

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, 2007

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)

94-3248524
(IRS Employer Identification Number)

1180 Veterans Blvd.
South San Francisco, California
(Address of principal executive offices)

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:	Name of exchange on which registered:
Common Stock, par value \$.001 per share	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.

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
(Do not check if a smaller reporting company)

Smaller reporting company

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 Global Market on June 30, 2007, the last business day of the registrant's most recently completed second fiscal quarter, was \$156,045,695. 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 29, 2008, there were 36,471,094 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 29, 2008.



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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," "could," "expect," "plan," "anticipate," "believe," "estimate," "predict," "intend," or the negative of these terms or similar 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/autoimmune diseases, cancer and viral diseases. Our goal is to file one new investigative new drug, or 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. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. We have internal product development programs in inflammatory/autoimmune diseases, such as rheumatoid arthritis and thrombocytopenia, and cancer, as well as partnered product development programs relating to asthma and cancer.

During 2007 and the beginning of 2008, we:

- Demonstrated statistically significant efficacy results in treating patients with rheumatoid arthritis, or RA, in a Phase 2 clinical trial of our lead product candidate R788 (fostamatinib disodium);
- During the first quarter of 2008, we completed a public offering in which we sold 5,000,000 shares of our common stock, which resulted in net proceeds of approximately \$127.5 million after deducting underwriting discounts and commissions and offering expenses;
- Initiated a Phase 1 clinical trial to evaluate the safety and tolerability of our product candidate R348, an orally-available, potent inhibitor of janus kinase 3, or JAK3;

- Announced results from a clinical trial in which R788 improved platelet counts in patients with immune thrombocytopenia purpura, or ITP;
- Completed enrollment in our ongoing Phase^{1/2} clinical trial in lymphoma with our product candidate R788;
- Announced that Pfizer, Inc., or Pfizer, initiated a Phase 1 clinical trial of our product candidate R343 in allergic asthma, resulting in a milestone payment to us of \$5.0 million;
- Announced that Merck Serono, S.A., or Merck Serono, initiated its third Phase 1 clinical trial of our product candidate R763, referred to by Merck Serono as AS703569, in oncology; and
- Announced that Merck Serono exercised its option to add Japan to the territories covered under the current aurora kinase collaboration with respect to R763/AS703569, resulting in a milestone payment to us of \$3.0 million.

Strategy

Our 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, 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 or indication into the clinic each year; and*
- *establish strategic collaborations with pharmaceutical and biotechnology companies to develop and market our product candidates.*

Product Development Programs

Our 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 inflammatory and immune/autoimmune disease areas, as well as cancers.

Pipeline	Current Stage	Status
R788—Oral Syk Inhibitor RA	Phase 2	Expect to initiate a Phase 2b clinical trial evaluating dosing over a six-month period, as well as an additional Phase 2b clinical trial treating a sub-population of RA patients, by the end of the first half of 2008

ITP	Phase 2	Investigating design for next clinical trial, which is anticipated to start by the end of 2008
B-cell lymphoma	Phase 1/2	Interim results expected by the end of the first half of 2008
Lupus	Preclinical	Expect to initiate a Phase 2 clinical trial in the second half of 2008

R348—Oral JAK3 Inhibitor

Various immune indications—Psoriasis, RA, transplant rejection and graft vs. host disease	Phase 1	Interim results expected in the first half of 2008
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R763—Oral Aurora Kinase Inhibitor

Oncology	Phase 1—Merck Serono	Three Phase 1 clinical trials initiated, including indications in solid tumors, hematological disorders and a combination study in advanced malignancies; interim results for the first two clinical trials expected in 2008
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R343—Inhaled Syk Inhibitor

Asthma	Phase 1—Pfizer	Phase 1 clinical trial initiated in December 2007
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Generally, "Phase 1" refers to clinical testing in human volunteers to evaluate initial safety of the investigational compound. Generally, "Phase 2" refers to clinical testing of the investigational compound to evaluate initial efficacy, and further characterize safety and dosing in a population with the target indication.

Clinical Stage Programs

Rheumatoid Arthritis

Disease background. RA is an autoimmune disease characterized by chronic inflammation affecting multiple tissues, but typically produces its most pronounced symptoms in the joints. RA is often progressive and debilitating and 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 their 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 intravenously-delivered immunomodulatory agents (tumor necrosis factor, or TNF, inhibitors and co-stimulation inhibitors).

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. Previously, we studied R788 in a Phase 1, single center, double-

blind, randomized, placebo-controlled, clinical trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We also completed a clinical trial of R788 to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this clinical trial suggested that there is not an adverse interaction between R788 and methotrexate.

We recently completed a Phase 2, multi-center, double-blind, randomized, placebo-controlled, ascending dose clinical trial evaluating three doses of R788 over a 12-week period in RA patients. All of the patients in the clinical trial continued to receive their regularly scheduled dose of methotrexate. In this clinical trial, R788 demonstrated statistically significant efficacy results in treating RA patients at the two highest dose levels. Efficacy assessments for each participant were based on the American College of Rheumatology criteria which denote improvement from the baseline assessment of each patient of at least a 20% (ACR 20), at least a 50% (ACR 50), or at least a 70% (ACR 70) at the end of the 12-week treatment period. Patients were also evaluated based on the Disease Activity Score using 28 joint counts, or DAS28, a commonly used measurement outside of the U.S. of disease activity in RA patients. Groups treated with R788 at 100mg and 150mg po bid (orally, twice daily) showed higher ACR20, ACR50, ACR70 and DAS28 response rates than the placebo group. The most common clinically meaningful adverse events noted in the clinical trial were dose-related neutropenia, mild elevations of liver function tests and gastrointestinal side effects. Dose reduction (to one-half the assigned dose by taking the drug once per day) was pre-specified in the protocol and contingent on neutrophil counts and/or liver function tests. Notably, a vast majority of the patients who had their dose reduced successfully completed the clinical trial with minimal safety issues. We expect to initiate a Phase 2b clinical trial evaluating dosing of patients receiving R788 or placebo over a 24-week period. We also expect to initiate a second Phase 2b clinical trial treating a sub-population of RA patients with R788 by the end of the first half of 2008.

Immune Thrombocytopenia Purpura

Disease background. Immune thrombocytopenia purpura, or ITP, is a blood disorder in which 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 United States suffer from ITP. The majority of cases are in women, with 50% of the new cases 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, 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. We are focusing our 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, and R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We recently completed an exploratory Phase 2 clinical trial of R788 to evaluate its safety and initial efficacy in chronic ITP patients. In this clinical trial, R788 was orally administered in varying doses for 30 or more days and demonstrated that it can improve platelet counts in highly refractory patients. We are investigating the design for our next clinical trial of R788, which we expect to initiate by the end of 2008.

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 people in the United States, of which 332,000 suffered from non-Hodgkin's 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-Hodgkin's lymphoma patients are only approximately 50%. For those who do survive, recurrences of the disease are common, warranting additional and novel approaches to treatment of the lymphoma.

Orally-available Syk inhibitor program. Research has shown that overactivity of the signaling enzyme spleen tyrosine kinase, or Syk, appears to have an essential role in the survival and proliferation of certain B-cell lymphoma cell lines, and that R788 can inhibit the growth of B-cell lymphoma driven by Syk overactivity. In April 2007, we began enrolling patients in a multicenter, Phase ¹/₂ clinical trial to evaluate the safety and efficacy of R788 for the treatment of patients with B-cell lymphoma. The clinical trial has enrolled 80 patients at 11 major treatment centers in the United States and will focus on certain types of B-cell lymphomas. We expect to receive interim results from this clinical trial by the end of the first half of 2008.

JAK3 Inhibitor in RA and Other Immune Disorders

Disease background. We believe our JAK3 inhibitor may potentially be useful in treating RA. Additionally, we believe it may also treat psoriasis and transplant rejection. Psoriasis is a lifelong autoimmune disease that affects approximately 7.5 million people in the United States and an estimated 125 million people worldwide. Approximately 10-30% of patients with psoriasis also develop psoriatic arthritis, which causes pain, swelling and stiffness of the joints. As regard to transplant rejection, nearly half of the patients receiving transplanted organs experience chronic organ rejection by their body's immune system. These diseases are mediated by activated T-cells which rely on JAK3 signaling.

Current treatments for these diseases include steroids, methotrexate and various injectable biologic agents. Our product candidate R348 is believed to be orally-available and may provide an attractive alternative or supplement to currently used agents.

Orally-available JAK3 inhibitor program. Our JAK3 inhibitor is a potent and selective JAK3 inhibitor. JAK3 is a cytoplasmic tyrosine kinase that plays an important role in modulating cytokine signaling in T and B cells, as well as affecting lymphocyte differentiation and proliferation in a variety of autoimmune diseases. We recently began enrolling patients in a Phase I clinical trial to evaluate the safety and tolerability of R348. We expect to receive interim results from this clinical trial in the first half of 2008.

Preclinical Programs

We are conducting proprietary research in three broad disease areas: immunology/inflammation, virology and oncology. Within 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. Our most advanced preclinical program is in the area of immunology/inflammation. Currently, we are researching autoimmune mediated inflammation disorders, such as RA, transplant rejection, graft vs. host disease, 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 compounds against various kinases in order to find additional lead compounds to potentially treat inflammation-related disorders.

Lupus

Disease background. Systemic lupus erythematosus, or SLE or lupus, is an autoimmune disease that affects nearly 2 million people in the U.S., the majority of whom are women. Lupus affects various parts of the body, including the skin, joints, heart, lungs, kidneys and brain. The effects of the disease can be mild, limited to a couple of organs in the body and occasional flare-ups, or can cause serious and life-threatening complications. Like other autoimmune diseases, the primary characteristic of lupus is inflammation, which can cause swelling, pain, loss of function and may ultimately destroy the involved organ if left untreated. Current therapies for lupus treat the symptoms of the disease and include nonsteroidal anti-inflammatory drugs, or NSAIDs, corticosteroids, anti-malarial agents and, in severe cases where organ damage is at risk, immunosuppressant drugs.

Orally-available Syk inhibitor program. Preclinical studies have shown that R788 is highly effective in a murine model of lupus. We expect to initiate a Phase 2 clinical trial in the second half of 2008.

Partnered Programs in Development

Oncology

Disease background. Cancer is the second leading cause of death in the United States. More than one million people in the United States are diagnosed with cancer each year, and nearly half of all men and more than one-third of all women in the United States will develop cancer during their lifetimes.

Aurora kinase inhibitor program. Aurora kinase plays a central role in the cell division process, and the over-expression 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.

We have identified R763/AS703569 as a lead compound in our aurora kinase inhibition program targeting cancer cell proliferation. R763/AS703569 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 an exclusive license to develop and commercialize inhibitors in our aurora kinase program, including R763/AS703569. In November 2007, Merck Serono exercised its option to add Japan to the territories covered under the current aurora kinase collaboration with respect to R763/AS703569, resulting in a milestone payment to us of \$3.0 million. Under the agreement, Merck Serono is responsible for the further development and commercialization of R763/AS703569.

In September 2006, Merck Serono initiated a Phase 1, multi-center clinical trial to evaluate R763/AS703569 for the treatment of patients with refractory solid tumors. In February 2007, Merck Serono began an additional Phase 1 clinical trial evaluating R763/AS703569 on patients with hematological malignancies. Merck Serono has indicated that interim results from these Phase 1 clinical trials are expected in the first and second half of 2008, respectively. In July 2007, Merck Serono initiated its third Phase 1 clinical trial, designed to determine the maximum tolerated dose, safety and dosing regimen of R763/AS703569 in combination with gemcitabine, a commonly prescribed chemotherapeutic agent administered by intravenous infusion. The clinical trial will evaluate two different treatment regimens in which R763/AS703569 will be given in sequence with the gemcitabine over 21-day cycles. As many as 72 patients with advanced malignancies, including pancreatic, ovarian, breast, non-small cell lung and colorectal, will be evaluated.

Asthma

Disease background. Allergic asthma is a chronic inflammatory disorder 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 for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as chronic obstructive pulmonary disease. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking 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, Pfizer selected R343 to commence advanced preclinical development in allergic asthma via intrapulmonary delivery. In December 2007, Pfizer commenced a Phase 1 clinical trial of an inhaled formulation of R343, an oral Syk inhibitor, for the treatment of allergic asthma, resulting in a milestone payment to us of \$5.0 million.

Corporate Collaborations

We conduct research and development programs independently and in connection with our corporate collaborators. We currently have collaborations with six major pharmaceutical/biotechnology companies.

These collaborations are:

- Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics;
- Pfizer, one initiated in 1999 in immunology and the other in January 2005, relating to intrapulmonary asthma and allergy therapeutics;
- Novartis Pharma AG, or Novartis, with respect to four different programs relating to immunology, oncology and chronic bronchitis;
- Daiichi Pharmaceuticals Co., Ltd., or Daiichi, relating to oncology;
- Merck & Co., Inc., or Merck, also relating to oncology;
- Merck Serono, relating to our aurora kinase inhibitor program.

None of these collaborations currently provides us with regular research reimbursement. In all of these collaborations, if certain conditions are met, we are entitled to receive future milestone payments and royalties. We can not 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.

