disease process. Unlike genomics-based approaches, which begin by identifying genes and then search for their functions, our approach identifies proteins that are

demonstrated to have an important role in a disease pathway. By understanding the disease pathway, we attempt to avoid studying genes that will not make good drug targets and focus only on the subset of expressed proteins of genes that we believe are specifically implicated in the disease process.

We begin by developing assays that model the key events in a disease process at the cellular level. We then efficiently search hundreds of millions of cells to identify potential protein targets. In addition, we identify the proteins involved in the intracellular process and prepare a map of their interactions, thus giving us a comprehensive picture of the intracellular disease pathway. We believe that our approach has a number of advantages, including:

- *improved target identification*: it focuses only on the sub set of expressed proteins of genes believed to be specifically implicated in the disease process;
- *rapid validation of protein targets*: it produces validated protein targets more quickly because it uses key events in the disease process as the basis to design the functional, disease-based screen;
- *improved disease pathway mapping*: it produces a comprehensive map of the intracellular disease pathway enabling the identification of a larger number of potential protein targets;
- *better informed target selection*: it provides a variety of different types of targets and information concerning the role each plays in their endogenous state to better select targets more susceptible to pharmaceutical intervention;
- *more efficient compound screening*: it increases the probability and speed that compound screening will identify hits because it provides more detailed knowledge of the target that can be used to guide the design of the compound screen; and
- *risk reduction*: it may reduce the risk of failure in the product development process due to serious side effects, including toxicity or other reasons, by selecting only targets that are specific to the disease in question and that have no apparent role in other cell types or signaling pathways.

Because of the very large number of cells and proteins employed, our technology is labor intensive. The complexity of our technology requires a high degree of skill and diligence to perform successfully. In addition, successful application of our technology depends on a highly diverse collection of proteins to test in cells. We believe we have been able to and will continue to meet these challenges successfully and increase our ability to identify targets for drug discovery. Although other companies may utilize technologies similar to certain aspects of our technology, we are unaware of any other company that employs the same combination of technologies as we do.

Pharmacology and Preclinical Development

We believe that the rapid characterization and optimization of lead compounds identified in HTS will generate high-quality preclinical development candidates. Our pharmacology and preclinical development group facilitates lead optimization by characterizing lead compounds with respect to pharmacokinetics, potency, efficacy and selectivity. The generation of proof-of-principle data in animals and the establishment of standard pharmacological models with which to assess lead compounds represent integral components of lead optimization. As programs move through the lead optimization stage, our pharmacology and preclinical development groups support our chemists and biologists by performing the necessary studies, including toxicology, for IND application submissions.

Clinical Development

We have assembled a team of experts in drug development to design and implement clinical trials and to analyze the data derived from these studies. The clinical development group possesses expertise in project management and regulatory affairs.

Research and Development Expenses

Our research and development expenses were \$57.0 million in 2006, \$52.0 million in 2005 and \$48.5 million in 2004.

Intellectual Property

We are able to protect our technology from unauthorized use by third parties only to the extent that it is covered by valid and enforceable patents or is effectively maintained as a trade secret. Accordingly, patents or other proprietary rights are an essential element of our business. We have over 160 pending patent applications and over 80 issued patents in the United States that are owned by or exclusively licensed to us in our field as well as pending corresponding foreign patent applications. Our policy is to file patent applications to protect technology, inventions and improvements to inventions that are commercially important to the development of our business. We seek United States and international patent protection for a variety of technologies, including new screening methodologies and other research tools, target molecules that are associated with disease states identified in our screens, and lead compounds that can affect disease pathways. We also intend to seek patent protection or rely upon trade secret rights to protect other technologies that may be used to discover and validate targets and that may be used to identify and develop novel drugs. We seek protection, in part, through confidentiality and proprietary information agreements. We are a party to various license agreements that give us rights to use technologies in our research and development.

Competition

We face, and will continue to face, intense competition from pharmaceutical and biotechnology companies, as well as from academic and research institutions and government agencies, both in the United States and abroad. Some of these competitors are pursuing the development of pharmaceuticals that target the same diseases and conditions as our research programs. Our major competitors include fully integrated pharmaceutical companies that have extensive drug discovery efforts and are developing novel small molecule pharmaceuticals. We also face significant competition from organizations that are pursuing the same or similar technologies, including the discovery of targets that are useful in compound screening, as the technologies used by us in our drug discovery efforts. Our competitors or their collaborative partners may utilize discovery technologies and techniques or partner more rapidly or successfully than we or our collaborators are able to do.

Many of these companies and institutions, either alone or together with their collaborative partners, have substantially greater financial resources and larger research and development staffs than we do. In addition, many of these competitors, either alone or together with their collaborative partners, have significantly greater experience than we do in:

- identifying and validating targets;
- screening compounds against targets; and
- undertaking preclinical testing and clinical trials.

Accordingly, our competitors may succeed in obtaining patent protection, identifying or validating new targets or discovering new drug compounds before we do.

Competition may also arise from:

- new or better methods of target identification or validation;
- other drug development technologies and methods of preventing or reducing the incidence of disease;

- new small molecules; or
- other classes of therapeutic agents.

Developments by others may render our product candidates or technologies obsolete or noncompetitive. We face and will continue to face intense competition from other companies for collaborative arrangements with pharmaceutical and biotechnology companies, for establishing relationships with academic and research institutions and for licenses to additional technologies. These competitors, either alone or with their collaborative partners, may succeed in developing technologies or products that are more effective than ours.

Our ability to compete successfully will depend, in part, on our ability to:

- identify and validate targets;
- discover candidate drug compounds that interact with the targets we identify;
- attract and retain scientific and product development personnel;
- obtain patent or other proprietary protection for our new drug compounds and technologies; and
- enter commercialization agreements for our new drug compounds.

Government Regulation

Our ongoing development activities are and will be subject to extensive regulation by numerous governmental authorities in the United States and other countries, including FDA under the Federal Food, Drug and Cosmetic Act. The regulatory review and approval process is expensive and uncertain. Securing FDA approval requires the submission of extensive preclinical and clinical data and supporting information to the FDA for each indication to establish a product candidate's safety and efficacy. The approval process takes many years, requires the expenditure of substantial resources and may involve ongoing requirements for post-marketing studies. Clinical trials are subject to oversight by institutional review boards and the FDA and:

- must be conducted in conformance with the FDA's IND regulations;
- must meet requirements for institutional review board oversight;
- must meet requirements for informed consent;
- must meet requirements for good clinical practices;
- are subject to continuing FDA oversight;
- may require large numbers of participants; and
- may be suspended by us, our strategic partners or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

Even if we are able to achieve success in our clinical testing, we, or our collaborative partners, must provide the FDA and foreign regulatory authorities with clinical data that demonstrates the safety and efficacy of our products in humans before they can be approved for commercial sale. We also do not know whether any future clinical trials will demonstrate sufficient safety and efficacy necessary to obtain the requisite regulatory approvals or will result in marketable products. Our failure, or the failure of our strategic partners, to adequately demonstrate the safety and efficacy of our products under development will prevent receipt of FDA and similar foreign regulatory approval and, ultimately, commercialization of our products.

Any clinical trial may fail to produce results satisfactory to the FDA. Preclinical and clinical data can be interpreted in different ways, which could delay, limit or prevent regulatory approval. Negative or inconclusive results or adverse medical events during a clinical trial could cause a clinical trial to be repeated or a program to be terminated. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy or interpretation during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, as well as other regulatory action against our potential products, collaborative partners or us. Additionally, we have no experience in working with our partners in conducting and managing the clinical trials necessary to obtain regulatory approval.

Outside the United States, our ability to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. The requirements governing the conduct of clinical trials, marketing authorization, pricing and reimbursement vary widely from country to country. At present, foreign marketing authorizations are applied for at a national level, although within the European Union, or EU, registration procedures are available to companies wishing to market a product in more than one EU member state. If the regulatory authority is satisfied that adequate evidence of safety, quality and efficacy has been presented, a marketing authorization will be granted. This foreign regulatory approval process involves all of the risks associated with FDA clearance.

Employees

As of December 31, 2006, we had 152 employees.

Scientific & Medical Advisors

We utilize scientists and physicians to advise us on scientific and medical matters as part of our ongoing research and product development efforts, including experts in clinical trial design, preclinical development work, chemistry, biology, infectious diseases, immunology and oncology. Certain of our scientific and medical advisors and consultants receive an option to purchase our common stock and an honorarium for time spent assisting us.

Available Information

We maintain a site on the world wide web at www.rigel.com. The information found on our website is not incorporated by reference into this annual report on Form 10-K. We electronically file with the Securities and Exchange Commission our annual report on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K, our director and officers Section 16 reports, other SEC filings and amendments to the reports filed or furnished pursuant to Section 13(a) or 15(d) of the Securities Exchange Act of 1934. We make available free of charge on or through our website copies of these reports as soon as reasonably practicable after we electronically file such material with, or furnish it to, the Securities and Exchange Commission, or SEC. Further, a copy of these reports is located at the Securities and Exchange Commission's Public Reference Room at 100 F Street, NE, Washington, D.C. 20549. Information on the operation of the Public Reference Room can be obtained by calling the SEC at 1-800-SEC-0330. The SEC also maintains an internet site that contains reports, proxy and information statements and other information regarding issuers that file electronically with the SEC at www.sec.gov.

Item 1A. Risk Factors

In evaluating our business, you should carefully consider the following risks, as well as the other information contained in this Annual Report on Form 10-K. If any of the following risks actually occurs, our business could be harmed. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business.

We have marked with an asterisk() those risk factors below that reflect material changes from the risk factors included in our Annual Report on Form 10-K filed with the Securities and Exchange Commission on March 8, 2006.*

We will need additional capital in the future to sufficiently fund our operations and research.

We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our research and development activities. We believe that our existing capital resources and anticipated proceeds from current collaborations will be sufficient to support our current operating plan through at least the next 12 months. Our operations will require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, and the absence of any meaningful revenues for the foreseeable future. The amount of future funds needed will depend largely on the timing and structure of potential future collaborations. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us.

To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

Our future funding requirements will depend on many uncertain factors.

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

- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;
- our ability to establish new collaborations and to maintain our existing collaboration partnerships;
- the progress of research programs carried out by us;

- any changes in the breadth of our research and development programs;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- the progress of the research and development efforts of our collaborative partners;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual property rights;
- the costs and timing of regulatory approvals and filings by us and our collaborators; and
- expenses associated with unforeseen litigation.

Insufficient funds may require us to delay, scale back or eliminate some or all of our research or development programs, to lose rights under existing licenses or to relinquish greater or all rights to product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern.

Our success as a company is uncertain due to our history of operating losses and the uncertainty of future profitability.*

Due in large part to the significant research and development expenditures required to identify and validate new product candidates and pursue our development efforts, we have not been profitable and have incurred operating losses since we were incorporated in June 1996. The extent of our future losses and the timing of potential profitability are highly uncertain, and we may never achieve profitable operations. We incurred net losses of approximately \$37.6 million in 2006, \$45.3 million in 2005 and \$56.3 million in 2004. Currently, our revenues are generated solely from research payments pursuant to our collaboration agreements and licenses and are insufficient to generate profitable operations. As of December 31, 2006, we had an accumulated deficit of approximately \$295.2 million. We expect to incur losses for at least the next several years and expect that these losses could increase as we expand our research and development activities and incur significant clinical and testing costs.

There is a high risk that drug discovery and development efforts might not successfully generate good product candidates.*

At the present time, the majority of our operations are in various stages of drug identification and development. We currently have two product compounds in the clinical testing stage: one with indications for RA, ITP and B-Cell Lymphoma, which is proprietary to our company, and the other with two indications for oncology, which is subject to a collaboration agreement with Merck Serono. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential product candidates will actually lead to successful product development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all. Our compounds in clinical trials and our future leads for potential drug compounds are subject to the risks and failures inherent in the development of pharmaceutical products. These risks include, but are not limited to, the inherent difficulty in selecting the right drug and drug target and avoiding unwanted side effects as well as unanticipated problems relating to product development, testing, regulatory compliance, manufacturing, competition and costs and expenses that may exceed current estimates. The results of preliminary studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the preliminary studies. With respect to our own compounds in

development, we have established anticipated timelines with respect to the initiation or completion of clinical studies based on existing knowledge of the compound. However, we cannot provide assurance that we will meet any of these timelines for clinical development.

Because of the uncertainty of whether the accumulated preclinical evidence (pharmacokinetic, pharmacodynamic, safety and/or other factors) or early clinical results will be observed in later clinical trials, we can make no assurances regarding the likely results from our future clinical trials or the impact of those results on our business.

We might not be able to commercialize our product candidates successfully if problems arise in the clinical testing and approval process.*

Commercialization of our product candidates depends upon successful completion of preclinical studies and clinical trials. Preclinical testing and clinical development are long, expensive and uncertain processes. We do not know whether we, or any of our collaborative partners, will be permitted to undertake clinical trials of potential products beyond the trials already concluded and the trials currently in process. It will take us or our collaborative partners several years to complete any such testing, and failure can occur at any stage of testing. Interim results of trials do not necessarily predict final results, and acceptable results in early trials may not be repeated in later trials. A number of companies in the pharmaceutical industry, including biotechnology companies, have suffered significant setbacks in advanced clinical trials, even after achieving promising results in earlier trials. Moreover, we or our collaborative partners or regulators may decide to discontinue development of any or all of these projects at any time for commercial, scientific or other reasons.

Delays in clinical testing could result in increased costs to us.

Significant delays in clinical testing could materially impact our product development costs and timing. We do not know whether planned clinical trials will begin on time, will need to be halted or revamped or will be completed on schedule, or at all. Clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a study, delays from scale up, delays in reaching agreement on acceptable clinical study agreement terms with prospective clinical sites, delays in obtaining institutional review board approval to conduct a study at a prospective clinical site or delays in recruiting subjects to participate in a study.

In addition, we typically rely on third-party clinical investigators to conduct our clinical trials and other third-party organizations to oversee the operations of such trials and to perform data collection and analysis. As a result, we may face additional delaying factors outside our control if these parties do not perform their obligations in a timely fashion. While we have not yet experienced delays that have materially impacted our clinical trials or product development costs, delays of this sort could occur for the reasons identified above or other reasons. If we have delays in testing or approvals, our product development costs will increase. For example, we may need to make additional payments to third-party investigators and organizations to retain their services or we may need to pay recruitment incentives. If the delays are significant, our financial results and the commercial prospects for our product candidates will be harmed, and our ability to become profitable will be delayed.

We lack the capability to manufacture compounds for development and rely on third parties to manufacture our product candidates, and we may be unable to obtain required material in a timely manner, at an acceptable cost or at a quality level required to receive regulatory approval.

We currently do not have manufacturing capabilities or experience necessary to produce our product candidate R788. We rely on a single manufacturer for the R788 product for clinical trials. We will rely on manufacturers to deliver materials on a timely basis and to comply with applicable regulatory

requirements, including the U.S. Food and Drug Administration's, or FDA's current Good Manufacturing Practices, or GMP. These outsourcing efforts with respect to manufacturing preclinical and clinical supplies will result in a dependence on our suppliers to timely manufacture and deliver sufficient quantities of materials produced under GMP conditions to enable us to conduct planned preclinical studies, clinical trials and, if possible, to bring products to market in a timely manner.

Our current and anticipated future dependence upon these third-party manufacturers may adversely affect our ability to develop and commercialize product candidates on a timely and competitive basis. These manufacturers may not be able to produce material on a timely basis or manufacture material at the quality level or in the quantity required to meet our development timelines and applicable regulatory requirements. We may not be able to maintain or renew our existing third-party manufacturing arrangements, or enter into new arrangements, on acceptable terms, or at all. Our third-party manufacturers could terminate or decline to renew our manufacturing arrangements based on their own business priorities, at a time that is costly or inconvenient for us. If we are unable to contract for the production of materials in sufficient quantity and of sufficient quality on acceptable terms, our planned clinical trials may be significantly delayed. Manufacturing delays could postpone the filing of our IND applications and/or the initiation of clinical trials that we have currently planned.

Our third-party manufacturers may not be able to comply with the GMP regulations, other applicable FDA regulatory requirements or similar regulations applicable outside of the United States. Additionally, if we are required to enter into new supply arrangements, we may not be able to obtain approval from the FDA of any alternate supplier in a timely manner, or at all, which could delay or prevent the clinical development and commercialization of any related product candidates. Failure of our third-party manufacturers or us to obtain approval from the FDA or to comply with applicable regulations could result in sanctions being imposed on us, including fines, civil penalties, delays in or failure to grant marketing approval of our product candidates, injunctions, delays, suspension or withdrawal of approvals, license revocation, seizures or recalls of products and compounds, operating restrictions and criminal prosecutions, any of which could significantly and adversely affect our business.

Because most of our expected future revenues are contingent upon collaborative and license agreements, we might not meet our strategic objectives.

Our ability to generate revenue in the near term depends on our ability to enter into additional collaborative agreements with third parties and to maintain the agreements we currently have in place. Our ability to enter into new collaborations and the revenue, if any, that may be recognized under these collaborations is highly uncertain. If we are unable to enter into new collaborations, our business prospects could be harmed, which could have an immediate adverse effect on the trading price of our stock.

