

**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, 2005

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:

None

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

**Common Stock, par value \$0.001 per share**

(Title of Class)

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

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

The approximate aggregate market value of the Common Stock held by non-affiliates of the registrant, based upon the closing price of the registrant's Common Stock as reported on the Nasdaq National Market on June 30, 2005, the last business day of the registrant's most recently completed second fiscal quarter, was \$302,387,771. 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 28, 2006, there were 24,816,566 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 30, 2006.

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## FORWARD-LOOKING STATEMENTS

This annual report on Form 10-K, including the documents that we incorporate by reference, contains statements indicating expectations about future performance and other forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, that involve risks and uncertainties. We usually use words such as “may,” “will,” “should,” “expect,” “plan,” “anticipate,” “believe,” “estimate,” “predict,” “future,” “intend,” “potential” or “continue” or the negative of these terms 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 diseases, cancer and viral diseases. Our goal is to move one new product candidate for a significant indication into the clinic each year. We have achieved this goal each year beginning in 2002. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Rigel’s productivity has resulted in strategic collaborations with large pharmaceutical partners to discover, develop and market our product candidates. We have product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia, asthma and allergy as well as in cancer.

During 2005, we:

- Signed a collaboration agreement with Serono that grants Serono an exclusive license to develop and commercialize product candidates from our Aurora kinase inhibitor program, which includes R763 (October 2005);
- Completed a 4.2 million share public offering of our common stock that raised approximately \$81.6 million in net proceeds (July 2005);
- Initiated a clinical development program in rheumatoid arthritis with R788 (July 2005);

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- Completed a Phase 2 trial of R112 for the treatment of allergic rhinitis, which did not achieve the primary endpoint (December 2005);
  - Received a milestone payment in connection with the Merck ligase collaboration (December 2005); and
  - Announced a new collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases such as chronic obstructive pulmonary disease or, COPD (January 2005).

#### Our Strategy

Our objective is to create a portfolio of product candidates that can be developed into small molecule therapeutics for our own proprietary programs and with potential collaborative partners. We believe that producing a portfolio of many product candidates and working in conjunction with pharmaceutical companies increase our probability of development and commercial success. The product development process is subject to both high costs and high risk of failure. We believe that this approach helps minimize the risk of failure, while concurrently strategically placing us in a position to help fill the continuing product pipeline gap at major pharmaceutical companies.

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

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

#### Clinical and Preclinical Product Development Programs

We conduct research programs for our own proprietary programs as well as for programs conducted jointly through our corporate collaborations. We are currently developing multiple proprietary product candidates. The following table summarizes our clinical outlook:

Compound/Indication

Clinical Outlook

R788 in Rheumatoid Arthritis	Ph II, 2H 2006
R788 in Thrombocytopenia (ITP)	Ph II, 2H 2006
R763 in Oncology	Ph I, 1H 2006
Transplant Rejection Program	Name compound in 2006
Asthma Program	Name compound in 2006

- (1) "Phase I": Clinical testing in humans to determine safety.
- (2) "Phase II": Clinical testing in humans to determine efficacy.

### **Rheumatoid Arthritis**

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

inflammation of the joints leads to the destruction of soft tissue and erosion of the articular surfaces of the bone. The disease is estimated to affect nearly 2.1 million people in the United States.

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

*Rheumatoid arthritis program.* We intend to focus our RA program on the development of an oral, safe DMARD that can be used earlier 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 I single center, double-blind, randomized, placebo-controlled trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We are now studying R788 safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Preliminary analysis of the results of this trial suggests no adverse interaction. We expect to commence Phase II efficacy studies for RA in the second half of 2006.

### **Immune Thrombocytopenia Purpura**

*Disease background.* Immune thrombocytopenia purpura, or ITP, is a blood disorder whereby the immune system attacks and destroys platelets in the blood resulting in an abnormally low platelet count, which can result in easy bruising, bleeding gums, and internal bleeding. Rigel is focusing its ITP program on the chronic form of the disorder, targeting the underlying autoimmune cause of the disease, rather than stimulation of platelet production. Approximately 200,000 people in the U.S. suffer from ITP. The majority of cases are in women, with 50% of the new cases being found in children.

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

*ITP Program.* Platelet destruction from ITP is mediated by IgG signaling. R788 is a potent inhibitor of IgG signaling. In preclinical studies, Rigel has shown R788 to protect from thrombocytopenia in animal models and to improve thrombocytopenia in an ITP mouse model. We plan to commence Phase II clinical trials of R788 to evaluate its safety and efficacy in refractory ITP patients in the second half of 2006.

### **Asthma and Allergy**

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

watery eyes. Over 59 million people in the United States suffer from allergic disorders, and over 11 million people suffer from asthmatic disorders.

*Asthma 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 COPD. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk, or spleen tyrosine kinase, a novel drug target for respiratory diseases. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases. We expect Pfizer to advance a compound to the clinic combining their dry powder inhaler, their drug development capabilities and our novel small molecules. The first significant milestone under this collaboration is Pfizer's selection of a specific molecule to take into drug development, which we expect to occur in 2006.

*Allergic rhinitis program.* R112, our prior clinical product candidate for allergic rhinitis, failed to achieve its primary endpoint in a multi-center Phase II clinical trial completed in December 2005. We are currently evaluating alternatives on how to best proceed with our allergic rhinitis program either on our own or with a collaborative partner.

### **Oncology**

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

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

We have identified R763 as a lead compound in our Aurora kinase inhibition program, targeting cancer cell proliferation. R763 is a potent, highly-selective, small-molecule inhibitor of Aurora kinase. In October 2005, we signed a licensing agreement with Serono that grants to Serono an exclusive license to develop and commercialize R763, in addition to other product candidates arising from our Aurora kinase inhibitor program. Under the agreement, we were responsible for filing an investigational new drug application, or IND, for R763, while Serono will be responsible for the further development and commercialization of R763. We filed an IND for R763 with the Food and Drug Administration, or FDA, in December 2005 and obtained FDA approval to proceed under the IND in January 2006. We anticipate that Serono will initiate clinical trials for R763 in the first half of 2006.

## Research Programs

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

*Immunology/Inflammation.* Currently, we are researching autoimmune mediated inflammation disorders such as transplant rejection, 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

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the process of screening other compounds against various kinases in order to find additional lead compounds to potentially treat inflammation-related disorders.

We are focusing our organ transplant rejection program on inhibition of Janus Tyrosine Kinase 3, or JAK3, an attractive target based on its selectivity. JAK3 has limited expression in T & B cells, natural killer cells, mast cells, and macrophages. It also plays a critical role in lymphocyte development and function. Rigel has a series of compounds that are selective, potent inhibitors of JAK3. In preclinical studies, these compounds have shown good exposure and distribution to target tissues, are well tolerated in animal studies and have good efficacy in the rat heterotopic heart allograft model. We plan to complete transplant animal models and continue preclinical development in 2006.

*Virology.* In the area of virology, we are investigating various targets to inhibit the hepatitis C virus, or HCV, replication. In addition, we are conducting initial screening tests of potential product candidates against other viruses. We announced that we will undertake the development of various chemical scaffolds in our HCV program. We expect to bring one of these alternate scaffolds into pre-clinical studies in 2006.

*Oncology.* In the area of oncology, we are focused on inhibiting kinases as well as ligases, a new target class that also may yield possible drug targets in immunology and virology. In addition to R763, our oncology research programs are focused in two areas: ubiquitin ligases and inhibition of the tyrosine kinase receptor known as Axl.

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

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

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

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

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## Corporate Collaborations

### *Current Collaborations*

We currently have collaborations with six major pharmaceutical/biotech companies to leverage our efforts. These collaborations are: one with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics, two with Pfizer Inc.; one initiated in 1999 and the other in January 2005, relating to intrapulmonary asthma and allergy therapeutics; one with Novartis Pharma AG with four different programs relating to immunology, oncology and chronic bronchitis; one with Daiichi Pharmaceuticals Co., Ltd. in the area of oncology; one with Merck and Co., Inc., also in the area of oncology, and one with Serono, relating to our Aurora kinase inhibitor program.

### *Daiichi*

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

### *Johnson & Johnson*

From December 1998 thru 2003, we engaged in a research collaboration with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, to identify, discover and validate novel drug targets that regulate cell cycle, and, specifically, to identify drug targets and the active peptides that bind to them that can restore a mutated cell's ability to stop uncontrolled cell division. We entered into an amendment in July 2000, which expanded the collaboration by having us perform compound screening and medicinal chemistry on some of the validated targets accepted by Johnson & Johnson. We have identified several novel drug targets in this program, nine of which have been accepted

by Johnson & Johnson as validated and two of which completed high-throughput screening, or HTS, at our facilities. Johnson & Johnson continues to be obligated to pay us various milestones and royalties if certain conditions are met.

### **Merck**

In November 2004, we entered into a broad collaboration agreement with Merck to investigate ubiquitin ligases, a new class of drug target, to find treatments for cancer and potentially other diseases. Under the terms of the agreement, we received an initial cash payment and will receive funding for our research scientists for two and a half years, at which point the research phase of this collaboration will terminate. The collaboration is based on a number of new targets designated by Merck. In addition, we may nominate our own targets for potential inclusion in the collaboration. Merck is responsible for worldwide development and commercialization of any resulting compounds and will pay us royalties on future product sales, if any. Under this collaboration, if certain conditions are met, we are eligible to receive milestone payments for selection of target, preclinical and clinical events and have thus far achieved one such milestone.

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### **Novartis**

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

### **Pfizer**

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

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

### **Serono**

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

While the agreement includes a basket of compounds within the Aurora kinase inhibitor program and the potential for additional compounds, the collaboration and our efforts under the agreement are focused on R763. We are responsible for all costs associated with the preparation and filing of an IND for R763, while Serono is responsible for all development of R763 following regulatory acceptance of the IND and costs thereafter. In February 2006, we received a milestone payment upon the regulatory acceptance of the R763 IND in January 2006. We will be eligible to receive additional milestones, under certain conditions, upon commencement of a Phase I clinical trial of R763, other clinical events and marketing

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approval and royalties on any future product sales. We anticipate assisting Serono with certain studies and activities through the beginning of the Phase I clinical trial.

### **Our Discovery Engine**

The technologies that we use in connection with both our proprietary product development programs and our corporate collaborations are designed to identify protein targets for compound screening and validate the role of those targets in the disease process. Unlike genomics-based approaches, which begin by identifying genes and then search for their functions, our approach identifies proteins that are demonstrated to have an important role in a disease pathway. By understanding the disease pathway, we attempt to avoid studying genes that will not make good drug targets and focus only on the subset of expressed proteins of genes that we believe are specifically implicated in the disease process.

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

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

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

#### *Pharmacology and Preclinical Development*

We believe that the rapid characterization and optimization of lead compounds identified in HTS will generate high-quality preclinical development candidates. Our pharmacology and preclinical development group facilitates lead optimization by characterizing lead compounds with respect to pharmacokinetics, potency, efficacy and selectivity. The generation of proof-of-principle data in animals and the establishment of standard pharmacological models with which to assess lead compounds represent integral

components of lead optimization. As programs move through the lead optimization stage, our pharmacology and preclinical development group supports our chemists and biologists by performing the necessary studies, including toxicology, for investigational 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 studies. The clinical development group possesses expertise in project management and regulatory affairs.

#### **Research and Development Expenses**

Our research and development expenses were \$52.0 million in 2005, \$48.5 million in 2004 and \$41.6 million in 2003.

#### **Intellectual Property**

We are able to protect our technology from unauthorized use by third parties only to the extent that it is covered by valid and enforceable patents or is effectively maintained as a trade secret. Accordingly, patents or other proprietary rights are an essential element of our business. We have over 150 pending patent applications and over 50 issued patents in the United States that are owned by or exclusively licensed to us in our field as well as pending corresponding foreign patent applications. Our policy is to file patent applications to protect technology, inventions and improvements to inventions that are commercially important to the development of our business. We seek United States and international patent protection for a variety of technologies, including new screening methodologies and other research tools, target molecules that are associated with disease states identified in our screens, and lead compounds that can affect disease pathways. We also intend to seek patent protection or rely upon trade secret rights to protect other technologies that may be used to discover and validate targets and that may be used to identify and develop novel drugs. We seek protection, in part, through confidentiality and proprietary information agreements. We are a party to various license agreements that give us rights to use technologies in our research and development.