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 searching for their functions, our approach identifies proteins that are demonstrated to have an important role in a specific 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 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 subset of expressed proteins of genes believed to be specifically implicated in the disease process;
- *rapid validation of protein targets*: it produces validated protein targets 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 large number of potential protein targets;
- *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;
- *efficient compound screening*: it increases the probability and speed with which compound screening will identify "hits" because it provides 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 and will continue to be able 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 that we do.

Pharmacology and Preclinical Development

We believe that the rapid characterization and optimization of lead compounds identified in high throughput screening, or 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 investigative new drug, or 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 trials. The clinical development group possesses expertise in project management and regulatory affairs.

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 and other proprietary rights are an essential element of our business. We have over 200 pending patent applications and over 100 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 continue to be subject to extensive regulation by numerous governmental authorities in the United States and other countries, including the Food and Drug Administration, or 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. In addition, clinical trials:

- 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 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, 2007, we had 159 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

Our website is located 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, or SEC, 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 and 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 these reports with, or furnish them to, the SEC. Further, a copy of these reports is located at the SEC'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, financial condition and operating results 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 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 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.

During the first quarter of 2008, we completed a public offering in which we sold 5,000,000 shares of our common stock at a price of \$27.00 per share. We received net proceeds of approximately \$127.5 million after deducting underwriting discounts and commissions and offering expenses.

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 and 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 \$74.3 million in 2007, \$37.6 million in 2006 and \$45.3 million in 2005. 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, 2007, we had an accumulated deficit of approximately \$369.4 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 four product compounds in the clinical testing stage: one with indications for RA, ITP and B-cell lymphoma, which is proprietary to our company; one in safety testing and intended for RA, psoriasis, and other immunological indications, which is proprietary to our company; one with three indications for oncology, which is subject to a collaboration agreement with Merck Serono; and one in safety testing and intended for allergic asthma, which is subject to a collaboration agreement with Pfizer. 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. For example, in our clinical trials conducted to-date, use of R788 has resulted in dose related neutropenia, elevated liver enzymes and gastrointestinal side effects. These side effects may limit or delay enrollment of patients in future trials and we may observe additional side effects in larger clinical testing in future trials. If approved by the FDA, the side effect profile of R788 may also result in a narrowly approved indication for use of the product, especially in light of other drugs currently available to treat RA, dependent on the safety profile of R788 relative to those drugs.

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. Our lead product candidate is in early development, having recently completed initial Phase 2 clinical trials in two indications. We will need to conduct additional Phase 2 trials, with larger numbers of patients, before proceeding into Phase 3 trials with R788 for either indication. Furthermore, our Phase 2 clinical trial for ITP was conducted in highly refractory patients, as opposed to treatment-naive patients. If

efficacy is not demonstrated among treatment-naive patients, any approved indication for ITP will be limited to a subset of the patient population. Finally, 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 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 the research phase of this collaboration ended in May 2007. In 2005, we signed additional collaborations with Pfizer and Merck Serono. Each of our collaborations could be terminated by the other party at any time, 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 200 pending patent applications and over 100 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 will 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 159 employees as of December 31, 2007, 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.

Future interest income and value of our investments may be impacted by further declines in interest rates and broader effect of the recent disruption of credit markets.

While we are conservative in our investment policies and invest only in fixed income securities, the interest paid on this type of investment and the value of certain securities may decline in the future as credit markets adjust to the mortgage crisis.

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.

Future equity issuances or a sale of a substantial number of shares of our common stock may cause the price of our common stock to decline.

Because we may need to raise additional capital in the future to continue to expand our business and expand our research and development activities, among other things, we may conduct additional equity offerings. If our stockholders sell substantial amounts of our common stock (including shares issued upon the exercise of options and warrants) in the public market, the market price of our common stock could fall. Sales of common stock held by existing stockholders could cause the market price of our common stock to decline and make it more difficult for us to sell equity or equity-related securities in the future at a time and price that we deem appropriate.

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. 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.

PART II

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

Our common stock commenced trading publicly on a predecessor to the Nasdaq Global Market under the symbol "RIGL" on December 7, 2000. The following table sets forth, for the periods indicated, the high and low intraday sales prices of our common stock as reported on the Nasdaq Global Market:

	High	Low
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
Year Ended December 31, 2007		
First Quarter	\$ 12.14	\$ 9.31
Second Quarter	\$ 12.46	\$ 8.75
Third Quarter	\$ 10.25	\$ 7.50
Fourth Quarter	\$ 31.00	\$ 6.64

On February 29, 2008, the last reported sale price for our common stock on the Nasdaq Global Market was \$19.82 per share.

Holders

As of February 29, 2008, there were approximately 148 stockholders of record of our common stock.

Dividends

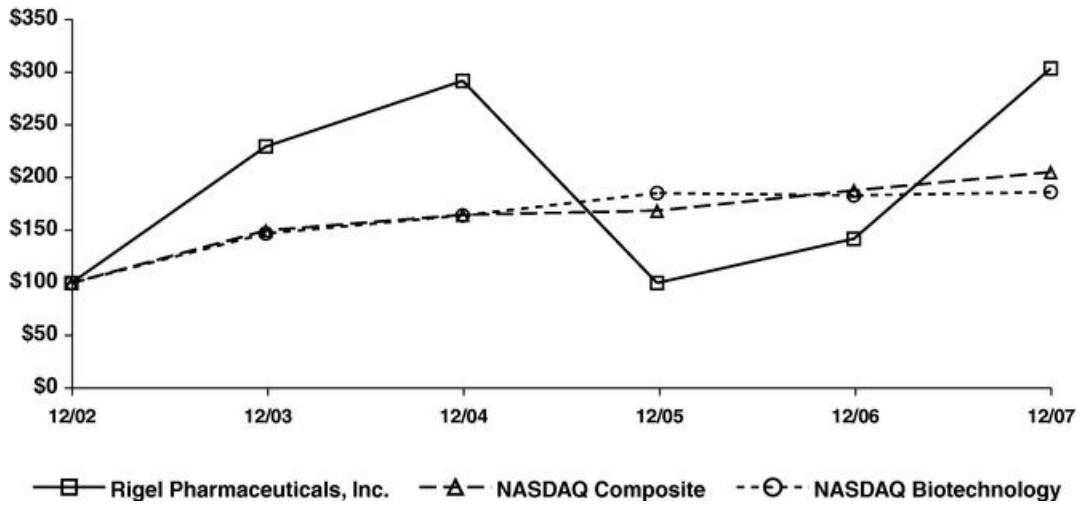
We have not paid dividends on our common stock and currently do not plan to pay any cash dividends in the foreseeable future.

Performance Measurement Comparison

The graph below shows the cumulative total stockholder return of an investment of \$100 (and the reinvestment of any dividends thereafter) on December 31, 2002 in (i) our common stock, (ii) the Nasdaq Composite Index and (iii) the Nasdaq Biotechnology Index. The Nasdaq Biotechnology Index is a modified-capitalization weighted index that includes securities of Nasdaq-listed companies classified according to the Industry Classification Benchmark as either Biotechnology or Pharmaceuticals and which also meet other eligibility criteria. Our stock price performance shown in the graph below is not indicative of future stock price performance.

The following graph and related information shall not be deemed "soliciting material" or be deemed to be "filed" with the SEC, nor shall such information be incorporated by reference into any future filing, except to the extent that we specifically incorporate it by reference into such filing.

COMPARISON OF 5 YEAR CUMULATIVE TOTAL RETURN*
 Among Rigel Pharmaceuticals, Inc., The NASDAQ Composite Index
 And The NASDAQ Biotechnology Index



* \$100 invested on 12/31/02 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,				
	2007	2006	2005	2004	2003
	(in thousands, except per share amounts)				
Statements of Operations Data:					
Contract revenues from collaborations	\$ 12,600	\$ 33,473	\$ 16,526	\$ 4,733	\$ 11,055
Costs and expenses:					
Research and development	70,364	56,968	52,038	48,523	41,649
General and administrative	21,763	19,552	12,410	13,077	10,233
	92,127	76,520	64,448	61,600	51,882
Loss from operations	(79,527)	(43,047)	(47,922)	(56,867)	(40,827)
Loss on disposal/sale of property and equipment	—	—	—	(30)	(169)
Interest income	5,476	5,700	2,942	966	374
Interest expense	(221)	(290)	(276)	(324)	(575)
Net loss	(74,272)	(37,637)	(45,256)	(56,255)	(41,197)
Net loss per share, basic and diluted	\$ (2.57)	\$ (1.51)	\$ (2.07)	\$ (3.12)	\$ (3.62)
Weighted average shares used in computing net loss per share, basic and diluted	28,936	24,936	21,857	18,053	11,395

	As of December 31,				
	2007	2006	2005	2004	2003
	(in thousands)				
Balance Sheet Data:					
Cash, cash equivalents and available-for-sale securities	\$ 108,296	\$ 104,471	\$ 138,196	\$ 71,427	\$ 46,500
Working capital	95,018	96,776	118,949	62,821	41,907
Total assets	115,789	113,240	147,668	78,822	55,524
Capital lease obligations, less current portion	784	1,082	1,132	781	1,236
Deferred stock compensation	—	—	(26)	(56)	(200)
Accumulated deficit	(369,431)	(295,159)	(257,522)	(212,266)	(156,011)
Total stockholders' equity	82,182	87,229	108,588	52,301	39,973

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 Note 1 to the Financial Statements for description of the number of shares used in the computation of basic and diluted loss per share.

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

Overview

We are a clinical-stage drug development company that discovers and develops novel, small molecule drugs for the treatment of inflammatory/autoimmune diseases, cancer and viral diseases. Our goal is to file one new investigative new drug, or 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. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. We have internal product development programs in inflammatory/autoimmune diseases, such as rheumatoid arthritis and thrombocytopenia, and cancer, as well as partnered product development programs relating to asthma and cancer.

We have 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 clinical trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We also completed a clinical trial of R788 to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this clinical trial suggested that there is not an adverse interaction between R788 and methotrexate.

We recently completed a Phase 2, multicenter, ascending dose, randomized, double-blind, placebo-controlled, dose-ranging study evaluating three doses of R788 over a 12-week period in RA patients. All of these patients continued to receive their same previously scheduled dose of methotrexate. In this clinical trial, R788 demonstrated statistically significant efficacy results in treating RA patients at two dose levels. Efficacy assessments for each participant were based on the American College of Rheumatology criteria which denote a 20% (ACR 20) improvement, at least a 50% (ACR 50) improvement, or at least a 70% (ACR 70) improvement from the baseline assessment at the end of the 12-week treatment period. Patients were also evaluated based on the Disease Activity Score using 28 joint counts, or DAS28, a commonly used measurement outside of the U.S. of disease activity in RA patients. Groups treated with R788 at 100mg and 150mg po bid (orally, twice daily) showed higher ACR20, ACR50, ACR70 and DAS28 response rates than the placebo group. The most common clinically meaningful adverse events noted in the clinical trial were dose-related neutropenia, mild elevations of liver function tests and gastrointestinal side effects. Dose reduction (to one-half the assigned dose by taking the drug once per day) was pre-specified in the protocol and contingent on neutrophil counts and/or liver function tests. Notably, a vast majority of the patients who had their dose reduced successfully completed the clinical trial with minimal safety issues. We expect to initiate a Phase 2b clinical trial evaluating dosing over a 24-week period. We also expect to initiate a second Phase 2b clinical trial treating a sub-population of RA patients with R788 by the end of the first half of 2008.

- *R788—Product Candidate for Immune Thrombocytopenic Purpura (ITP).* Platelet destruction from ITP is mediated by IgG signaling, and R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We recently completed an exploratory Phase 2 clinical trial of R788 to evaluate its safety and initial efficacy in chronic ITP patients. In this clinical trial, R788 was orally administered in varying doses for 30 or more days and demonstrated that it can improve platelet counts in highly refractory patients. We are investigating the design for our next clinical trial of R788, which we expect to initiate by the end of 2008.
- *R788—Product Candidate for B-Cell Lymphoma.* Research has shown that overactivity of the signaling enzyme spleen tyrosine kinase, or Syk, appears to be an essential mechanism in several types of B-cell lymphoma survival and that R788 can inhibit the growth of B-cell lymphoma driven by Syk overactivity. In April 2007, we began enrolling patients in a multicenter, Phase 1/2 clinical trial to evaluate the safety and efficacy of R788 for the treatment of patients with B-cell

lymphoma. The clinical trial has enrolled 80 patients at 11 major treatment centers in the United States and will focus on certain types of B-cell lymphomas. We expect to receive interim results from this clinical trial in the second half of 2008.