To date, most of our revenues have been related to the research phase of each of our collaborative agreements. Such revenues are for specified periods, and the impact of such revenues on our results of operations is partially offset by corresponding research costs. Following the completion of the research phase of each collaborative agreement, additional revenues may come only from milestone payments and royalties, which may not be paid, if at all, until some time well into the future. The risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any milestone payments under these agreements. Our receipt of revenues from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. In late 2001, we recorded the first revenue from achievement of milestones in both the Pfizer and Johnson & Johnson collaborations. In addition, we have subsequently received milestone payments from Novartis, Daiichi, Merck, Merck Serono and Pfizer. Under many agreements, however, milestone payments may not be earned until the collaborator has advanced product candidates into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to generate revenue under our collaborations when and in accordance with our expectations or the expectations of

industry analysts, this failure could harm our business and have an immediate adverse effect on the trading price of our stock.

Our business requires us to generate meaningful revenue from royalties and licensing agreements. To date, we have not received any revenue from royalties for the commercial sale of drugs, and we do not know when we will receive any such revenue, if at all. Likewise, we have not licensed any lead compounds or drug development candidates to third parties, and we do not know whether any such license will be entered into on acceptable terms in the future, if at all.

If our current corporate collaborations or license agreements are unsuccessful, our research and development efforts could be delayed.

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if such third parties will dedicate sufficient resources or if any development or commercialization efforts by third parties will be successful. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us for any reason including corporate restructuring, such failure might delay ongoing research and development efforts at Rigel, because we might not receive any future milestone payments, and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations.

The research phase of our collaboration with Johnson & Johnson ended in 2003, and the research phases conducted at our facilities under our broad collaboration with Novartis ended in 2004. The research phase of our corporate collaboration agreement with Daiichi ended in 2005. In 2004, we signed a new corporate collaboration with Merck and in 2005, we signed additional collaborations with Pfizer and Merck Serono. These agreements could be terminated by the other party, and we may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all. If these collaborations terminate or are not renewed, any resultant loss of revenues from these collaborations or loss of the expertise of our collaborative partners could adversely affect our business.

Conflicts also might arise with collaborative partners concerning proprietary rights to particular compounds. While our existing collaborative agreements typically provide that we retain milestone payments and royalty rights with respect to drugs developed from certain derivative compounds, any such payments or royalty rights may be at reduced rates, and disputes may arise over the application of derivative payment provisions to such drugs, and we may not be successful in such disputes.

We are also a party to various license agreements that give us rights to use specified technologies in our research and development processes. The agreements pursuant to which we have in-licensed technology permit our licensors to terminate the agreements under certain circumstances. If we are not able to continue to license these and future technologies on commercially reasonable terms, our product development and research may be delayed.

If conflicts arise between our collaborators or advisors and us, any of them may act in their self-interest, which may be adverse to our stockholders' interests.

If conflicts arise between us and our corporate collaborators or scientific advisors, the other party may act in its self-interest and not in the interest of our stockholders. Some of our corporate collaborators are conducting multiple product development efforts within each disease area that is the subject of the

collaboration with us or may be acquired or merged with a company having a competing program. 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 collaborators, however, may develop, either alone or with others, products in related fields that are competitive with the products or potential products that are the subject of these collaborations. Competing products, either developed by our collaborators or to which our collaborators have rights, may result in their withdrawal of support for our product candidates.

If any of our corporate collaborators were to breach or terminate its agreement with us or otherwise fail to conduct the collaborative activities successfully and 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 generally do not control the amount and timing of resources that our corporate collaborators devote to our programs or potential products. We do not know whether current or future collaborative partners, if any, might pursue alternative technologies or develop alternative products either on their own or in collaboration with others, including our competitors, as a means for developing treatments for the diseases targeted by collaborative arrangements with us.

Our success is dependent on intellectual property rights held by us and third parties, and our interest in such rights is complex and uncertain.

Our success will depend to a large part on our own, our licensees' and our licensors' ability to obtain and defend patents for each party's respective technologies and the compounds and other products, if any, resulting from the application of such technologies. We have over 160 pending patent applications and over 80 issued patents in the United States that are owned or exclusively licensed in our field as well as pending corresponding foreign patent applications. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. For example, we may be involved in interferences before the United States Patent and Trademark Office. Interferences are complex and expensive legal proceedings and there is no assurance we will be successful in such proceedings. An interference could result in our losing our patent rights and/or our freedom to operate and/or require us to pay significant royalties. Additional uncertainty may result because no consistent policy regarding the breadth of legal claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

Because the degree of future protection for our proprietary rights is uncertain, we cannot ensure that:

- we were the first to make the inventions covered by each of our pending patent applications;
- we were the first to file patent applications for these inventions;
- others will not independently develop similar or alternative technologies or duplicate any of our technologies;
- any of our pending patent applications will result in issued patents;
- any patents issued to us or our collaborators will provide a basis for commercially-viable products or will provide us with any competitive advantages or will not be challenged by third parties;
- we will develop additional proprietary technologies that are patentable; or
- the patents of others will not have a negative effect on our ability to do business.

We rely on trade secrets to protect technology where we believe patent protection is not appropriate or obtainable; however, trade secrets are difficult to protect. While we require employees, collaborators and consultants to enter into confidentiality agreements, we may not be able to adequately protect our

trade secrets or other proprietary information in the event of any unauthorized use or disclosure or the lawful development by others of such information.

We are a party to certain in-license agreements that are important to our business, and we generally do not control the prosecution of in-licensed technology. Accordingly, we are unable to exercise the same degree of control over this intellectual property as we exercise over our internally-developed technology. Moreover, some of our academic institution licensors, research collaborators and scientific advisors have rights to publish data and information in which we have rights. If we cannot maintain the confidentiality of our technology and other confidential information in connection with our collaborations, then our ability to receive patent protection or protect our proprietary information will be impaired. In addition, some of the technology we have licensed relies on patented inventions developed using U.S. government resources. The U.S. government retains certain rights, as defined by law, in such patents, and may choose to exercise such rights. Certain of our in-licenses may be terminated if we fail to meet specified obligations. If we fail to meet such obligations and any of our licensors exercise their termination rights, we could lose our rights under those agreements. If we lose any of our rights, it may adversely affect the way we conduct our business. In addition, because certain of our licenses are sublicenses, the actions of our licensors may affect our rights under those licenses.

If a dispute arises regarding the infringement or misappropriation of the proprietary rights of others, such dispute could be costly and result in delays in our research and development activities and partnering.

Our success will also depend, in part, on our ability to operate without infringing or misappropriating the proprietary rights of others. There are many issued patents and patent applications filed by third parties relating to products or processes that are similar or identical to ours or our licensors, and others may be filed in the future. There can be no assurance that our activities, or those of our licensors, will not infringe patents owned by others. We believe that there may be significant litigation in the industry regarding patent and other intellectual property rights, and we do not know if we or our collaborators would be successful in any such litigation. Any legal action against our collaborators or us claiming damages or seeking to enjoin commercial activities relating to the affected products, our methods or processes could:

- require our collaborators or us to obtain a license to continue to use, manufacture or market the affected products, methods or processes, which may not be available on commercially reasonable terms, if at all;
- prevent us from using the subject matter claimed in the patents held by others;
- subject us to potential liability for damages;
- consume a substantial portion of our managerial and financial resources; and
- result in litigation or administrative proceedings that may be costly, whether we win or lose.

If we are unable to obtain regulatory approval to market products in the United States and foreign jurisdictions, we might not be permitted to commercialize products from our research and development.

Due, in part, to the early stage of our product candidate research and development process, we cannot predict whether regulatory clearance will be obtained for any product that we, or our collaborative partners, hope to develop. Satisfaction of regulatory requirements typically takes many years, is dependent upon the type complexity and novelty of the product and requires the expenditure of substantial resources. Of particular significance to us are the requirements relating to research and development and testing.

Before commencing clinical trials in humans in the United States, we, or our collaborative partners, will need to submit and receive approval from the FDA of an IND. Clinical trials are subject to oversight by institutional review boards and the FDA and:

- must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;
- must meet requirements for institutional review board oversight;
- must meet requirements for informed consent;
- are subject to continuing FDA oversight;
- may require large numbers of test subjects; and
- may be suspended by us, our collaborators or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

While we have stated that we intend to file additional INDs, this is only a statement of intent, and we may not be able to do so because we may not be able to identify potential product candidates. In addition, the FDA may not approve any IND in a timely manner, or at all.

Before receiving FDA approval to market a product, we must demonstrate that the product is safe and effective in the patient population and the indication that will be treated. Data obtained from preclinical and clinical activities are susceptible to varying interpretations that could delay, limit or prevent regulatory approvals. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, as well as other regulatory action against our potential products or us. Additionally, we have limited experience in conducting and managing the clinical trials necessary to obtain regulatory approval.

If regulatory approval of a product is granted, this approval will be limited to those indications or disease states and conditions for which the product is demonstrated through clinical trials to be safe and efficacious. We cannot ensure that any compound developed by us, alone or with others, will prove to be safe and efficacious in clinical trials and will meet all of the applicable regulatory requirements needed to receive marketing approval.

Outside the United States, our ability, or that of our collaborative partners, to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. This foreign regulatory approval process typically includes all of the risks associated with FDA approval described above and may also include additional risks.

If our competitors develop technologies that are more effective than ours, our commercial opportunity will be reduced or eliminated.

The biotechnology and pharmaceutical industries are intensely competitive and subject to rapid and significant technological change. Many of the drugs that we are attempting to discover will be competing with existing therapies. In addition, a number of companies are pursuing the development of pharmaceuticals that target the same diseases and conditions that we are targeting. We face competition from pharmaceutical and biotechnology companies both in the United States and abroad.

Our competitors may utilize discovery technologies and techniques or partner with collaborators in order to develop products more rapidly or successfully than we, or our collaborators, are able to do. Many

of our competitors, particularly large pharmaceutical companies, have substantially greater financial, technical and human resources than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

We believe that our ability to compete is dependent, in part, upon our ability to create, maintain and license scientifically-advanced technology and upon our and our collaborators' ability to develop and commercialize pharmaceutical products based on this technology, as well as our ability to attract and retain qualified personnel, obtain patent protection or otherwise develop proprietary technology or processes and secure sufficient capital resources for the expected substantial time period between technological conception and commercial sales of products based upon our technology. The failure by us or any of our collaborators in any of those areas may prevent the successful commercialization of our potential drug targets.

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and potential drugs obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the FDA or other regulatory agencies for product candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or obtain regulatory approval in the United States or elsewhere.

Our ability to generate revenues will be diminished if our collaborative partners fail to obtain acceptable prices or an adequate level of reimbursement for products from third-party payors or government agencies.

The drugs we hope to develop may be rejected by the marketplace due to many factors, including cost. Our ability to commercially exploit a drug may be limited due to the continuing efforts of government and third-party payors to contain or reduce the costs of health care through various means. For example, in some foreign markets, pricing and profitability of prescription pharmaceuticals are subject to government control. In the United States, we expect that there will continue to be a number of federal and state proposals to implement similar government control. In addition, increasing emphasis on managed care in the United States will likely continue to put pressure on the pricing of pharmaceutical products. Cost control initiatives could decrease the price that any of our collaborators would receive for any products in the future. Further, cost control initiatives could adversely affect our collaborators' ability to commercialize our products and our ability to realize royalties from this commercialization.

Our ability to commercialize pharmaceutical products with collaborators may depend, in part, on the extent to which reimbursement for the products will be available from:

- government and health administration authorities;
- private health insurers; and
- other third-party payors.

Significant uncertainty exists as to the reimbursement status of newly-approved healthcare products. Third-party payors, including Medicare, are challenging the prices charged for medical products and services. Government and other third-party payors increasingly are attempting to contain healthcare costs by limiting both coverage and the level of reimbursement for new drugs and by refusing, in some cases, to

provide coverage for uses of approved products for disease indications for which the FDA has not granted labeling approval. Third-party insurance coverage may not be available to patients for any products we discover and develop, alone or with collaborators. If government and other third-party payors do not provide adequate coverage and reimbursement levels for our products, the market acceptance of these products may be reduced.

If product liability lawsuits are successfully brought against us, we may incur substantial liabilities and may be required to limit commercialization of our products.

The testing and marketing of medical products entail an inherent risk of product liability. If we cannot successfully defend ourselves against product liability claims, we may incur substantial liabilities or be required to limit commercialization of our products although we are not currently aware of any specific causes for concern with respect to clinical liability claims. We currently do not have product liability insurance, and our inability to obtain sufficient product liability insurance at an acceptable cost to protect against potential product liability claims could prevent or inhibit the commercialization of pharmaceutical products we develop, alone or with corporate collaborators. We, or our corporate collaborators, might not be able to obtain insurance at a reasonable cost, if at all. While under various circumstances we are entitled to be indemnified against losses by our corporate collaborators, indemnification may not be available or adequate should any claim arise.

Our research and development efforts will be seriously jeopardized, if we are unable to attract and retain key employees and relationships.

As a small company with only 152 employees as of December 31, 2006, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists, other scientists, and development, regulatory and clinical personnel. If we lose the services of any of our personnel, our research and development efforts could be seriously and adversely affected. Our employees can terminate their employment with us at any time.

We depend on various scientific consultants and advisors for the success and continuation of our research and development efforts.

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery and development programs depends, in part, on continued collaborations with certain of these consultants and advisors. We, and various members of our management and research staff, rely on certain of these consultants and advisors for expertise in our research, regulatory and clinical efforts. Our scientific advisors are not our employees and may have commitments to, or consulting or advisory contracts with, other entities that may limit their availability to us. We do not know if we will be able to maintain such consulting agreements or that such scientific advisors will not enter into consulting arrangements, exclusive or otherwise, with competing pharmaceutical or biotechnology companies, any of which would have a detrimental impact on our research objectives and could have a material adverse effect on our business, financial condition and results of operations.

If we use biological and hazardous materials in a manner that causes injury or violates laws, we may be liable for damages.

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or

disposal of these materials. In the event of contamination or injury, we could be held liable for damages that result, and such liability could exceed our resources. We are also subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

Our facilities are located near known earthquake fault zones, and the occurrence of an earthquake or other catastrophic disaster could cause damage to our facilities and equipment, which could require us to cease or curtail operations.

Our facilities are located in the San Francisco Bay Area near known earthquake fault zones and are vulnerable to significant damage from earthquakes. We are also vulnerable to damage from other types of disasters, including fires, floods, power loss, communications failures and similar events. If any disaster were to occur, our ability to operate our business at our facilities would be seriously, or potentially completely, impaired, and our research could be lost or destroyed. In addition, the unique nature of our research activities and of much of our equipment could make it difficult for us to recover from a disaster. The insurance we maintain may not be adequate to cover our losses resulting from disasters or other business interruptions.

Our stock price may be volatile, and our stockholders' investment in our stock could decline in value.

The market prices for our securities and those of other biotechnology companies have been highly volatile and may continue to be highly volatile in the future. The following factors, in addition to other risk factors described in this section, may have a significant impact on the market price of our common stock:

- the progress and success of clinical trials and preclinical activities (i.e., studies, manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;
- the receipt or failure to receive the additional funding necessary to conduct our business;
- selling by large stockholders;
- announcements of technological innovations or new commercial products by our competitors or us;
- developments concerning proprietary rights, including patents;
- developments concerning our collaborations;
- publicity regarding actual or potential medical results relating to products under development by our competitors or us;
- regulatory developments in the United States and foreign countries;
- litigation;
- economic and other external factors or other disaster or crisis; and
- period-to-period fluctuations in financial results.

Anti-takeover provisions in our charter documents and under Delaware law may make an acquisition of us, which may be beneficial to our stockholders, more difficult.

Provisions of our amended and restated certificate of incorporation and bylaws, as well as provisions of Delaware law, could make it more difficult for a third party to acquire us, even if doing so would benefit our stockholders. These provisions:

- establish that members of the board of directors may be removed only for cause upon the affirmative vote of stockholders owning a majority of our capital stock;
- authorize the issuance of blank check preferred stock that could be issued by our board of directors to increase the number of outstanding shares and thwart a takeover attempt;
- limit who may call a special meeting of stockholders;
- prohibit stockholder action by written consent, thereby requiring all stockholder actions to be taken at a meeting of our stockholders;
- establish advance notice requirements for nominations for election to the board of directors or for proposing matters that can be acted upon at stockholder meetings;
- provide for a board of directors with staggered terms; and
- provide that the authorized number of directors may be changed only by a resolution of our board of directors.

In addition, Section 203 of the Delaware General Corporation Law, which imposes certain restrictions relating to transactions with major stockholders, may discourage, delay or prevent a third party from acquiring us.

Item 1B. Unresolved Staff Comments

None.

Item 2. Properties

We currently lease facilities consisting of approximately 147,000 square feet of research and office space located at 1180 Veterans Boulevard, South San Francisco, California. The lease expires in January 2018. In May 2004, we subleased approximately 15,000 square feet of our space to a tenant for a period of two years. In September 2005, the sublease was amended to extend the term for an additional year. We believe our facilities are in good operating condition and that the leased real property is adequate for all present and near term uses.

Item 3. Legal Proceedings

None.

Item 4. Submission of Matters to a Vote of Security Holders

None.

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PART II**Item 5. Market for the Registrant's Common Equity and Related Stockholder Matters**

Our common stock has traded on the Nasdaq National Market under the symbol RIGL since November 29, 2000. The following table sets forth, for the periods indicated, the high and low sales prices (based on daily closing prices) for the common stock as reported by the Nasdaq National Market:

| | High | Low |
|-------------------------------------|-------------|------------|
| Year Ended December 31, 2005 | | |
| First Quarter | \$ 24.99 | \$ 15.56 |
| Second Quarter | \$ 20.24 | \$ 14.52 |
| Third Quarter | \$ 23.79 | \$ 18.83 |
| Fourth Quarter | \$ 24.86 | \$ 7.43 |
| Year Ended December 31, 2006 | | |
| First Quarter | \$ 11.68 | \$ 7.18 |
| Second Quarter | \$ 11.61 | \$ 8.82 |
| Third Quarter | \$ 10.95 | \$ 8.88 |
| Fourth Quarter | \$ 12.38 | \$ 10.00 |

On February 28, 2007, the last reported sale price for our common stock on the Nasdaq National Market was \$10.47 per share.