#### **Competition**

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

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

- identifying and validating targets;
- screening compounds against targets; and

- undertaking preclinical testing and clinical trials.

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

Competition may also arise from:

- new or better methods of target identification or validation;
- other drug development technologies and methods of preventing or reducing the incidence of disease;
- new small molecules; or
- other classes of therapeutic agents.

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

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

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

- enter commercialization agreements for our new drug compounds.

### Government Regulation

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

- must be conducted in conformance with the FDA's IND regulations;
- must meet requirements for institutional review board oversight;
- must meet requirements for informed consent;
- must meet requirements for good clinical practices;
- are subject to continuing FDA oversight;
- may require large numbers of participants; and

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- may be suspended by us, our strategic partners or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

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

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

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

### Employees

As of December 31, 2005, we had 151 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.

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### Available Information

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

### Item 1A. Risk Factors

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

#### **We 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. In July 2005, we completed a public offering in which we sold 4,197,500 shares of our common stock, including 547,500 shares issued upon exercise of an option granted to the underwriters to cover over-allotments, at a price to the public of \$20.75 per share, which resulted in net proceeds to us of approximately \$81.6 million after deducting underwriting discounts and commissions and related expenses. We believe that our existing capital resources and anticipated proceeds from current collaborations will be sufficient to support our current

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

On October 24, 2005, we signed a licensing agreement with Serono that grants to Serono an exclusive license to develop and commercialize product candidates from our Aurora kinase inhibitor program, which includes R763. Under the terms of the agreement, we received initial payments totaling \$25.0 million, comprised of a license fee of \$10.0 million and the purchase of \$15.0 million of our common stock, at a premium. During February 2006, we received a milestone payment of \$5.0 million triggered by the regulatory acceptance of the R763 IND in January 2006.

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 preclinical studies (including studies and manufacture of materials) and clinical trials 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.

**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 \$45.3 million in 2005, \$56.3 million in 2004 and \$41.2 million in 2003. 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, 2005, we had an accumulated deficit of approximately \$257.5 million. We expect to incur losses for at least the next several years and expect that these losses could increase as we expand our research and development activities and incur significant clinical and testing costs.

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

At the present time, the majority of our operations are in various stages of drug identification and development. We currently have two product compounds in the clinical testing stage: one is for RA, which is proprietary to our Company and the other is for oncology, which is partnered with Serono. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential product candidates will actually lead to successful product development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all. Our product compounds in the clinic 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, marketing, competition and costs and expenses that may exceed current estimates. The results of preliminary studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the preliminary studies. With respect to our own compounds in development, we have established anticipated timelines for clinical development based on existing knowledge of the compound. However, we cannot provide assurance that we will meet any of these timelines with respect to the initiation or completion of clinical studies. In December 2005, we completed a Phase 2 trial of R112 for the treatment of allergic rhinitis, which did not achieve the primary endpoint.

In addition, we expect Serono to initiate clinical trials of R763 in 2006. 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 assurance 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. For example, in December 2005, we completed Phase II trial of R112 for the treatment of allergic rhinitis, which did not achieve the primary endpoint.

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

Significant delays in clinical testing could materially impact our product development costs. 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. Environmental conditions may impact the execution of some clinical trials, particularly during the allergy season for our allergic rhinitis program.

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

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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 candidates, including R788 for preclinical testing and clinical trials. We relied on a single third-party contractor to produce R763. We also rely on a single manufacturer for R788 product for preclinical and clinical testing. We will rely on manufacturers to deliver materials on a timely basis and to comply with applicable regulatory requirements, including the U.S. Food and Drug Administration's, or FDA's current Good Manufacturing Practices, or GMP. These outsourcing efforts with respect to manufacturing preclinical and clinical supplies will result in a dependence on our suppliers to timely manufacture and deliver sufficient quantities of materials produced under GMP conditions to enable us to conduct planned preclinical studies, clinical trials and, if possible, to bring products to market in a timely manner.

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

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

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

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

To date, most of our revenues have been related to the research phase of each of our collaborative agreements. Such revenues are for specified periods, and the impact of such revenues on our results of operations is partially offset by corresponding research costs. Following the completion of the research

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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 and Serono. Under many agreements, however, milestone payments may not be earned until the collaborator has advanced products 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 December 2003, and the research phases conducted at our facilities under our broad collaboration with Novartis ended in July 2004. The research phase of our corporate collaboration agreement with Daiichi ended in August 2005. In November 2004, we signed a new corporate collaboration with Merck, in January 2005 we signed an additional collaboration with Pfizer, and in October 2005 we signed an additional collaboration with Serono. These agreements could be terminated by the other party, and we may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all. If these collaborations terminate or are not renewed, any resultant loss of revenues from these collaborations or loss of the expertise of our collaborative partners could adversely affect our business.

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

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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 150 pending patent applications and over 50 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;

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- 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;

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- consume a substantial portion of our managerial and financial resources; and
- result in litigation or administrative proceedings that may be costly, whether we win or lose.

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

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

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

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

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

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

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

Outside the United States, our ability, or that of our collaborative partners, to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. This

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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 151 employees as of December 31, 2005, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists, other scientists, and development, regulatory and clinical personnel. If we lose the services of any of our personnel, our research and development efforts could be seriously and adversely affected. Our employees can terminate their employment with us at any time.

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

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery and development programs depends, in part, on continued collaborations with certain of these consultants and advisors. We, and various members of our management and research staff, rely on certain

of these consultants and advisors for expertise in our research, regulatory and clinical efforts. Our scientific advisors are not our employees and may have commitments to, or consulting or advisory contracts with, other entities that may limit their availability to us. We do not know if we will be able to maintain such consulting agreements or that such scientific advisors will not enter into consulting arrangements, exclusive or otherwise, with competing pharmaceutical or biotechnology companies, any of which would have a detrimental impact on our research objectives and could have a material adverse effect on our business, financial condition and results of operations.

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

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the event of contamination or injury, we could be held liable for damages that result, and such liability could exceed our resources. We are also subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

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

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

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

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

- the progress and success of preclinical activities (i.e., studies, manufacture of materials) and clinical trials 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;

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- regulatory developments in the United States and foreign countries;
  - litigation;
  - economic and other external factors or other disaster or crisis; and
  - period-to-period fluctuations in financial results.

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

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

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

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

**Item 1B. Unresolved Staff Comments**

None.

**Item 2. Properties**

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

**Item 3. Legal Proceedings**

None.

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

None.

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**PART II**

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

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

	<u>High</u>	<u>Low</u>
<b>Year Ended December 31, 2004</b>		
First Quarter	\$ 26.50	\$ 16.20
Second Quarter	\$ 23.66	\$ 12.92
Third Quarter	\$ 25.32	\$ 10.86
Fourth Quarter	\$ 29.00	\$ 22.40

**Year Ended December 31, 2005**

First Quarter	\$ 24.99	\$ 15.56
Second Quarter	\$ 20.24	\$ 14.52
Third Quarter	\$ 23.79	\$ 18.83
Fourth Quarter	\$ 24.86	\$ 7.43

On February 28, 2006, the last reported sale price for our common stock on the Nasdaq National Market was \$9.50 per share.

**Holders**

As of February 28, 2006, there were approximately 223 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.

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**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,				
	2005	2004	2003	2002	2001
	(in thousands, except per share amounts)				
<b>Statements of Operations Data:</b>					
Contract revenues from collaborations	\$ 16,526	\$ 4,733	\$ 11,055	\$ 15,788	\$ 15,303
Costs and expenses:					
Research and development	52,038	48,523	41,649	40,800	30,806
General and administrative	12,410	13,077	10,233	12,004	9,457
	64,448	61,600	51,882	52,804	40,263
Loss from operations	(47,922)	(56,867)	(40,827)	(37,016)	(24,960)
Loss on disposal/sale of property and equipment	—	(30)	(169)	—	—
Interest income	2,942	966	374	856	1,957
Interest expense	(276)	(324)	(575)	(870)	(802)
Net loss	(45,256)	(56,255)	(41,197)	(37,030)	(23,805)
Loss per common share, basic and diluted	\$ (2.07)	\$ (3.12)	\$ (3.62)	\$ (7.41)	\$ (5.75)
Weighted average common shares used in computing loss per common share, basic and diluted	21,857	18,053	11,395	4,995	4,143

	As of December 31,				
	2005	2004	2003	2002	2001
	(in thousands)				
<b>Balance Sheet Data:</b>					
Cash, cash equivalents and available-for-sale securities	\$ 138,196	\$ 71,427	\$ 46,500	\$ 27,291	\$ 33,415
Working capital	118,949	62,821	41,907	22,493	26,371
Total assets	147,668	78,822	55,524	44,342	46,448
Capital lease obligations, less current portion	1,132	781	1,236	2,313	4,243
Deferred stock compensation	(26)	(56)	(200)	(772)	(2,452)
Accumulated deficit	(257,522)	(212,266)	(156,011)	(114,814)	(77,784)
Total stockholders’ equity	108,588	52,301	39,973	25,441	28,941

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

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**Item 7. Management’s Discussion and Analysis of Financial Condition and Results of Operations****Overview**

Rigel is a clinical stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory diseases, cancer and viral diseases. Our goal is to move one new product candidate for a significant indication into the clinic each year. We have achieved this goal each year beginning in 2002. Our 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 discover, develop and market our product candidates. We have product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia, asthma and allergy as well as in cancer.

Since 2004, we have matured into a clinical stage drug development company with multiple product candidates in development as follows:

- *R788—Product Candidate for Rheumatoid Arthritis.* R788, an oral solid dosage formulation of R406, 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 I single center, double-blind, randomized, placebo-controlled trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We are now studying R788 safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Preliminary analysis of the results of this trial suggests no adverse interaction. We expect to commence Phase II efficacy studies for RA in the second half of 2006.

*ITP Program.* Platelet destruction from ITP is mediated by IgG signaling. R788 is a potent inhibitor of IgG signaling. In preclinical studies, Rigel has shown R788 to

improve thrombocytopenia in an ITP mouse model. We plan to commence Phase II clinical trials of R788 to evaluate its safety and efficacy in refractory ITP patients in the second half of 2006.

*R763—Product Candidate for Oncology.* In July 2004, we identified R763 as a lead compound in our Aurora kinase inhibition program, targeting cancer cell proliferation. R763 is a potent, highly-selective, small-molecule inhibitor of Aurora kinase. In October 2005, we signed a licensing agreement with Serono that grants to Serono an exclusive license to develop and commercialize R763, in addition to other product candidates arising from our Aurora kinase inhibitor program. Under the agreement, we were responsible for filing an IND for R763 while Serono will be responsible for the further development and commercialization of R763. We filed the IND for R763 with the Food and Drug Administration, or FDA, in December 2005, and obtained FDA approval to proceed under the IND in January 2006. During February 2006, we received a milestone payment of \$5.0 million triggered by the regulatory acceptance. We anticipate that Serono will initiate clinical trials for R763 by in the first half of 2006.

In the first quarter of 2005, we announced that we entered into a collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as COPD. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk, or spleen tyrosine kinase, a novel drug target for respiratory diseases. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases. We expect Pfizer to advance a compound to the clinic combining their dry powder inhaler, their drug development capabilities and our novel small molecules. The first significant milestone under this collaboration is Pfizer's selection of a specific molecule to take into drug development, which we expect to occur in 2006.

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In December 2005, we announced that R112, our clinical candidate for allergic rhinitis, failed to achieve its primary endpoint in a multi-center Phase II clinical trial in December 2005. We are not planning to move R112 forward in clinical development. We are currently evaluating alternatives on how to best proceed with our allergic rhinitis program either on our own with backup compound or with a collaborative partner.

In July 2005, we announced that we will undertake the study of other chemical scaffolds in our Hepatitis C virus program because preliminary findings from pre-clinical studies of a pro-drug of R803 showed insufficient support to move forward. We expect to bring these alternate scaffolds into pre-clinical studies in 2006.

In addition to the above mentioned product candidates, we have ongoing research programs involving back-up candidates for the product candidates discussed above as well as drug discovery efforts in our immunology/inflammation, virology and oncology programs.