- *R348—Product Candidate for RA and Other Immune Disorders.* Our JAK3 inhibitor is an orally-available potent, selective JAK3 inhibitor. JAK3 is a cytoplasmic tyrosine kinase that plays an important role in lymphocyte differentiation and proliferation in a variety of autoimmune diseases. We recently began enrolling patients in a Phase 1 clinical trial to evaluate the safety and tolerability of R348. We expect to receive interim results from this clinical trial by the end of the first half of 2008.
- *R763—Product Candidate for Oncology.* R763/AS703569 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 an exclusive license to develop and commercialize inhibitors in our aurora kinase program, including R763/AS703569. In November 2007, Merck Serono exercised its option to add Japan to the territories covered under the current aurora kinase collaboration with respect to R763/AS703569, resulting in a milestone payment to us of \$3.0 million. Under the agreement, Merck Serono is responsible for the further development and commercialization of R763/AS703569. In September 2006, Merck Serono initiated a Phase 1 multicenter clinical trial to evaluate R763/AS703569 for the treatment of patients with refractory solid tumors. In February 2007, Merck Serono began an additional Phase 1 clinical trial evaluating R763/AS703569 on patients with hematological malignancies. Merck Serono has indicated that interim results from these Phase 1 clinical trials are expected in the first and second half of 2008, respectively. In July 2007, Merck Serono initiated its third Phase 1 clinical trial, designed to determine the maximum tolerated dose, safety and dosing regimen of R763/AS703569 in combination with gemcitabine, a commonly prescribed chemotherapeutic agent administered by intravenous infusion. The clinical trial will evaluate two different treatment regimens in which R763/AS703569 will be given in sequence with the gemcitabine over 21-day cycles. As many as 72 patients with advanced malignancies, including pancreatic, ovarian, breast, non-small cell lung and colorectal, will be evaluated.
- *R343—Product Candidate for Asthma.* In the first quarter of 2005, we announced 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. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking 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, Pfizer selected R343 to commence advanced preclinical development in allergic asthma via intrapulmonary delivery. In December 2007, Pfizer commenced a Phase 1 clinical trial of an inhaled formulation of R343 for the treatment of allergic asthma, resulting in a milestone payment to us of \$5.0 million.

Preclinical studies have also shown that R788 is highly effective in a murine model of lupus. We expect to initiate a Phase 2 clinical trial in the second half of 2008.

Corporate Collaborations

We conduct research and development programs independently and in connection with our corporate collaborations. We currently have collaborations with six major pharmaceutical/biotechnology companies. These collaborations are: one with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics; two with Pfizer, one initiated in 1999 in immunology and the other in January 2005, relating to intrapulmonary asthma and allergy therapeutics;

one with Novartis Pharma AG, or Novartis, with respect to four different programs relating to immunology, oncology and chronic bronchitis; one with Daiichi Pharmaceuticals Co., Ltd., or Daiichi, relating to oncology; one with Merck & Co., Inc., or Merck, also relating to oncology, and another with Merck Serono, relating to our aurora kinase inhibitor program. None of these collaborations currently provides us with regular research reimbursement. In all of these collaborations, if certain conditions are met, we are entitled to receive future milestone payments and royalties. We can not 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.

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 that there were no significant changes in our critical accounting policies during the year ended December 31, 2007 as compared to those previously disclosed in our annual report on Form 10-K for the year ended December 31, 2006. We believe the following critical accounting policies affect our more significant judgments and estimates used in the preparation of our financial statements.

Revenue Recognition

We recognize revenue from our collaboration 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 any 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 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 recognized a

pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. When the research portion of the collaboration ended in May 2007, we recognized the full amount of the deferred revenue related to the contract as we had no further obligations under the Merck collaboration. 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.

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.

Stock-based Compensation

	Years Ended December 31,			Aggregate Change	
	2007	2006	2005	2007 from 2006	2006 from 2005
	(in thousands)				
Stock-based compensation (recovery)/expense from:					
<i>Officer, director and employee options</i>	\$ 11,478	\$ 12,312	\$ 31	\$ (834)	\$ 12,281
<i>Consultant options</i>	209	267	(232)	(58)	499
<i>Re-priced options</i>	—	—	(1,889)	—	1,889
Total	\$ 11,687	\$ 12,579	\$ (2,090)	\$ (892)	\$ 14,669

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 Statement of Financial Accounting Standards, or SFAS, No. 123(R), "Share-Based Payment (Revised 2004)," or 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 costs recognized beginning January 1, 2006 include compensation costs 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 costs under SFAS 123(R) for awards granted prior to January 1, 2006 were 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 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 was recognized, because the exercise price of

our employee stock options equaled 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 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, 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. 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 years ended December 31, 2006 and 2007, we recorded stock-based compensation expense of approximately \$689,000 and \$278,000, respectively, relating to the options granted in August 2006. The decrease in 2007 was due to a 50% vesting on grant date in August 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, or EITF, 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 after January 1, 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 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, or if the frequency and vesting terms of our grants change, 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 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, 2007, 2006 and 2005

Revenues

	Years Ended December 31,			Aggregate Change	
	2007	2006	2005	2007 from 2006	2006 from 2005
	(in thousands)				
Contract revenues from collaborations	\$ 12,600	\$ 33,473	\$ 16,526	\$ (20,873)	\$ 16,947

Revenues by collaborator were:

	Years Ended December 31,			Aggregate Change	
	2007	2006	2005	2007 from 2006	2006 from 2005
	(in thousands)				
Pfizer	\$ 5,759	\$ 10,000	\$ 4,241	\$ (4,241)	\$ 5,759
Merck	3,841	7,946	7,369	(4,105)	577
Merck Serono	3,000	15,527	2,473	(12,527)	13,054
Daiichi	—	—	2,443	—	(2,443)
Total	\$ 12,600	\$ 33,473	\$ 16,526	\$ (20,873)	\$ 16,947

Contract revenues from collaborations in 2007 consisted primarily of a \$5.0 million milestone payment from Pfizer, a \$3.0 million milestone payment from Merck Serono and \$3.8 million in full-time equivalent, or FTE, and license revenue from Merck. The decrease in revenues in 2007, as compared to the similar period in 2006, was primarily due to the recognition of milestone payments totaling \$8.0 million and the full amortization of upfront payment of \$7.5 million from Merck Serono in 2006. Contract revenues from collaborations in 2006 and 2005 consisted primarily of amortization of upfront fees, milestone payments 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 in 2006 offset by the termination of the Daiichi collaboration in 2005. We had no deferred revenue as of December 31, 2007. Our potential future revenues may include certain milestone payments from our current collaboration partners or new collaboration partners we enter into agreements with in the future.

Research and Development

	Years Ended December 31,			Aggregate Change	
	2007	2006	2005	2007 from 2006	2006 from 2005
	(in thousands)				
Research and development expenses	\$ 70,364	\$ 56,968	\$ 52,038	\$ 13,396	\$ 4,930
Stock-based compensation (recovery)/ expense included in research and development expenses	\$ 5,519	\$ 6,515	\$ (1,467)	\$ (996)	\$ 7,982

The increase in research and development expenses in 2007, as compared to the similar period in 2006, was due to the increase in our preclinical and clinical costs and personnel costs. The increase of preclinical and clinical costs was primarily attributable to costs associated with our Phase 2 trials of R788 in RA, ITP and B-cell lymphoma. The increase in personnel costs was attributable to a new company-wide bonus program implemented in 2007. 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. Our preclinical and clinical costs in 2006 decreased, as compared to the similar period in 2005, 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. We expect that our research and development expenses will substantively increase as we initiate our Phase 2b trials of R788 in RA, commence our Phase 2 trial of R788 in lupus and continue to manufacture R788 material to be used in these trials.

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 the 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	
	2007	2006	2005	2007 from 2006	2006 from 2005
	(in thousands)				
General and administrative expenses	\$ 21,763	\$ 19,552	\$ 12,410	\$ 2,211	\$ 7,142
Stock-based compensation (recovery)/expense included in general and administrative expenses	\$ 6,168	\$ 6,064	\$ (623)	\$ 104	\$ 6,687

The increase in general and administrative expenses in 2007, as compared to the similar period in 2006, was primarily attributable to an increase in personnel costs due to a new company-wide bonus program implemented in 2007, as well as an increase in legal costs associated with the expansion of our patent portfolio. 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.

Interest income

	Years Ended December 31,			Aggregate Change	
	2007	2006	2005	2007 from 2006	2006 from 2005
	(in thousands)				
Interest income	\$ 5,476	\$ 5,700	\$ 2,942	\$ (224)	\$ 2,758

Interest income results from our interest-bearing cash and investment balances. There was not a significant change in interest income between 2007 and 2006. The increase in interest income in 2006, as compared to 2005, was primarily due to the increase in our investment balances as a result of the public offering we completed in July 2005 in which 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	
	2007	2006	2005	2007 from 2006	2006 from 2005
	(in thousands)				
<i>Interest expense</i>	\$ (221)	\$ (290)	\$ (276)	\$ 69	\$ (14)

Interest expense is the result of our capital lease obligations associated with fixed asset acquisitions. The decrease in interest expense in 2007 as compared to 2006 was due to the decrease in capital lease obligations outstanding during those periods. Interest expense did not change significantly in 2006 as compared to 2005 due to comparable capital lease obligations outstanding during both years.

Future Accounting Requirements

On December 12, 2007, the FASB ratified the consensus reached by the EITF on EITF Issue No. 07-1, "Accounting for Collaborative Arrangements," or EITF 07-1. EITF 07-1 defines collaborative arrangements and establishes reporting requirements for transactions between participants in a collaborative arrangement and between participants in the arrangement and third parties. EITF 07-1 is effective for financial statements issued for fiscal years beginning after December 15, 2008. We are currently evaluating the impact of adopting EITF 07-1 on our financial statements and can not estimate the impact of adoption at this time.

On June 27, 2007, the FASB ratified the consensus reached by the EITF on EITF Issue No. 07-3, "Accounting for Advance Payments for Goods or Services to Be Used in Future Research and Development Activities," or EITF 07-3. EITF 07-3 requires companies to defer and capitalize prepaid, nonrefundable research and development payments to third parties, and amortize them over the period that the research and development activities are performed or the services are provided, subject to an assessment of recoverability. EITF 07-3 is effective for new contracts entered into during fiscal years beginning after December 15, 2007, including interim periods within those fiscal years. We are currently evaluating the impact of adopting EITF 07-3 on our financial statements and can not estimate the impact of adoption at this time.

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, except that under FASB Staff Position 157-2, "Effective Date of FASB Statement No. 157", companies are allowed to delay the effective date of SFAS 157 for nonfinancial assets and nonfinancial liabilities that are not recognized or disclosed at fair value on a recurring basis until fiscal years beginning after November 15, 2008. We elected to delay the adoption of SFAS 157 for such assets and liabilities and are currently evaluating the impact of adopting SFAS 157 for all other assets and liabilities on our financial statements. We can not estimate the impact of adoption at this time.

In February 2007, the FASB issued SFAS No. 159, "The Fair Value Option for Financial Assets and Financial Liabilities—Including an amendment of FASB Statement No. 115", or SFAS 159. SFAS 159 permits entities to choose to measure many financial instruments and certain other items at fair value. Entities that elect the fair value option will report unrealized gains and losses in earnings at each subsequent reporting date. The fair value option may be elected on an instrument-by-instrument basis, with few exceptions. SFAS 159 also establishes presentation and disclosure requirements to facilitate comparisons between companies that choose different measurement attributes for similar assets and liabilities. SFAS 159 is effective for fiscal years beginning after November 15, 2007. We elected not to adopt the fair value option of SFAS 159 at this time.

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 2007, we received payments of approximately \$10.7 million from our collaborations with Merck Serono, Pfizer and Merck.

In the second quarter of 2007, we completed a public offering in which we sold 5,750,000 shares of common stock at a price of \$9.75 per share. We received net proceeds of approximately \$52.3 million after deducting underwriting discounts and commissions and offering expenses.

During the first quarter of 2008, we completed a public offering in which we sold 5,000,000 shares of our common stock at a price of \$27.00 per share. We received net proceeds of approximately \$127.5 million after deducting underwriting discounts and commissions and offering expenses.

We believe that our existing capital resources 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.

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.

As of December 31, 2007, we had \$108.3 million in cash and cash equivalents and available-for-sale securities, as compared to \$104.5 million as of December 31, 2006, an increase of \$3.8 million. The increase was primarily attributable to the public offering we completed in the second quarter of 2007 in which we raised \$52.3 million in net proceeds, offset by operating spending during 2007. We also received approximately \$5.0 million from the issuance of our common stock pursuant to our stock option plans and ESPP plans and approximately \$918,000 under our equipment financing arrangements. For the years ended December 31, 2007 and 2006, we maintained an investment portfolio primarily in money market funds, government-sponsored enterprise 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, as of December 31, 2007, associated with capital lease and facility lease obligations (in thousands):

	Total	Less than 1 year	1-3 years	3-5 years	More than 5 years
	(in thousands)				
Capital lease obligations(1)	\$ 1,958	\$ 1,116	\$ 842	\$ —	\$ —
Facilities lease	150,174	12,817	31,042	30,192	76,123
Total	\$ 152,132	\$ 13,933	\$ 31,884	\$ 30,192	\$ 76,123

- 1) As of December 31, 2007, we had \$2.0 million in capital lease obligations, including principal and interest, associated with our equipment additions. All existing capital lease agreements as of December 31, 2007 are secured by the equipment financed, bear interest at rates in a range of 9.2% to 12.2% and are due in monthly installments through 2010.

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 2007, 2006 and 2005, we maintained an investment portfolio primarily in money market funds, government-sponsored enterprise 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. In addition, we believe we have no incremental or new risk related to recent credit market volatility. 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

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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, 2007 and 2006, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2007. 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, 2007 and 2006, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2007, 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 as of January 1, 2006.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), Rigel Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2007, 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, 2008 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Palo Alto, California
March 6, 2008

RIGEL PHARMACEUTICALS, INC.