Holdings

As of February 28, 2007, there were approximately 185 stockholders of record of our common stock.

Dividends

We have not paid dividends on our common stock and currently do not plan to pay any cash dividends in the foreseeable future.

COMPARISON OF 5 YEAR CUMULATIVE TOTAL RETURN*

Among Rigel Pharmaceuticals, Inc., The NASDAQ Composite Index
And The NASDAQ Biotechnology Index

*\$100 invested on 12/31/01 in stock or index-including reinvestment of dividends Fiscal year ending December 31.

Item 6. Selected Financial Data

The following selected financial data should be read in conjunction with Item 7. Management's Discussion and Analysis of Financial Condition and Results of Operations and Item 8. Financial Statements and Supplementary Data included elsewhere in this annual report on Form 10-K.

| | Fiscal Years Ended December 31, | | | | |
|---|---|-------------|-------------|-------------|-------------|
| | 2006 | 2005 | 2004 | 2003 | 2002 |
| | (in thousands, except per share amounts) | | | | |
| Statements of Operations Data: | | | | | |
| Contract revenues from collaborations | \$ 33,473 | \$ 16,526 | \$ 4,733 | \$ 11,055 | \$ 15,788 |
| Costs and expenses: | | | | | |
| Research and development | 56,968 | 52,038 | 48,523 | 41,649 | 40,800 |
| General and administrative | 19,552 | 12,410 | 13,077 | 10,233 | 12,004 |
| | 76,520 | 64,448 | 61,600 | 51,882 | 52,804 |
| Loss from operations | (43,047) | (47,922) | (56,867) | (40,827) | (37,016) |
| Loss on disposal/sale of property and equipment | | | (30) | (169) | |
| Interest income | 5,700 | 2,942 | 966 | 374 | 856 |
| Interest expense | (290) | (276) | (324) | (575) | (870) |
| Net loss | (37,637) | (45,256) | (56,255) | (41,197) | (37,030) |
| Loss per common share, basic and diluted | \$ (1.51) | \$ (2.07) | \$ (3.12) | \$ (3.62) | \$ (7.41) |
| | 24,936 | 21,857 | 18,053 | 11,395 | 4,995 |

Weighted average common shares used in
computing loss per common share, basic and diluted

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| | As of December 31, 2006 (in thousands) | 2005 | 2004 | 2003 | 2002 |
|--|--|------------|------------|------------|------------|
| Balance Sheet Data: | | | | | |
| Cash, cash equivalents and available-for-sale securities | \$ 104,471 | \$ 138,196 | \$ 71,427 | \$ 46,500 | \$ 27,291 |
| Working capital | 96,776 | 118,949 | 62,821 | 41,907 | 22,493 |
| Total assets | 113,240 | 147,668 | 78,822 | 55,524 | 44,342 |
| Capital lease obligations, less current portion | 1,082 | 1,132 | 781 | 1,236 | 2,313 |
| Deferred stock compensation | | (26) | (56) | (200) | (772) |
| Accumulated deficit | (295,159) | (257,522) | (212,266) | (156,011) | (114,814) |
| Total stockholders' equity | 87,229 | 108,588 | 52,301 | 39,973 | 25,441 |

The share numbers set forth in the table reflect a one-for-nine reverse split of shares of our outstanding common stock effected on June 24, 2003. See Notes to the Financial Statements for description of the number of shares used in the computation of basic and diluted loss per common share.

Item 7. Management's Discussion and Analysis of Financial Condition and Results of Operations

Overview

Rigel is a clinical stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory diseases, cancer and viral diseases. Our goal is to file one new investigative new drug (IND) application in a significant indication each year. We have achieved this goal each year since 2002. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Rigel's productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. We have product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia, and asthma and allergy, as well as in cancer.

Rigel has multiple product candidates in development as follows:

- *R788 Product Candidate for Rheumatoid Arthritis (RA)*. R788 is our lead product candidate. It has a novel mechanism of action-blocking IgG receptor signaling in macrophages and B-cells. Previously, we studied R788 in a Phase 1 single center, double-blind, randomized, placebo-controlled trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We completed R788 studies to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this trial suggest no adverse interaction. In September 2006, we commenced a Phase 2, multicenter, ascending dose, randomized, double-blind, placebo-controlled, dose ranging study to evaluate up to three doses of R788 in RA patients failing to respond to methotrexate. We expect to receive results from the study in the second half of 2007.
- *R788 Product Candidate for Immune Thrombocytopenic Purpura (ITP)*. Platelet destruction from ITP is mediated by IgG signaling. R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We commenced Phase 2 clinical trials of R788 to evaluate its safety and efficacy in refractory ITP patients in January 2007 and expect to receive results from the study in the middle of 2007.
- *R788 Product Candidate for B-Cell Lymphoma*. Research has shown that Syk overactivity is an essential mechanism in several types of B-cell lymphoma survival and that R788 inhibits the growth

of B-cell lymphoma driven by Syk overactivity. We filed an IND for this indication in December 2006 and plan to begin a clinical trial by the first half of 2007.

- *R763 Product Candidate for Oncology.* R763 is a potent, highly-selective, small-molecule inhibitor of Aurora kinase targeting cancer cell proliferation. In October 2005, we signed a licensing agreement with Merck Serono that grants to Merck Serono an exclusive license to develop and commercialize inhibitors in our Aurora kinase program, including R763. Under the agreement, we were responsible for filing an IND for R763, which we filed with the Food and Drug Administration, or FDA, in December 2005, and were allowed to proceed under the IND in January 2006. Merck Serono is responsible for the further development and commercialization of R763. During February 2006, we received a payment of \$5.0 million from Merck Serono triggered by the regulatory acceptance. In September 2006, we received a payment of \$3.0 million from Merck Serono triggered by Merck Serono's initiation of a Phase 1 trial for R763. This initial trial is a multicenter study on patients with refractory solid tumors. In February 2007, Merck Serono began an additional Phase 1 trial evaluating R763 in hematological malignancies.

In 2005, we announced that we entered into a collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as chronic obstructive pulmonary disease, or COPD. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk, a novel drug target for respiratory diseases. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases. In May 2006, we achieved the first collaboration milestone when Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. Pfizer paid us \$5.0 million for the exclusive right to R343, which is expected to be delivered using Pfizer's dry powder inhaler and is expected to enter the clinic in 2007.

In October 2006, we announced that we selected R348, an orally-available, potent and selective inhibitor of JAK3 to enter preclinical studies to support an IND application planned for 2007. We are also studying Axl inhibition in oncology. In addition to the aforementioned product candidates, we have ongoing research programs involving back-up candidates for the product candidates above and drug discovery efforts in our immunology/inflammation, virology and oncology programs.

Corporate Collaborations

We carry on research and development programs in connection with our corporate collaborations. As of December 31, 2006, we had collaborations with six major pharmaceutical/biotech companies comprised of: one with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics, two with Pfizer Inc., one initiated in 1999 and the other in 2005, relating to intrapulmonary asthma and allergy therapeutics, one with Novartis Pharma AG with respect to four different programs relating to immunology, oncology and chronic bronchitis, one with Daiichi Pharmaceuticals Co., Ltd. in the area of oncology, one with Merck, in the area of oncology, and one with Merck Serono in the area of oncology. All of these collaborations, excluding the recent Pfizer and the Merck Serono collaborations, have a research phase during which we receive or received funding based on the level of headcount allocated to a program. In all of these collaborations, if certain conditions are met, we are entitled to receive future milestone payments and royalties. We cannot guarantee that these conditions will be met or that research and development efforts will be successful. As a result, we may not receive any further milestone payments or royalties under these agreements. Only the Merck program currently provides for regular research reimbursement payments.

We are exploring new opportunities with existing and potential collaborators. Our earliest partnerships focused on the early stages of drug discovery, specifically on target discovery and validation.

Our collaborations with Daiichi and recently with Merck are both later stage, focusing on drug discovery and development. Our 2005 collaboration with Pfizer covers a compound that Pfizer selected for advanced preclinical development in May 2006, while our 2005 collaboration with Merck Serono covers a compound that began clinical trials in September 2006. We currently anticipate that in order to support our current research programs, we will need to self-fund our own research programs, which involves an increased rate of spending on later stages of development prior to partnering with collaborative partners. Therefore, it is expected that future collaborations may have an expanded focus and could include high throughput screening, combinatorial and medicinal chemistry, preclinical evaluations and/or clinical development of compounds we have discovered. In addition, we believe these future collaborations could be structured to consist of upfront payments, the purchase of our common stock, milestone payments upon meeting certain conditions, research or development reimbursement payments and/or royalties upon commercialization of products resulting from the collaboration.

Critical Accounting Policies and the Use of Estimates

Our discussion and analysis of our financial condition and results of operations is based upon our financial statements, which have been prepared in accordance with U.S. generally accepted accounting principles. The preparation of these financial statements requires us to make estimates and judgments that affect the reported amounts of assets, liabilities, revenues and expenses, and related disclosure of contingent assets and liabilities. We evaluate our estimates, including those related to terms of the research collaborations (i.e., amortization of upfront fees and certain milestones), investments, stock compensation, impairment issues, the estimated useful life of assets and contingencies, on an on-going basis. We base our estimates on historical experience and on various other assumptions that we believe to be reasonable under the circumstances, the results of which form the basis for making judgments about the carrying values of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions. We believe the following critical accounting policies affect our more significant judgments and estimates used in the preparation of our financial statements.

In addition, we believe that there have been no significant changes in our critical accounting policies during the period ended December 31, 2006 as compared to those previously disclosed in our Annual Report on Form 10-K for the year ended December 31, 2005, except for the adoption of Statement of Financial Accounting Standards, or SFAS, No. 123(R), Share-Based Payment (Revised 2004), or SFAS 123(R), for equity-based compensation costs in January 2006.

Revenue Recognition

We recognize revenue from our contract arrangements. Our revenue arrangements with multiple elements are evaluated under Emerging Issues Task Force No. 00-21, *Revenue Arrangements with Multiple Deliverables*, and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has stand-alone value to the customer and whether there is objective and reliable evidence of the fair value of the undelivered items. The consideration we receive is allocated among the separate units based on their respective fair values, and the applicable revenue recognition criteria is applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term. When a research term is not specified, we estimate the time it will take us to complete our deliverables under the contract and recognize the upfront fee using the straight-line method over that time period. We review our estimates every quarter for reasonableness.

Revenue related to collaborative research with our corporate collaborators is recognized as research services are performed over the related development funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in the applicable agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements, except for the Merck collaboration signed in November 2004 related to ubiquitin ligases, approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. For the Merck collaboration, we are recognizing a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. It is our policy to recognize revenue based on our level of effort expended and that revenue recognized will not exceed amounts billable under the arrangement.

Revenue associated with at-risk milestones pursuant to collaborative agreements is recognized based upon the achievement of the milestones as set forth in the applicable agreement.

Royalties will be recognized as earned in accordance with the contract terms when the third party results are reliably measurable and collectibility is reasonably assured.

Stock-based Compensation

| | Years Ended December 31, | | | Aggregate Change | |
|---|--------------------------|-------------|----------|------------------|----------------|
| | 2006 | 2005 | 2004 | 2006 from 2005 | 2005 from 2004 |
| | (in thousands) | | | | |
| Stock-based compensation (recovery)/ expense from: | | | | | |
| <i>Officer, director and employee options</i> | \$ 12,312 | \$ 31 | \$ 236 | \$ 12,281 | \$ (205) |
| <i>Consultant options</i> | 267 | (232) | 430 | 499 | (662) |
| <i>Re-priced options</i> | | (1,889) | 1,900 | 1,889 | (3,789) |
| Total | \$ 12,579 | \$ (2,090) | \$ 2,566 | \$ 14,669 | \$ (4,656) |

We grant options to purchase our common stock to our officers, directors and all other employees and consultants under our stock option plans. Eligible employees can also purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date under our employee stock purchase plan, or ESPP. The benefits provided under these plans are share-based payments subject to the provisions of SFAS 123(R). Effective January 1, 2006, we adopted the provisions of SFAS 123(R) using the modified prospective application transition method. Under this method, the share-based compensation cost recognized beginning January 1, 2006 includes compensation cost for (i) all share-based payments granted prior to, but not vested as of January 1, 2006, based on the grant date fair value originally estimated in accordance with the provisions of SFAS 123, Accounting for Stock-Based Compensation, or SFAS 123, and calculated for pro forma disclosures under SFAS No. 148, Accounting for Stock-Based Compensation Transition and Disclosure, or SFAS 148, and (ii) all share-based payments granted subsequent to January 1, 2006, based on the grant date fair value estimated in accordance with the provisions of SFAS 123(R) and Staff Accounting Bulletin No. 107, or SAB 107. Compensation cost under SFAS 123(R) for awards granted prior to January 1, 2006 is recognized using an accelerated method pursuant to the FASB Interpretation No. 28, Accounting for Stock Appreciation Rights and Other Variable Stock Option or Award Plans, or FIN 28. For awards granted after January 1, 2006, we have adopted the use of the straight-line attribution method over the requisite service period for the entire award. Results of prior periods do not reflect any restated amounts, and the cumulative effect of a change in accounting principle was insignificant upon adoption of SFAS No. 123(R) under the modified prospective method. In addition pursuant to SFAS 123(R), we are required to estimate the amount of

expected forfeitures when calculating compensation costs, instead of accounting for forfeitures as incurred, which was our previous method. We will record actual forfeitures as they occur, and we will review our forfeiture rates each quarter and make any necessary changes to our estimates.

Prior to adopting SFAS 123(R) on January 1, 2006, we accounted for equity-based employee compensation costs under the recognition and measurement principles of Accounting Principles Board Opinion No. 25, *Accounting for Stock Issued to Employees*, or APB 25. Under APB 25, the intrinsic value method of accounting, no compensation expense is recognized, because the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant. Pro forma information regarding net loss and net loss per share was determined as if we had accounted for issuances under our stock option plans and ESPP under the fair value method prescribed by SFAS 123, as amended by SFAS 148. The fair value for these options was estimated at the date of grant using the Black-Scholes option pricing model.

In 2005, we recorded charges associated with the stock options that were eligible for re-pricing under a tender offer initiated in June 2003. All replacement options, as well as the eligible options that were not surrendered under the original offer to exchange, were treated for financial reporting purposes as variable awards. Therefore, for the period prior to adoption of SFAS 123(R), we recorded non-cash charges, generally for the intrinsic value of the options as they vested, utilizing the accelerated vesting method, reflecting increases and decreases (down to, but not below, the exercise price) in the price of our common stock as compensation expense (recovery) in connection with the replacement options and the eligible options that were not exchanged. For the year ended December 31, 2005, we recorded non-cash compensation recovery of approximately \$1.9 million related to all options eligible for the replacement. The recovery resulted from the decrease in the market price of our common stock during 2005. For the year ended December 31, 2004, we recorded a non-cash compensation charge of \$1.9 million related to all options eligible for the replacement. The expense was attributable to the increase in the market price of our common stock during 2004. For periods after the adoption of SFAS 123(R), we continued to account for these repriced options in accordance with provisions of SFAS 123. In August 2006, our board of directors granted new options to employees, non-employee directors and consultants who held repriced options that had expired in August 2006. We granted options to purchase approximately 179,000 shares of common stock with an exercise price of \$9.56 per share. The options vested 50% at the date of the grant and the remaining 50% will vest monthly over two years. We recorded stock-based compensation expense of approximately \$689,000 relating to these newly granted options for the year ended December 31, 2006.

We also record charges associated with options granted to consultants reflecting the fair value valuation and periodic fair value remeasurement of outstanding consultant options under Emerging Issues Task Force No. 96-18, *Accounting for Equity Instruments That are Issued to Other Employees for Acquiring, or in Conjunction with Selling Goods or Services*, or EITF 96-18. The valuation is based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price, risk-free interest rate and expected term. For consultant options granted in 2006, we amortize stock-based compensation using a straight-line attribution method consistent with the method used for employees and with the attribution election we made upon adoption of SFAS 123(R). For options granted prior to January 1, 2006, we use the accelerated method for expensing stock-based compensation, which was the method we used prior to adoption. We expect to see continued fluctuations in the future as a portion of these options are remeasured based on the changes in the current market price of our common stock.

The determination of the fair value of share-based payment awards on the date of grant using an option-pricing model is affected by our stock price as well as assumptions regarding a number of complex and subjective variables. These variables include, but are not limited to, our expected stock price volatility over the term of the awards, actual and projected employee stock option exercise behaviors, risk-free interest rate and expected dividends. If factors change and we employ different assumptions in the

application of SFAS 123(R) in future periods, the compensation expense that we record under SFAS 123(R) may differ significantly from what we have recorded in the current period. Therefore, we believe it is important to be aware of the high degree of subjectivity involved when using option pricing models to estimate share-based compensation under SFAS 123(R). The guidance in and application of SFAS 123(R) and the Securities and Exchange Commission's Staff Accounting Bulletin No. 107, or SAB 107, may be subject to further interpretation and refinement over time. There are significant differences among valuation models, and there is a possibility that we may adopt different valuation models in the future. This may result in a lack of consistency in future periods and materially affect the fair value estimate of share-based payments. It may also result in a lack of comparability with other companies that use different models, methods and assumptions.