#### **Corporate Collaborations**

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

We are exploring new opportunities with existing and potential collaborators. Our earliest partnerships focused on the early stages of drug discovery, specifically on target discovery and validation. Our collaborations with Daiichi and recently with Merck are both later stage focusing on drug discovery and development. Our 2005 collaboration with Pfizer covers compounds at the preclinical and lead designation stages while our 2005 collaboration with Serono covers a compound for which an IND was filed in December 2005 and obtained FDA approval in January 2006. We currently anticipate that in order to support our current research programs we will need to self-fund our own research programs, which involve an increased rate of spending, to later stages of development prior to partnering with collaborative partners. Therefore, it is expected that future collaborations may have an expanded focus and could include high throughput screening, combinatorial and medicinal chemistry, preclinical evaluations and/or clinical development of compounds we have discovered. In addition, we believe these future collaborations could be structured to consist of upfront payments, the purchase of our common stock, milestone payments upon meeting certain conditions, research or development reimbursement payments and/or royalties upon commercialization of products resulting from the collaboration.

#### **Critical Accounting Policies and the Use of Estimates**

Our discussion and analysis of our financial condition and results of operations are based upon our financial statements, which have been prepared in accordance with U.S. generally accepted accounting

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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. On an on-going basis, we evaluate our estimates, including those related to terms of the research collaborations, investments, stock compensation, impairment issues, the estimated useful life of assets and contingencies. We base our estimates on historical experience and on various other assumptions that we believe to be reasonable under the circumstances, the results of which form the basis for making judgments about the carrying values of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions. We believe the following critical accounting policies affect our more significant judgments and estimates used in the preparation of our financial statements.

We believe that there have been no significant changes in our critical accounting policies during the year ended December 31, 2005 as compared to those previously disclosed in our Annual Report on Form 10-K for the year ended December 31, 2004.

#### *Revenue Recognition*

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

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in each respective agreement. The payments

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

Milestones are recognized pursuant to collaborative agreements upon the achievement of at risk milestones.

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

### Stock-based Compensation

	Years Ended December 31,			Aggregate Change	
	2005	2004	2003	2005 from 2004	2004 from 2003
	(in thousands)				
<b>Stock-based compensation (recovery)/expense from:</b>					
<i>Re-priced options</i>	\$ (1,889)	\$ 1,900	\$ 1,124	\$ (3,789)	\$ 776
<i>Consultant options</i>	(232)	430	158	(662)	272
<i>Other employee options</i>	31	236	(167)	(205)	403
<b>Total</b>	<u>\$ (2,090)</u>	<u>\$ 2,566</u>	<u>\$ 1,115</u>	<u>\$ (4,656)</u>	<u>\$ 1,451</u>

### Re-priced Options

We have recorded expenses associated with the stock options that were eligible for re-pricing under a tender offer initiated in June 2003. All replacement options, as well as the eligible options that were not surrendered under the original offer to exchange, have been treated for financial reporting purposes as variable awards. Therefore, we have recorded a non-cash charge (recovery), generally for the intrinsic value of the options as they vest, utilizing the graded vesting method, reflecting increases and decreases (down to, but not below, the exercise price) in the price of our common stock as compensation expense (recovery) in connection with the replacement options and the eligible options that were not exchanged. For the year ended December 31, 2005, we recorded a non-cash compensation recovery of \$1.9 million related to all options eligible for the replacement. The recovery resulted from the decrease in the market price of our common stock during 2005. For the years ended December 31, 2004 and 2003, we recorded non-cash compensation charges of \$1.9 million and \$1.1 million, respectively, related to all options eligible for the replacement. The amounts recorded were attributable to the increases in the market price of our common stock during the respective years.

In December 2004, the Financial Accounting Standards Board, or FASB, issued SFAS 123(R), "Share-Based Payment (Revised 2004)", which replaces SFAS 123 and supercedes APB 25. From the first quarter of fiscal year 2006, we will be required to adopt SFAS 123(R) and measure all employee share-based compensation awards using a fair value based method and record the share-based compensation expenses in our statements of operations if the requisite service to earn the award is provided. We are currently finalizing our methodology for implementing FAS 123(R) and expect the adoption of SFAS 123(R), SAB 107 and related pronouncements will have a material impact on our results of operations.

### Consultant Options

We also record expenses associated with options granted to consultants reflecting the periodic revaluation of outstanding unvested consultant options based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price. We recognized stock-based compensation recovery for revaluation of consultant options of \$232,000 for the year ended December 31, 2005 and stock-based compensation expenses of \$430,000 and \$158,000 for the years ended December 31, 2004 and 2003, respectively.

### Other Employee Options

We recorded no deferred stock compensation with respect to options granted to employees for the year ended December 31, 2005. We recorded \$58,000 of deferred stock compensation for the year ended December 31, 2004. We recorded no deferred stock compensation with respect to options granted to employees for the year ended December 31, 2003. The amount recorded in 2004 related to an option grant to a certain executive officer that was modified to reduce the vesting term. This amount has been reflected

as a component of stockholders' equity, and the deferred expense is being amortized to operations over the remaining vesting period of the option grant using the graded vesting method. As a result of our reduction in force on January 31, 2003, we recognized approximately \$599,000 of stock-based compensation recovery associated with the unvested and cancelled options of the terminated employees which had previously been recognized under the graded vesting method of deferred compensation amortization. We amortized deferred stock compensation of \$30,000, \$0.1 million and \$0.6 million for the years ended December 31, 2005, 2004 and 2003, respectively. At December 31, 2005, we had a total of \$26,000 remaining to be amortized over the remaining vesting periods of the stock options.

### Results of Operations

#### Years Ended December 31, 2005, 2004 and 2003

#### Revenues

	Years Ended December 31,			Aggregate Change	
	2005	2004	2003	2005 from 2004	2004 from 2003
	(in thousands)				
<b>Contract revenues from collaborations</b>	\$ 16,526	\$ 4,733	\$ 11,055	\$ 11,793	\$ (6,322)

Revenues by collaborator were:

	Years Ended December 31,			Aggregate Change	
	2005	2004	2003	2005 from 2004	2004 from 2003
	(in thousands)				
<i>Merck</i>	\$ 7,369	\$ 438	\$ —	\$ 6,931	\$ 438
<i>Pfizer</i>	4,241	—	—	4,241	—
<i>Serono</i>	2,473	—	—	2,473	—



<i>Daiichi</i>	2,443	2,629	4,461	(186)	(1,832)
<i>Novartis</i>	—	1,666	4,119	(1,666)	(2,453)
<i>Johnson &amp; Johnson</i>	—	—	2,475	—	(2,475)
<b>Total</b>	<b>\$ 16,526</b>	<b>\$ 4,733</b>	<b>\$ 11,055</b>	<b>\$ 11,793</b>	<b>\$ (6,322)</b>

Contract revenues from collaborations in 2005, 2004 and 2003 consisted primarily of research support and amortization of upfront fees from the continuation of our collaborations above. Revenues for 2005 and 2003 also included milestone payments from certain collaborators. In the twelve months ended December 31, 2005, revenues included a \$900,000 milestone payment from Daiichi, which primarily related to the acceptance by Daiichi of two compounds to move toward pre-clinical testing and a \$500,000 milestone for Merck related to a certain ligase target. The increase in revenues in 2005 from 2004 was primarily due to a full year of the Merck collaboration and the initiation of the Pfizer and Serono collaborations, offset by the termination of the research phase of the Novartis oncology program in 2004. The decrease in 2004 from 2003 revenues was primarily due to the termination of the research phase of the Novartis oncology program and the termination of the research phase of the Johnson & Johnson oncology program. Also, revenues in 2003 included a \$1.9 million milestone payment from Daiichi for the completion of a certain screening phase of the collaboration. The research phase of the Daiichi collaboration ended in August 2005 while the research phase of the Merck collaboration ends in May 2007. We expect contract revenues from collaborations to continue to be a significant component of our total revenues for the foreseeable future.

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#### Research and Development

	Years Ended December 31,			Aggregate Change	
	2005	2004	2003	2005 from 2004	2004 from 2003
	(in thousands)				
<b>Research and development expenses</b>	\$ 52,038	\$ 48,523	\$ 41,649	\$ 3,515	\$ 6,874
<b>Stock-based compensation (recovery)/ expense included in research and development expenses</b>	(1,467)	2,000	924	(3,467)	1,076

The increase in research and development expenses in 2005 was due to the increase in our preclinical and clinical costs and personnel costs offset by a decrease in stock-based compensation expense related to the re-priced stock options subject to variable accounting, as discussed previously under “Stock-Based Compensation” in the “Critical Accounting Policies and the Use of Estimates” section. The increase in our preclinical and clinical costs for twelve months ended December 2005 was attributable to costs associated with our R788, R763 and R112 programs offset by the decrease in costs associated with our R803 program, which was discontinued in July 2005. The costs attributable to R788 were related to our ongoing clinical trials as well as the IND enabling studies. The costs associated with R763 were related to the ongoing IND enabling studies, as well as the production of material for the upcoming clinical trials. The costs associated with R112 were related to clinical costs for the Phase II study initiated in August 2005. The increase in research and development expenses in 2004 from 2003 was primarily attributable to an increase in our preclinical and clinical costs and a stock-based compensation expense charge related to the re-priced stock options subject to variable accounting, as discussed previously under “Stock-Based Compensation” in the “Critical Accounting Policies and the Use of Estimates” section. The increase in our clinical costs in 2004 was attributable to costs associated with our Phase II clinical trial for R112, our Phase I/II clinical trial for R803, and our Phase I clinical trial for R406. The increase in our pre-clinical costs in 2004 was primarily attributable to the studies performed with R406 to enable the initiation of clinical trials in December 2004.

The scope and magnitude of future research and development expenses are difficult to predict at this time given the number of studies that will need to be conducted for any of our potential products, as well as our limited capital resources. In general, biopharmaceutical-development involves a series of steps—beginning with identification of a potential target and including, among others, proof of concept in animals and Phase I, II and III 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	
	2005	2004	2003	2005 from 2004	2004 from 2003
	(in thousands)				
<b>General and administrative expenses</b>	\$ 12,410	\$ 13,077	\$ 10,233	\$ (667)	\$ 2,844
<b>Stock-based compensation (recovery)/ expense included in general and administrative expenses</b>	(623)	566	191	(1,189)	375

The decrease in administrative expenses in 2005 from 2004 was primarily due to the decrease of stock-based compensation expense related to the re-priced stock options subject to variable accounting, as discussed previously under “Stock-Based Compensation” in the “Critical Accounting Policies and the Use of Estimates” section offset by increased personnel and facility costs. The increase in general and

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administrative expenses in 2004 from 2003 million in 2004 was primarily attributable to an increase in our intellectual property legal costs to expand our patent estate, an increase in our stock-based compensation expense charge related to the re-priced stock options subject to variable accounting, as discussed previously under “stock-based compensation” in the “Critical Accounting Policies and the Use of Estimates” section, and an increase in personnel related costs.

#### Loss on Disposal/Sale of Property and Equipment

	Years Ended December 31,			Aggregate Change	
	2005	2004	2003	2005 from 2004	2004 from 2003
	(in thousands)				
<b>Loss on disposal /sale of property and equipment</b>	\$—	\$ 30	\$ 169	\$ (30)	\$ (139)

During 2004, we wrote-off \$4,900,000 of assets at their original acquisition cost and related accumulated depreciation of \$4,870,000 for assets that are no longer in use. We recorded loss on disposal of property and equipment of \$30,000. In conjunction with our move to our new facilities in February 2003, we sold to the new tenant of our previous facility certain furniture and equipment that would no longer be needed at our new location. This sale resulted in cash proceeds of approximately \$71,000 and a loss on sale of \$169,000. The loss represents the remaining net book value of those assets net of the cash received on the sale.

	Years Ended December 31,			Aggregate Change	
	2005	2004	2003	2005 from 2004	2004 from 2003
<b>Interest income</b>	\$ 2,942	\$ 966	\$ 374	\$ 1,976	\$ 592

Interest income results from our interest-bearing cash and investment balances. The increase in interest income in 2005 from 2004 was primarily due to the increase in our investment balances as a result of the public offering we completed in July 2005 where we raised \$81.6 million in net proceeds combined with an increase in the interest rates we earn on these balances. The increase in interest income in 2004 from 2003 was primarily due to the increase in our investment balances as a result of the follow-on offering completed in early 2004.