BALANCE SHEETS

(In thousands, except share and per share amounts)

	December 31, 2007	December 31, 2006
Assets		
Current assets:		
Cash and cash equivalents	\$ 44,503	\$ 47,727
Available-for-sale securities	63,793	56,744
Accounts receivable	—	1,104
Other receivables	442	286
Prepaid expenses and other current assets	2,392	2,153
Total current assets	111,130	108,014
Property and equipment, net	2,560	2,975
Other assets	2,099	2,251
	\$ 115,789	\$ 113,240
Liabilities and stockholders' equity		
Current liabilities:		
Accounts payable	\$ 4,320	\$ 1,957
Accrued compensation	8,133	3,060
Other accrued liabilities	2,031	1,886
Deferred revenue	—	3,066
Deferred rent	638	—
Capital lease obligations	990	1,269
Total current liabilities	16,112	11,238
Long-term portion of capital lease obligations	784	1,082
Long-term portion of deferred rent	16,486	13,328
Other long-term liabilities	225	363
Commitments and contingencies		
Stockholders' equity:		
Common stock, \$0.001 par value; 100,000,000 shares authorized; 31,381,774 and 25,180,687 shares issued and outstanding on December 31, 2007 and 2006, respectively	31	25
Additional paid-in capital	451,384	382,350
Accumulated other comprehensive income	198	13
Accumulated deficit	(369,431)	(295,159)
Total stockholders' equity	82,182	87,229
	\$ 115,789	\$ 113,240

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

BALANCE SHEETS

(In thousands, except share and per share amounts)

RIGEL PHARMACEUTICALS, INC.

STATEMENTS OF OPERATIONS

(In thousands, except per share amounts)

	Years ended December 31,		
	2007	2006	2005
Contract revenues from collaborations	\$ 12,600	\$ 33,473	\$ 16,526
Costs and expenses:			
Research and development	70,364	56,968	52,038
General and administrative	21,763	19,552	12,410
	92,127	76,520	64,448
Loss from operations	(79,527)	(43,047)	(47,922)
Interest income	5,476	5,700	2,942
Interest expense	(221)	(290)	(276)
Net loss	\$ (74,272)	\$ (37,637)	\$ (45,256)
Net loss per share, basic and diluted	\$ (2.57)	\$ (1.51)	\$ (2.07)
Weighted average shares used in computing net loss per share, basic and diluted	28,936	24,936	21,857

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

STATEMENTS OF STOCKHOLDERS' EQUITY

(In thousands, except share and per share amounts)

	Common Stock		Additional Paid-in Capital	Deferred Stock Compensation	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount					
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 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 participation in Purchase Plan	366,016	—	2,793	—	—	—	2,793
Stock compensation expense related to options granted to consultants, directors and employees	—	—	11,298	—	—	—	11,298
Stock compensation expense related to Purchase Plan	—	—	1,281	—	—	—	1,281
Reversal of deferred compensation expense balance	—	—	(26)	26	—	—	—
Warrants issued with lease amendment 3	—	—	801	—	—	—	801
Balance at December 31, 2006	25,180,687	25	382,350	—	13	(295,159)	87,229
Net loss	—	—	—	—	—	(74,272)	(74,272)
Change in unrealized loss on available-for-sale securities	—	—	—	—	185	—	185
Comprehensive loss							(74,087)
Issuance of common stock at \$9.75 per share for cash, net of issuance costs	5,750,000	6	52,330	—	—	—	52,336
Issuance of common stock upon exercise of options and participation in Purchase Plan	451,087	—	5,017	—	—	—	5,017
Stock compensation expense related to options granted to consultants, directors and employees	—	—	11,394	—	—	—	11,394
Stock compensation expense related to Purchase Plan	—	—	293	—	—	—	293
Balance at December 31, 2007	31,381,774	\$ 31	\$ 451,384	\$ —	\$ 198	\$ (369,431)	\$ 82,182

See accompanying notes.

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

RIGEL PHARMACEUTICALS, INC.
STATEMENTS OF CASH FLOWS

(In thousands)

	Years ended December 31,		
	2007	2006	2005
Operating activities			
Net loss	\$ (74,272)	\$ (37,637)	\$ (45,256)
Adjustments to reconcile net loss to net cash used in operating activities:			
Depreciation and amortization	1,344	1,418	1,150
Amortization of deferred stock compensation, net	—	—	30
Stock-based compensation expense/(recovery)	11,687	12,579	(2,120)
Changes in assets and liabilities:			
Accounts receivable	1,104	(54)	(1,050)
Other receivables	(156)	491	(78)
Prepaid expenses and other current assets	(239)	420	(460)
Other assets	152	165	155
Accounts payable	2,363	(540)	552
Accrued compensation	5,073	871	550
Other accrued liabilities	145	(438)	769
Deferred revenue	(3,066)	(15,272)	10,430
Deferred rent and other long term liabilities	3,658	2,161	158
Net cash used in operating activities	(52,207)	(35,836)	(35,170)
Investing activities			
Purchases of available-for-sale securities	(134,372)	(85,209)	(89,584)
Maturities of available-for-sale securities	127,508	89,987	89,227
Proceeds from the sale of property and equipment	4	83	—
Capital expenditures	(933)	(913)	(1,794)
Net cash (used in) provided by investing activities	(7,793)	3,948	(2,151)
Financing activities			
Proceeds from capital lease financing	918	1,449	1,656
Payments on capital lease obligations	(1,495)	(1,406)	(1,556)
Net proceeds from issuances of common stock and warrants	57,353	2,793	103,505
Net cash provided by financing activities	56,776	2,836	103,605
Net (decrease) increase in cash and cash equivalents	(3,224)	(29,052)	66,284
Cash and cash equivalents at beginning of period	47,727	76,779	10,495
Cash and cash equivalents at end of period	\$ 44,503	\$ 47,727	\$ 76,779
Supplemental disclosure of cash flow information			
Interest paid	\$ 218	\$ 247	\$ 210
Schedule of non cash transactions			
Issuance of warrants with lease amendment	\$ —	\$ 801	\$ —

See accompanying notes.

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, par value \$0.001 per share.

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

Nature of operations and basis of presentation

We were incorporated in Delaware in June 1996. We are a clinical-stage drug development company that discovers and develops novel, small molecule drugs for the treatment of inflammatory/autoimmune 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. Significant estimates and assumptions made by management include the fair value of short-term investments, period of the research collaborations, determination of at-risk milestones, fair values of stock-based compensation awards, impairment assessments, the estimated useful life of assets and contingencies. We believe that the estimates and judgments upon which we rely are reasonable based upon information available to us at the time that these estimates and judgments are made. To the extent there are material differences between these estimates and actual results, our consolidated financial statements will be affected.

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 costs recognized beginning January 1, 2006 includes compensation costs 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 costs under SFAS 123(R) for awards granted prior to January 1, 2006 are 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. Prior to adopting SFAS 123(R) on January 1, 2006, we

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

accounted for equity-based employee compensation costs under the recognition and measurement principles of APB 25. Under the intrinsic value method of accounting under APB 25, no compensation expense is recognized when the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant. In March 2005, the Securities and Exchange Commission (the "SEC") issued SAB No. 107 regarding the SEC's interpretation of SFAS123R and the valuation of share-based payments for public companies. We have applied provisions of SAB No. 107 in our adoption of SFAS 123(R). See Note 4 for a further discussion on stock-based compensation.

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 investments in government-sponsored enterprises. Our short-term investments include obligations of government-sponsored enterprises 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 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, 2007 and 2006. 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. See Note 5 for a summary of available-for-sale securities at December 31, 2007 and 2006.

Fair value of financial instruments

The carrying values of cash, cash equivalents, receivables, accounts payable and accrued liabilities approximate fair value due to the short maturity of those instruments. Available-for-sale securities are carried at fair value at December 31, 2007 and 2006. The carrying values of capital lease obligations approximate fair value due to similar financing arrangements being available to the Company at the market interest rates.

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 collaboration 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 any undelivered items. The consideration we receive is allocated among the separate units based on their respective fair values, and the applicable revenue

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

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.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development 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 recognized a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. When the research portion of the collaboration ended in May 2007, we recognized the full amount of the deferred revenue related to the contract as we had no further obligations. 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.

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.

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

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

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 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.

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. We adopted FIN 48 for the year ended December 31, 2007. There was no cumulative effect of the change in accounting principle recognized upon adoption. The adoption did not have a material impact on the Company's financial position or results of operations. See Note 9 for a further discussion of the adoption of FIN 48.

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 exclude any dilutive effects of options, shares subject to repurchase, warrants and convertible securities. Diluted earnings per share include 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,		
	2007	2006	2005
Outstanding options	5,080	4,405	3,893
Warrants	100	175	91
Weighted average exercise price of options	\$ 13.91	\$ 14.50	\$ 15.98
Weighted average exercise price of warrants	\$ 10.57	\$ 13.23	\$ 28.39

Recent accounting pronouncements

On December 12, 2007, the FASB ratified the consensus reached by the EITF on EITF Issue No. 07-1. "Accounting for Collaborative Arrangements," or EITF 07-1. EITF 07-1 defines collaborative arrangements and establishes reporting requirements for transactions between participants in a

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

collaborative arrangement and between participants in the arrangement and third parties. EITF 07-1 is effective for financial statements issued for fiscal years beginning after December 15, 2008. We are currently evaluating the impact of adopting EITF 07-1 on our financial statements and can not estimate the impact of adoption at this time.

On June 27, 2007, the FASB ratified the consensus reached by the EITF on EITF Issue No. 07-3, "Accounting for Advance Payments for Goods or Services to Be Used in Future Research and Development Activities," or EITF 07-3. EITF 07-3 requires companies to defer and capitalize prepaid, nonrefundable research and development payments to third parties, and amortize them over the period that the research and development activities are performed or the services are provided, subject to an assessment of recoverability. EITF 07-3 is effective for new contracts entered into during fiscal years beginning after December 15, 2007, including interim periods within those fiscal years. We are currently evaluating the impact of adopting EITF 07-3 on our financial statements and can not estimate the impact of adoption at this time.

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, except that under FASB Staff Position 157-2, "Effective Date of FASB Statement No. 157", companies are allowed to delay the effective date of SFAS 157 for nonfinancial assets and nonfinancial liabilities that are not recognized or disclosed at fair value on a recurring basis until fiscal years beginning after November 15, 2008. We elected to delay the adoption of SFAS 157 for such assets and liabilities and are currently evaluating the impact of adopting SFAS 157 for all other assets and liabilities on our financial statements. We can not estimate the impact of adoption at this time.

In February 2007, the FASB issued SFAS No. 159, "The Fair Value Option for Financial Assets and Financial Liabilities—Including an amendment of FASB Statement No. 115", or SFAS 159. SFAS 159 permits entities to choose to measure many financial instruments and certain other items at fair value. Entities that elect the fair value option will report unrealized gains and losses in earnings at each subsequent reporting date. The fair value option may be elected on an instrument-by-instrument basis, with few exceptions. SFAS 159 also establishes presentation and disclosure requirements to facilitate comparisons between companies that choose different measurement attributes for similar assets and liabilities.. SFAS 159 is effective for fiscal years beginning after November 15, 2007. We elected not to adopt the fair value option of SFAS 159 at this time.

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

In December 1998, we entered into a research collaboration agreement with Janssen Pharmaceutica N.V., a division of Johnson & 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 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

NOTES TO FINANCIAL STATEMENTS (Continued)

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS (Continued)

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. 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. We did not have any further obligations to Pfizer after the research phase of the collaboration ended in February 2007. In connection with this collaboration, Pfizer paid us \$10.0 million upfront and purchased \$5.0 million of our common stock at a premium in 2005. In May 2006, we achieved the first milestone and received a \$5.0 million milestone payment when Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. In December 2007, we achieved the second milestone and received another milestone payment of \$5.0 million when Pfizer initiated a Phase 1 clinical trial on R343.

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. 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.

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 recognized the upfront payment ratably over the two and a half year term of the research agreement. We recognized a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project for the quarter. When the research portion of the collaboration ended in May 2007, we recognized the full amount of the deferred revenue related to the contract as we had no further obligations under the collaboration. In 2006, we received payments from Merck of \$500,000, 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.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS (Continued)

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. We are also eligible to receive milestone payments and royalties in the future. 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 in 2005. We amortized the upfront amount into revenue over the nine months from the initiation of the collaboration in October 2005. As of June 2006, we had completely recognized the upfront amount into revenue as we had performed all our deliverables under the collaboration and did not have any further obligations to Merck Serono leading up to the initiation of the first clinical trial.

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. In October 2007, we received another \$3.0 million milestone payment from Merck Serono upon their exercise of the option to obtain Japan rights for R763.

3. SIGNIFICANT CONCENTRATIONS

For the year ended December 31, 2007, Pfizer, Merck and Merck Serono accounted for 46%, 30% and 24% of total revenues, respectively. 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%, 15% and 14% of total revenues, respectively. At December 31, 2007, we have no accounts receivable, while at December 31, 2006, our accounts receivable was comprised of two customers. Rigel does not require collateral or other security for accounts receivable.