Results of Operations

Years Ended December 31, 2006, 2005 and 2004

Revenues

| | Years Ended December 31, 2006 2005 2004 (in thousands) | | | Aggregate Change 2006 from 2005 | 2005 from 2004 |
|--|--|-----------|----------|------------------------------------|----------------|
| <i>Contract revenues from collaborations</i> | \$ 33,473 | \$ 16,526 | \$ 4,733 | \$ 16,947 | \$ 11,793 |

Revenues by collaborator were:

| | Years Ended December 31, 2006 2005 2004 (in thousands) | | | Aggregate Change 2006 from 2005 | 2005 from 2004 |
|---------------------|--|-----------|----------|------------------------------------|----------------|
| <i>Merck Serono</i> | \$ 15,527 | \$ 2,473 | \$ | \$ 13,054 | \$ 2,473 |
| <i>Pfizer</i> | 10,000 | 4,241 | | 5,759 | 4,241 |
| <i>Merck</i> | 7,946 | 7,369 | 438 | 577 | 6,931 |
| <i>Daiichi</i> | | 2,443 | 2,629 | (2,443) | (186) |
| <i>Novartis</i> | | | 1,666 | | (1,666) |
| <i>Total</i> | \$ 33,473 | \$ 16,526 | \$ 4,733 | \$ 16,947 | \$ 11,793 |

Contract revenues from collaborations in 2006 and 2005 consisted primarily of amortization of upfront fees, milestone payments and research support from the continuation of our current collaborations. Contract revenues from collaborations in 2004 consisted primarily of amortization of upfront fees and research support. The increase in revenues in 2006, as compared to the similar period in 2005, was primarily due to the recognition of the Merck Serono milestone payments totaling \$8.0 million, the full amortization of the Merck Serono upfront payment of \$7.5 million and the recognition of the Pfizer milestone payment of \$5.0 million offset by the termination of the Daiichi collaboration in 2005. The increase in revenues in 2005, as compared to the similar period in 2004, was primarily due to a full year of Merck collaboration and the initiation of the Pfizer and Merck Serono collaborations offset by the termination of the research phase of the Novartis oncology program in 2004. We have deferred a total of approximately \$994,000 of research reimbursement revenue from Merck in order to account for the headcount effort expended by us for the time period invoiced, which covers the relevant periods from the initiation of the collaboration in 2004 through December 31, 2006. We expect contract revenues from collaborations to continue to be a significant component of our total revenues for the foreseeable future.

Research and Development

| | Years Ended December 31, | | | Aggregate Change | |
|--|--------------------------|-----------|-----------|------------------|----------------|
| | 2006 | 2005 | 2004 | 2006 from 2005 | 2005 from 2004 |
| | (in thousands) | | | | |
| Research and development expenses | \$ 56,968 | \$ 52,038 | \$ 48,523 | \$ 4,930 | \$ 3,515 |
| Stock-based compensation expense/(recovery) included in research and development expenses | 6,515 | (1,467) | 2,000 | 7,982 | (3,467) |

The increase in research and development expenses in 2006, as compared to the similar period in 2005, was primarily attributable to an increase in stock-based compensation expense upon the adoption of SFAS 123(R) as previously discussed under Critical Accounting Policies and the Use of Estimates - Stock-based Compensation, offset by a decrease in preclinical and clinical costs. The decrease in our preclinical and clinical costs in 2006, as compared to the similar period in 2005, was primarily due to the termination of the R112 and R803 programs in 2005 and the transfer of sponsorship relating to R763 to Merck Serono in 2006, offset by increased costs relating to our R788 program, which initiated a Phase 2 trial in August 2006. The increase in research and development expenses in 2005, as compared to the similar period in 2004, was due to the increase in our preclinical and clinical costs and personnel costs offset by a decrease in stock-based compensation expense related to the repriced stock options as discussed under Critical Accounting Policies and the Use of Estimates Stock-based Compensation. The increase in preclinical and clinical costs and personnel costs in 2005, as compared to the similar period in 2004, was primarily due to costs associated with our R112, R788 and R763 programs, offset by the decrease in costs associated with our R803 program, which was discontinued in July 2005. We expect that our research and development expenses will increase as we continue our Phase 2 trials of R788 in RA and ITP, commence our Phase 1 trial of R788 in lymphoma and continue to work on programs for other indications.

The scope and magnitude of future research and development expenses are difficult to predict at this time given the number of studies that will need to be conducted for any of our potential products, as well as our limited capital resources. In general, biopharmaceutical-development involves a series of steps beginning with identification of a potential target and including, among others, proof of concept in animals and Phase 1, 2 and 3 clinical studies in humans each of which is typically more expensive than the previous step. Success in development therefore results in increasing expenditures. Our research and development expenditures currently include costs for scientific personnel, supplies, equipment, consultants, sponsored research, allocated facility costs, costs related to preclinical and clinical trials, and stock-based compensation.

General and Administrative Expenses

| | Years Ended December 31, | | | Aggregate Change | |
|--|--------------------------|-----------|-----------|------------------|----------------|
| | 2006 | 2005 | 2004 | 2006 from 2005 | 2005 from 2004 |
| | (in thousands) | | | | |
| General and administrative expenses | \$ 19,552 | \$ 12,410 | \$ 13,077 | \$ 7,142 | \$ (667) |
| Stock-based compensation expense/(recovery) included in general and administrative expenses | 6,064 | (623) | 566 | 6,687 | (1,189) |

The increase in general and administrative expenses in 2006, as compared to the similar period in 2005, was primarily due to an increase in stock-based compensation expense upon the adoption of SFAS 123(R) as discussed under Critical Accounting Policies and the Use of Estimates Stock-based Compensation and increased personnel and facility costs. The decrease in administrative expenses in 2005, as compared to the similar period in 2004, was primarily due to the decrease in stock-based compensation expense related to the repriced stock options as discussed under Critical Accounting

Policies and the Use of Estimates - Stock-Based Compensation, offset by increased personnel and facility costs.

Loss on Disposal/Sale of Property and Equipment

| | Years Ended December 31, | | | Aggregate Change | |
|--|--------------------------|------|-------|------------------|----------------|
| | 2006 | 2005 | 2004 | 2006 from 2005 | 2005 from 2004 |
| | (in thousands) | | | | |
| <i>Loss on disposal /sale of property and equipment</i> | \$ | \$ | \$ 30 | \$ | \$ (30) |

During 2004, we wrote-off \$4,900,000 of assets at their original acquisition cost and related accumulated depreciation of \$4,870,000 for assets that were no longer in use. We recorded a loss on disposal of property and equipment of \$30,000.

Interest income

| | Years Ended December 31, | | | Aggregate Change | |
|-------------------------------|--------------------------|----------|--------|------------------|----------------|
| | 2006 | 2005 | 2004 | 2006 from 2005 | 2005 from 2004 |
| | (in thousands) | | | | |
| <i>Interest income</i> | \$ 5,700 | \$ 2,942 | \$ 966 | \$ 2,758 | \$ 1,976 |

Interest income results from our interest-bearing cash and investment balances. The increases in interest income in 2006, as compared to the similar periods in 2005 and 2004, were primarily due to the increase in our investment balances as a result of the public offering we completed in July 2005 where we raised \$81.6 million in net proceeds combined with an increase in the interest rates we earned on these balances.

Interest expense

| | Years Ended December 31, | | | Aggregate Change | |
|--------------------------------|--------------------------|-----------|-----------|------------------|----------------|
| | 2006 | 2005 | 2004 | 2006 from 2005 | 2005 from 2004 |
| | (in thousands) | | | | |
| <i>Interest expense</i> | \$ (290) | \$ (276) | \$ (324) | \$ (14) | \$ 48 |

Interest expense is the result of our capital lease obligations associated with fixed asset acquisitions. Interest expense was flat in 2006, 2005 and 2004 due to comparable debt obligations outstanding during those years.

Future Accounting Requirements

In July 2006, the FASB issued FIN 48, Accounting for Uncertainty in Income Taxes, or FIN 48. This interpretation requires that we recognize in our financial statements, the impact of a tax position, if that position is more likely than not of being sustained in an audit, based on the technical merits of the position. The provisions of FIN 48 are effective for fiscal years beginning after December 15, 2006, with the cumulative effect of the change in accounting principle recorded as an adjustment to opening retained earnings. We are currently evaluating the impact of adopting FIN 48 on our financial statements.

In September 2006, the SEC released SAB 108, Considering the Effects of Prior Year Misstatements when Quantifying Misstatements in Current Year Financial Statements, or SAB 108. SAB 108 provides interpretive guidance on the SEC's views regarding the process of quantifying materiality of financial statement misstatements. SAB 108 is effective for fiscal years ending after November 15, 2006. We adopted SAB 108 for the year ended December 31, 2006.

In September 2006, the FASB issued SFAS 157, Fair Value Measurements, or SFAS 157. This standard defines fair value, establishes a framework for measuring fair value in generally accepted

accounting principles, and expands disclosures about fair value measurements. SFAS 157 is effective for financial statements issued for fiscal years beginning after November 15, 2007. We are currently evaluating the impact of adopting SFAS 157 on our financial statements.

Liquidity and Capital Resources

Cash Requirements

We have financed our operations from inception primarily through sales of equity securities, contract payments payable to us under our collaboration agreements and equipment financing arrangements. We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years. During 2006, we received payments of approximately \$18.2 million from our collaborations with Merck Serono, Pfizer and Merck.

We believe that our existing capital resources and anticipated proceeds from current collaborations will be sufficient to support our current operating plan through at least the next 12 months. Our operations will require significant additional funding, in large part due to our research and development expenses, future preclinical and clinical testing costs and the absence of any meaningful revenues for the foreseeable future. The amount of future funds needed will depend largely on the timing and structure of potential future collaborations. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us.

To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

Our future funding requirements will depend on many uncertain factors.

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

- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;
- our ability to establish new collaborations and to maintain our existing collaboration partnerships;
- the progress of research programs carried out by us;
- any changes in the breadth of our research and development programs;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- the progress of the research and development efforts of our collaborative partners;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual rights;
- the costs and timing of regulatory approvals and filings by us and our collaborators; and
- expenses associated with unforeseen litigation.

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Insufficient funds may require us to delay, scale back or eliminate some or all of our research or development programs, to lose rights under existing licenses or to relinquish greater or all rights to product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern.

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As of December 31, 2006, we had \$104.5 million in cash and cash equivalents and available-for-sale securities, as compared to \$138.2 million as of December 31, 2005, a decrease of \$33.7 million. The decrease was primarily attributable to operating spending in the period, offset by collaboration proceeds of \$18.2 million. We also received approximately \$2.8 million from the issuance of our common stock resulting from our stock option plans and ESPP and approximately \$1.4 million under our equipment financing arrangements. For the years ended December 31, 2006 and 2005, we maintained an investment portfolio primarily in money market funds, federal agency securities, and corporate bonds and notes. Cash in excess of immediate requirements is invested with regard to liquidity and capital preservation. Wherever possible, we seek to minimize the potential effects of concentration and degrees of risk.

Contractual Obligations

The following are our contractual commitments (by fiscal year) as of December 31, 2006 associated with debt obligations, contracted research obligations, and lease obligations: (in thousands)

| | Total (in thousands) | Less than 1 year | 1-3 years | 3-5 years | More than 5 years |
|--|-------------------------|---------------------|-----------|-----------|----------------------|
| Debt obligations (1) | \$ 2,617 | \$ 1,454 | \$ 1,160 | \$ 3 | \$ |
| Contracted research | | | | | |
| Facilities lease, net of sublease (2)(3) | 159,777 | 8,475 | 44,987 | 44,001 | 62,314 |
| Total | \$ 162,394 | \$ 9,929 | \$ 46,147 | \$ 44,004 | \$ 62,314 |

1) As of December 31, 2006, we had \$2.4 million in debt obligations associated with our equipment additions. All existing debt agreements as of December 31, 2006 are secured by the equipment financed, bear interest at rates in a range of 9% to 12.2% and are due in monthly installments through 2010.

2) During May 2004, we initiated a sublease of approximately 15,000 square feet of our premises to a tenant for a period of two years. The sublease was amended in September 2005 to extend term for an additional year. The facilities lease obligations above are reflective of the sublease income stream of approximately \$276,000.

3) The payments above also reflect the remaining twelve years of the lease term.

Item 7A. Quantitative and Qualitative Disclosures about Market Risk

The primary objective of our investment activities is to preserve principal while at the same time maximizing the income we receive from our investments without significantly increasing risk. Some of the securities in which we invest may have market risk. This means that a change in prevailing interest rates may cause the fair value amount of the investment to fluctuate. For example, if we hold a security that was issued with a fixed interest rate at the then-prevailing rate and the prevailing interest rate later rises, the market value amount of our investment will decline. To minimize this risk in the future, we intend to maintain our portfolio of cash equivalents and available-for-sale securities in a variety of securities, including money market funds and government and non-government debt securities. In 2006, 2005 and 2004, we maintained an investment portfolio primarily in money market funds, federal agency securities, and corporate bonds and notes. Due to the primarily short-term nature of these investments, we believe we do not have a material exposure to interest rate risk arising from our investments. Therefore, no quantitative tabular disclosure is provided.

We have operated primarily in the United States, and all funding activities with our collaborators to date have been made in U.S. dollars. Accordingly, we have not had any exposure to foreign currency rate fluctuations.

Item 8. Financial Statements and Supplementary Data

INDEX TO FINANCIAL STATEMENTS

Rigel Pharmaceuticals, Inc.

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Report of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders
Rigel Pharmaceuticals, Inc.

We have audited the accompanying balance sheets of Rigel Pharmaceuticals, Inc. as of December 31, 2006 and 2005, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2006. 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 Rigel Pharmaceuticals, Inc. at December 31, 2006 and 2005, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2006, in conformity with U.S. generally accepted accounting principles.

As discussed in Note 1 to the financial statements, Rigel Pharmaceuticals, Inc. changed its method of accounting for share-based compensation in 2006.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the effectiveness of Rigel Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2006, based on criteria established in Internal Control - Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission and our report dated March 6, 2007 expressed an unqualified opinion thereon.

Palo Alto, California
March 6, 2007

/s/ Ernst & Young LLP

Report of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders
Rigel Pharmaceuticals, Inc.

We have audited management's assessment, included in the accompanying Management's Report on Internal Control Over Financial Reporting, that Rigel Pharmaceuticals, Inc. maintained effective internal control over financial reporting as of December 31, 2006, based on criteria established in Internal Control - Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). Rigel Pharmaceutical, Inc.'s management is responsible for maintaining effective internal control over financial reporting and for its assessment of the effectiveness of internal control over financial reporting. Our responsibility is to express an opinion on management's assessment and an opinion on the effectiveness of the company's internal control over financial reporting based on our audit.

We conducted our audit 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 effective internal control over financial reporting was maintained in all material respects. Our audit included obtaining an understanding of internal control over financial reporting, evaluating management's assessment, testing and evaluating the design and operating effectiveness of internal control, and performing such other procedures as we considered necessary in the circumstances. We believe that our audit provides a reasonable basis for our opinion.

A company's internal control over financial reporting is a process designed to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles. A company's internal control over financial reporting includes those policies and procedures that (1) pertain to the maintenance of records that, in reasonable detail, accurately and fairly reflect the transactions and dispositions of the assets of the company; (2) provide reasonable assurance that transactions are recorded as necessary to permit preparation of financial statements in accordance with generally accepted accounting principles, and that receipts and expenditures of the company are being made only in accordance with authorizations of management and directors of the company; and (3) provide reasonable assurance regarding prevention or timely detection of unauthorized acquisition, use, or disposition of the company's assets that could have a material effect on the financial statements.

Because of its inherent limitations, internal control over financial reporting may not prevent or detect misstatements. Also, projections of any evaluation of effectiveness to future periods are subject to the risk that controls may become inadequate because of changes in conditions, or that the degree of compliance with the policies or procedures may deteriorate.

In our opinion, management's assessment that Rigel Pharmaceuticals, Inc. maintained effective internal control over financial reporting as of December 31, 2006, is fairly stated, in all material respects, based on the COSO criteria. Also, in our opinion, Rigel Pharmaceuticals, Inc. maintained, in all material respects, effective internal control over financial reporting as of December 31, 2006, based on the COSO criteria.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the balance sheets of Rigel Pharmaceutical, Inc. as of December 31, 2006 and 2005, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2006 of Rigel Pharmaceuticals, Inc. and our report dated March 6, 2007 expressed an unqualified opinion thereon.