## Interest expense

	Years Ended December 31,			Aggregate Change	
	2005	2004	2003	2005 from 2004	2004 from 2003
<b>Interest expense</b>	\$ (276)	\$ (324)	\$ (575)	\$ 48	\$ 251

Interest expense is the result of our capital lease obligations associated with fixed asset acquisitions. Interest expense was flat in 2005 as compared to 2004 due to comparable debt obligations outstanding during both years. The decrease in interest expense in 2004 from 2003 was due to the decrease in debt obligations.

## Future Accounting Requirements

In November 2005, FASB issued FSP FAS 115-1 and FAS 124-1, "The Meaning of Other-Than-Temporary Impairment and Its Application to Certain Investments" ("FSP FAS 115-1"), which provides guidance on determining when investments in certain debt and equity securities are considered impaired, whether that impairment is other-than-temporary, and on measuring such impairment loss. FSP FAS 115-1

also includes accounting considerations subsequent to the recognition of an other-than temporary impairment and requires certain disclosures about unrealized losses that have not been recognized as other-than-temporary impairments. FSP FAS 115-1 is required to be applied to reporting periods beginning after December 15, 2005. We are required to adopt FSP FAS 115-1 in the first quarter of fiscal 2006. We do not expect that the adoption of the statement will have a material impact on our consolidated results or financial condition.

In May 2005, FASB issued SFAS 154, "Accounting Changes and Error Corrections—a replacement of APB Opinion No. 20 and FASB Statement No. 3". SFAS 154 changes the requirements for the accounting for and reporting of a change in accounting principle, and applies to all voluntary changes in accounting principle. It also applies to changes required by an accounting pronouncement in the unusual instance that the pronouncement does not include specific transition provisions. This statement requires retrospective application to prior periods' financial statements of changes in accounting principle, unless it is impracticable to determine either the period-specific effects or the cumulative effect of the change. SFAS 154 is effective for accounting changes made in fiscal years beginning after December 15, 2005. We do not expect that adoption of this statement will have a material impact on our results of operations or financial condition.

In December 2004, FASB issued SFAS 123(R), "Share-Based Payment (Revised 2004)", which replaces SFAS 123 and supersedes APB 25. In March 2005, the SEC issued Staff Accounting Bulletin ("SAB") No. 107, providing supplemental implementation guidance for SFAS 123(R). In April 2005, the SEC issued "Amendment to Rule 4-01(a) of Regulation S-X Regarding the Compliance Date for Statement of Financial Accounting Standard No. 123(R), 'Share-Based Payment'" (the "Amendment to Rule 4-01(a)"), changing the effective date for most public companies to adopt SFAS 123(R) to the first interim reporting period of a company's fiscal year that begins on or after June 15, 2005. Under the Amendment to Rule 4-01(a), we are now required to adopt SFAS 123(R) in our first quarter of fiscal year 2006.

SFAS 123(R) requires that compensation costs relating to share-based payment transactions be recognized in the financial statements. The pro forma disclosure previously permitted under SFAS 123 will no longer be an acceptable alternative to recognition of expense in the financial statements. SFAS 123(R) also provides three alternative transition methods for its first adoption. Up to the end of fiscal year 2005, we had measured compensation costs related to share-based payments under APB 25 and as allowed by SFAS 123, and provided pro forma disclosure in notes to our financial statements as required by SFAS 123. On adoption of SFAS 123(R), we will use the modified prospective application transition method. SFAS 123(R) also requires a classification change in the statement of cash flows, whereby a portion of the tax benefits from stock options will be moved from cash from operating activities to cash from financing activities, without changing the total cash flows. We are currently finalizing the methodology for implementing FAS 123(R) and expect the adoption of SFAS 123(R), SAB 107 and related pronouncements will have a material impact on our results of operations.

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

related expenses. In October 2005, we signed a licensing agreement with Serono where we received initial payments totaling \$25.0 million comprised of a license fee of \$10.0 million and the purchase of \$15.0 million of our common stock at a premium. In January 2005, we signed a licensing agreement with Pfizer where we received initial payments totaling \$15.0 million comprised of a license fee of \$10.0 million and the purchase of \$5.0 million of our common stock at a premium.

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

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 preclinical activities (including studies and manufacture of materials) and clinical trials 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, 2005, we had \$138.2 million in cash, cash equivalents and available-for-sale securities, as compared to \$71.4 million as of December 31, 2004, an increase of \$66.8 million. The increase was primarily attributable to net proceeds of \$81.6 million, after deducting offering costs, from the

follow-on offering completed in July 2005 and proceeds from the sale of our common stock from collaborative arrangements with Serono and Pfizer offset by our operating spending for the year. We also received \$1.7 million under our equipment financing arrangements, which was offset by debt service payments of \$1.6 million relating to the equipment financing arrangements. For the years ended December 31, 2005 and 2004, we maintained an investment portfolio primarily in money market funds, federal agency securities, and corporate bonds and notes. Cash in excess of immediate requirements is invested with regard to liquidity and capital preservation. Wherever possible, we seek to minimize the potential effects of concentration and degrees of risk.

**Contractual Obligations**

The following are our contractual commitments (by fiscal year) as of December 31, 2005 associated with debt obligations, contracted research obligations, and lease obligations: (in thousands)

	Total	Less than 1 year	1-3 years	3-5 years	More than 5 years
	(in thousands)				
Debt obligations(1)	\$ 2,453	\$ 1,233	\$ 1,220	\$ —	\$ —
Contracted research	300	300	—	—	—
Facilities lease, net of sublease(2)(3)	167,625	11,413	27,182	26,878	102,152
Total	<u>\$ 170,378</u>	<u>\$ 12,946</u>	<u>\$ 28,402</u>	<u>\$ 26,878</u>	<u>\$ 102,152</u>

- 1) As of December 31, 2005, we had \$2.2 million in debt obligations associated with our equipment additions. All existing debt agreements as of December 31, 2005 are secured by the equipment financed, bear interest at rates in a range of 9% to 12.2% and are due in monthly installments through 2008.
- 2) During May 2004, we initiated a sublease of approximately 15,000 square feet of our premises to a tenant for a period of two years. The sublease was amended in September 2005 to extend term for an additional year. The facilities lease obligations above are reflective of the sublease income stream of approximately \$900,000.
- 3) The payments above also reflect the remaining twelve years of the lease term.

**Item 7A. Quantitative and Qualitative Disclosures about Market Risk**

The primary objective of our investment activities is to preserve principal while at the same time maximizing the income we receive from our investments without significantly increasing risk. Some of the securities in which we invest may have market risk. This means that a change in prevailing interest rates may cause the fair value amount of the investment to fluctuate. For example, if we hold a security that was issued with a fixed interest rate at the then-prevailing rate and the prevailing interest rate later rises, the market value amount of our investment will decline. To minimize this risk in the future, we intend to maintain our portfolio of cash equivalents and available-for-sale securities in a variety of securities, including money market funds and government and non-government debt securities. In 2005, 2004 and 2003, we maintained an investment portfolio primarily in money market funds, federal agency securities, and corporate bonds and notes. Due to the primarily short-term nature of these investments, we believe we do not have a material exposure to interest rate risk arising from our investments. Therefore, no quantitative tabular disclosure is provided.

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

**Item 8. Financial Statements and Supplementary Data**

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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, 2005 and 2004, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2005. 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, 2005 and 2004, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2005, in conformity with U.S. generally accepted accounting principles.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the effectiveness of Rigel Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2005, 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 3, 2006 expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Palo Alto, California  
March 3, 2006

### Report of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders  
Rigel Pharmaceuticals, Inc.

We have audited management's assessment, included in the accompanying "Management's Report on Internal Control Over Financial Reporting", that Rigel Pharmaceuticals, Inc. maintained effective internal control over financial reporting as of December 31, 2005, based on criteria established in Internal Control—Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). Rigel Pharmaceutical, Inc.'s management is responsible for maintaining effective internal control over financial reporting and for its assessment of the effectiveness of internal control over financial reporting. Our responsibility is to express an opinion on management's assessment and an opinion on the effectiveness of the company's internal control over financial reporting based on our audit.

We conducted our audit in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether effective internal control over financial reporting was maintained in all material respects. Our audit included obtaining an understanding of internal control over financial reporting, evaluating management's assessment, testing and evaluating the design and operating effectiveness of internal control, and performing such other procedures as we considered necessary in the circumstances. We believe that our audit provides a reasonable basis for our opinion.

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

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

In our opinion, management's assessment that Rigel Pharmaceuticals, Inc. maintained effective internal control over financial reporting as of December 31, 2005, is fairly stated, in all material respects, based on the COSO criteria. Also, in our opinion, Rigel Pharmaceuticals, Inc. maintained, in all material respects, effective internal control over financial reporting as of December 31, 2005, based on the COSO criteria.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the balance sheets of Rigel Pharmaceutical, Inc. as of December 31, 2005 and 2004, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2005 of Rigel Pharmaceuticals, Inc. and our report dated March 3, 2006 expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Palo Alto, California

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

	<u>December 31,</u>	
	<u>2005</u>	<u>2004</u>
<b>Assets</b>		
Current assets:		
Cash and cash equivalents	\$ 76,779	\$ 10,495
Available-for-sale securities	61,417	60,932
Accounts receivable	1,050	—
Other receivables	777	699
Prepaid expenses and other current assets	2,573	2,113
Total current assets	<u>142,596</u>	<u>74,239</u>
Property and equipment, net	3,457	2,813
Other assets	1,615	1,770
	<u>\$ 147,668</u>	<u>\$ 78,822</u>
<b>Liabilities and stockholders' equity</b>		
Current liabilities:		
Accounts payable	\$ 2,497	\$ 1,945
Accrued compensation	2,189	1,639
Other accrued liabilities	2,324	1,555
Deferred revenue	15,567	3,728
Deferred rent	—	1,230
Capital lease obligations	1,070	1,321
Total current liabilities	<u>23,647</u>	<u>11,418</u>
Long-term portion of capital lease obligations	1,132	781
Long-term portion of deferred revenue	2,771	4,180
Long-term portion of deferred rent	11,121	9,685
Other long-term liabilities	409	457
Commitments		
Stockholders' equity:		
Common stock, \$0.001 par value; 100,000,000 shares authorized; 24,814,671 and 19,661,295 shares issued and outstanding on December 31, 2005 and December 31, 2004, respectively	25	20
Additional paid-in capital	366,203	264,823
Deferred stock compensation	(26)	(56)
Accumulated other comprehensive loss	(92)	(220)
Accumulated deficit	<u>(257,522)</u>	<u>(212,266)</u>
Total stockholders' equity	<u>108,588</u>	<u>52,301</u>
	<u>\$ 147,668</u>	<u>\$ 78,822</u>

See accompanying notes.

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

	<u>Years ended December 31,</u>		
	<u>2005</u>	<u>2004</u>	<u>2003</u>
Contract revenues from collaborations	\$ 16,526	\$ 4,733	\$ 11,055
Costs and expenses:			
Research and development	52,038	48,523	41,649
General and administrative	12,410	13,077	10,233
	<u>64,448</u>	<u>61,600</u>	<u>51,882</u>
Loss from operations	(47,922)	(56,867)	(40,827)
Loss on disposal/sale of property and equipment	—	(30)	(169)
Interest income	2,942	966	374
Interest expense	(276)	(324)	(575)
Net loss	<u>(45,256)</u>	<u>(56,255)</u>	<u>(41,197)</u>
Net loss per common share, basic and diluted	<u>\$ (2.07)</u>	<u>\$ (3.12)</u>	<u>\$ (3.62)</u>
Weighted average shares used in computing net loss per common share, basic and diluted	<u>21,857</u>	<u>18,053</u>	<u>11,395</u>

See accompanying notes.