4. STOCK-BASED COMPENSATION

Total stock-based compensation expense related to all of the Company's share-based awards that we recognized for the years ended December 31, 2007, 2006 and 2005 was comprised as follows (in thousands, except per share amounts):

	Year Ended December 31,		
	2007	2006	2005
Research and development	\$ 5,519	\$ 6,515	\$ (1,467)
General and administrative	6,168	6,064	(623)
Stock-based compensation expense (recovery)	\$ 11,687	\$ 12,579	\$ (2,090)

Our stock compensation expense for 2007 includes a charge of approximately \$924,000 to correct the misapplication of our estimated forfeiture rate to stock-based compensation expense in 2006. In 2006, our quarterly reported amounts of stock compensation expense were inadvertently reduced by the

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

effect of the expected forfeitures which had already been taken into account in the preceding quarters. The impact of this adjustment was not material to 2007 and prior reporting periods.

Employee stock option plans

In 2005, the 2000 Plan was amended, primarily to (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. In 2007, the 2000 Plan was amended, primarily increase the number of shares authorized for issuance to an aggregate total of 8,410,403 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, ranging from zero to five years. As of December 31, 2007, a total of 7,154,362 shares of common stock are authorized for issuance under the 2000 Plan.

In 2005, the Directors' Plan was amended, primarily to: (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 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. In 2007, the Directors' Plan was amended, primarily increase the number shares authorized for issuance by 110,000 shares to an aggregate total of 435,000 shares. 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, 2007, a total of 432,211 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, 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. 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 years ended December 31, 2006 and 2007, we

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

recorded stock-based compensation expense of approximately \$689,000 and \$278,000, respectively, relating to the options granted in August 2006. The decrease in 2007 is due to a 50% vesting on grant date in August 2006.

In December 2007, we adopted a Change of Control Severance Plan for employees serving at or above the level of Vice President. Under this plan, under certain conditions, primarily upon a change in control of the Company, they would receive the payment of certain benefits, including accelerated vesting of all their outstanding stock options and an extension of the period to exercise outstanding options to the earlier of the original option expiration date or the one year anniversary of the triggering event. There was no stock-based compensation expense incurred during the current period due to the adoption of the Change of Control Severance Plan.

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 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.

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 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 the volatility of our stock in the future, but determined that at this time historical volatility is more indicative of our expected future stock performance.
- Expected term—We worked with various historical data to determine the most applicable expected term for each option group. These data included: (1) for options exercised, term of the options from option grant date to exercise date; (2) for options cancelled, term of the options from grant date to cancellation date, excluding unvested option forfeitures; and (3) for options which remained outstanding at the balance sheet date, term of the options from grant date to the end of the reporting period, and the estimated remaining term of the options. The consideration and calculation of the above data gave us reasonable estimates of the expected term for each option group. 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.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

- 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.
- 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, 2007, 2006 and 2005, as permitted under SFAS 123(R) for 2007 and 2006 and SFAS 123 for 2005:

	Stock Option Plans Year Ended December 31,		
	2007	2006	2005
Risk-free interest rate	4.6%	4.7%	4.2%
Expected life (in years)	4.1	4.4	2.8
Dividend yield	0.0%	0.0%	0.0%
Expected volatility	79.6%	95.6%	86.8%

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, 2007, options to purchase 2,506,656 shares of common stock were available for grant and 7,586,573 reserved shares of common stock are available for future issuance under our stock option plans.

For the year ended December 31, 2007, we recorded stock-based compensation expense of approximately \$209,000, 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 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 2007 and 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 expense of approximately \$267,000 and stock-based compensation recovery of approximately \$232,000 for the years ended December 31, 2006 and 2005, 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.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

Stock-based Compensation Award Activity

Option activity under our option was as follows:

	Shares Available For Grant	Number of Options	Weighted-Average Exercise Price	Weighted-Average Remaining Contractual Term	Aggregate Intrinsic Value
Outstanding at January 1, 2005	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		
Authorized for grant	2,010,000	—			
Granted	(1,052,517)	1,052,517	\$ 11.32		
Exercised	—	(304,844)	\$ 12.96		
Cancelled	72,967	(72,967)	\$ 15.92		
Outstanding at December 31, 2007	2,506,656	5,079,917	\$ 13.91	7.34	\$ 58,410,292
Vested and expected to vest at December 31, 2007		4,997,728	\$ 13.91		
Exercisable at December 31, 2007		3,944,713	\$ 13.52	7.04	\$ 46,934,996
Exercisable at December 31, 2006		2,768,121	\$ 13.39		
Exercisable at December 31, 2005		1,786,133	\$ 13.25		
Weighted average grant-date fair value of options granted during 2007			\$ 7.00		
Weighted average grant-date fair value of options granted during 2006			\$ 6.24		
Weighted average grant-date fair value of options granted during 2005			\$ 12.42		

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (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 that were in-the-money at December 31, 2007. During the years ended December 31, 2007, 2006 and 2005, the aggregate intrinsic value of options exercised under our stock option plans was approximately \$3.4 million, \$470,000 and \$1.7 million, respectively, determined as of the date of option exercise. As of December 31, 2007, there was approximately \$5.6 million of total unrecognized compensation cost, net of estimated forfeitures, related to unvested share-based compensation arrangements granted under our stock option plans and no unamortized compensation cost related to our ESPP. These costs are expected to be recognized over a weighted-average period of 1.82 years. We also had approximately 1.1 million of unvested stock options at December 31, 2007. 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.

Details of our stock options by exercise price is as follows as of December 31, 2007:

Exercise Price	Options Outstanding			Options Exercisable	
	Number of Outstanding Options	Weighted-Average Remaining Contractual Life	Weighted-Average Exercise Price	Number of Options	Weighted-Average Exercise Price
\$1.35-\$8.15	765,480	6.84	7.20	674,114	7.11
\$8.25-\$9.93	1,316,482	6.51	8.65	1,139,241	8.49
\$10.20-\$11.36	591,383	8.89	10.93	207,710	10.94
\$11.73-\$14.75	615,267	8.85	11.95	567,706	11.97
\$15.49-\$22.54	612,483	6.73	19.08	559,640	19.20
\$23.00-\$24.56	1,165,149	7.36	23.86	784,414	23.76
\$25.36-\$37.80	9,784	6.57	26.07	7,999	26.22
\$40.50-\$73.53	3,889	2.79	49.94	3,889	49.94
\$1.35-\$73.53	5,079,917	7.34	13.91	3,944,713	13.52

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. In 2007, the Purchase Plan was amended to (i) increase the number of shares authorized for purchase under ESPP by 1,500,000 and (ii) terminate the provision providing for an annual increase to the ESPP plan, effective January 1, 2008. 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 146,243, 159,380 and 73,868 shares of common stock during 2007, 2006 and 2005, respectively, pursuant to the Purchase Plan at an average price of \$7.28

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

per share, \$7.21 per share and \$10.07 per share respectively. For 2007, 2006 and 2005, the weighted average fair value of stock issued under the Purchase Plan was \$2.80, \$4.95 and \$8.56, respectively. The number of shares reserved for future issuance under the Purchase Plan was increased by 88,888 per year during 2007, 2006 and 2005, respectively. As of December 31, 2007, we had 10,245 reserved shares of common stock for future issuance under our 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, 2007, there were approximately 10,200 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, 2007, 2006 and 2005:

	Employee Stock Purchase Plan Year Ended December 31,		
	2007	2006	2005
Risk-free interest rate	4.7%	4.6%	4.1%
Expected life (in years)	0.6	1.2	0.5
Dividend yield	0.0%	0.0%	0.0%
Expected volatility	45.5%	109.9%	88.3%

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 the intrinsic value method of accounting under APB 25, no compensation expense is recognized when 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.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

4. STOCK-BASED COMPENSATION (Continued)

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):

	Year Ended December 31, 2005	
Net loss—as reported:	\$	(45,256)
Deduct total stock-based employee compensation recovery determined under APB 25		(1,859)
Deduct total stock-based employee compensation expense determined under the fair value based method of all awards		(9,401)
Pro forma net loss	\$	(56,516)
Basic and diluted net loss per share:		
As reported	\$	(2.07)
Pro forma		(2.59)

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

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

	December 31, 2007		December 31, 2006	
Checking account	\$	425	\$	332
Money market funds		15,051		4,441
Government-sponsored enterprise securities		38,262		13,463
Corporate bonds and notes		54,558		86,235
	\$	108,296	\$	104,471
Reported as:				
Cash and cash equivalents	\$	44,503	\$	47,727
Available-for-sale securities		63,793		56,744
	\$	108,296	\$	104,471

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

2007	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Money market fund	\$ 15,051	\$ —	\$ —	\$ 15,051
Government-sponsored enterprise securities	38,256	18	0	38,274
Corporate bonds and note	54,366	197	(5)	54,558
Total	\$ 107,673	\$ 215	\$ (5)	\$ 107,883

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

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

2006	Amortized Cost	Gross Unrealized Gains	Gross Unrealized Losses	Fair Value
Money market fund	\$ 4,441	\$ —	\$ —	\$ 4,441
Government-sponsored enterprise securities	13,471	1	(8)	13,464
Corporate bonds and note	86,214	24	—	86,238
Total	\$ 104,126	\$ 25	\$ (8)	\$ 104,143

As of December 31, 2007, the contractual maturities of our cash equivalents and available-for-sale securities were (in thousands):

	Amortized Cost	Fair Value
Mature in less than one year	\$ 105,956	\$ 106,148
Mature in one to three years	1,717	1,735
	\$ 107,673	\$ 107,883

At December 31, 2007, our available-for-sale securities had a weighted average maturity of approximately 145 days. We have the ability to hold all investments as of December 31, 2007 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
Government-sponsored enterprise securities	\$ —	\$ —
Corporate bonds and notes	6,394	(5)
Total	\$ 6,394	\$ (5)

At December 31, 2007 and 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, 2007, three individual securities were in an unrealized loss position deemed to be temporary for less than twelve months. As of December 31, 2006, five 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 government-sponsored enterprise securities and corporate bonds and notes. The unrealized gains on our investments in investment grade debt securities were caused by interest rate decreases.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

6. PROPERTY AND EQUIPMENT

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

	2007	2006
Laboratory and office equipment	\$ 17,207	\$ 16,279
Construction in progress	5	5
Total property and equipment	17,212	16,284
Less accumulated depreciation and amortization	(14,652)	(13,309)
Property and equipment, net	\$ 2,560	\$ 2,975

During 2007, we disposed of approximately \$6,000 of assets with related accumulated depreciation of approximately \$2,000. In 2006, we disposed of approximately \$248,000 of assets with related accumulated depreciation of approximately \$165,000.

At December 31, 2007 and 2006, equipment under capital leases included in property and equipment had a cost of approximately \$4.0 million and \$3.7 million respectively. Total depreciation expense, which included amortization from equipment under capital leases, was \$1.3 million, \$1.4 million and \$1.2 million for the years ended December 31, 2007, 2006 and 2005, respectively.

7. LONG-TERM OBLIGATIONS

At December 31, 2007, future minimum lease payments and obligations under all noncancelable leases were as follows (in thousands):

	Capital Leases	Operating Leases
For years ending December 31,		
2008	\$ 1,116	\$ 12,817
2009	625	16,481
2010	217	14,561
2011	—	14,838
2012	—	15,354
2013 and thereafter	—	76,123
Total minimum payments required	1,958	\$ 150,174
Less amount representing interest	(184)	
Present value of future lease payments	1,774	
Less current portion	(990)	
Noncurrent obligations under capital leases	\$ 784	

We entered into a build-to-suit lease agreement with our landlord in May 2001, and moved into our current facilities in February 2003. In January 2005, we entered into an amendment with the landlord for our office lease 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

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

7. LONG-TERM OBLIGATIONS (Continued)

payments originally to 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 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 8—Stockholder's 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. In May 2007, we subleased approximately 6,180 square feet of our space to another tenant. The lease was set to expire and become a month to month lease on December 31, 2007. Rent expense net of sublease income under all operating leases amounted to approximately \$15.1 million, \$14.4 million and \$13.5 million for the years ended December 31, 2007, 2006 and 2005, respectively.

In August 2000, we obtained a master agreement with a leasing company to finance our capital purchases. Under this agreement, from time to time we sell to the leasing company at cost and lease back the equipment we purchased. The lease period is three years with the interest rate on the lease fixed at drawdown and ranging approximately from 10.3% to 10.9%. Each line has a bargain purchase buyout provision of \$101. We account for the sale-leaseback transaction as financing, with no sale and no gain/loss being recognized. As of December 31, 2007, the remaining principal balance under the credit lines was approximately \$1.8 million.

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

8. STOCKHOLDERS' EQUITY

Common Stock

In the second quarter of 2007, we completed a public offering in which we sold 5,750,000 shares of common stock at a price of \$9.75 per share. We received net proceeds of approximately \$52.3 million after deducting underwriting discounts, commissions and offering costs.

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. In December 2007, the seventh anniversary of the closing of our initial public offering, warrants to purchase 16,333 shares of common stock expired.

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, 2007, approximately \$459,000 remained to be amortized over the life of the lease.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

8. STOCKHOLDERS' EQUITY (Continued)

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 expired in 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, 2007, approximately \$380,000 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, 2007 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, 2007, approximately \$698,000 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 expired in January 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.