**Palo Alto, California
March 6, 2007**

/s/ Ernst & Young LLP

RIGEL PHARMACEUTICALS, INC.
BALANCE SHEETS
(In thousands, except share and per share amounts)

| | December 31, 2006 | December 31, 2005 |
|--|----------------------|----------------------|
| Assets | | |
| Current assets: | | |
| Cash and cash equivalents | \$ 47,727 | \$ 76,779 |
| Available-for-sale securities | 56,744 | 61,417 |
| Accounts receivable | 1,104 | 1,050 |
| Other receivables | 286 | 777 |
| Prepaid expenses and other current assets | 2,153 | 2,573 |
| Total current assets | 108,014 | 142,596 |
| Property and equipment, net | 2,975 | 3,457 |
| Other assets | 2,251 | 1,615 |
| | \$ 113,240 | \$ 147,668 |
| Liabilities and stockholders equity | | |
| Current liabilities: | | |
| Accounts payable | \$ 1,957 | \$ 2,497 |
| Accrued compensation | 3,060 | 2,189 |
| Other accrued liabilities | 1,886 | 2,324 |
| Deferred revenue | 3,066 | 15,567 |
| Capital lease obligations | 1,269 | 1,070 |
| Total current liabilities | 11,238 | 23,647 |
| Long-term portion of capital lease obligations | 1,082 | 1,132 |
| Long-term portion of deferred revenue | | 2,771 |
| Long-term portion of deferred rent | 13,328 | 11,121 |
| Other long-term liabilities | 363 | 409 |
| Commitments | | |
| Stockholders equity: | | |
| Common stock, \$0.001 par value; 100,000,000 shares authorized; 25,180,687 and 24,814,671 shares issued and outstanding on December 31, 2006 and December 31, 2005, respectively | 25 | 25 |
| Additional paid-in capital | 382,350 | 366,203 |
| Deferred stock compensation | | (26) |
| Accumulated other comprehensive income/(loss) | 13 | (92) |
| Accumulated deficit | (295,159) | (257,522) |
| Total stockholders equity | 87,229 | 108,588 |
| | \$ 113,240 | \$ 147,668 |

See accompanying notes

RIGEL PHARMACEUTICALS, INC.
STATEMENTS OF OPERATIONS
(In thousands, except per share amounts)

| | Years ended December 31, | | |
|--|--------------------------|--------------|--------------|
| | 2006 | 2005 | 2004 |
| Contract revenues from collaborations | \$ 33,473 | \$ 16,526 | \$ 4,733 |
| Costs and expenses: | | | |
| Research and development | 56,968 | 52,038 | 48,523 |
| General and administrative | 19,552 | 12,410 | 13,077 |
| | 76,520 | 64,448 | 61,600 |
| Loss from operations | (43,047) | (47,922) | (56,867) |
| Loss on disposal/sale of property and equipment | | | (30) |
| Interest income | 5,700 | 2,942 | 966 |
| Interest expense | (290) | (276) | (324) |
| Net loss | \$ (37,637) | \$ (45,256) | \$ (56,255) |
| Net loss per common share, basic and diluted | \$ (1.51) | \$ (2.07) | \$ (3.12) |
| Weighted average shares used in computing net loss per common share, basic and diluted | 24,936 | 21,857 | 18,053 |

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.
STATEMENT OF STOCKHOLDERS EQUITY
(In thousands, except per share and per share amounts)

| | Common Stock Shares | Amount | Additional Paid-in Capital | Deferred Stock Compensation | Accumulated Other Comprehensive Income (Loss) | Accumulated Deficit | Treasury Stock | Total Stockholders Equity |
|--|------------------------|--------|----------------------------------|-----------------------------------|--|------------------------|-------------------|---------------------------------|
| Balance at December 31, 2003 | 14,828,546 | \$ 15 | \$ 196,215 | \$ (200) | \$ (13) | \$ (156,011) | \$ (33) | \$ 39,973 |
| Net loss | | | | | | (56,255) | | (56,255) |
| Change in unrealized loss on available-for-sale securities | | | | | (207) | | | (207) |
| Comprehensive loss | | | | | | | | (56,462) |
| Issuance of common stock at \$20.00 per share for cash, net of issuance costs | 3,135,075 | 3 | 58,338 | | | | | 58,341 |
| Issuance of common stock upon exercise of options and participation in Purchase Plan | 227,892 | | 1,884 | | | | | 1,884 |
| Issuance of common stock upon cash exercise of warrants at \$5.76 | 1,041,666 | 1 | 6,000 | | | | | 6,001 |
| Issuance of common stock upon net exercise of warrants at \$5.76 | 415,687 | 1 | | | | | | 1 |
| Issuance of common stock upon net exercise of warrants | 12,429 | | | | | | | |
| Grant of treasury stock to employees | | | 39 | | | | 33 | 72 |
| Compensation expense related to options granted to consultants, repriced options, and an option modification | | | 2,289 | 75 | | | | 2,364 |
| Deferred stock compensation related to option modification | | | 58 | (58) | | | | |
| Amortization of deferred stock compensation, | | | | 127 | | | | 127 |
| Balance at December 31, 2004 | 19,661,295 | 20 | 264,823 | (56) | (220) | (212,266) | | 52,301 |
| Net loss | | | | | | (45,256) | | (45,256) |
| Change in unrealized loss on available-for-sale securities | | | | | 128 | | | 128 |
| Comprehensive loss | | | | | | | | (45,128) |
| Issuance of common stock at \$20.75 per share for cash, net of issuance costs | 4,197,500 | 4 | 81,586 | | | | | 81,590 |
| Issuance of common stock upon exercise of options, participation in Purchase Plan and net exercise of a warrant | 219,164 | | 1,915 | | | | | 1,915 |
| Issuance of common stock at \$27.47 per share to Merck Serono | 546,018 | 1 | 14,999 | | | | | 15,000 |
| Issuance of common stock at \$26.22 per share to Pfizer | 190,694 | | 5,000 | | | | | 5,000 |
| Compensation expense related to options granted to consultants, repriced options, and an option modification | | | (2,120) | | | | | (2,120) |
| Amortization of deferred stock compensation, | | | | 30 | | | | 30 |
| Balance at December 31, 2005 | 24,814,671 | 25 | 366,203 | (26) | (92) | (257,522) | | 108,588 |
| Net loss | | | | | | (37,637) | | (37,637) |
| Change in unrealized loss on available-for-sale securities | | | | | 105 | | | 105 |
| Comprehensive loss | | | | | | | | (37,532) |
| Issuance of common stock upon exercise of options and | 366,016 | | 2,793 | | | | | 2,793 |

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| | | | | | | | | |
|---|------------|-------|------------|----|-------|--------------|----|-----------|
| participation in Purchase Plan | | | | | | | | |
| Stock compensation expense related to options granted to consultants, officers, directors and employees | | | 11,298 | | | | | 11,298 |
| Stock compensation expenses related to ESPP | | | 1,281 | | | | | 1,281 |
| Reversal of deferred compensation balance due to adoption of SFAS 123 (R) | | | (26 |) | 26 | | | |
| Warrants issued with lease amendment | | | 801 | | | | | 801 |
| Balance at December 31, 2006 | 25,180,687 | \$ 25 | \$ 382,350 | \$ | \$ 13 | \$ (295,159) | \$ | \$ 87,229 |

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.
STATEMENTS OF CASH FLOWS
(In thousands)

| | Years ended December 31, | | |
|---|--------------------------|--------------|--------------|
| | 2006 | 2005 | 2004 |
| Operating activities | | | |
| Net loss | \$ (37,637) | \$ (45,256) | \$ (56,255) |
| Adjustments to reconcile net loss to net cash used in operating activities: | | | |
| Depreciation and amortization | 1,418 | 1,150 | 2,246 |
| Amortization of deferred stock compensation, net | | 30 | 127 |
| Non-cash stock compensation expense (recovery) | 12,579 | (2,120) | 2,367 |
| Issuances of equity instruments for noncash benefits | | | 72 |
| Loss on disposal/sale of property and equipment | | | 30 |
| Changes in assets and liabilities: | | | |
| Accounts receivable | (54) | (1,050) | 500 |
| Other receivables | 491 | (78) | 88 |
| Prepaid expenses and other current assets | 420 | (460) | 61 |
| Other assets | 165 | 155 | 249 |
| Accounts payable | (540) | 552 | 567 |
| Accrued compensation | 871 | 550 | 928 |
| Other accrued liabilities | (438) | 769 | 91 |
| Deferred revenue | (15,272) | 10,430 | 5,120 |
| Deferred rent and other long term liabilities | 2,161 | 158 | 5,657 |
| Net cash used in operating activities | (35,836) | (35,170) | (38,152) |
| Investing activities | | | |
| Purchases of available-for-sale securities | (85,209) | (89,584) | (96,436) |
| Maturities of available-for-sale securities | 89,987 | 89,227 | 72,176 |
| Proceeds from the sale of property and equipment | 83 | | |
| Capital expenditures | (913) | (1,794) | (1,545) |
| Net cash provided by (used in) investing activities | 3,948 | (2,151) | (25,805) |
| Financing activities | | | |
| Proceeds from capital lease financing | 1,449 | 1,656 | 831 |
| Payments on capital lease obligations | (1,406) | (1,556) | (2,224) |
| Net proceeds from issuances of common stock and warrants | 2,793 | 103,505 | 66,224 |
| Net cash provided by financing activities | 2,836 | 103,605 | 64,831 |
| Net (decrease) increase in cash and cash equivalents | (29,052) | 66,284 | 874 |
| Cash and cash equivalents at beginning of period | 76,779 | 10,495 | 9,621 |
| Cash and cash equivalents at end of period | \$ 47,727 | \$ 76,779 | \$ 10,495 |
| Supplemental disclosure of cash flow information | | | |
| Interest paid | \$ 247 | \$ 210 | \$ 324 |
| Schedule of non cash transactions | | | |
| Deferred stock compensation | \$ | \$ | \$ 58 |
| Issuance of warrants with lease amendment | \$ 801 | \$ | \$ |

See accompanying notes.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS

In this annual report on Form 10-K, Rigel, we, us and our refer to Rigel Pharmaceuticals, Inc. common stock refers to Rigel's common stock value \$0.001 per share.

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

Nature of operations and basis of presentation

We were incorporated in the state of Delaware on June 14, 1996. We are engaged in the discovery and development of novel, small-molecule drugs for the treatment of inflammatory diseases, cancer and viral diseases.

Financial Statement Preparation

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

Comprehensive net loss did not differ materially from the net loss as reported.

Stock Award Plans

We have two stock option plans, the 2000 Equity Incentive Plan and 2000 Non-Employee Directors Stock Option Plan, that provide for granting to our officers, directors and all other employees and consultants options to purchase shares of our common stock. Under the plans, we may issue non-qualified options or incentive stock options. We also have an employee stock purchase plan, or ESPP, where eligible employees can purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date. The benefits provided under these plans are share-based payments subject to the provisions of Statement of Financial Accounting Standards, or SFAS, No. 123(R), Share-Based Payment (Revised 2004), or SFAS 123(R), and guidance under the Securities and Exchange Commission's Staff Accounting Bulletin 107, or SAB No. 107.

Effective January 1, 2006, we adopted the provisions of SFAS 123(R) using the modified prospective application transition method. Under this method, the share-based compensation cost recognized beginning January 1, 2006 includes compensation cost for (i) all share-based payments granted prior to, but not vested as of January 1, 2006, based on the grant date fair value originally estimated in accordance with the provisions of SFAS No. 123, Accounting for Stock-Based Compensation, or SFAS 123, and calculated for pro forma disclosures under SFAS No. 148,

Accounting for Stock-Based Compensation Transition and Disclosure, or SFAS 148, and (ii) all share-based payments granted subsequent to January 1, 2006, based on the grant date fair value estimated in accordance with the provisions of SFAS 123(R). Compensation cost under SFAS 123(R) for awards granted prior to January 1, 2006 is recognized using an accelerated method pursuant to the Financial Accounting Standards Board, or FASB, Interpretation No. 28, Accounting for Stock Appreciation Rights and Other Variable Stock Option or Award Plans, or FIN 28. For awards granted after January 1, 2006, we have adopted the use of the straight-line attribution method over the requisite service period for the entire award. Results of prior periods do not reflect any restated amounts, and we had no cumulative effect adjustment upon adoption of SFAS No. 123(R) under the modified prospective method.

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

Impact of the Adoption of SFAS 123(R)

Total stock-based compensation expense related to all of the Company's share-based awards that we recognized for the years ended December 31, 2006, 2005 and 2004 was comprised as follows (in thousands, except per share amounts):

| | Year Ended December 31, | | |
|---|-------------------------|-------------|----------|
| | 2006 | 2005 | 2004 |
| Research and development | \$ 6,515 | \$ (1,467) | \$ 2,000 |
| General and administrative | 6,064 | (623) | 566 |
| Stock-based compensation expense (recovery) | \$ 12,579 | \$ (2,090) | \$ 2,566 |
| Stock-based compensation expense (recovery) per share | | | |
| Basic and diluted | \$ 0.50 | \$ (0.10) | \$ 0.14 |

We recorded approximately \$12.6 million in stock-based compensation expense for the year ended December 31, 2006, consisting of approximately \$12.3 million in stock-based awards granted to officers, directors and all other employees from our stock option plans and ESPP, and approximately \$267,000 from options granted to consultants. Pursuant to SFAS 123(R), we are required to estimate the amount of expected forfeitures when calculating compensation costs, instead of accounting for forfeitures as incurred, which was our previous method. Our estimated annual weighted average forfeiture rate was 7.9% as of December 31, 2006. We adjust our stock-based compensation expense as actual forfeitures occur, review our estimated forfeiture rates each quarter and make changes to our estimate as appropriate.

For the year ended December 31, 2006, we recorded stock-based compensation expense of approximately \$267,000, associated with options granted to consultants, reflecting the fair value and periodic fair value remeasurement of outstanding consultant options under Emerging Issues Task Force No. 96-18, Accounting for Equity Instruments That are Issued to Other Than Employees for Acquiring, or in Conjunction with Selling Goods or Services, or EITF 96-18. The valuation is based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price, risk-free interest rate and expected term. For consultant options granted in 2006, we amortized stock-based compensation using a straight-line attribution method consistent with the method used for employees and with the attribution election we made upon adoption of SFAS 123(R). For options granted prior to January 1, 2006, we used the accelerated method for expensing stock-based compensation, which was the method we used prior to adoption. We recorded stock-based compensation recovery of approximately \$232,000 and stock-based compensation expense of approximately \$430,000 for the years ended December 31, 2005 and 2004, respectively, relating to consultant options. We expect to see continued fluctuations in the future as a portion of these options are remeasured based on the changes in the current market price of our common stock.

In 2005, we recorded charges associated with the stock options that were eligible for re-pricing under a tender offer initiated in June 2003. All replacement options, as well as the eligible options that were not surrendered under the original offer to exchange, were treated for financial reporting purposes as variable awards. Therefore, for the period prior to adoption of SFAS 123(R), we recorded non-cash charges, generally for the intrinsic value of the options, in accordance with Accounting Principles Board Opinion No. 25, Accounting for Stock Issued to Employees, or APB 25, utilizing the accelerated vesting method, reflecting increases and decreases (down to, but not below, the exercise price) in the price of our common

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

stock as compensation expense (recovery) in connection with the replacement options and the eligible options that were not exchanged. For the year ended December 31, 2005, we recorded a non-cash compensation recovery of approximately \$1.9 million related to all employee options eligible for replacement. The recovery resulted from the decrease in the market price of our common stock during 2005. For the year ended December 31, 2004, we recorded a non-cash compensation charge of approximately \$1.9 million related to all employee options eligible for replacement. The amount recorded was attributable to the increases in the market price of our common stock during 2004. For periods after the adoption of SFAS 123(R), we continue to account for the vested portion of the repriced options in accordance with the provisions of SFAS 123. In August 2006, our board of directors granted new options to officers, directors and all other employees and consultants who held these repriced options that expired in August 2006. We granted approximately 179,000 options with an exercise price of \$9.56 per share. The options vested 50% at the date of the grant and the remaining 50% will vest monthly over two years. We recorded stock-based compensation expense of approximately \$689,000, in accordance with SFAS 123(R), relating to these new options for the year ended December 31, 2006.

Under SFAS 123(R), the fair value of each option award is estimated on the date of grant using the Black-Scholes option pricing model. We have segregated option awards into three homogenous groups (i.e., Officers and Directors, All Other Employees and Consultants) for purposes of determining fair values of options.

We determined weighted-average valuation assumptions separately for the groups as follows:

- **Volatility** - We estimated volatility using the historical share price performance over the expected life of the option up to the point where we have historical market data. As our publicly listed options are not actively traded, implied volatility was not representative of our current volatility. We also considered other factors such as our current clinical trials and other company activities that may affect volatility of our stock in the future but determined that at this time, the historical volatility was more indicative of our expected future stock performance.
- **Expected term** - We worked with various data points to determine the most applicable expected term for each option group. The data points included: (1) expected term of the options from option grant date to exercise date; (2) cancellation term of options from grant date to cancellation date and excluding unvested option forfeitures from the determination; and (3) term of options that remain outstanding from grant date to the end of the reporting period. The analysis of the above data points gave us a range of expected terms to consider; however, we also considered the vesting schedules of the options granted and factors surrounding exercise behavior of our groups, our current market price and company activity that may affect our market price. In addition, we also considered the vesting schedules of the options, the optionee type (i.e., officers and directors, all other employees and consultants) and other factors that may affect the expected term of the option. For options granted to consultants, we use the contractual term of the option, which is generally ten years, for the initial valuation of the option and the remaining contractual term of the option for the succeeding years.
- **Risk-free interest rate** - The risk-free interest rate is based on U.S. Treasury constant maturity rates with similar terms to the expected term of the options for each option group.

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

- Forfeiture rate - We estimated the forfeiture rate using our historical experience with pre-vesting options. Our annual weighted-average forfeiture rate was approximately 7.9% as of December 31, 2006. We review our estimated forfeiture rates each quarter and make changes as factors affecting our forfeiture rate calculations and assumptions change.
- Dividend yield - The expected dividend yield is 0% as we have not paid and do not expect to pay dividends.