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

	Common Stock		Additional Paid-in Capital	Deferred Stock Compensation	Accumulated Other Comprehensive Income (loss)	Accumulated Deficit	Treasury Stock	Total Stockholders' Equity
	Shares	Amount						
Balance at December 31, 2002	5,078,024	\$ 5	\$141,023	\$ (772)	\$ (1)	\$(114,814)	\$ —	\$ 25,441
Net loss	—	—	—	—	—	(41,197)	—	(41,197)
Change in unrealized loss on available-for-sale securities	—	—	—	—	(12)	—	—	(12)
Comprehensive loss	—	—	—	—	—	—	—	(41,209)
Issuance of common stock at \$5.76 per share for cash, net of issuance costs	7,986,110	8	34,073	—	—	—	—	34,081
Issuance of common stock at \$5.76 per share for cash, net of issuance costs	1,615,705	2	9,103	—	—	—	—	9,105
Issuance of warrants to purchases common stock at \$5.76 per share	—	—	10,957	—	—	—	—	10,957
Fractional shares adjustment upon reverse split	(101)	—	(1)	—	—	—	—	(1)
Issuance of common stock upon exercise of options and participation in Purchase Plan	148,808	—	514	—	—	—	—	514
Purchase of common stock upon net exercise	—	—	—	—	—	—	(37)	(37)
Grant of treasury stock to an employee	—	—	2	—	—	—	4	6
Compensation expense related to options granted to consultants	—	—	159	—	—	—	—	159
Compensation expense related to repriced options	—	—	1,125	—	—	—	—	1,125
Amortization of deferred stock compensation, net of cancellations	—	—	(740)	572	—	—	—	(168)
Balance at December 31, 2003	14,828,546	15	196,215	(200)	(13)	(156,011)	(33)	39,973
Net loss	—	—	—	—	—	(56,255)	—	(56,255)
Change in unrealized loss on available-for-sale securities	—	—	—	—	(207)	—	—	(207)
Comprehensive loss	—	—	—	—	—	—	—	(56,462)
Issuance of common stock at \$20.00 per share for cash, net of issuance costs	3,135,075	3	58,338	—	—	—	—	58,341
Issuance of common stock upon exercise of options and participation in Purchase Plan	227,892	—	1,884	—	—	—	—	1,884
Issuance of common stock upon cash exercise of warrants at \$5.76	1,041,666	1	6,000	—	—	—	—	6,001
Issuance of common stock upon net exercise of warrants at \$5.76	415,687	1	—	—	—	—	—	1
Issuance of common stock upon net exercise of warrants	12,429	—	—	—	—	—	—	—
Grant of treasury stock to employees	—	—	39	—	—	—	33	72
Compensation expense related to options granted to consultants, repriced options, and an option modification	—	—	2,289	75	—	—	—	2,364
Deferred stock compensation related to option modification	—	—	58	(58)	—	—	—	—
Amortization of deferred stock compensation	—	—	—	127	—	—	—	127
Balance at December 31, 2004	19,661,295	20	264,823	(56)	(220)	(212,266)	—	52,301
Net loss	—	—	—	—	—	(45,256)	—	(45,256)
Change in unrealized loss on available-for-sale securities	—	—	—	—	128	—	—	128
Comprehensive loss	—	—	—	—	—	—	—	(45,128)
Issuance of common stock at \$20.75 per share for cash, net of issuance costs	4,197,500	4	81,586	—	—	—	—	81,590
Issuance of common stock upon exercise of Options, participation in Purchase Plan and net exercise of a warrant	219,164	—	1,915	—	—	—	—	1,915
Issuance of common stock at \$27.47 per share to 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

See accompanying notes

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

	Years ended December 31,		
	2005	2004	2003
<b>Operating activities</b>			
Net loss	\$ (45,256)	\$ (56,255)	\$ (41,197)
Adjustments to reconcile net loss to net cash used in operating activities:			
Depreciation and amortization	1,150	2,246	2,664
Amortization of deferred stock compensation, net	30	127	513
Non-cash stock compensation (recovery)/expense	(2,120)	2,367	602
Issuances of equity instruments for noncash benefits	—	72	6
Loss on disposal/sale of property and equipment	—	30	169
Changes in assets and liabilities:			
Accounts receivable	(1,050)	500	869
Other receivables	(78)	88	(520)
Prepaid expenses and other current assets	(460)	61	5,610
Other assets	155	249	406
Accounts payable	552	567	(2,082)

Accrued compensation	550	928	(88)
Other accrued liabilities	769	91	(1,198)
Deferred revenue	10,430	5,120	(3,420)
Deferred rent and other long-term liabilities	158	5,657	5,226
Net cash used in operating activities	<u>(35,170)</u>	<u>(38,152)</u>	<u>(32,440)</u>
<b>Investing activities</b>			
Purchases of available-for-sale securities	(89,584)	(96,436)	(42,135)
Maturities of available-for-sale securities	89,227	72,176	6,000
Proceeds from the sale of property and equipment	—	—	71
Capital expenditures	(1,794)	(1,545)	(1,242)
Net cash used in investing activities	<u>(2,151)</u>	<u>(25,805)</u>	<u>(37,306)</u>
<b>Financing activities</b>			
Proceeds from capital lease financing	1,656	831	1,351
Payments on capital lease obligations	(1,556)	(2,224)	(3,557)
Net proceeds from issuances of common stock and warrants	103,505	66,224	54,620
Advance from landlord	—	—	418
Net cash provided by financing activities	<u>103,605</u>	<u>64,831</u>	<u>52,832</u>
Net increase (decrease) in cash and cash equivalents	66,284	874	(16,914)
Cash and cash equivalents at beginning of period	10,495	9,621	26,535
Cash and cash equivalents at end of period	<u>\$ 76,779</u>	<u>\$ 10,495</u>	<u>\$ 9,621</u>
<b>Supplemental disclosure of cash flow information</b>			
Interest paid	<u>\$ 210</u>	<u>\$ 324</u>	<u>\$ 575</u>
<b>Schedule of non cash transactions</b>			
Deferred stock compensation	\$ —	\$ 58	\$ —

See accompanying notes.

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**Rigel Pharmaceuticals, Inc.**  
**NOTES TO FINANCIAL STATEMENTS**

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

**1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES**

**Nature of operations and basis of presentation**

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

**Financial Statement Preparation**

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

**Stock Award Plans**

We have elected to continue to follow Accounting Principles Board Opinion No. 25, or APB 25, “Accounting for Stock Issued to Employees,” to account for employee stock options because the alternative fair value method of accounting prescribed by Statement of Financial Accounting Standards, or SFAS, No. 123, “*Accounting for Stock-Based Compensation*”, as amended by SFAS No. 148 “*Accounting for Stock-Based Compensation*,” requires the use of option valuation models that were not developed for use in valuing employee stock options. Under APB 25, the intrinsic value method of accounting, no compensation expense is recognized because the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant. Stock-based compensation arising primarily from options granted to consultants and repriced options are amortized to expense over the period during which the options vest using an accelerated method. See “Recent Accounting Pronouncements” below for a discussion of a recently issued FASB Statement related to stock-based compensation.

In December 2004, the Financial Accounting Standards Board, or FASB, issued SFAS 123(R), “Share-Based Payment (Revised 2004)”, which replaces SFAS 123 and supercedes APB 25. From the first quarter of fiscal year 2006, we will be required to adopt SFAS 123(R) and measure all employee share-based compensation awards using a fair value based method and record the share-based compensation expenses in our statements of operations if the requisite service to earn the award is provided. We are finalizing our methodology for implementing FAS 123(R) and currently expect the adoption of SFAS 123(R), SAB 107 and related pronouncements will have a material impact on our results of operations.

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

**1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)**

Pro forma information regarding net loss and net loss per share has been determined as if we had accounted for our employee stock options and employee stock purchase plan 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 model, and the following table summarizes weighted-average assumptions we used:

	Stock Option Plans			Employee Stock Purchase Plan		
	2005	2004	2003	2005	2004	2003
Risk-free interest rate	4.2%	2.6%	1.3%	4.1%	3.5%	1.9%
Expected life (in years)	2.8	3.1	3.4	0.5	0.6	0.5
Dividend yield	0.0%	0.0%	0.0%	0.0%	0.0%	0.0%
Expected volatility	86.8%	85.0%	100.0%	88.3%	85.0%	100.0%

For purposes of pro forma disclosures, the estimated fair value of the options is amortized to expense using an accelerated method over the vesting period of the options. Our pro forma information follows (in thousands, except per share amounts):

	Years Ended December 31,		
	2005	2004(1)	2003(1)
Net loss—as reported:	\$ (45,256)	\$ (56,255)	\$ (41,197)
Add (Deduct): Total stock-based employee compensation (recovery)/expense determined under APB 25	(1,859)	2,135	957
Add: Total stock-based employee compensation expense determined under the fair value based method of all awards	9,401	8,506	1,949
Pro forma net loss	\$ (56,516)	\$ (62,626)	\$ (42,189)
Basic and diluted net loss per common share:			
As reported	\$ (2.07)	\$ (3.12)	\$ (3.62)
Pro forma	(2.59)	(3.47)	(3.70)

- (1) During the preparation of footnotes to the financial statements, we determined that the calculation of our pro forma net loss under SFAS No. 123 for fiscal years 2004 and 2003, as reported in those years, did not reflect the proper application of our accounting policies in accordance with SFAS No. 123. Accordingly, the amount of pro forma net loss reported under SFAS No. 123 for fiscal years 2004 and 2003 have been revised, resulting in an increase in the previously reported pro forma net loss amount of \$1,174,000 or \$0.07 per share for 2004 and a decrease in the previously reported pro forma net loss amount of \$1,117,000 or \$0.10 per share for 2003. The revision had no effect on our previously reported results of operations or financial condition.

#### Cash, cash equivalents and available-for-sale securities

We consider all highly liquid investments in debt securities with a remaining maturity from the date of purchase of 90 days or less to be cash equivalents. Cash equivalents consist of money market funds, corporate debt securities and government agencies. Our short-term investments include obligations

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

#### 1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)

of governmental agencies and corporate debt securities. By policy, we limit the concentration of credit risk by diversifying our investments among a variety of high credit-quality issuers.

All other cash equivalents and short-term investments are classified as available-for-sale securities. Available-for-sale securities are carried at fair value at December 31, 2005 and 2004. Unrealized gains (losses) are reported in stockholders' equity and included in other comprehensive income (loss). Fair value is estimated based on available market information. The cost of securities sold is based on the specific identification method. For the years ended December 31, 2005, 2004 and 2003, we did not have any realized gains and losses on available-for-sale securities. See Note 4 for a summary of available-for-sale securities at December 31, 2005 and 2004.

#### Fair value of financial instruments

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

#### Property and equipment

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

#### Revenue recognition

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

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term.

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



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

**1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)**

the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. It is our policy to recognize revenue based on our level of effort expended, however, revenue recognized will not exceed amounts billable under the arrangement which corresponds to cash receipts.

Milestones are recognized pursuant to collaborative agreements upon the achievement of these at risk milestones.

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

**Research and development**

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

**Impairment of long-lived assets**

Long-lived assets and certain identifiable intangible assets to be held and used are reviewed for impairment when events or changes in circumstances indicate that the carrying amount of such assets may not be recoverable.

**Segment reporting**

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

**Contingencies**

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

**Income Taxes**

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

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

**1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)**

in the period the change is enacted. A valuation allowance is established to reduce deferred tax assets to an amount whose realization is more likely than not.

**Net loss per share**

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

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

	<u>December 31,</u>		
	<u>2005</u>	<u>2004</u>	<u>2003</u>
Outstanding options	3,893	2,750	2,081
Warrants	91	92	1,725
Weighted average exercise price of options	\$ 15.98	\$ 11.94	\$ 8.31
Weighted average exercise price of warrants	\$ 28.39	\$ 28.33	\$ 7.17

**Recent accounting pronouncements**

In November 2005, FASB issued FASB Staff Position, or FSP, FAS 115-1 and FAS 124-1, "The Meaning of Other-Than-Temporary Impairment and Its Application to Certain Investments" ("FSP FAS 115-1"), which provides guidance on determining when investments in certain debt and equity securities are considered impaired, whether that impairment is other-than-temporary, and on measuring such impairment loss. FSP FAS 115-1 also includes accounting considerations subsequent to the recognition of an other-than temporary impairment and requires certain disclosures about unrealized losses that have not been recognized as other-than-temporary impairments. FSP FAS 115-1 is required to be applied to reporting periods beginning after December 15, 2005. We are required to adopt FSP FAS 115-1 in the first quarter of fiscal 2006. We do not expect that the adoption of the statement will have a material impact on our consolidated results or financial condition.