As of December 31, 2007, we had reserved shares of common stock for future issuance as follows:

	December 31, 2007
Warrants	100,000
Incentive stock plans	7,586,573
Purchase Plan	10,245
	<hr/>
Total	7,696,818

9. 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,	
	2007	2006
Net operating loss carryforwards	\$ 111,297	\$ 90,670
Research and development credits	15,469	14,581
Capitalized research and development expenses	15,671	10,570
Other, net	15,335	11,039
	<hr/>	<hr/>
Total deferred tax assets	157,772	126,860
Valuation allowance	(157,772)	(126,860)
	<hr/>	<hr/>
Net deferred tax assets	\$ —	\$ —

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

9. INCOME TAXES (Continued)

As of December 31, 2007, we had net operating loss carryforwards for federal income tax purposes of approximately \$318.0 million, which expire beginning in the year 2011 and state net operating loss carryforwards of approximately \$52.0 million, which expire beginning in the year 2013.

The Company also has federal research and development tax credits of \$10 million, which begin to expire in the year 2012 and state research and development tax credits of approximately \$9.0 million, which have no expiration date.

No federal or state income tax expense or benefit was recorded for the years ended December 31, 2007, 2006, and 2005, 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 \$30.9 million, \$17.1 million and \$21.0 million during 2007, 2006 and 2005, respectively.

Included in the valuation allowance balance at December 31, 2007 is \$3.6 million of tax deductions 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.

Utilization of the net operating loss may be subject to annual limitations if there are 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.

Adoption of FASB Interpretation No. 48

On January 1, 2007, the Company adopted the provisions of FIN 48, *Accounting for Uncertainty in Income Taxes*, which clarifies the accounting for uncertainty in income taxes recognized in accordance with SFAS No. 109, *Accounting for Income Taxes*. The following table summarizes the activity related to the Company's gross unrecognized tax benefits:

Balance at January 1, 2007 upon adoption of FIN 48	\$	3,400
Increases related to prior year tax positions		—
Increases related to current year tax positions		—
		<hr/>
Balance at December 31, 2007	\$	3,400
		<hr/>

As of the date of adoption, the Company recorded a \$3.4 million reduction to deferred tax assets for unrecognized tax benefits, all of which were offset by a full valuation allowance and therefore did not record any adjustment to the beginning balance of retained earnings on the balance sheet. The Company does not anticipate a significant change to its unrecognized tax benefits over the next twelve months.

We file income tax returns in the U.S. federal jurisdiction and in California, and the tax returns filed for the years 2002 through 2006 have not been examined and have not expired by the statute of limitations. Because of net operating loss and research credit carryovers, substantially all of the Company's tax years remain open to examination.

Rigel Pharmaceuticals, Inc.

NOTES TO FINANCIAL STATEMENTS (Continued)

9. INCOME TAXES (Continued)

Our policy is that we recognize interest and penalties accrued on any unrecognized tax benefits as a component of income tax expense. As of the date of adoption of FIN 48, we did not have any accrued interest or penalties associated with any unrecognized tax benefits.

10. SUBSEQUENT EVENTS

During the first quarter of 2008, we completed a public offering in which we sold 5,000,000 shares of our common stock at a price of \$27.00 per share. We received net proceeds of approximately \$127.5 million after deducting underwriting discounts and commissions and offering expenses.

In January 2008, we obtained a new equipment lease line with a borrowing capability of \$1,500,000. We have the ability to draw down on this line until the end of 2008.

11. SELECTED QUARTERLY FINANCIAL DATA

(unaudited, in thousands, except per share amounts)

	Year Ended December 31, 2007				Year Ended December 31, 2006			
	Q1	Q2	Q3	Q4	Q1	Q2	Q3	Q4
Revenue	\$ 2,644	\$ 1,956	\$ —	\$ 8,000	\$ 9,897	\$ 14,321	\$ 6,127	\$ 3,128
Net loss	\$ (17,081)	\$ (19,245)	\$ (18,944)	\$ (19,002)	\$ (8,469)	\$ (2,330)	\$ (11,382)	\$ (15,456)
Net loss per share, basic and diluted	\$ (0.68)	\$ (0.68)	\$ (0.61)	\$ (0.61)	\$ (0.34)	\$ (0.09)	\$ (0.46)	\$ (0.62)
Weighted average shares used in computing net loss per share, basic and diluted	25,184	28,355	31,030	31,084	24,816	24,842	24,987	25,093

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, 2007.

The effectiveness of our internal control over financial reporting as of December 31, 2007 has been audited by Ernst & Young LLP, an independent registered public accounting firm, as stated in its attestation report, which is set forth below in this annual report on Form 10K.

Report of Independent Registered Public Accounting Firm

The Board of Directors and Shareholders of Rigel Pharmaceuticals, Inc.

We have audited Rigel Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2007, based on criteria established in Internal Control—Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). Rigel Pharmaceuticals 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 included in the accompanying Management's Report on Internal Controls Over Financial Reporting. Our responsibility is to express an opinion on 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, assessing the risk that a material weakness exists, testing and evaluating the design and operating effectiveness of internal control based on the assessed risk, 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, Rigel Pharmaceuticals, Inc. maintained, in all material respects, effective internal control over financial reporting as of December 31, 2007, 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 Pharmaceuticals, Inc. as of December 31, 2007 and 2006, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2007 and our report dated March 6, 2008 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Palo Alto, California
March 6, 2008

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.

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 April 30, 2008.

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 April 30, 2008.

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 prior to April 30, 2008.

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 April 30, 2008.

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 April 30, 2008.

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 11.
 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).
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- 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 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).
- 10.7 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).
- 10.8 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).
- 10.9* 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).
- 10.10* 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).
- 10.11 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).
- 10.12 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).
- 10.13 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).
- 10.14* 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).
- 10.15* 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).

- 10.16+ 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).
- 10.17* 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).
- 10.18* 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).
- 10.19+ Form of Indemnity Agreement (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2007 (No. 000-29889) filed on May 10, 2007, as amended, and incorporated herein by reference).
- 10.20+ 2000 Employee Stock Purchase Plan, as amended (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on June 6, 2007 and incorporated herein by reference).
- 10.21+ 2000 Equity Incentive Plan, as amended (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on June 6, 2007 and incorporated herein by reference).
- 10.22+ Employment Agreement between Rigel and Donald Payan, dated December 17, 2007 (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on December 20, 2007, and incorporated herein by reference).
- 10.23+ Change of Control Severance Plan (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on December 20, 2007, and incorporated herein by reference).
- 10.24+ 2007 Bonus Plan for Named Executive Officers (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 14, 2008 and incorporated herein by reference).
- 10.25+ 2008 Cash Incentive Compensation Plan (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 26, 2008 and incorporated herein by reference).
- 10.26+# 2000 Non-Employee Directors' Stock Option Plan, as amended.
- 10.27+# 2008 Base Salaries for Named Executive Officers.
- 23.1# Consent of 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).

- + 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.

<hr/> <i>/s/ DONALD G. PAYAN</i> <hr/> <p>Donald G. Payan</p> <hr/> <i>/s/ JEAN DELEAGE</i> <hr/> <p>Jean Deleage</p> <hr/> <i>/s/ BRADFORD S. GOODWIN</i> <hr/> <p>Bradford S. Goodwin</p> <hr/> <i>/s/ GARY A. LYONS</i> <hr/> <p>Gary A. Lyons</p> <hr/> <i>/s/ WALTER H. MOOS</i> <hr/> <p>Walter H. Moos</p> <hr/> <i>/s/ HOLLINGS C. RENTON</i> <hr/> <p>Hollings C. Renton</p> <hr/> <i>/s/ PETER S. RINGROSE</i> <hr/> <p>Peter S. Ringrose</p> <hr/> <i>/s/ STEPHEN A. SHERWIN</i> <hr/> <p>Stephen A. Sherwin</p>	<p>Executive Vice President, Chief Scientific Officer and Director</p> <p>Director</p> <p>Director</p> <p>Director</p> <p>Director</p> <p>Director</p> <p>Director</p> <p>Director</p>	<p>March 7, 2008</p> <p>March 7, 2008</p> <p>March 7, 2008</p> <p>March 7, 2008</p> <p>March 7, 2008</p> <p>March 7, 2008</p> <p>March 7, 2008</p> <p>March 7, 2008</p>
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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 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).
- 10.7 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).
- 10.8 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).

- 10.9* 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).
- 10.10* 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).
- 10.11 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).
- 10.12 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).
- 10.13 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).
- 10.14* 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).
- 10.15* 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).
- 10.16+ 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).
- 10.17* 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).
- 10.18* 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).
- 10.19+ Form of Indemnity Agreement (filed as an exhibit to Rigel's Quarterly Report on Form 10-Q for the quarter ended March 31, 2007 (No. 000-29889) filed on May 10, 2007, as amended, and incorporated herein by reference).
- 10.20+ 2000 Employee Stock Purchase Plan, as amended (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on June 6, 2007 and incorporated herein by reference).
- 10.21+ 2000 Equity Incentive Plan, as amended (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on June 6, 2007 and incorporated herein by reference).
- 10.22+ Employment Agreement between Rigel and Donald Payan, dated December 17, 2007 (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on December 20, 2007, and incorporated herein by reference).

10.23+	Change of Control Severance Plan (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on December 20, 2007, and incorporated herein by reference).
10.24+	2007 Bonus Plan for Named Executive Officers (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 14, 2008 and incorporated herein by reference).
10.25+	2008 Cash Incentive Compensation Plan (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on February 26, 2008 and incorporated herein by reference).
10.26+#	2000 Non-Employee Directors' Stock Option Plan, as amended.
10.27+#	2008 Base Salaries for Named Executive Officers.
23.1#	Consent of 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.

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RIGEL PHARMACEUTICALS, INC.

2000 NON-EMPLOYEE DIRECTORS' STOCK OPTION PLAN

ADOPTED AUGUST 18, 2000
 APPROVED BY STOCKHOLDERS SEPTEMBER 11, 2000
 EFFECTIVE DATE: DECEMBER 4, 2000
 AMENDED AND RESTATED APRIL 24, 2003
 AMENDED AND RESTATED JUNE 20, 2003
 APPROVED BY STOCKHOLDERS JUNE 20, 2003
 AMENDED AND RESTATED APRIL 22, 2005
 APPROVED BY STOCKHOLDERS JUNE 2, 2005
 AMENDED AND RESTATED JANUARY 31, 2007
 APPROVED BY STOCKHOLDERS MAY 31, 2007
 AMENDED AND RESTATED SEPTEMBER 18, 2007
 AMENDED AND RESTATED FEBRUARY 21, 2008

1. PURPOSES.

- (a) **Eligible Option Recipients.** The persons eligible to receive Options are the Non-Employee Directors of the Company.
- (b) **Available Options.** The purpose of the Plan is to provide a means by which Non-Employee Directors may be given an opportunity to benefit from increases in value of the Common Stock through the granting of Nonstatutory Stock Options.
- (c) **General Purpose.** The Company, by means of the Plan, seeks to retain the services of its Non-Employee Directors, to secure and retain the services of new Non-Employee Directors and to provide incentives for such persons to exert maximum efforts for the success of the Company and its Affiliates.

2. DEFINITIONS.

- (a) **"Affiliate"** means any parent corporation or subsidiary corporation of the Company, whether now or hereafter existing, as those terms are defined in Sections 424(e) and (f), respectively, of the Code.
- (b) **"Annual Grant"** means an Option granted annually to all Non-Employee Directors who meet the criteria specified in subsection 6(b) of the Plan.
- (c) **"Annual Meeting"** means the annual meeting of the stockholders of the Company.
-
- (d) **"Board"** means the Board of Directors of the Company.
- (e) A **"Change in Control,"** with respect to Options granted on or after the effective date of the Plan, will be deemed to have occurred upon the first to occur of an event set forth in any one of the following paragraphs:
- (i) the acquisition (other than from the Company, by any person (as such term is defined in Section 13(c) or 14(d) of the Exchange Act of beneficial ownership (within the meaning of Rule 13d-3 promulgated under the Exchange Act) of fifty (50%) or more of the combined voting power of the Company's then outstanding voting securities; or
- (ii) the closing of:
- (a) a merger or consolidation involving the Company if the stockholders of the Company, immediately before such merger or consolidation, do not, as a result of such merger or consolidation, own, directly or indirectly, more than fifty percent (50%) of the combined voting power of the then outstanding voting securities of the corporation resulting from such merger or consolidation in substantially the same proportion as their ownership of the combined voting power of the voting securities of the Company outstanding immediately before such merger or consolidation; or
- (B) a complete liquidation or dissolution of the Company or an agreement for the sale or other disposition of all or substantially all of the assets of the Company.

Notwithstanding the foregoing, a Change in Control shall not be deemed to occur solely because fifty percent (50%) or more of the combined voting power of the Company's then outstanding securities is acquired by (i) a trustee or other fiduciary holding securities under one or more employee benefit plans maintained by the Company or any of its subsidiaries or (ii) any corporation which, immediately prior to such acquisition, is owned directly or indirectly by the stockholders of the Company in the same proportion as their ownership of stock in the Company immediately prior to such acquisition.

For the avoidance of doubt, the term Change in Control shall not include a sale of assets, merger or other transaction effected exclusively for the purpose of changing the domicile of the Company.

Notwithstanding the foregoing or any other provision of this Plan, the definition of Change in Control (or any analogous term) in an individual written agreement between the Company or any Affiliate and the Optionholder shall supersede the foregoing definition with respect to Options subject to such agreement; *provided, however*, that if no definition of Change in Control or any analogous term is set forth in such an individual written agreement, the foregoing definition shall apply.