The following table summarizes the weighted-average assumptions relating to our employee options for the years ended December 31, 2006, 2005 and 2004, as permitted under SFAS 123(R) for 2006 and SFAS 123 for 2005 and 2004:

| | Stock Option Plans Year Ended December 31, | | | | | |
|--------------------------|--|---|------|---|------|---|
| | 2006 | | 2005 | | 2004 | |
| Risk-free interest rate | 4.7 | % | 4.2 | % | 2.6 | % |
| Expected life (in years) | 4.4 | | 2.8 | | 3.1 | |
| Dividend yield | 0.0 | % | 0.0 | % | 0.0 | % |
| Expected volatility | 95.6 | % | 86.8 | % | 85.0 | % |

Options are priced at the market price of our common stock on the date of grant, become exercisable at varying dates and generally expire ten years from the date of grant. At December 31, 2006, options to purchase 1,476,206 shares of common stock were available for grant under our stock option plans.

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

Employee Stock Purchase Plan

The fair value of awards granted under our ESPP is estimated on the date of grant using the Black-Scholes option pricing model, which uses the weighted-average assumptions set forth in the table below. Our ESPP provides for a twenty-four month offering period comprised of four six-month purchase periods with a look-back option. A look-back option is a provision in our ESPP where eligible employees can purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date. The plan also includes a feature whereby a new offering period begins when the fair market value of our common stock on a purchase date during an offering falls below the fair market value of our common stock on the first day of such offering period. Participants are automatically enrolled in the new offering period. Expected volatilities are based on historical volatility of our stock. Expected term represents the purchase periods within our offering period. The risk-free rate for periods within the contractual life of the option is based on U.S. Treasury constant maturity rates. Stock-based compensation expense relating to our ESPP is recognized according to the FASB Technical Bulletin No. 97-1, Accounting under Statement 123 for Certain Employee Stock Purchase Plans with a Look-back Option, or FTB 97-1. As of December 31, 2006, there were approximately 68,000 shares in reserve for future issuance under the ESPP. The following table summarizes the weighted-average assumptions relating to our ESPP for the years ended December 31, 2006, 2005 and 2004:

| | Employee Stock Purchase Plan | | |
|--------------------------|------------------------------|--------|--------|
| | Year Ended | | |
| | December 31, | | |
| | 2006 | 2005 | 2004 |
| Risk-free interest rate | 4.6 % | 4.1 % | 3.5 % |
| Expected life (in years) | 1.2 | 0.5 | 0.6 |
| Dividend yield | 0.0 % | 0.0 % | 0.0 % |
| Expected volatility | 109.9 % | 88.3 % | 85.0 % |

Stock-based Compensation Award Activity

The following table summarizes activity under our equity incentive and stock option plans as of December 31, 2006 (in thousands, except per share amounts):

| | Shares | Weighted-Average Exercise Price | Weighted-Average Remaining Contractual Term (Years) | Aggregate Intrinsic Value |
|--|------------|---------------------------------|---|---------------------------|
| Outstanding at December 31, 2005 | 3,893,219 | \$ 15.98 | | |
| Granted | 1,229,967 | \$ 8.79 | | |
| Exercised | (206,636) | \$ 7.96 | | |
| Forfeited/Expired/Cancelled | (511,339) | \$ 14.72 | | |
| Outstanding at December 31, 2006 | 4,405,211 | \$ 14.50 | 7.87 | \$ 8,653,135 |
| Vested and expected to vest at December 31, 2006 | 4,276,208 | \$ 14.44 | | |
| Exercisable at December 31, 2006 | 2,768,121 | \$ 13.39 | 7.46 | \$ 6,569,970 |

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

The aggregate intrinsic value is calculated as the difference between the exercise price of the underlying awards and the quoted price of our common stock for the options to acquire 2.4 million shares that were in-the-money at December 31, 2006. During the years ended December 31, 2006, 2005 and 2004, the aggregate intrinsic value of options exercised under our stock option plans were approximately \$470,000, \$1.7 million and \$1.9 million, respectively, determined as of the date of option exercise. As of December 31, 2006, there was approximately \$9.4 million of total unrecognized compensation cost, net of forfeitures, related to unvested share-based compensation arrangements granted under our stock option plans and \$380,000 of total unamortized compensation cost related to our ESPP. These costs are expected to be recognized over a weighted-average period of 1.62 years. We also had approximately 1.6 million of unvested stock options at December 31, 2006. Future option grants and their valuation will increase our compensation cost in the future as the options are granted, valued and expensed ratably according to their vesting periods. The weighted average grant-date fair values of options granted in the year ended December 31, 2006, 2005 and 2004 were \$6.24, \$12.42 and \$11.12, respectively.

Pro Forma Information under SFAS 123 for Periods Prior to Fiscal 2006

Prior to adopting SFAS 123(R) on January 1, 2006, we accounted for equity-based employee compensation costs under the recognition and measurement principles of APB 25. Under APB 25, the intrinsic value method of accounting, no compensation expense is recognized, because the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant. Pro forma information regarding net loss and net loss per share was determined as if we had accounted for issuances under our stock option plans and ESPP under the fair value method prescribed by SFAS 123, as amended by SFAS No. 148. The fair value for these options was estimated at the date of grant using the Black-Scholes option pricing model. See the weighted-average assumptions discussed above.

For purposes of pro forma disclosures, the estimated fair value of the options was amortized to expense over the vesting period of the options prior to adopting SFAS 123(R). Our pro forma information is as follows (in thousands, except per share amounts):

| | Years Ended December 31, | |
|---|---------------------------------|--------------|
| | 2005 | 2004 |
| Net loss as reported: | \$ (45,256) | \$(56,255) |
| Add (Deduct): Total stock-based employee compensation (recovery)/expense determined under APB 25 | (1,859) | 2,135 |
| Add: Total stock-based employee compensation expense determined under the fair value based method of all awards | 9,401 | 8,506 |
| Pro forma net loss | \$ (56,516) | \$ (62,626) |
| Basic and diluted net loss per common share: | | |
| As reported | \$ (2.07) | \$ (3.12) |
| Pro forma | (2.59) | (3.47) |

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

Cash, cash equivalents and available-for-sale securities

We consider all highly liquid investments in debt securities with a remaining maturity from the date of purchase of 90 days or less to be cash equivalents. Cash equivalents consist of money market funds, corporate debt securities and government agencies. Our short-term investments include obligations of governmental agencies and corporate debt securities. By policy, we limit the concentration of credit risk by diversifying our investments among a variety of high credit-quality issuers.

All other cash equivalents and short-term investments are classified as available-for-sale securities. Available-for-sale securities are carried at fair value at December 31, 2006 and 2005. Unrealized gains (losses) are reported in stockholders' equity and included in other comprehensive income (loss). Fair value is estimated based on available market information. The cost of securities sold is based on the specific identification method. For the years ended December 31, 2006, 2005 and 2004, we did not have any realized gains and losses on available-for-sale securities. See Note 4 for a summary of available-for-sale securities at December 31, 2006 and 2005.

Fair value of financial instruments

Financial instruments, including cash and cash equivalents, accounts receivable, accounts payable, accrued liabilities and accrued compensation are carried at cost or amortized cost, which management believes approximates fair value.

Property and equipment

Property and equipment are stated at cost. Depreciation is calculated using the straight-line method over the estimated useful lives of the assets, which range from three to seven years. Leasehold improvements, if any, are amortized using the straight-line method over the estimated useful lives of the assets or the term of the lease, whichever is shorter.

Revenue recognition

We recognize revenue from our contract arrangements. Our revenue arrangements with multiple elements are evaluated under Emerging Issues Task Force No. 00-21, *Revenue Arrangements with Multiple Deliverables*, and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has stand-alone value to the customer and whether there is objective and reliable evidence of the fair value of the undelivered items. The consideration we receive is allocated among the separate units based on their respective fair values, and the applicable revenue recognition criteria are applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term. When a research term is not specified, we estimate the time it will take us to complete our deliverables under the contract and recognize the upfront fee using the straight-line method over that time period. We review our estimates every quarter for reasonableness.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements, except for the Merck collaboration signed in November 2004 related to ubiquitin ligases, approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. For the Merck collaboration, we are recognizing a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. It is our policy to recognize revenue based on our level of effort expended, however, revenue recognized will not exceed amounts billable under the arrangement which corresponds to cash receipts.

Revenues associated with at-risk milestones pursuant to collaborative agreements are recognized based upon the achievement of the milestones as set forth in the applicable agreement.

Royalties will be recognized as earned in accordance with the contract terms when the third party results are reliably measurable and collectibility is reasonably assured.

Research and development

Research and development expenses include costs for scientific personnel, supplies, equipment, consultants, research sponsored by us, allocated facility costs, costs related to pre-clinical and clinical trials, and stock-based compensation expense. All such costs are charged to research and development expense as incurred. Collaboration agreements generally specify minimum levels of research effort required to be performed by us.

Segment reporting

We operate our business in one reportable segment: the discovery and development of novel, small molecule drugs for the treatment of inflammatory diseases, cancer and other viral diseases. We primarily operate in the United States.

Contingencies

We are subject to claims related to the patent protection of certain of our technologies. We are required to assess the likelihood of any adverse judgments or outcomes to these matters as well as potential ranges of probable losses. A determination of the amount of reserves required, if any, for these contingencies is made after careful analysis of each individual issue. The required reserves may change in the future due to new developments in each matter or changes in approach such as a change in settlement strategy in dealing with these matters.

Income Taxes

We use the asset and liability method to account for income taxes. Deferred tax assets and liabilities are recognized for the future tax consequences attributable to differences between the financial statement carrying amounts of existing assets and liabilities and their respective tax bases and operating loss and tax credit carryforwards. Deferred tax assets and liabilities are measured using enacted tax rates expected to

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

apply to taxable income in the years in which those temporary differences are expected to be recovered or settled. The effect on deferred tax assets and liabilities from a change in tax rates is recognized in income in the period the change is enacted. A valuation allowance is established to reduce deferred tax assets to an amount whose realization is more likely than not.

Net loss per share

Net loss per share has been computed according to Financial Accounting Standards Board Statement No. 128, Earnings Per Share, which requires disclosure of basic and diluted earnings per share. Basic earnings per share excludes any dilutive effects of options, shares subject to repurchase, warrants and convertible securities. Diluted earnings per share includes the impact of potentially dilutive securities.

During all periods presented, we had securities outstanding, which could potentially dilute basic earnings per share in the future, but were excluded from the computation of diluted net loss per share, as their effect would have been antidilutive. These outstanding securities consist of the following (in thousands, except per share information):

| | December 31, | | |
|---|---------------------|-------------|-------------|
| | 2006 | 2005 | 2004 |
| Outstanding options | 4,405 | 3,893 | 2,750 |
| Warrants | 175 | 91 | 92 |
| Weighted average exercise price of options | \$14.50 | \$15.98 | \$11.94 |
| Weighted average exercise price of warrants | \$13.23 | \$28.39 | \$28.33 |

Recent accounting pronouncements

In July 2006, the FASB issued FIN 48, Accounting for Uncertainty in Income Taxes, or FIN 48. This interpretation requires that we recognize in our financial statements, the impact of a tax position, if that position is more likely than not of being sustained in an audit, based on the technical merits of the position. The provisions of FIN 48 are effective for fiscal years beginning after December 15, 2006, with the cumulative effect of the change in accounting principle recorded as an adjustment to opening retained earnings. We are currently evaluating the impact of adopting FIN 48 on our financial statements.

In September 2006, the SEC released SAB 108, Considering the Effects of Prior Year Misstatements when Quantifying Misstatements in Current Year Financial Statements, or SAB 108. SAB 108 provides interpretive guidance on the SEC's views regarding the process of quantifying materiality of financial statement misstatements. SAB 108 is effective for fiscal years ending after November 15, 2006. We adopted SAB 108 for the year ended December 31, 2006.

In September 2006, the FASB issued SFAS 157, Fair Value Measurements, or SFAS 157. This standard defines fair value, establishes a framework for measuring fair value in generally accepted accounting principles, and expands disclosures about fair value measurements. SFAS 157 is effective for financial statements issued for fiscal years beginning after November 15, 2007. We are currently evaluating the impact of adopting SFAS 157 on our financial statements.

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

Research agreements

In December 1998, we entered into a research collaboration agreement with Janssen Pharmaceutica N.V., a division of Johnson and Johnson Pharmaceutical and Development, LLC to research and identify novel targets for drug discovery. At the time we entered into the contract, Johnson & Johnson paid a one-time non-refundable, non-creditable fee and provided support for research activities during the research period, which concluded in December 2003. In addition to these fees, we also received various milestones payments. Johnson & Johnson remains obligated to pay us various milestones and royalties in the future if certain conditions are met.

In January 1999, we entered into a two-year collaborative research agreement with Pfizer Inc. to discover and develop various molecular targets. Upon signing of the agreement, Pfizer paid a one-time, nonrefundable, noncreditable fee. Under the terms of the contract, Pfizer provided support for research for two years. In 2001, Pfizer notified us that it was electing to exercise its option to extend the funded research portion of the collaboration one additional year to January 31, 2002 and then extended it again for one additional month to February 28, 2002. In February 2002, the research phase of our collaboration with Pfizer concluded with Pfizer accepting a total of seven validated targets. In January 2005, we signed a collaborative research and license agreement with Pfizer for the development of intrapulmonary products for the treatment of allergic asthma and chronic obstructive pulmonary disease (COPD). The collaboration is primarily focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk kinase. The goal of the collaboration is for Pfizer to nominate two of the licensed compounds in order to commence advanced preclinical development with our assistance. We will earn milestone payments upon the selection of each of the two compounds, as well as in connection with other clinical events and royalties from sales of the resulting products upon marketing approval. Pfizer is responsible for the manufacture of all preclinical and clinical materials for each compound/product and all costs associated with development and commercialization. In connection with this collaboration, Pfizer paid us \$10.0 million upfront and purchased \$5.0 million of our common stock at a premium. We are amortizing the upfront amount into revenue over 24 months which we consider to be the overall amount of time it will take Pfizer to nominate two of our compounds for advanced pre-clinical development. In May 2006, we achieved the first milestone payment when Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. Pfizer paid us \$5.0 million for the exclusive right to R343 and will be responsible for all development related to R343 going forward.

In May 1999, we entered into a broad collaboration with Novartis Pharma AG, pursuant to which we and Novartis agreed to work on up to five different research programs to identify various targets for drug development. Two programs were initiated in 1999 while the third program to be conducted at Novartis was initiated on January 1, 2000. In July 2001, we expanded our collaboration with Novartis with the initiation of our angiogenesis program, the fourth and final program in our Novartis collaboration as Novartis chose not to exercise its option to add a fifth project that was to be conducted at Novartis. Pursuant to the expanded Novartis collaboration, we received a \$4.0 million up-front payment from Novartis, which was recognized as revenue ratably through July 2004. We currently have no programs with Novartis in the research phase. Novartis remains obliged to pay us various milestones and royalties in the future if certain conditions are met.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS (Continued)

In August 2002, we signed an agreement for a collaboration with Daiichi to pursue research related to a specific target from a novel class of drug targets called ligases that control cancer cell proliferation through protein degradation. Daiichi paid us \$0.9 million at the time we entered into the agreement, three milestone payments totaling \$4.6 million, and may become obligated to pay us certain other milestones payments in the future. The research phase of this three-year collaboration expired in August 2005. In addition, we are entitled to receive royalties on any commercialized products to emerge from the collaboration. Under terms of the agreement, we retain the rights to co-develop and co-promote certain products resulting from this collaboration in North America while Daiichi retains co-development and promotion rights in the remainder of the world.

In November 2004, we entered into a broad collaboration agreement with Merck & Co., Inc. to investigate ubiquitin ligases, a new class of drug target, to find treatments for cancer and potentially other diseases. At the time we entered into the agreement, we received an initial cash payment of \$7.6 million and funding for our research scientists for two and a half years. We are recognizing the upfront payment ratably over the two and a half year term of the research agreement. We are recognizing a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. The amount deferred is currently anticipated to be recognized as revenue at the end of the research phase when all of our obligations have been fulfilled under the terms of the contract. As of December 31, 2006, \$994,000 remains deferred which represents amounts invoiced to Merck from the initiation of the research term in excess of the required headcount to be allocated to the project through the balance sheet date. In 2006, we received payments from Merck triggered by their selection of a fourth target and our achievement of a milestone relating to a target. We are also eligible to receive milestone payments and royalties in the future. Merck is responsible for worldwide development and commercialization of any resulting compounds and will pay Rigel royalties on future product sales, if any. The collaboration is based on a number of new targets delivered by Merck. In addition, we may nominate our own targets for potential inclusion in the collaboration.

In October 2005, we entered into a collaborative research and license agreement with Merck Serono granting them an exclusive license to develop and commercialize product candidates from our Aurora kinase inhibitor program. Even though the agreement included a basket of compounds within the Aurora kinase inhibitor program, the collaboration and our efforts under the agreement were focused on R763. We were responsible for all costs associated with the preparation and filing of an IND for R763 while Merck Serono is responsible for all development of R763 following regulatory acceptance of the IND and will bear all costs thereafter. In connection with this collaboration, Merck Serono paid us \$10.0 million upfront and purchased \$15.0 million of our common stock at a premium. We amortized the upfront amount into revenue over the nine months from the initiation of the collaboration in October 2005. This was the period of time that we estimated it would take to perform our deliverables such as assisting Merck Serono with certain studies and activities leading up to the initiation of the first clinical trial to be run by Merck Serono. As of June 2006, we had completely recognized the upfront amount into revenue as we had performed all our deliverables and did not have any further obligations to Merck Serono leading up to the initiation of the first clinical trial.