In May 2005, FASB issued SFAS 154, "Accounting Changes and Error Corrections—a replacement of APB Opinion No. 20 and FASB Statement No. 3". SFAS 154 changes the requirements for the accounting for and reporting of a change in accounting principle, and applies to all voluntary changes in accounting principle. It also applies to changes required by an accounting pronouncement in the unusual instance that the pronouncement does not include specific transition provisions. This statement requires retrospective application to prior periods' financial statements of changes in accounting principle, unless it is impracticable to determine either the period-specific effects or the cumulative effect of the change. SFAS 154 is effective for accounting changes made in fiscal years beginning after December 15, 2005. We do not expect that adoption of

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

**1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES (Continued)**

In December 2004, FASB issued SFAS 123(R), "Share-Based Payment (Revised 2004)", which replaces SFAS 123 and supersedes APB 25. In March 2005, the SEC issued Staff Accounting Bulletin ("SAB") No. 107, providing supplemental implementation guidance for SFAS 123(R). In April 2005, the SEC issued "Amendment to Rule 4-01(a) of Regulation S-X Regarding the Compliance Date for Statement of Financial Accounting Standard No.123(R), 'Share-Based Payment'" (the "Amendment to Rule 4-01(a)"), changing the effective date for most public companies to adopt SFAS 123(R) to the first interim reporting period of a company's fiscal year that begins on or after June 15, 2005. Under the Amendment to Rule 4-01(a), we are now required to adopt SFAS 123(R) in our first quarter of fiscal year 2006.

SFAS 123(R) requires that compensation costs relating to share-based payment transactions be recognized in the financial statements. The pro forma disclosure previously permitted under SFAS 123 will no longer be an acceptable alternative to recognition of expense in the financial statements. SFAS 123(R) also provides three alternative transition methods for its first adoption. Up to the end of fiscal year 2005, we had measured compensation costs related to share-based payments under APB 25 and as allowed by SFAS 123, and provided pro forma disclosure in notes to our financial statements as required by SFAS 123. On adoption of SFAS 123(R), we will use the modified prospective application transition method. SFAS 123(R) also requires a classification change in the statement of cash flows, whereby a portion of the tax benefits from stock options will be moved from cash from operating activities to cash from financing activities, without changing the total cash flows. We are finalizing the methodology for implementing FAS 123(R) and currently expect the adoption of SFAS 123(R), SAB 107 and related pronouncements will have a material impact on our results of operations.

**2. SPONSORED RESEARCH AND LICENSE AGREEMENTS**

**Research agreements**

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

In January 1999, we entered into a two-year collaborative research agreement with Pfizer Inc. to discover and develop various molecular targets. Upon signing of the agreement, Pfizer paid a one-time, nonrefundable, noncreditable fee. Under the terms of the contract, Pfizer provided support for research for two years. In 2001, Pfizer notified us that it was electing to exercise its option to extend the funded research portion of the collaboration one additional year to January 31, 2002 and then extended it again for one additional month to February 28, 2002. In February 2002, the research phase of our collaboration with Pfizer concluded with Pfizer accepting a total of seven validated targets. In January 2005, we signed a collaborative research and license agreement with Pfizer for the development of intrapulmonary products for the treatment of allergic asthma and chronic obstructive pulmonary disease (COPD). The collaboration is primarily focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk kinase. The goal of the collaboration is for

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

**2. SPONSORED RESEARCH AND LICENSE AGREEMENTS (Continued)**

Pfizer to nominate two of the licensed compounds in order to commence advanced preclinical development with our assistance. We will earn milestone payments upon the selection of each of the two compounds, as well as in connection with other clinical events and royalties from sales of the resulting products upon marketing approval. Pfizer is responsible for the manufacture of all preclinical and clinical materials for each compound/product and all costs associated with development and commercialization. In connection with this collaboration, Pfizer paid us \$10.0 million upfront and purchased \$5.0 million of our common stock at a premium. We are amortizing the upfront amount into revenue over 24 months which we consider to be the overall amount of time it will take Pfizer to nominate two of our compounds for advanced pre-clinical development.

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

In August 2002, we signed an agreement for the establishment of 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 has paid us \$0.9 million at the time we entered into the agreement, three milestone payments totaling \$4.6 million, and may become obligated to pay us certain other milestones payments in the future. The research phase of this three-year collaboration expired in August 2005. In addition, we are entitled to receive royalties on any commercialized products to emerge from the collaboration. Under terms of the agreement, we retain the rights to co-develop and co-promote certain products resulting from this collaboration in North America while Daiichi retains co-development and promotion rights in the remainder of the world.

In November 2004, we entered into a broad collaboration agreement with Merck & Co., Inc. to investigate ubiquitin ligases, a new class of drug target, to find treatments for cancer and potentially other diseases. At the time we entered into the agreement, we received an initial cash payment of \$7.6 million and funding for our research scientists for two and a half years. We are recognizing the upfront payment ratably over the two and a half year term of the research agreement. We are recognizing a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. The amount deferred is currently anticipated to be recognized as revenue at the end of the research phase when all of our obligations have been fulfilled under the terms of the contract. As of December 31, 2005, \$873,000 remains deferred which represents amounts invoiced to Merck from the initiation of the research term in excess of the required headcount to be allocated to the project through the balance sheet date. During December 2005, we received a \$0.5 million milestone payment from Merck triggered by their selection of a third target. We are also eligible to receive milestone payments and royalties in the future. Merck is responsible for worldwide development and commercialization of any resulting compounds and will pay Rigel royalties on future product sales, if any. The collaboration is based on a number of new targets delivered by Merck. In addition, we may nominate our own targets for potential inclusion in the collaboration.

**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 Serono granting them an exclusive license to develop and commercialize product candidates from our Aurora kinase inhibitor program. Even though the agreement includes a basket of compounds within the Aurora kinase inhibitor program, the collaboration and our efforts under the agreement are focused on R763. We are responsible for all costs associated with the preparation and filing of an IND for R763 while Serono is responsible for all development of R763 following regulatory acceptance of the IND and will bear all costs thereafter. In connection with this collaboration, Serono paid us \$10.0 million upfront and purchased \$15.0 million of our common stock at a premium. We are amortizing the upfront amount into revenue over the nine months from the initiation of the collaboration in October 2005. This is period of time that we estimate it will take to perform our deliverables such as assisting Serono with certain studies and activities leading up to the initiation of the first clinical trial to be run by Serono.

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

**3. SIGNIFICANT CONCENTRATIONS**

For the year ended December 31, 2005, Merck, Pfizer, Serono and Daiichi accounted for 45%, 26%, 14% and 15% of total revenues, respectively. For the year ended December 31, 2004, Daiichi, Novartis and Merck accounted for 56%, 35% and 9% of total revenues, respectively. For the year ended December 31, 2003, Daiichi, Novartis and Johnson and Johnson accounted for 41%, 37% and 22% of total revenues, respectively. At December 31, 2005, accounts receivable was comprised of one customer, and there was no balance in accounts receivable as of December 31, 2004. Rigel does not require collateral or other securities for accounts receivable.

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

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

	<b>December 31,</b>	
	<b>2005</b>	<b>2004</b>
Checking account	\$ 742	\$ 240
Money market funds	3,421	9,261
Federal agency securities	21,067	15,684
Corporate bonds and notes	112,966	46,242
	<u>\$ 138,196</u>	<u>\$ 71,427</u>
Reported as:		
Cash and cash equivalents	\$ 76,779	\$ 10,495
Available-for sale-securities	61,417	60,932
	<u>\$ 138,196</u>	<u>\$ 71,427</u>

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

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

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

	<b>Amortized Cost</b>	<b>Gross Unrealized Gains</b>	<b>Gross Unrealized Losses</b>	<b>Fair Value</b>
<b>2005</b>				
Federal agency securities	\$ 17,090	\$ 1	\$ (17)	\$ 17,074
Corporate bonds and note	44,419	1	(77)	44,343
Total	<u>\$ 61,509</u>	<u>\$ 2</u>	<u>\$ (94)</u>	<u>\$ 61,417</u>
<b>2004</b>				
Federal agency securities	\$ 14,715	\$ 2	\$ (27)	\$ 14,690
Corporate bonds and note	46,437	6	(201)	46,242
Total	<u>61,152</u>	<u>8</u>	<u>(228)</u>	<u>60,932</u>

As of December 31, 2005, the contractual maturities of our available-for-sale securities were (in thousands):

	<b>Years to Maturity</b>	
	<b>Within One year</b>	<b>After One year through Five Years</b>
Federal agency securities	\$ 17,074	\$ —
Corporate bonds and notes	44,343	—
Total	<u>\$ 61,417</u>	<u>\$ —</u>

At December 31, 2005, the above available-for-sale securities had a weighted average maturity of approximately 189 days. There were no realized gains or losses from sales of securities in the periods presented. We have the ability and intent to hold all investments as of December 31, 2005 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):

	<u>Fair Value</u>	<u>Unrealized (Losses)/gains</u>
Federal agency securities	\$ 12,879	\$ (17)
Corporate bonds and notes	40,615	(75)
Total	<u>\$ 53,494</u>	<u>\$ (92)</u>

At December 31, 2005, we had an investment in corporate bonds and notes with a fair market value of approximately \$1.0 million that has been in a continuous unrealized loss position for more than twelve months. As of December 31, 2005, the unrealized loss position was approximately \$1,500. We have not recorded an other-than-temporary impairment charge as of December 31, 2005, since we have the ability and intent to hold the investment to maturity at which time no gain or loss would be recognized. As of December 31, 2005, 34 individual securities were in an unrealized loss position deemed to be temporary for

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

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

less than twelve months. As of December 31, 2004, 32 individual securities were in an unrealized loss position deemed to be temporary for less than twelve months.

*Investment Grade Debt Securities.* Our investments in investment grade debt securities consist primarily of investments in federal agency securities and corporate bonds and notes. The unrealized losses on our investments in investment grade debt securities were caused by interest rate increases. Due to the fact that the decline in market value is attributable to changes in interest rates and not credit quality, and because the severity and duration of the unrealized losses were not significant, we considered these unrealized losses to be temporary at December 31, 2005.

**5. PROPERTY AND EQUIPMENT**

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

	<u>Years Ended December 31,</u>	
	<u>2005</u>	<u>2004</u>
Laboratory and office equipment	\$ 15,064	\$ 13,804
Construction in progress	556	288
Total property and equipment	15,620	14,092
Less accumulated depreciation and amortization	(12,163)	(11,279)
Property and equipment, net	<u>\$ 3,457</u>	<u>\$ 2,813</u>

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

At December 31, 2005 and 2004, equipment under capital leases was approximately \$3.9 million and \$5.9 million, respectively. Total depreciation expense, which included amortization from equipment under capital leases, was \$1.1 million, \$2.2 million, and \$2.6 million for the years ended December 31, 2005, 2004 and 2003, respectively. No leasehold amortization was incurred for the years ended December 31, 2005 and 2004. Leasehold amortization expense was \$24,000 for the year ended December 31, 2003.

**6. LONG-TERM OBLIGATIONS**

At December 31, 2005, future minimum lease payments and obligations under all noncancelable leases were as follows (in thousands):

	<u>Capital Leases</u>	<u>Operating Leases</u>
2006	\$ 1,233	\$ 11,413
2007	892	13,237
2008	328	13,945
2009	—	14,399
2010	—	12,479
2011 and thereafter	—	102,152
Total minimum payments required	2,453	<u>\$ 167,625</u>
Less amount representing interest	(251)	
Present value of future lease payments	2,202	
Less current portion	(1,070)	
Noncurrent obligations under capital leases	<u>\$ 1,132</u>	

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

**6. LONG-TERM OBLIGATIONS (Continued)**

Our current facilities were constructed as a build-to-suit facility. Under the original lease for this new facility we were obligated to fund approximately \$18.0 million of the total tenant improvements. In October 2002 we amended this original lease agreement, prior to the completion of the construction of the facility and commencement of the lease to provide for a delay of the rent commencement date until February 1, 2003 and an increase in the tenant improvement allowance from the lessor to cover all the tenant improvement construction obligations on the facility. The landlord owns 100% of these tenant improvements. The lease was also amended to increase the future rental commitments to compensate for the delay of the rent commencement and the increase in the tenant improvement allowance. Since the amendment was considered a material

change to the original lease, we revisited the proper accounting treatment for this lease per Statement of Financial Accounting Standards ("SFAS") No. 13, *Accounting for Leases* and again determined the lease to be an operating lease. We moved into the new facilities during February 2003. On January 31, 2005 we entered into another amendment with the landlord to decrease the contractual rental commitments in 2005 by approximately \$1.0 million. During May 2004, we initiated a sublease of approximately 15,000 square feet of our premises to a tenant for a period of two years. The sublease was amended in September 2005 to extend the term for an additional year. The operating minimum lease payments above are reflective of the new sublease income stream of approximately \$900,000 thru 2007.