- (f) **"Code"** means the Internal Revenue Code of 1986, as amended.
- (g) **"Common Stock"** means the common stock of the Company.
- (h) **"Company"** means Rigel Pharmaceuticals, Inc., a Delaware corporation.
- (i) **"Consultant"** means any person, including an advisor, (i) engaged by the Company or an Affiliate
-

to render consulting or advisory services and who is compensated for such services or (ii) who is a member of the Board of Directors of an Affiliate. However, the term "Consultant" shall not include either Directors of the Company who are not compensated by the Company for their services as Directors or Directors of the Company who are merely paid a director's fee by the Company for their services as Directors.

(j) "**Continuous Service**" means that the Optionholder's service with the Company or an Affiliate, whether as an Employee, Director or Consultant, is not interrupted or terminated. The Optionholder's Continuous Service shall not be deemed to have terminated merely because of a change in the capacity in which the Optionholder renders service to the Company or an Affiliate as an Employee, Consultant or Director or a change in the entity for which the Optionholder renders such service, provided that there is no interruption or termination of the Optionholder's service. For example, a change in status without interruption from a Non-Employee Director of the Company to a Consultant of an Affiliate or an Employee of the Company will not constitute an interruption of Continuous Service. The Board or the chief executive officer of the Company, in that party's sole discretion, may determine whether Continuous Service shall be considered interrupted in the case of any leave of absence approved by that party, including sick leave, military leave or any other personal leave.

(k) "**Director**" means a member of the Board of Directors of the Company.

(l) "**Disability**" means the permanent and total disability of a person within the meaning of Section 22(e)(3) of the Code.

(m) "**Employee**" means any person employed by the Company or an Affiliate. Mere service as a Director or payment of a director's fee by the Company or an Affiliate shall not be sufficient to constitute "employment" by the Company or an Affiliate.

(n) "**Exchange Act**" means the Securities Exchange Act of 1934, as amended.

(o) "**Fair Market Value**" means, as of any date, the value of the Common Stock determined as follows:

(i) If the Common Stock is listed on any established stock exchange or traded on the Nasdaq National Market or the Nasdaq SmallCap Market, the Fair Market Value of a share of Common Stock shall be the closing sales price for such stock (or the closing bid, if no sales were reported) as quoted on such exchange or market (or the exchange or market with the greatest volume of trading in the Common Stock) on the last market trading day prior to the day of determination, as reported in The Wall Street Journal or such other source as the Board deems reliable.

(ii) In the absence of such markets for the Common Stock, the Fair Market Value shall be determined in good faith by the Board.

(p) "**Initial Grant**" means an Option granted to a Non-Employee Director who meets the criteria

specified in subsection 6(a) of the Plan.

(q) "**IPO Date**" means the effective date of the initial public offering of the Common Stock.

(r) "**Non-Employee Director**" means a Director who is not an Employee.

(s) "**Nonstatutory Stock Option**" means an Option not intended to qualify as an incentive stock option within the meaning of Section 422 of the Code and the regulations promulgated thereunder.

(t) "**Officer**" means a person who is an officer of the Company within the meaning of Section 16 of the Exchange Act and the rules and regulations promulgated thereunder.

(u) "**Option**" means a Nonstatutory Stock Option granted pursuant to the Plan.

(v) "**Option Agreement**" means a written agreement between the Company and an Optionholder evidencing the terms and conditions of an individual Option grant. Each Option Agreement shall be subject to the terms and conditions of the Plan.

(w) "**Optionholder**" means a person to whom an Option is granted pursuant to the Plan or, if applicable, such other person who holds an outstanding Option.

(x) "**Plan**" means this Rigel Pharmaceuticals, Inc. 2000 Non-Employee Directors' Stock Option Plan.

(y) "**Rule 16b-3**" means Rule 16b-3 promulgated under the Exchange Act or any successor to Rule 16b-3, as in effect from time to time.

(z) "**Securities Act**" means the Securities Act of 1933, as amended.

3. ADMINISTRATION.

(a) **Administration by Board.** The Board shall administer the Plan. The Board may not delegate administration of the Plan to a committee.

(b) **Powers of Board.** The Board shall have the power, subject to, and within the limitations of, the

express provisions of the Plan:

(i) To determine the provisions of each Option to the extent not specified in the Plan.

(ii) To construe and interpret the Plan and Options granted under it, and to establish, amend and revoke rules and regulations for its administration. The Board, in the exercise of this power, may correct any defect, omission or inconsistency in the Plan or in any Option Agreement, in a manner and to the extent it shall deem necessary or expedient to make the Plan fully effective.

(iii) To amend the Plan or an Option as provided in Section 12.

(iv) To terminate or suspend the Plan as provided in Section 13.

(v) Generally, to exercise such powers and to perform such acts as the Board deems necessary or expedient to promote the best interests of the Company that are not in conflict with the provisions of the Plan.

(c) **Effect of Board's Decision.** All determinations, interpretations and constructions made by the Board in good faith shall not be subject to review by any person and shall be final, binding and conclusive on all persons.

(d) **Cancellation and Re-Grant of Options.** Notwithstanding anything to the contrary in the Plan, neither the Board nor any Committee shall have the authority to: (i) reprice any outstanding Option under the Plan, (ii) cancel and re-grant any outstanding Option under the Plan, or (iii) effect any other action that is treated as a repricing under generally accepted accounting principles unless, in each case, the stockholders of the Company have approved such an action within twelve (12) months prior to such an event.

4. SHARES SUBJECT TO THE PLAN.

(a) **Share Reserve.** Subject to the provisions of Section 11 relating to adjustments upon changes in the Common Stock, the Common Stock that may be issued pursuant to Options shall not exceed in the aggregate 435,000 shares of Common Stock, which number consists of (i) 33,333 shares of Common stock initially reserved for issuance under the Plan plus (ii) 66,667 shares of Common stock approved by the Board in April 2003 and subsequently approved by the Company's stockholders plus (iii) 225,000 shares of Common Stock approved by the Board in April 2005 and subsequently approved by the Company's stockholders plus (iv) 110,000 shares of Common Stock approved by the Board in January 2007 and subsequently approved by the Company's stockholders.

(b) **Reversion of Shares to the Share Reserve.** If any Option shall for any reason expire or otherwise terminate, in whole or in part, without having been exercised in full, the shares of Common Stock not acquired under such Option shall revert to and again become available for issuance under the Plan. If any shares

subject to an Option are not delivered to an Optionholder because the Option is exercised through a reduction of shares subject to the Option (i.e., "net exercised"), the number of shares that are not delivered to the Optionholder shall not remain available for issuance under the Plan. If any shares subject to an Option are not delivered to an Optionholder because such shares are withheld in satisfaction of the withholding of taxes incurred in connection with the exercise of an Option, the number of shares that are not delivered to the Optionholder shall not remain available for subsequent issuance under the Plan. If the exercise price of any Option is satisfied by tendering shares of Common Stock held by the Optionholder (either by actual delivery or attestation), then the number of shares so tendered shall not remain available for subsequent issuance under the Plan.

(c) **Source of Shares.** The shares of Common Stock subject to the Plan may be unissued shares or reacquired shares, bought on the market or otherwise.

5. ELIGIBILITY.

The Options as set forth in section 6 automatically shall be granted under the Plan to all Non-Employee Directors.

6. NON-DISCRETIONARY GRANTS.

(a) **Initial Grants.** Without any further action of the Board, each person who is elected or appointed for the first time to be a Non-Employee Director after the IPO Date automatically shall, upon the date of his or her initial election or appointment to be a Non-Employee Director by the Board or stockholders of the Company, be granted an Initial Grant to purchase twenty thousand (20,000) shares of Common Stock on the terms and conditions set forth herein.

(b) **Annual Grants.** Without any further action of the Board, a Non-Employee Director shall be granted an Annual Grant as follows: On the day following each Annual Meeting commencing with the Annual Meeting in 2001, each person who is then a Non-Employee Director automatically shall be granted an Annual Grant to purchase ten thousand (10,000) shares of Common Stock on the terms and conditions set forth herein; *provided, however*, that if the person has not been serving as a Non-Employee Director for the entire period since the preceding Annual Meeting, then the number of shares subject to the Annual Grant shall be reduced pro rata for each full quarter prior to the date of grant during which such person did not serve as a Non-Employee Director.

7. OPTION PROVISIONS.

Each Option shall be in such form and shall contain such terms and conditions as required by the Plan. Each Option shall contain such additional terms and conditions, not inconsistent with the Plan, as the Board shall deem appropriate. Each Option shall include (through incorporation of provisions hereof by reference in the Option or otherwise) the substance of each of the following provisions:

(a) **Term.** No Option shall be exercisable after the expiration of ten (10) years from the date it was

granted.

(b) **Exercise Price.** The exercise price of each Option shall be one hundred percent (100%) of the Fair Market Value of the stock subject to the Option on the date the Option is granted. Notwithstanding the foregoing, an Option may be granted with an exercise price lower than that set forth in the preceding sentence if such Option is granted pursuant to an assumption or substitution for another option in a manner satisfying the provisions of Section 424(a) of the Code.

(c) **Consideration.** The purchase price of stock acquired pursuant to an Option may be paid, to the extent permitted by applicable statutes and regulations, in any combination of the following methods:

(i) By cash or check.

(ii) Provided that at the time of exercise the Common Stock is publicly traded and quoted regularly in *The Wall Street Journal*, by delivery of already-owned shares of Common Stock either that the Optionholder has held for more than six (6) months (or such longer or shorter period of time required to avoid a charge to earnings for financial accounting purposes) or that the Optionholder did not acquire, directly or indirectly from the Company, that are owned free and clear of any liens, claims, encumbrances or security interests, and that are valued at Fair Market Value on the date of exercise. "Delivery" for these purposes shall include delivery to the Company of the Optionholder's attestation of ownership of such shares of Common Stock in a form approved by the Company. Notwithstanding the foregoing, the Optionholder may not exercise the Option by tender to the Company of Common Stock to the extent such tender would violate the provisions of any law, regulation or agreement restricting the redemption of the Company's stock.

(iii) Provided that at the time of exercise the Common Stock is publicly traded and quoted regularly in *The Wall Street Journal*, pursuant to a program developed under Regulation T as promulgated by the Federal Reserve Board that, prior to the issuance of Common Stock, results in either the receipt of cash (or check) by the Company or the receipt of irrevocable instructions to pay the aggregate exercise price to the Company from the sales proceeds.

(iv) By a "net exercise" arrangement pursuant to which the Company will reduce the number of shares of Common Stock issued upon exercise by the largest whole number of shares with a Fair Market Value that does not exceed the aggregate exercise price; *provided, however*, that the Company shall accept a cash or other

payment from the Optionholder to the extent of any remaining balance of the aggregate exercise price not satisfied by such holding back of whole shares; *provided, further, however*, that shares of Common Stock will no longer be outstanding under an Option and will not be exercisable thereafter to the extent that (i) shares are used to pay the exercise price pursuant to the "net exercise," (ii) shares are delivered to the Optionholder as a result of such exercise, and (iii) shares are withheld to satisfy tax withholding obligations.

(d) Transferability. An Option is transferable by will or by the laws of descent and distribution. An Option also is transferable (i) by instrument to an inter vivos or testamentary trust, in a form accepted by the Company, in which the Option is to be passed to beneficiaries upon the death of the trustor (settlor) and (ii) by gift, in a form accepted by the Company, to a member of the "immediate family" of the Optionholder as that term is defined in 17 C.F.R. 240.16a-1(e). An Option shall be exercisable during the lifetime of the Optionholder only by the Optionholder and a permitted transferee as provided herein. However, the Optionholder may, by delivering

written notice to the Company, in a form satisfactory to the Company, designate a third party who, in the event of the death of the Optionholder, shall thereafter be entitled to exercise the Option.

(e) Exercise Schedule. The Option shall be exercisable as the shares of Common Stock subject to the Option vest.

(f) Vesting Schedule.

(i) Each Option granted as an initial grant shall vest in accordance with the schedule set forth below that results in a shorter period of full vesting:

(1) 1/36th of the shares of Common Stock subject to the Option shall vest each month after the date of grant over a period of three (3) years;

or

(2) the Option shall vest in equal monthly installments after the date of grant over a period commencing on the date that the Optionholder is appointed for the first time to be a Non-Employee Director by the Board and ending on the date of the Annual Meeting at which the Optionholder is first scheduled to be considered for election to be a Non-Employee Director by the stockholders of the Company.

(ii) Each Option granted as an annual grant before the Annual Meeting in 2008 shall vest such that 1/36th of the shares of Common Stock subject to such Option shall vest each month after the date of grant over a period of three (3) years; and each Option granted as an annual grant on or after the Annual Meeting in 2008 shall vest such that 1/12th of the shares of Common Stock subject to such Option shall vest each month after the date of grant over a period of one (1) year.

(g) Termination of Continuous Service. In the event an Optionholder's Continuous Service terminates (other than upon the Optionholder's death or Disability), the Optionholder may exercise his or her Option (to the extent that the Optionholder was entitled to exercise it as of the date of termination) but only within such period of time ending on the earlier of (i) the date three (3) months following the termination of the Optionholder's Continuous Service, or (ii) the expiration of the term of the Option as set forth in the Option Agreement. If, after termination, the Optionholder does not exercise his or her Option within the time specified in the Option Agreement, the Option shall terminate.