Rigel Pharmaceuticals, Inc.**NOTES TO FINANCIAL STATEMENTS (Continued)****2. SPONSORED RESEARCH AND LICENSE AGREEMENTS (Continued)**

During February 2006, we received a milestone payment of \$5.0 million triggered by the regulatory acceptance of the R763 IND in January 2006. In September 2006, we received a \$3.0 million milestone payment from Merck Serono in connection with the initiation of the Phase 1 study of R763. We will be eligible to receive additional milestones, under certain conditions, upon commencement of a Phase 2 clinical trial for R763, other clinical events, marketing approval and royalties on any future product sales.

3. SIGNIFICANT CONCENTRATIONS

For the year ended December 31, 2006, Merck Serono, Pfizer and Merck accounted for 46%, 30% and 24% of total revenues, respectively. For the year ended December 31, 2005, Merck, Pfizer, Merck Serono and Daiichi accounted for 45%, 26%, 14% and 15% of total revenues, respectively. For the year ended December 31, 2004, Daiichi, Novartis and Merck accounted for 56%, 35% and 9% of total revenues, respectively. At December 31, 2006, accounts receivable was comprised of two customers, and at December 31, 2005, accounts receivable was comprised of one customer. Rigel does not require collateral or other securities for accounts receivable.

4. CASH, CASH EQUIVALENTS AND AVAILABLE-FOR-SALE SECURITIES

Cash, cash equivalents and available-for-sale securities consist of the following (in thousands):

| | December 31, 2006 | December 31, 2005 |
|-------------------------------|----------------------|----------------------|
| Checking account | \$ 332 | \$ 742 |
| Money market funds | 4,441 | 3,421 |
| Federal agency securities | 13,463 | 21,067 |
| Corporate bonds and notes | 86,235 | 112,966 |
| | \$ 104,471 | \$ 138,196 |
| Reported as: | | |
| Cash and cash equivalents | \$ 47,727 | \$ 76,779 |
| Available-for sale-securities | 56,744 | 61,417 |
| | \$ 104,471 | \$ 138,196 |

Available-for-sale securities consist of the following (in thousands):

| | Amortized Cost | Gross Unrealized Gains | Gross Unrealized Losses | Fair Value |
|---------------------------|-------------------|------------------------------|-------------------------------|------------|
| 2006 | | | | |
| Federal agency securities | \$ 9,497 | \$ | \$ (8) | \$ 9,489 |
| Corporate bonds and notes | 47,232 | 21 | | 47,253 |
| Total | \$ 56,729 | \$ 21 | \$ (8) | \$ 56,742 |

| | Amortized Cost | Gross Unrealized Gains | Gross Unrealized Losses | Fair Value |
|---------------------------|-------------------|------------------------------|-------------------------------|------------|
| 2005 | | | | |
| Federal agency securities | \$ 17,090 | \$ 1 | \$ (17) | \$ 17,074 |
| Corporate bonds and notes | 44,419 | 1 | (77) | 44,343 |
| Total | \$ 61,509 | \$ 2 | \$ (94) | \$ 61,417 |

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

4. CASH, CASH EQUIVALENTS AND AVAILABLE-FOR-SALE SECURITIES (Continued)

As of December 31, 2006, the contractual maturities of our available-for-sale securities were (in thousands):

| | Years to Maturity | |
|---------------------------|-------------------|-----------------------------------|
| | Within One year | After One year through Five Years |
| Federal agency securities | \$ 9,489 | \$ |
| Corporate bonds and notes | 47,253 | |
| Total | \$ 56,742 | \$ |

At December 31, 2006, the above available-for-sale securities had a weighted average maturity of approximately 100 days. There were no realized gains or losses from sales of securities in the periods presented. We have the ability and intent to hold all investments as of December 31, 2006 to maturity.

The following table shows the gross unrealized losses and fair values of our investments in individual securities in available-for-sale securities that have been in a continuous unrealized loss position deemed to be temporary for less than twelve months aggregated by investment category, (in thousands):

| | Fair Value | Unrealized Losses |
|---------------------------|------------|-------------------|
| Federal agency securities | \$ 9,474 | \$ (8) |
| Corporate bonds and notes | | |
| Total | \$ 9,474 | \$ (8) |

At December 31, 2006, we had no investment that has been in a continuous unrealized loss position for more than twelve months. As of December 31, 2006, 5 individual securities were in an unrealized loss position deemed to be temporary for less than twelve months. As of December 31, 2005, 34 individual securities were in an unrealized loss position deemed to be temporary for less than twelve months.

Investment Grade Debt Securities. Our investments in investment grade debt securities consist primarily of investments in federal agency securities and corporate bonds and notes. The unrealized losses on our investments in investment grade debt securities were caused by interest rate increases. Due to the fact that the decline in market value is attributable to changes in interest rates and not credit quality, and because the severity and duration of the unrealized losses were not significant, we considered these unrealized losses to be temporary at December 31, 2006.

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

5. PROPERTY AND EQUIPMENT

Property and equipment consists of the following (in thousands):

| | Years Ended December 31, | |
|--|-------------------------------------|-------------|
| | 2006 | 2005 |
| Laboratory and office equipment | \$ 16,279 | \$ 15,064 |
| Construction in progress | 5 | 556 |
| Total property and equipment | 16,284 | 15,620 |
| Less accumulated depreciation and amortization | (13,309) | (12,163) |
| Property and equipment, net | \$ 2,975 | \$ 3,457 |

During 2006, we disposed approximately \$248,000 of assets with related accumulated depreciation of approximately \$165,000. In 2005, we wrote-off approximately \$266,000 of assets at their original acquisition cost and related accumulated depreciation of \$266,000 for assets that are no longer in use.

At December 31, 2006 and 2005, equipment under capital leases was approximately \$3.7 million and \$3.9 million, respectively. Total depreciation expense, which included amortization from equipment under capital leases, was \$1.4 million, \$1.1 million, and \$2.2 million for the years ended December 31, 2006, 2005 and 2004, respectively.

6. LONG-TERM OBLIGATIONS

At December 31, 2006, future minimum lease payments and obligations under all noncancelable leases were as follows (in thousands):

| | Capital Leases | Operating Leases |
|---|---------------------------|-----------------------------|
| 2007 | \$ 1,454 | \$ 8,751 |
| 2008 | 892 | 13,945 |
| 2009 | 269 | 16,481 |
| 2010 | 3 | 14,561 |
| 2011 | | 14,838 |
| 2012 and thereafter | | 91,477 |
| Total minimum payments required | 2,618 | \$ 160,053 |
| Less amount representing interest | (267) | |
| Present value of future lease payments | 2,351 | |
| Less current portion | (1,269) | |
| Noncurrent obligations under capital leases | \$ 1,082 | |

We moved into our current facilities in February 2003. In January 2005, we entered into an amendment with the landlord to decrease the contractual rental commitments in 2005 by approximately \$1.0 million. In July 2006, we amended our facility lease once again in order to defer certain rent payments to originally occur in 2006 and 2007. The deferred payments are due to be paid to the landlord over the period starting from 2009 to 2012, but we may prepay the deferred amount at any time without penalty. We reevaluated the lease amendment under FAS 13,

Accounting for Leases and determined that the amended lease still qualified as an operating lease. In conjunction with the lease amendment, a warrant

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

6. LONG-TERM OBLIGATIONS (Continued)

was issued to purchase 100,000 shares of our common stock at \$10.57 per share. The warrant remains exercisable until July 2013 and is exercisable at the option of the holder. See further discussion of the warrants in Note 7 Stockholders Equity.

During May 2004, we initiated a sublease of approximately 15,000 square feet of our premises to a tenant for a period of two years. The sublease was amended in September 2005 to extend the term for an additional year. The operating minimum lease payments above are reflective of the new sublease income stream of approximately \$276,000 thru May 2007.

Rent expense net of sublease income under all operating leases amounted to approximately \$14.4 million, \$13.5 million and \$14.0 million for the years ended December 31, 2006, 2005 and 2004, respectively.

In December 2002, we entered into an additional equipment lease line agreement for an aggregate total of \$2.0 million. Only \$1.4 million of this line was utilized before the drawdown period expired. The lease period is for three years, and the interest on the lease is fixed at the time of any draw down and equates to approximately 10%. This line has a buyout provision of the lesser of the fair-market value of the equipment or 10% of the original utilized line amount. As of December 31, 2006, the remaining principal balance was approximately \$7,000.

In June 2005, our equipment credit line under the August 2000 master agreement was extended to create an additional borrowing limit of \$1.5 million, which was fully drawn down. In June 2006, we obtained approval to extend our equipment lease line to create a new total borrowing limit of \$1.5 million. We have the ability to draw down on this line through June 2007. The lease period will be for three years with the interest rate on the lease fixed at drawdown and ranges approximately from 10.3% to 10.9%. At December 31, 2006, we utilized approximately \$415,000 of the 2006 extended line. Each line has a bargain purchase buyout provision of \$101. As of December 31, 2006, the remaining principal balance under the credit lines was approximately \$2.3 million.

Obligations under all capital leases are secured by the assets financed under the leases.

7. STOCKHOLDERS EQUITY

Common Stock

In July 2005, we completed a public offering in which we sold 4,197,500 shares of common stock, including 547,500 shares issued upon exercise of an option granted to the underwriters to cover over-allotments, at a price to the public of \$20.75 per share. We received net proceeds of approximately \$81.6 million after deducting underwriting discounts and commissions and related expenses.

Warrants

In conjunction with the facilities lease entered into in June 1998, we issued three warrants to the lessor to purchase an aggregate of 16,666 shares of common stock at an exercise price of \$10.26 per share. During 2005, warrants to purchase 333 shares of common stock were net exercised and 155 shares of common stock were issued. As of December 31, 2006, warrants to purchase 16,333 shares of common stock are

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

7. STOCKHOLDERS EQUITY (Continued)

outstanding and are exercisable at any time up to December 2007, the seventh anniversary of the closing of our initial public offering.

In conjunction with the facilities lease entered into in May 2001, we issued a warrant to the lessor to purchase 16,666 shares of our common stock at an exercise price of \$80.21 per share, a 15% premium to market at the time of issuance. This warrant expired in May 2006. The fair market value of this warrant, as determined using the Black-Scholes valuation model, was approximately \$683,000. This amount has been capitalized in other long-term assets and is being amortized into expense over the life of the lease. As of December 31, 2006, approximately \$504,000 remained to be amortized over the life of the lease.

In conjunction with the facilities lease amendment in October 2002, we issued a warrant to the lessor to purchase 55,555 shares of our common stock at an exercise price of \$17.73 per share. The warrant is outstanding as of December 31, 2006 and exercisable at any time up to October 2007. The fair value of this warrant, as determined using the Black-Scholes valuation model, was approximately \$565,000. This amount has been capitalized in other long-term assets and is being amortized into expense over the life of the lease. As of December 31, 2006, approximately \$417,000 remained to be amortized over the life of the lease.

In conjunction with the facilities lease amendment in July 2006, we issued a warrant to the lessor to purchase 100,000 shares of our common stock at an exercise price of \$10.57 per share. The warrant is outstanding as of December 31, 2006 and exercisable at any time up to July 2013. The fair value of this warrant, as determined using the Black-Scholes valuation model, was approximately \$801,000. The amount has been included in other long-term assets and is being amortized into expense over the life of the lease. As of December 31, 2006, approximately \$767,000 remained to be amortized over the life of the lease.

In conjunction with the equipment lease line executed in January 2002, we issued a warrant to the lender to purchase 2,645 shares of our common stock at an exercise price of \$37.80 per share. This warrant was outstanding as of December 31, 2006 but expired on January 31, 2007. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately \$66,000. This amount was capitalized in other long-term assets and was fully amortized to expense in 2005.

Stock option plans

In 2005, an amendment to the 2000 Plan was approved to primarily (i) increase the number of shares authorized for issuance under the 2000 Plan by 2,275,000 shares of common stock; (ii) prohibit the board of directors from repricing stock options without stockholder approval; and (iii) eliminate the evergreen provision feature that provides for automatic annual increases in the total number of shares reserved for issuance. In 2006, an amendment to the 2000 Plan was approved to primarily increase the number of shares authorized for issuance by 500,000 shares of common stock from an aggregate total of 5,322,222 shares to 5,822,222 shares. Options granted under our 2000 Plan expire no later than ten years from the date of grant. The option price of each incentive stock option shall be at least 100% of the fair value on the date of grant, and the option price for each nonstatutory stock option shall be not less than 85% of the fair value on the date of grant, as determined by the board of directors. Options may be granted with different vesting terms from time to time, not to exceed five years from the date of grant. As of December 31, 2006, a total of 5,559,206 shares of common stock are authorized for issuance under the 2000 Plan.

In 2005, the Directors Plan was amended to primarily: (i) increase the number of shares of common stock authorized for issuance under the Directors Plan by 225,000 shares; (ii) increase the number of shares of common stock subject to the annual option grant to each non-employee director by 8,333 shares

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

7. STOCKHOLDERS EQUITY (Continued)

to 10,000 shares; (iii) increase the number of shares of common stock subject to the initial option grant to each new non-employee director under the plan by 13,333 to 20,000 shares; (iv) eliminate the board of directors' ability to reprice stock options; and (v) amend the vesting schedule for future options grants, including annual option grants made the day following the Annual Meeting. The exercise price of options under the Directors' Plan will be equal to the fair market value of the common stock on the date of grant. The maximum term of the options granted under the Directors' Plan is ten years. All grants under the Directors' Plan will vest monthly over two years from date of grant. The Directors' Plan will terminate in September 2009, unless terminated earlier in accordance with the provisions of the Directors' Plan. As of December 31, 2006, a total of 322,211 shares of common stock are authorized for issuance under the Directors' Plan.

In June 2003, we initiated an offer to exchange options to purchase shares of our common stock with exercise prices equal to or greater than \$9.00 per share to officers, employees, consultants and non-employee members of our board of directors. We granted replacement options to purchase an aggregate of 344,207 shares of our common stock at an exercise price of \$9.20 per share, the fair market value on the date of grant. Any outstanding replacement options expired in August 2006. In August 2006, the board of directors granted new options to officers, employees, consultants and non-employee members of our board of directors. We granted approximately 179,000 options, with an exercise price of \$9.56 per share. The options vested 50% at the date of grant and the remaining 50% will vest monthly over two years. We recorded stock-based compensation expense of approximately \$689,000 relating to these newly granted options for the year ended December 31, 2006.

In January 2006, we adopted FAS 123(R), SAB 107 and related pronouncements. See discussion in Note 1 - Summary of Significant Accounting Policies.

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

7. STOCKHOLDERS EQUITY (Continued)

Activity under all of the option plans through December 31, 2006 was as follows:

| | Shares Available For Grant | Number of Options | Weighted-Average Exercise Price |
|--|-------------------------------|----------------------|------------------------------------|
| Outstanding at January 1, 2004 | 894,179 | 2,081,219 | \$ 8.31 |
| Authorized for grant | 392,159 | | |
| Granted | (840,327) | 840,327 | \$ 20.42 |
| Exercised | | (134,363) | \$ 7.93 |
| Cancelled | 37,038 | (37,038) | \$ 15.11 |
| Outstanding at December 31, 2004 | 483,049 | 2,750,145 | \$ 11.94 |
| Authorized for grant | 2,500,000 | | |
| Granted | (1,381,807) | 1,381,807 | \$ 23.22 |
| Exercised | | (145,141) | \$ 8.07 |
| Cancelled | 93,592 | (93,592) | \$ 16.13 |
| Outstanding at December 31, 2005 | 1,694,834 | 3,893,219 | \$ 15.98 |
| Authorized for grant | 500,000 | | |
| Granted | (1,229,967) | 1,229,967 | \$ 8.79 |
| Exercised | | (206,636) | \$ 7.96 |
| Cancelled | 511,339 | (511,339) | \$ 14.72 |
| Outstanding at December 31, 2006 | 1,476,206 | 4,405,211 | \$ 14.50 |
| Exercisable at December 31, 2006 | | 2,768,121 | \$ 13.39 |
| Exercisable at December 31, 2005 | | 1,786,133 | \$ 13.25 |
| Exercisable at December 31, 2004 | | 954,412 | \$ 10.72 |
| Weighted average fair value of options granted during 2006 | | | \$ 6.24 |
| Weighted average fair value of options granted during 2005 | | | \$ 12.42 |
| Weighted average fair value of options granted during 2004 | | | \$ 11.12 |

Details of our stock options by exercise price is as follows:

| Exercise Price | Options Outstanding | Weighted-Average Remaining Contractual Life | Weighted-Average Exercise Price | Options Exercisable | |
|------------------|-------------------------------------|---|---------------------------------------|----------------------|------------------------------------|
| | Number of Outstanding Options | | | Number of Options | Weighted-Average Exercise Price |
| \$0.90-\$8.15 | 925,290 | 7.73 | \$ 7.29 | 691,128 | \$ 7.09 |
| \$8.25-\$9.93 | 1,211,525 | 7.18 | 8.55 | 934,261 | 8.42 |
| \$10.20-\$14.75 | 340,716 | 9.26 | 11.09 | 75,686 | 12.96 |
| \$15.49-\$22.54 | 642,854 | 7.74 | 19.09 | 486,863 | 19.52 |
| \$23.00-\$24.56 | 1,268,446 | 8.32 | 23.81 | 568,571 | 23.61 |
| \$25.36-\$37.80 | 12,491 | 7.61 | 25.91 | 7,723 | 26.26 |
| \$40.50-\$73.53 | 3,889 | 3.79 | 49.94 | 3,889 | 49.94 |
| \$0.90 - \$73.53 | 4,405,211 | 7.87 | \$ 14.50 | 2,768,121 | \$ 13.39 |

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS (Continued)

7. STOCKHOLDERS EQUITY (Continued)

2000 employee stock purchase plan

In August 2000, we adopted the 2000 Employee Stock Purchase Plan, or Purchase Plan, which was approved in September 2000 by our stockholders. In April 2003, the Purchase Plan was amended to (i) increase the number of shares authorized for issuance under the Purchase Plan by 66,667 shares of common stock, and (ii) change the evergreen feature of the plan. The amendment provides that the increase in the number of shares reserved automatically pursuant to the evergreen feature will be equal to the least of 1% of the outstanding shares on the date of the annual increase, 88,888 shares or such amount as may be determined by the board. The Purchase Plan permits eligible employees to purchase common stock at a discount through payroll deductions during defined offering periods. The price at which the stock is purchased is equal to the lesser of 85% of the fair market value of the common stock on the first day of the offering or 85% of the fair market value of our common stock on the purchase date. The initial offering period commenced on the effective date of our initial public offering. We issued 159,380, 73,868 and 93,529 shares of common stock during 2006, 2005 and 2004, respectively, pursuant to the Purchase Plan at an average price of \$7.21 per share, \$10.07 per share, and \$8.76 per share in 2006, 2005 and 2004, respectively. For 2006, 2005 and 2004, the weighted average fair value of stock issued under the Purchase Plan was \$4.95, \$8.56 and \$6.71, respectively. The Purchase Plan provides for annual increases in the number of shares available for issuance under the Purchase Plan on each anniversary date of the effective date of the offering. The number of shares reserved for future issuance under the Purchase Plan was increased by 88,888 per year during 2006, 2005 and 2004, respectively.