Rent expense net of sublease income under all operating leases amounted to approximately \$13.5 million, \$14.0 million and \$13.5 million for the years ended December 31, 2005, 2004 and 2003, respectively.

In December 2002, we entered into an additional equipment lease line agreement for an aggregate total of \$2.0 million. We originally had the ability to draw down on this line until December 2003, but this date was extended to March 2004 through an agreement reached in 2003. Only \$1.4 million of this line was utilized before the drawdown period expired. The lease period is for three years. The interest on the lease is fixed at the time of any draw down and equates to approximately 10%. This line has a buyout provision of either the fair-market value of the equipment or 10% of the original utilized line amount. As of December 31, 2005, the remaining principal balance was approximately \$286,000.

In April 2004, our equipment credit line under an original master agreement originally signed in August 2000 was extended to create an additional total borrowing limit of \$2.0 million for which we drew down \$1.9 million. In June 2005, our equipment credit line under the master agreement above was extended to create an additional borrowing limit of \$1.5 million. We have the ability to draw down on this line through June 2006. The lease period will be for three years with the interest rate on the lease fixed at drawdown and equates approximately to 9.4%. At December 31, 2005, we utilized approximately \$465,000 of the 2005 extended line. Each line has a bargain purchase buyout provision of \$101. As of December 31, 2005, the remaining principal balance under both lines was approximately \$1.8 million.

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

## 7. STOCKHOLDERS' EQUITY

### Common stock

In June 2003, we completed a private placement with net proceeds of \$45.0 million led by MPM Capital and included Frazier Healthcare, Alta Partners and HBM BioVentures. In the private placement, we issued 7,986,110 shares of our common stock at a price of \$5.76 per share plus warrants (described below).

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

## 7. STOCKHOLDERS' EQUITY (Continued)

In June 2003, we initiated a rights offering pursuant to which non-transferable rights to purchase up to an aggregate of 1,736,111 shares of our common stock at a purchase price of \$5.76 per share were offered to our stockholders of record as of April 29, 2003, other than certain stockholders affiliated with the investors in the private placement completed on June 26, 2003. Each such stockholder of record received one basic subscription right to purchase 0.4508 of a share of Rigel common stock at \$5.76 per share for each share owned as of the record date. By July 25, 2003, the expiration of the rights offering period, our stockholders had elected to purchase an aggregate of 1,616,705 shares of our common stock for net proceeds to us of \$9.1 million. The shares were issued to the participating stockholders on July 31, 2003.

In February 2004, we completed a follow-on offering in which we sold 2,850,000 shares and selling stockholders sold 315,000 shares of our common stock at a price to the public of \$20.00 per share. In March 2004, the underwriters of the follow-on offering exercised their option to purchase an additional 316,750 shares of our common stock, to cover over-allotments. A total of 3,481,750 shares of our common stock were sold in the offering, of which 3,135,075 were sold by us and 346,675 were sold by selling stockholders. We received net proceeds of approximately \$58.3 million from the sale of shares offered by us, net of underwriting discounts and commissions and related expenses. We did not receive any proceeds from the sale of shares by the selling stockholders.

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

### Warrants

In conjunction with the facilities lease entered into in June 1998, we issued three warrants to the lessor to purchase an aggregate of 16,666 shares of common stock at an exercise price of \$10.26 per share. During 2005, 333 of these warrants were net exercised for the issuance of 155 shares of common stock. As of December 31, 2005, 16,333 of the warrants are outstanding and are exercisable at any time up to December 4, 2007, the seventh anniversary of the closing of our initial public offering.

In conjunction with the facilities lease entered into in May 2001, we issued a warrant to the lessor to purchase 16,666 shares of our common stock at an exercise price of \$80.21 per share, a 15% premium to market at the time of issuance. This warrant was outstanding as of December 31, 2005 and will expire on May 15, 2006. The fair market value of this warrant, as determined by 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, 2005, approximately \$550,000 remained to be amortized over the life of the lease.

In conjunction with the equipment lease line executed in January 2002, we issued a warrant to the lender to purchase 2,645 shares of our common stock at an exercise price of \$37.80 per share. This warrant was outstanding as of December 31, 2005 and will expire on January 31, 2007. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately \$66,000. This amount has been capitalized in other long-term assets and is being amortized into expense over the payment period of the equipment lease line. As of December 31, 2005, approximately \$3,800 remained to be amortized over the life of the lease.

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

## 7. STOCKHOLDERS' EQUITY (Continued)

### Stock option plans

In January 2000, we adopted, and our stockholders subsequently approved, the 2000 Equity Incentive Plan (the "2000 Plan"), which is an amendment and restatement of our 1997 Stock Option Plan. Under the 2000 Plan, incentive stock options, nonstatutory stock options and shares of common stock may be granted to our employees,

directors and consultants. In July 2001, we adopted the 2001 Non-Officer Equity Incentive Plan (the "2001 Plan"). Under the 2001 Plan, which was not approved by our stockholders, nonstatutory stock options may be granted to our employees and consultants. In April 2003, an amendment to the 2000 Plan was approved to (i) merge the 2001 Plan into the 2000 Plan and to terminate the 2001 Plan, (ii) increase the number of shares authorized for issuance under the 2000 Plan (including the available reserve from the merging of the 2001 Plan) by 1,600,000 shares of common stock, and (iii) add an evergreen feature that provides for automatic annual increases in the total number of shares reserved for issuance under the 2000 Plan. Options originally granted under our 2000 Plan and 2001 Plan expire no later than ten years from the date of grant. The option price of each incentive stock option shall be at least 100% of the fair value on the date of grant, and the option price for each nonstatutory stock option shall be not less than 85% of the fair value on the date of grant, as determined by the board of directors. Options may be granted with different vesting terms from time to time, not to exceed five years from the date of grant. In 2005, an amendment to the 2000 Plan was approved to primarily (i) increase the number of shares authorized for issuance under the 2000 Plan by 2,275,000 shares of common stock; (ii) prohibit the board of directors from repricing stock options without stockholder approval; and (iii) eliminate the "evergreen" provision feature that provides for automatic annual increases in the total number of shares reserved for issuance. As of December 31, 2005, a total of 1,487,142 shares of common stock are authorized for issuance under the 2000 Plan.

In 2000, we adopted the 2000 Non-Employee Directors Stock Option Plan (the "Directors' Plan") to (i) increase the number of shares authorized for issuance under the Directors' Plan by 66,667 shares of common stock, (ii) increase the size of the initial grants to 6,667 shares of common stock, and (iii) increase the size of the annual grant to 1,667 shares of common stock. The exercise price of options under the Directors' Plan will be equal to the fair market value of the common stock on the date of grant. The maximum term of the options granted under the Directors' Plan is ten years. All grants under the Directors' Plan will vest monthly over two years from date of grant. The Directors' Plan will terminate in September 2009, unless terminated earlier in accordance with the provisions of the Directors' Plan. In 2005, the Directors' Plan was amended to primarily: (i) increase the number of shares of common stock authorized for issuance under the Directors' Plan by 225,000 shares; (ii) increase the number of shares of common stock subject to the annual option grant to each non-employee director by 8,333 shares 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. As of December 31, 2005, a total of 207,692 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 currently outstanding under the 2000 Plan, the 2001 Plan and the Directors' Plan, for replacement options to purchase shares of our common stock to be granted under the 2000 Plan. There were outstanding eligible options to purchase an aggregate of 367,961 shares of our common stock as of June 26, 2003. Only officers, employees not on certain leaves of absence,

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

**7. STOCKHOLDERS' EQUITY (Continued)**

consultants and non-employee members of our board of directors as of June 27, 2003, who continued to be employed or providing services through the offer expiration date of July 25, 2003, were eligible to participate in the offer. We offered to conduct the exchange with respect to eligible options on a one-for-one basis. On July 28, 2003, we accepted for cancellation options to purchase an aggregate of 344,207 shares of our common stock. On July 28, 2003, 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 the grant. Subject to the continuation of the optionholders' employment, service as a consultant or service as a non-employee member of our board of directors, the replacement options will vest as follows: one-fifth of the shares covered by the replacement options will vest on the six-month anniversary of the date of grant; one-fifth of the shares covered by the replacement options will vest on the twelve-month anniversary of the date of grant; and three-fifths of the shares covered by the replacement options will vest in 24 equal monthly installments over the following two years. The replacement options will expire on August 2, 2006 (if they have not been forfeited earlier due to the optionholders' termination of employment, service as a consultant or service as a non-employee member of our board of directors). All replacement options, as well as the eligible options that were not surrendered under the original offer to exchange have been treated for financial reporting purposes as variable awards. Therefore, we recorded a non-cash charge, generally for the intrinsic value of the options as they vest using the graded vesting method, reflecting increases and decreases (down to, but not below, the exercise price) in the price of our common stock in compensation expense in connection with the replacement options and the eligible options that were not exchanged. We are currently finalizing the methodology for implementing FAS 123(R) and expect the adoption of SFAS 123(R), SAB 107 and related pronouncements will have a material impact on our results of operation. The higher the market value of our common stock, the greater the compensation expense; however a decrease in the market value creates a recovery of stock compensation expense. For the year ended December 31, 2005, we recorded a non-cash compensation recovery of \$1.9 million related to all options eligible for the replacement until the options are exercised, forfeited, or terminated. For the year ended December 31, 2004 and 2003, we recorded a non-cash compensation charges of \$1.9 and \$1.1 million related to all options eligible for the replacement.

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

**7. STOCKHOLDERS' EQUITY (Continued)**

Activity under all of the option plans through December 31, 2005 was as follows:

	Shares Available For Grant	Number of Options	Weighted- Average Exercise Price
Outstanding at January 1, 2003	406,057	718,344	\$ 31.23
Authorized for grant	1,962,689	—	
Granted	(1,991,162)	1,991,162	\$ 8.56
Exercised	—	(111,692)	\$ 2.02
Cancelled	516,595	(516,595)	\$ 42.53
Outstanding at December 31, 2003	<u>894,179</u>	<u>2,081,219</u>	\$ 8.31
Authorized for grant	392,159	—	
Granted	(840,327)	840,327	\$ 20.42
Exercised	—	(134,363)	\$ 7.93
Cancelled	37,038	(37,038)	\$ 15.11
Outstanding at December 31, 2004	<u>483,049</u>	<u>2,750,145</u>	\$ 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	<u>1,694,834</u>	<u>3,893,219</u>	\$ 15.98
Exercisable at December 31, 2005		<u>1,786,133</u>	\$ 13.25
Exercisable at December 31, 2004		<u>954,412</u>	\$ 10.72
Exercisable at December 31, 2003		<u>293,164</u>	\$ 6.77
Weighted average fair value of options granted during 2005			\$ 12.42
Weighted average fair value of options granted during 2004			\$ 11.12
Weighted average fair value of options granted during 2003			\$ 5.44

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

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
\$0.90 - \$8.15	400,210	6.57	\$ 6.74	245,448	\$ 5.86
\$8.25 - \$9.20	1,293,775	6.41	8.41	812,619	8.44
\$14.22 - \$17.66	504,258	8.51	17.25	232,349	17.04
\$17.89 - \$19.30	42,903	8.77	18.72	16,626	18.78
\$22.17 - \$23.32	949,559	8.99	22.92	415,125	22.61
\$24.08 - \$24.56	681,573	9.69	24.53	52,077	24.41
\$25.36 - \$40.50	19,791	7.54	29.53	10,739	33.05
\$73.53 - \$82.13	1,150	5.53	73.82	1,150	73.82
\$0.90 - \$82.13	<u>3,893,219</u>	7.93	\$ 15.98	<u>1,786,133</u>	\$ 13.25

We granted 21,000, 30,000 and 100 shares of common stock options to consultants in exchange for services in 2005, 2004 and 2003. We also cancelled and regranted 16,636 common stock options to

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

**7. STOCKHOLDERS' EQUITY (Continued)**

consultants in association with the repricing on July 28, 2003. We recognized stock-based compensation recovery for revaluation of consultant options of \$0.2 million for the year ended December 31, 2005 and stock-based compensation expense of \$0.4 million and \$0.2 million for the years ended December 31, 2004 and 2003, respectively.