(h) Extension of Termination Date. If the exercise of the Option following the termination of the Optionholder's Continuous Service (other than upon the Optionholder's death or Disability) would be prohibited at any time solely because the issuance of shares would violate the registration requirements under the Securities Act, then the Option shall terminate on the earlier of (i) the expiration of the term of the Option set forth in subsection 7(a) or (ii) the expiration of a period of three (3) months after the termination of the Optionholder's Continuous Service during which the exercise of the Option would not be in violation of such registration requirements.

(i) Disability of Optionholder. In the event an Optionholder's Continuous Service terminates as a result of the Optionholder's Disability, the Optionholder may exercise his or her Option (to the extent that the

Optionholder was entitled to exercise it as of the date of termination), but only within such period of time ending on the earlier of (i) the date twelve (12) months following such termination or (ii) the expiration of the term of the Option as set forth in the Option Agreement. If, after termination, the Optionholder does not exercise his or her Option within the time specified herein, the Option shall terminate.

(j) Death of Optionholder. In the event (i) an Optionholder's Continuous Service terminates as a result of the Optionholder's death or (ii) the Optionholder dies within the three-month period after the termination of the Optionholder's Continuous Service for a reason other than death, then the Option may be exercised (to the extent the Optionholder was entitled to exercise the Option as of the date of death) by the Optionholder's estate, by a person who acquired the right to exercise the Option by bequest or inheritance or by a person designated to exercise the Option upon the Optionholder's death, but only within the period ending on the earlier of (1) the date eighteen (18) months following the date of death or (2) the expiration of the term of such Option as set forth in the Option Agreement. If, after death, the Option is not exercised within the time specified herein, the Option shall terminate.

8. COVENANTS OF THE COMPANY.

(a) Availability of Shares. During the terms of the Options, the Company shall keep available at all times the number of shares of Common Stock required to satisfy such Options.

(b) Securities Law Compliance. The Company shall seek to obtain from each regulatory commission or agency having jurisdiction over the Plan such authority as may be required to grant Options and to issue and sell shares of Common Stock upon exercise of the Options; provided, however, that this undertaking shall not require the Company to register under the Securities Act the Plan, any Option or any stock issued or issuable pursuant to any such Option. If, after reasonable efforts, the Company is unable to obtain from any such regulatory commission or agency the authority which counsel for the Company deems necessary for the lawful issuance and sale of stock under the Plan, the Company shall be relieved from any liability for failure to issue and sell stock upon exercise of such Options unless and until such authority is obtained.

9. USE OF PROCEEDS FROM STOCK.

Proceeds from the sale of stock pursuant to Options shall constitute general funds of the Company.

10. MISCELLANEOUS.

(a) Stockholder Rights. No Optionholder shall be deemed to be the holder of, or to have any of the rights of a holder with respect to, any shares subject to such Option unless and until such Optionholder has satisfied all requirements for exercise of the Option pursuant to its terms.

(b) No Service Rights. Nothing in the Plan or any instrument executed or Option granted pursuant thereto shall confer upon any Optionholder any right to

or shall affect the right of the Company or an Affiliate to terminate (i) the employment of an Employee with or without notice and with or without cause, (ii) the service of a Consultant pursuant to the terms of such Consultant's agreement with the Company or an Affiliate or

(iii) the service of a Director pursuant to the Bylaws of the Company or an Affiliate, and any applicable provisions of the corporate law of the state in which the Company or the Affiliate is incorporated, as the case may be.

(c) **Investment Assurances.** The Company may require an Optionholder, as a condition of exercising or acquiring stock under any Option, (i) to give written assurances satisfactory to the Company as to the Optionholder's knowledge and experience in financial and business matters and/or to employ a purchaser representative reasonably satisfactory to the Company who is knowledgeable and experienced in financial and business matters and that he or she is capable of evaluating, alone or together with the purchaser representative, the merits and risks of exercising the Option; and (ii) to give written assurances satisfactory to the Company stating that the Optionholder is acquiring the stock subject to the Option for the Optionholder's own account and not with any present intention of selling or otherwise distributing the stock. The foregoing requirements, and any assurances given pursuant to such requirements, shall be inoperative if (iii) the issuance of the shares upon the exercise or acquisition of stock under the Option has been registered under a then currently effective registration statement under the Securities Act or (iv) as to any particular requirement, a determination is made by counsel for the Company that such requirement need not be met in the circumstances under the then applicable securities laws. The Company may, upon advice of counsel to the Company, place legends on stock certificates issued under the Plan as such counsel deems necessary or appropriate in order to comply with applicable securities laws, including, but not limited to, legends restricting the transfer of the stock.

(d) **Withholding Obligations.** The Optionholder may satisfy any federal, state or local tax withholding obligation relating to the exercise or acquisition of stock under an Option by any of the following means (in addition to the Company's right to withhold from any compensation paid to the Optionholder by the Company) or by a combination of such means: (i) tendering a cash payment; (ii) authorizing the Company to withhold shares from the shares of the Common Stock otherwise issuable to the Optionholder as a result of the exercise or acquisition of stock under the Option, provided, however, that no shares of Common Stock are withheld with a value exceeding the minimum amount of tax required to be withheld by law; or (iii) delivering to the Company owned and unencumbered shares of the Common Stock.

11. ADJUSTMENTS UPON CHANGES IN STOCK.

(a) **Capitalization Adjustments.** If any change is made in the stock subject to the Plan, or subject to any Option, without the receipt of consideration by the Company (through merger, consolidation, reorganization, recapitalization, reincorporation, stock dividend, dividend in property other than cash, stock split, liquidating dividend, combination of shares, exchange of shares, change in corporate structure or other transaction not involving the receipt of consideration by the Company), the Plan will be appropriately adjusted in the class(es) and maximum number of securities subject both to the Plan pursuant to subsection 4(a) and to the nondiscretionary Options specified in Section 5, and the outstanding Options will be appropriately adjusted in the class(es) and number of securities and price per share of stock subject to such outstanding Options. The Board shall make such adjustments, and its determination shall be final, binding and conclusive. (The conversion of any convertible securities of the Company shall not be treated as a transaction "without receipt of consideration" by the Company.)

(b) **Corporate Transaction.** In the event of (i) a sale, lease or other disposition of all or substantially all of the securities or assets of the Company, (ii) a merger or consolidation in which the Company is not the surviving corporation or (iii) a reverse merger in which the Company is the surviving corporation but the shares of Common Stock outstanding immediately preceding the merger are converted by virtue of the merger into other property, whether in the form of securities, cash or otherwise, then any surviving corporation or acquiring corporation may assume any Options outstanding under the Plan or may substitute similar Options (including an option to acquire the same consideration paid to the stockholders in the transaction described in this subsection 11(b)) for those outstanding under the Plan. In the event no surviving corporation or acquiring corporation assumes such Options or substitutes similar Options for those outstanding under the Plan, then with respect to Options held by Optionholders who are in Continuous Service immediately prior to such an event, the vesting of such Options (and the time during which such Options may be exercised) shall be accelerated in full, and the Options shall terminate if not exercised at or prior to such event. With respect to any other Options outstanding under the Plan, such Options shall terminate if not exercised prior to such event.

(c) **Change in Control.** Upon a Change in Control, all Options held by each Optionholder whose Continuous Service has not terminated immediately prior to the Change in Control shall become fully vested and exercisable immediately prior to the effectiveness of such Change in Control.

12. AMENDMENT OF THE PLAN AND OPTIONS.

(a) **Amendment of Plan.** The Board at any time, and from time to time, may amend the Plan. However, except as provided in Section 11 relating to adjustments upon changes in stock, no amendment shall be effective unless approved by the stockholders of the Company to the extent stockholder approval is necessary to satisfy the requirements of Rule 16b-3 or any Nasdaq or securities exchange listing requirements.

(b) **Stockholder Approval.** The Board may, in its sole discretion, submit any other amendment to the Plan for stockholder approval.

(c) **No Impairment of Rights.** Rights under any Option granted before amendment of the Plan shall not be impaired by any amendment of the Plan unless (i) the Company requests the consent of the Optionholder and (ii) the Optionholder consents in writing.

(d) **Amendment of Options.** The Board at any time, and from time to time, may amend the terms of any one or more Options; provided, however, that the rights under any Option shall not be impaired by any such amendment unless (i) the Company requests the consent of the Optionholder and (ii) the Optionholder consents in writing.

13. TERMINATION OR SUSPENSION OF THE PLAN.

(a) **Plan Term.** The Board may suspend or terminate the Plan at any time. No Options may be granted under the Plan while the Plan is suspended or after it is terminated.

(b) **No Impairment of Rights.** Suspension or termination of the Plan shall not impair rights and

obligations under any Option granted while the Plan is in effect except with the written consent of the Optionholder.

14. EFFECTIVE DATE OF PLAN.

The Plan shall become effective on the IPO Date, but no Option shall be exercised unless and until the Plan has been approved by the stockholders of the Company, which approval shall be within twelve (12) months before or after the date the Plan is adopted by the Board.

15. CHOICE OF LAW.

All questions concerning the construction, validity and interpretation of this Plan shall be governed by the law of the State of Delaware, without regard to such state's conflict of laws rules.

2008 Base Salaries for Named Executive Officers

Name and Title	Salary
James M. Gower Chief Executive Officer	\$ 600,000
Ryan D. Maynard Chief Financial Officer and Vice President	\$ 300,000
Donald G. Payan Executive Vice President, President of Discovery and Research	\$ 483,000
Elliot B. Grossbard Executive Vice President, Chief Medical Officer	\$ 450,200
Raul R. Rodriguez Executive Vice President, Chief Operating Officer	\$ 430,000

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We consent to the incorporation by reference in the Registration Statement (Form S-8 No. 333-148132), pertaining to the 2000 Equity Incentive Plan, the 2000 Employee Stock Purchase Plan and the 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc.; the Registration Statement (Form S-8 Nos. 333-51184, 333-106532, 333-125895 and 333-134622), pertaining to the 2000 Equity Incentive Plan, the 2000 Employee Stock Purchase Plan and the 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc.; the Registration Statement (Form S-8 No. 333-72492) pertaining to the 2001 Non-Officer Equity Incentive Plan of Rigel Pharmaceuticals, Inc.; the Registration Statement (Form S-8 No. 333-107062 and 333-139516) pertaining to the 2000 Employee Stock Purchase Plan of Rigel Pharmaceuticals, Inc.; the Registration Statement (Form S-8 No. 333-111782) pertaining to the 2000 Equity Incentive Plan of Rigel Pharmaceuticals, Inc.; and in the Registration Statements (Form S-3 Nos. 333-148838, 333-74906, 333-87276, 333-105431, 333-106942, 333-111777, 333-112746, 333-119785 and 333-129650) and the related Prospectuses, respectively, of our reports dated March 6, 2008, with respect to the financial statements of Rigel Pharmaceuticals, Inc., and the effectiveness of internal control over financial reporting of Rigel Pharmaceuticals, Inc. included in this Annual Report (Form 10-K) for the year ended December 31, 2007.

/s/ Ernst & Young LLP

Palo Alto, California
March 6, 2008

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[Exhibit 23.1](#)

[CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM](#)

CERTIFICATIONS

I, James M. Gower, certify that:

1. I have reviewed this annual report on Form 10-K of Rigel Pharmaceuticals, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer(s) and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f) for the registrant and have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, 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;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer(s) and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 7, 2008

/s/ JAMES M. GOWER

James M. Gower
Chief Executive Officer

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[Exhibit 31.1](#)

[CERTIFICATIONS](#)

CERTIFICATIONS

I, Ryan D. Maynard, certify that:

1. I have reviewed this annual report on Form 10-K of Rigel Pharmaceuticals, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer(s) and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f) for the registrant and have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, 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;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer(s) and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 7, 2008

/s/ RYAN D. MAYNARD

Ryan D. Maynard
Vice President and Chief Financial Officer

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[Exhibit 31.2](#)

[CERTIFICATIONS](#)

CERTIFICATION

Pursuant to the requirement set forth in Rule 13a-14(b) of the Securities Exchange Act of 1934, as amended (the "Exchange Act"), and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. §1350), James M. Gower, Chief Executive Officer of Rigel Pharmaceuticals, Inc. (the "Company"), and Ryan D. Maynard, Vice President and Chief Financial Officer of the Company, each hereby certifies that, to the best of his knowledge:

1. The Company's Annual Report on Form 10-K for the period ended December 31, 2007, to which this Certification is attached as Exhibit 32.1 (the "Periodic Report"), fully complies with the requirements of Section 13(a) or Section 15(d) of the Exchange Act, as amended; and
2. The information contained in the Annual Report fairly presents, in all material respects, the financial condition and results of operations of the Company.

In Witness Whereof, the undersigned have set their hands hereto as of March 7, 2008.

/s/ JAMES M. GOWER

/s/ RYAN D. MAYNARD

James M. Gower
Chief Executive Officer

Ryan D. Maynard
Vice President and Chief Financial Officer

This certification accompanies the Form 10-K to which it relates, is not deemed filed with the Securities and Exchange Commission and is not to be incorporated by reference into any filing of Rigel Pharmaceuticals, Inc. under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended (whether made before or after the date of the Form 10-K), irrespective of any general incorporation language contained in such filing.

QuickLinks

[Exhibit 32.1](#)

[CERTIFICATION](#)