Reserved shares

As of December 31, 2006, we had reserved shares of common stock for future issuance as follows:

| | December 31, 2006 |
|-----------------------|------------------------------|
| Warrants | 174,533 |
| Incentive stock plans | 1,476,206 |
| Purchase Plan | 67,601 |
| Total | 1,718,340 |

8. INCOME TAXES

Deferred income taxes reflect the net tax effects of 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 our deferred tax assets are as follows:

| | December 31, 2006 | 2005 |
|---|------------------------------|-------------|
| Deferred tax assets | | |
| Net operating loss carryforwards | \$ 90,670 | \$ 81,184 |
| Research and development credits | 14,581 | 11,946 |
| Capitalized research and development expenses | 10,570 | 9,004 |
| Other, net | 11,039 | 7,599 |
| Total deferred tax assets | 126,860 | 109,733 |
| Valuation allowance | (126,860) | (109,733) |
| Net deferred tax assets | \$ | \$ |

Rigel Pharmaceuticals, Inc.**NOTES TO FINANCIAL STATEMENTS (Continued)****8. INCOME TAXES (Continued)**

No federal or state income tax expense or benefit was recorded for the years ended December 31, 2006, 2005 and 2004, as we incurred net operating losses during these periods. Realization of deferred tax assets is dependent upon future earnings, if any, the timing and amount of which are uncertain. Accordingly, the net deferred tax assets have been fully offset by a valuation allowance. The valuation allowance increased by \$17.1 million, \$21.0 million and \$24.1 million during 2006, 2005 and 2004, respectively.

Included in the valuation allowance balance at December 31, 2006 is \$2.8 million related to the exercise of stock options which are not reflected as an expense for financial reporting purposes. Accordingly, any future reduction in the valuation allowance relating to this amount will be credited directly to equity and not reflected as an income tax benefit in the statement of operations.

As of December 31, 2006, we had net operating loss carryforwards for federal income tax purposes of approximately \$254.0 million, which expire beginning in the year 2011 and state net operating loss carryforwards of approximately \$75.0 million, which expire beginning in the year 2013.

The Company also has federal research and development tax credits of \$9.0 million, which begin to expire in the year 2012 and state research and development tax credits of approximately \$8.2 million, which have no expiration date. Upon further analysis of our research and development tax credits, we revised the 2005 deferred tax asset relating to research and development from \$10.2 million to \$11.9 million.

Utilization of the net operating loss may be subject to annual limitations if there were ownership changes in the future. The limitations are subject to Internal Revenue Code and similar state provisions and such limitations could result in the expiration of the net operating loss before utilization. In addition, we are currently evaluating the impact of adopting FIN 48 on our financial statements.

9. SELECTED QUARTERLY FINANCIAL DATA (unaudited, in thousands, except per share amounts)

| | Year Ended December 31, 2006 | | | | Year Ended December 31, 2005 | | | |
|--|------------------------------|-------------|--------------|--------------|------------------------------|--------------|--------------|-------------|
| | Q1 | Q2 | Q3 | Q4 | Q1 | Q2 | Q3 | Q4 |
| Revenue | \$ 9,897 | \$ 14,321 | \$ 6,127 | \$ 3,128 | \$ 2,618 | \$ 4,606 | \$ 3,282 | \$ 6,020 |
| Net loss | \$ (8,469) | \$ (2,330) | \$ (11,382) | \$ (15,456) | \$ (11,164) | \$ (12,293) | \$ (12,906) | \$ (8,893) |
| Net loss per common share, basic and diluted | \$ (0.34) | \$ (0.09) | \$ (0.46) | \$ (0.62) | \$ (0.57) | \$ (0.62) | \$ (0.56) | \$ (0.36) |
| Weighted average shares used in computing net loss per common share, basic and diluted | 24,816 | 24,842 | 24,987 | 25,093 | 19,713 | 19,887 | 23,235 | 24,524 |

Item 9. Changes in and Disagreements with Accountants on Accounting and Financial Disclosure

None.

Item 9A. Controls and Procedures

Conclusion Regarding the Effectiveness of Disclosure Controls and Procedures

Under the supervision and with the participation of our management, including our principal executive officer and principal financial officer, we conducted an evaluation of our disclosure controls and procedures, as such term is defined under Rule 13a-15(e) promulgated under the Securities Exchange Act of 1934, as amended (the Exchange Act). Based on this evaluation, our principal executive officer and our principal financial officer concluded that our disclosure controls and procedures were effective as of the end of the period covered by this annual report.

Management's Report on Internal Control Over Financial Reporting

Our management is responsible for establishing and maintaining adequate internal control over financial reporting, as such term is defined in Exchange Act Rules 13a-15(f). Under the supervision and with the participation of our management, including our principal executive officer and principal financial officer, we conducted an evaluation of the effectiveness of our internal control over financial reporting based on the framework in *Internal Control - Integrated Framework* issued by the Committee of Sponsoring Organizations of the Treadway Commission. Based on our evaluation under the framework in *Internal Control - Integrated Framework*, our management concluded that our internal control over financial reporting was effective as of December 31, 2006. Our management's assessment of the effectiveness of our internal control over financial reporting as of December 31, 2006 has been audited by Ernst & Young LLP, an independent registered public accounting firm, as stated in its attestation report, which is set forth in Item 8. Financial Statements and Supplementary Data elsewhere in the annual report on Form 10-K.

Changes in Internal Controls over Financial Reporting

There were no changes in our internal controls over financial reporting that occurred during our most recent fiscal quarter that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Item 9B. Other Information

None.

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PART III

Item 10. Directors and Executive Officers of the Registrant

Information regarding our directors and executive officers is incorporated by reference to the information set forth under the caption "Directors and Executive Officers" in our Proxy Statement for the Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission on or prior to March 23, 2007.

In 2003, we adopted a code of ethics that applies to our principal executive officer, principal financial officer, principal accounting officer or controller, or persons performing similar functions. Our code of ethics is on our website at <http://www.rigel.com/pdf/codeofconduct.pdf> with Investor Resources materials. If we make any substantive amendments to the code or grant any waiver from a provision of the code applicable to any executive officer or director, we will promptly disclose the nature of the amendment or waiver on a Form 8-K.

Item 11. Executive Compensation

Information regarding executive compensation is incorporated by reference to the information set forth under the caption "Executive Compensation" in our Proxy Statement for the Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission on or prior to March 23, 2007.

Item 12. Security Ownership of Certain Beneficial Owners and Management

Information regarding security ownership of certain beneficial owners and management is incorporated by reference to the information set forth under the caption "Security Ownership of Certain Beneficial Owners and Management" and "Equity Compensation Plan Information" in our Proxy Statement for the Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission on or around March 23, 2007.

Item 13. Certain Relationships and Related Transactions

Information regarding certain relationships and related transactions is incorporated by reference to the information set forth under the caption "Certain Transactions" in our Proxy Statement for the Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission on or prior to March 23, 2007.

Item 14. Principal Accounting Fees and Services

Information regarding principal accounting fees and services is incorporated by reference to the information set forth under the caption "Ratification of Independent Auditors" in our Proxy Statement for the Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission on or prior to March 23, 2007.

PART IV

Item 15. Exhibits, Financial Statement Schedules

(a) The following documents are being filed as part of this annual report on Form 10-K:

1. Financial Statements Index to Financial Statements in Item 8 of this annual report on Form 10-K and selected quarterly financial data for the last two years in Note 10

2. Financial Statement Schedules None As all required disclosures have been made in the footnotes to the financial statements.

3. Exhibits:

- 3.1 Amended and Restated Certificate of Incorporation (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
- 3.2 Amended and Restated Bylaws (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889), dated February 2, 2007, and incorporated herein by reference).
- 4.1 Form of warrant to purchase shares of common stock (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 4.2 Warrant issued to TBCC Funding Trust II for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2002 (No. 000-29889) and incorporated herein by reference).
- 4.3 Amended and Restated Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 4.4 Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 4.5 Specimen Common Stock Certificate (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
- 4.6 Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2006 (No. 000-29889) and incorporated herein by reference).
- 10.1+ Form of Stock Option Agreement pursuant to 2000 Equity Incentive Plan (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.2 Collaboration Agreement between Rigel and Janssen Pharmaceutica N.V., dated December 4, 1998 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.3 Collaborative Research and License Agreement between Rigel and Pfizer Inc., dated January 31, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.4 Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 26, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).

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- 10.5* License and Research Agreement between Rigel and Cell Genesys, Inc., dated September 2, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.6+ Employment Agreement between Rigel and Donald Payan, dated January 16, 1997 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.7 Technology Transfer Agreement between Rigel and Questcor Pharmaceuticals, Inc., dated September 22, 2000 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.8 Build-to-suit lease between Rigel and Slough BTC, LLC, dated May 16, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).
- 10.9 First Amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 18, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).
- 10.10* Second Amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated July 6, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2001 (No. 000-29889) and incorporated herein by reference).
- 10.11* Second Amendment, dated July 1, 2001, to the Collaboration Agreement between Rigel and Cell Genesys, Inc. (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2001 (No. 000-29889) and incorporated herein by reference).
- 10.12 First Amendment to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutical N.V., dated June 30, 2000 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2001 (No. 000-29889) and incorporated herein by reference).
- 10.13 Second Amendment to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutical N.V., dated December 4, 2001 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2001 (No. 000-29889) and incorporated herein by reference).
- 10.14 Loan and Security Agreement between Rigel and Comerica Bank California, dated July 12, 2002 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2002 (No. 000-29889) and incorporated herein by reference).
- 10.15* Collaboration Agreement between Rigel and Daiichi Pharmaceutical Co., Ltd., dated August 1, 2002 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2002 (No. 000-29889) and incorporated herein by reference).
- 10.16* Amendment to Build-to-suit lease between Rigel and Slough BTC, LLC, dated October 18, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 10.17 Master Lease Agreement between Rigel and Lighthouse Capital Partners IV, L.P., dated December 23, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 10.18+ Employment Agreement between Rigel and Elliott B. Grossbard, dated as of March 18, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).

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- 10.19+ Amendment to Employment Agreement, between Rigel and Donald Payan, dated as of March 5, 2003 (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 10.20+ 2000 Employee Stock Purchase Plan, as amended (filed as an exhibit to Rigel's Registration Statement on Form S-8 (No. 333-106532) filed on June 26, 2003 and incorporated herein by reference).
- 10.21* Collaborative Research and License Agreement by and between Rigel and Pfizer Inc., dated January 18, 2005 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2005 (No. 000-29889) and incorporated herein by reference).
- 10.22+ 2000 Non-Employee Directors' Stock Option Plan, as amended (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on June 6, 2005 and incorporated herein by reference).
- 10.23* License and Research Agreement (Amended and Restated) between Rigel and Cell Genesys, Inc., dated September 2, 1999, as amended and restated on March 26, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2005 (No. 000-29889), as amended, and incorporated herein by reference).
- 10.24+ 2006 Base Salaries for Named Executive Officers (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on and incorporated herein by reference).
- 10.25+ 2000 Equity Incentive Plan, as amended (filed as an exhibit to Rigel's Registration Statement on Form S-8 (No. 333-134622) filed on June 1, 2006 and incorporated herein by reference).
- 10.26+ 2006 Bonus Plan (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2006 (No. 000-29889) and incorporated herein by reference).
- 10.27+ Form of Indemnity Agreement (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.28+ 2007 Base Salaries for Named Executive Officers (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 2, 2007 and incorporated herein by reference).
- 10.29+ 2007 Cash Incentive Compensation Plan (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 2, 2007 and incorporated herein by reference).
- 23.1# Consent of Ernst & Young LLP, Independent Registered Public Accounting Firm.
- 24.1 Power of Attorney (included on signature page).
- 31.1# Certification required by Rule 13a-14(a) or Rule 15d-14(a).
- 31.2# Certification required by Rule 13a-14(a) or Rule 15d-14(a).
- 32.1• Certification required by Rule 13a-14(b) or Rule 15d-14(b) and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350).

+ Management contract or compensatory plan.

* Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securities and Exchange Commission.

Filed herewith.

• The certification attached as Exhibit 32.1 accompanies the Annual Report on Form 10-K pursuant to Section 906 of the Sarbanes-Oxley Act of 2002 and shall not be deemed filed by the Company for purposes of Section 18 of the Securities Exchange Act of 1934, as amended.

SIGNATURES

Pursuant to the requirements of the Section 13 or 15(d) of the Securities Exchange Act of 1934, the Registrant has duly caused this Annual Report on Form 10-K to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of South San Francisco, State of California, on March 8, 2007.

Rigel Pharmaceuticals, Inc.

By:

/s/ JAMES M. GOWER

James M. Gower

Chairman of the Board and Chief Executive Officer

By:

/s/ RYAN D. MAYNARD

Ryan D. Maynard

Vice President and Chief Financial Officer

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints James M. Gower and Ryan D. Maynard, and each of them, as his true and lawful attorneys-in-fact and agents, with full power of substitution and resubstitution, for him and in his name, place, and stead, in any and all capacities, to sign any and all amendments to this Annual Report on Form 10-K, and to file the same, with all exhibits thereto, and other documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done in connection therewith, as fully to all intents and purposes as he might or could do in person, hereby ratifying and confirming that all said attorneys-in-fact and agents, or any of them or their or his substitute or substitutes, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Exchange Act of 1934, this Annual Report on Form 10-K has been signed below by the following persons on behalf of the Registrant and in the capacities and on the dates indicated:

| <u>Signature</u> | <u>Title</u> | <u>Date</u> |
|--|---|---------------|
| /s/ JAMES M. GOWER James M. Gower | Chairman of the Board, Chief Executive Officer and Director <i>(Principal Executive Officer)</i> | March 8, 2007 |
| /s/ RYAN D. MAYNARD Ryan D. Maynard | Vice President and Chief Financial Officer <i>(Principal Finance and Accounting Officer)</i> | March 8, 2007 |
| /s/ DONALD G. PAYAN Donald G. Payan | Executive Vice President, Chief Scientific Officer and Director | March 8, 2007 |

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| <u>Signature</u> | Title | Date |
|--|--------------|---------------|
| /s/ JEAN DELEAGE Jean Deleage | Director | March 8, 2007 |
| /s/ BRADFORD S. GOODWIN Bradford S. Goodwin | Director | March 8, 2007 |
| /s/ GARY A. LYONS Gary A. Lyons | Director | March 8, 2007 |
| /s/ WALTER H. MOOS Walter H. Moos | Director | March 8, 2007 |
| /s/ HOLLINGS C. RENTON Hollings C. Renton | Director | March 8, 2007 |
| /s/ PETER S. RINGROSE Peter S. Ringrose | Director | March 8, 2007 |
| /s/ STEPHEN A. SHERWIN Stephen A. Sherwin | Director | March 8, 2007 |

EXHIBIT INDEX

- 3.1 Amended and Restated Certificate of Incorporation (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
- 3.2 Amended and Restated Bylaws (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889), dated February 2, 2007, and incorporated herein by reference).
- 4.1 Form of warrant to purchase shares of common stock (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 4.2 Warrant issued to TBCC Funding Trust II for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2002 (No. 000-29889) and incorporated herein by reference).
- 4.3 Amended and Restated Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 4.4 Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 4.5 Specimen Common Stock Certificate (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
- 4.6 Warrant issued to Kwacker Limited for the purchase of shares of common stock (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended September 30, 2006 (No. 000-29889) and incorporated herein by reference).
- 10.1+ Form of Stock Option Agreement pursuant to 2000 Equity Incentive Plan (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.2 Collaboration Agreement between Rigel and Janssen Pharmaceutica N.V., dated December 4, 1998 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.3 Collaborative Research and License Agreement between Rigel and Pfizer Inc., dated January 31, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.4 Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 26, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.5* License and Research Agreement between Rigel and Cell Genesys, Inc., dated September 2, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.6+ Employment Agreement between Rigel and Donald Payan, dated January 16, 1997 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.7 Technology Transfer Agreement between Rigel and Questcor Pharmaceuticals, Inc., dated September 22, 2000 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).

- 10.8 Build-to-suit lease between Rigel and Slough BTC, LLC, dated May 16, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).
- 10.9 First Amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 18, 2001 (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference).
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