We recorded no deferred stock compensation for the year ended December 31, 2005. We recorded deferred stock compensation with respect to options granted to employees of \$58,000 for the year ended December 31, 2004 and no deferred stock compensation for the year ended December 2003. The amount recorded in 2004 related to an option grant to a certain executive officer that was modified to reduce the vesting term. This amount has been reflected as a component of stockholders' equity, and the deferred expense is being amortized to operations over the remaining vesting period of the options using the graded vesting method. As a result of our reduction in force on January 31, 2003, we recognized approximately \$599,000 of stock-based compensation recovery associated with the unvested and cancelled options of the terminated employees, which had previously been recognized under the graded vesting method of deferred compensation amortization. We amortized deferred stock compensation of \$30,000, \$0.1 million and \$0.6 million for the years ended December 31, 2005, 2004 and 2003, respectively. At December 31, 2005, we had a total of \$26,000 remaining to be amortized over the remaining vesting periods of the stock options.

**2000 employee stock purchase plan**

In August 2000, we adopted the 2000 Employee Stock Purchase Plan, or Purchase Plan, which was approved in September 2000 by our stockholders. In April 2003, the Purchase Plan was amended to (i) increase the number of shares authorized for issuance under the Purchase Plan by 66,667 shares of common stock, and (ii) change the evergreen feature of the plan. The amendment provides that the increase in the number of shares reserved automatically pursuant to the evergreen feature will be equal to the least of 1% of the outstanding shares on the date of the annual increase, 88,888 shares or such amount as may be determined by the board. The Purchase Plan permits eligible employees to purchase common stock at a discount through payroll deductions during defined offering periods. The price at which the stock is purchased is equal to the lower 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 73,868, 93,529 and 37,112 shares of common stock during 2005, 2004 and 2003, respectively, pursuant to the Purchase Plan at an average price of \$10.07 per share, \$8.76 per share, and \$8.45 per share in 2005, 2004 and 2003, respectively. For 2005, 2004 and 2003, the weighted average fair value of stock issued under the Purchase Plan was \$8.56, \$6.71 and \$4.33, respectively. The Purchase Plan provides for annual increases in the number of shares available for issuance under the Purchase Plan on each anniversary date of the effective date of the offering. The number of shares reserved for future issuance under the Purchase Plan was increased by 88,888, 88,888 and 66,667 during 2005, 2004 and 2003, respectively.

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

**7. STOCKHOLDERS' EQUITY (Continued)**

**Reserved shares**

As of December 31, 2005, we had reserved shares of common stock for future issuance as follows:

December 31,  
2005

Warrants	91,199
Incentive stock plans	1,694,834
Purchase Plan	138,092
Total	<u>1,924,125</u>

## 8. INCOME TAXES

Deferred income taxes reflect the net tax effects of temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax purposes. Significant components of our deferred tax assets are as follows:

	December 31,	
	2005	2004
Deferred tax assets		
Net operating loss carryforwards	\$ 81,184	\$ 60,462
Research and development credits	10,224	7,637
Capitalized research and development expenses	9,004	9,749
Other, net	7,599	9,140
Total deferred tax assets	108,011	86,988
Valuation allowance	(108,011)	(86,988)
Net deferred tax assets	<u>\$ —</u>	<u>\$ —</u>

No federal or state income tax expense or benefit was recorded for the years ended December 31, 2005, 2004 and 2003, 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 \$21.0 million, \$24.1 million and \$18.5 million during 2005, 2004 and 2003, respectively.

Included in the valuation allowance balance at December 31, 2005 is \$2.5 million related to the exercise of stock options which are not reflected as an expense for financial reporting purposes. Accordingly, any future reduction in the valuation allowance relating to this amount will be credited directly to equity and not reflected as an income tax benefit in the statement of operations.

As of December 31, 2005, we had net operating loss carryforwards for federal income tax purposes of approximately \$226.0 million, which expire beginning in the year 2011 and state net operating loss carryforwards of approximately \$74.0 million, which expire beginning in the year 2013.

The Company also has federal research and development tax credits of \$6.4 million, which begin to expire in the year 2012 and state research and development tax credits of approximately \$6.0 million, which have no expiration date.

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

### 8. INCOME TAXES (Continued)

Utilization of the net operating loss and credit may be subject to a substantial annual limitation due to the ownership change limitations by the Internal Revenue Code and similar state provisions. Such an annual limitation could result in the expiration of the net operating loss before utilization.

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

	Year Ended December 31, 2005				Year Ended December 31, 2004			
	Q1	Q2	Q3	Q4	Q1	Q2	Q3	Q4
Revenue	\$ 2,618	\$ 4,606	\$ 3,282	\$ 6,020	\$ 1,487	\$ 1,487	\$ 659	\$ 1,100
Net loss	\$ (11,164)	\$ (12,293)	\$ (12,906)	\$ (8,893)	\$ (13,051)	\$ (12,342)	\$ (16,136)	\$ (14,726)
Net loss per common share, basic and diluted	\$ (0.57)	\$ (0.62)	\$ (0.56)	\$ (0.36)	\$ (0.81)	\$ (0.68)	\$ (0.88)	\$ (0.75)
Weighted average shares used in computing net loss per common share, basic and diluted	19,713	19,887	23,235	24,524	16,047	18,215	18,386	19,544

### 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, 2005. Our management's assessment of the effectiveness of our internal control over financial reporting as of December 31, 2005 has been audited by Ernst & Young LLP, an independent registered public accounting firm, as stated in its attestation report, which is set forth in "Item 8. Financial Statements and Supplementary Data" elsewhere in the annual report on Form 10-K.

#### **Changes in Internal Controls over Financial Reporting**

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

#### **Item 9B. Other Information**

None.

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### **PART III**

#### **Item 10. Directors and Executive Officers of the Registrant**

Information regarding our directors and executive officers is incorporated by reference to the information set forth under the caption "Directors and Executive Officers" in our Proxy Statement for the Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission on or prior to April 25, 2006.

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 25, 2006.

#### **Item 12. Security Ownership of Certain Beneficial Owners and Management**

Information regarding security ownership of certain beneficial owners and management is incorporated by reference to the information set forth under the caption "Security Ownership of Certain Beneficial Owners and Management" and "Equity Compensation Plan Information" in our Proxy Statement for the Annual Meeting of Stockholders to be filed with the Securities and Exchange Commission on or around April 25, 2006.

#### **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 25, 2006.

#### **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 25, 2006.

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### **PART IV**

#### **Item 15. Exhibits, Financial Statement Schedules**

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

1. Financial Statements—Index to Financial Statements in Item 8 of this annual report on Form 10-K and selected quarterly financial data for the last two years in Note 10
2. Financial Statement Schedules—None—As all required disclosures have been made in the footnotes to the financial statements.
3. Exhibits:
  - 3.1 Amended and Restated Certificate of Incorporation (filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference).
  - 3.2 Amended and Restated Bylaws (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
  - 4.1 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).
  - 4.3 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).
  - 4.7 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).
  - 4.8 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).

- 4.10 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).
- 4.23 Second Investor Rights Agreement between Rigel and certain investors, dated June 26, 2003 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2003 (No. 000-29889) and incorporated herein by reference).
- 10.1 Form of Indemnity Agreement (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.3+ 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).
- 10.4+ 2000 Employee Stock Purchase Plan, as amended (filed as an exhibit to Rigel's Registration Statement on Form S-8 (No. 333-106532) filed on June 26, 2003 and incorporated herein by reference).
- 10.6 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).
- 10.7 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).
- 10.8 Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 26, 1999 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).

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- 10.9\* 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).
  - 10.10 Collaborative Research and Development Agreement between Rigel and Neurocrine Biosciences, Inc., dated December 1997 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
  - 10.11+ Employment Agreement between Rigel and Donald Payan, dated January 16, 1997 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
  - 10.13 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).
  - 10.14\* 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).
  - 10.15 Lease termination agreement between Rigel and Britannia Pointe Grand Limited Partnership, dated May 6, 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).
  - 10.16 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).
  - 10.17 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).
  - 10.18\* 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).
  - 10.19\* 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).
  - 10.22 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).
  - 10.23 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).
  - 10.24 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).
  - 10.25\* 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).
  - 10.26\* 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).

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<u>Signature</u>	<u>Title</u>	<u>Date</u>
/s/ ALAN D. FRAZIER Alan D. Frazier	Director	March 8, 2006
/s/ GARY A. LYONS Gary A. Lyons	Director	March 8, 2006
/s/ WALTER H. MOOS Walter H. Moos	Director	March 8, 2006
/s/ HOLLINGS C. RENTON Hollings C. Renton	Director	March 8, 2006
/s/ PETER S. RINGROSE Peter S. Ringrose	Director	March 8, 2006
/s/ STEPHEN A. SHERWIN Stephen A. Sherwin	Director	March 8, 2006

### 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 Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 4.1 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).
- 4.3 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).
- 4.7 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).
- 4.8 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).
- 4.10 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).
- 4.23 Second Investor Rights Agreement between Rigel and certain investors, dated June 26, 2003 (filed as an exhibit to Rigel's Annual Report on Form 10-K for the fiscal year ended December 31, 2003 (No. 000-29889) and incorporated herein by reference).
- 10.1 Form of Indemnity Agreement (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.3+ 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).
- 10.4+ 2000 Employee Stock Purchase Plan, as amended (filed as an exhibit to Rigel's Registration Statement on Form S-8 (No. 333-106532) filed on June 26, 2003 and incorporated herein by reference).
- 10.6 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).
- 10.7 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).
- 10.8 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).
- 10.9\* 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).
- 10.10 Collaborative Research and Development Agreement between Rigel and Neurocrine Biosciences, Inc., dated December 1997 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).
- 10.11+ Employment Agreement between Rigel and Donald Payan, dated January 16, 1997 (filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference).

- 10.13 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).
- 10.14\* 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).
- 10.15 Lease termination agreement between Rigel and Britannia Pointe Grand Limited Partnership, dated May 6, 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).
- 10.16 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).
- 10.17 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).
- 10.18\* 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).
- 10.19\* 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).
- 10.22 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).
- 10.23 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).
- 10.24 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).
- 10.25\* 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).
- 10.26\* 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).
- 10.27 Master Lease Agreement between Rigel and Lighthouse Capital Partners IV, L.P., dated December 23, 2002 (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).
- 10.28+ 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).
- 10.29+ Amendment to Employment Agreement, between Rigel and Donald Payan, dated as of March 5, 2003 (filed as an exhibit to Rigel's Annual Report on Form 10-K (No. 000-29889), as amended, for the fiscal year ended December 31, 2002 and incorporated herein by reference).

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- 10.30\* 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).
  - 10.32+ 2000 Equity Incentive Plan, as amended (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on June 6, 2005 and incorporated herein by reference).
  - 10.33+ 2000 Non-Employee Directors' Stock Option Plan, as amended (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on June 6, 2005 and incorporated herein by reference).
  - 10.34+ 2006 Base Salaries for Named Executive Officers (filed as an exhibit to Rigel's Current on Form 8-K (No. 000-29889) filed on January 30, 2006 and incorporated herein by reference).
  - 23.1# Consent of Ernst & Young LLP, Independent Registered Public Accounting Firm.
  - 24.1 Power of Attorney (included on signature page).
  - 31.1# Certification required by Rule 13a-14(a) or Rule 15d-14(a).
  - 31.2# Certification required by Rule 13a-14(a) or Rule 15d-14(a).
  - 32.1° Certification required by Rule 13a-14(b) or Rule 15d-14(b) and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350).

+ Management contract or compensatory plan.

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

# Filed herewith.

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

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**CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM**

We consent to the incorporation by reference in the Registration Statement (Form S-8 Nos. 333-51184, 333-106532 and 333-125895), 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) 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. 333-74906, 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 3], 2006, with respect to the financial statements of Rigel Pharmaceuticals, Inc., Rigel Pharmaceuticals, Inc.'s management's assessment of the effectiveness of internal control over financial reporting, 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, 2005.

/s/ Ernst & Young LLP

Palo Alto, California  
March 7, 2006

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## 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 8, 2006

/s/ JAMES M. GOWER  
James M. Gower  
Chief Executive Officer

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## CERTIFICATIONS

I, James H. Welch, 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 8, 2006

/s/ JAMES H. WELCH

James H. Welch  
Vice President, Chief Financial Officer and  
Secretary

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**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 James H. Welch, 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, 2005, 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 8, 2006.

/s/ JAMES M. GOWER  
James M. Gower  
Chief Executive Officer

/s/ JAMES H. WELCH  
James H. Welch  
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.

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