

RIGEL PHARMACEUTICALS INC

Form 424B3

April 30, 2007

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This prospectus supplement relates to an effective registration statement under the Securities Act of 1933, but is not complete and may be changed. This prospectus supplement and the accompanying prospectus are not an offer to sell these securities and are not soliciting an offer to buy these securities in any state where the offer or sale is not permitted.

Filed Pursuant to Rule 424(b)(3)
Registration No. 333-129650

SUBJECT TO COMPLETION, DATED APRIL 30, 2007

PRELIMINARY PROSPECTUS SUPPLEMENT TO PROSPECTUS
DATED DECEMBER 1, 2005

5,000,000 Shares

Common Stock

We are selling 5,000,000 shares of common stock.

Our common stock is traded on the NASDAQ Global Market under the symbol "RIGL." The last reported sale price of our common stock on the NASDAQ Global Market on April 26, 2007 was \$11.57 per share.

The underwriters have an option to purchase from us a maximum of 750,000 additional shares to cover over-allotments of shares.

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

| | Price to Public | Underwriting Discounts and Commissions | Proceeds to Us |
|---|------------------------|---|-----------------------|
| Per Share | \$ | \$ | \$ |
| Total | \$ | \$ | \$ |
| Delivery of the shares of common stock will be made on or about , 2007. | | | |

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

Credit Suisse

Lehman Brothers

Thomas Weisel Partners LLC

The date of this prospectus supplement is _____, 2007.

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You should rely only on the information contained in this document or to which we have referred you. We have not authorized anyone to provide you with information that is different. This document may only be used where it is legal to sell these securities. The information in this document may only be accurate on the date of this document.

PROSPECTUS SUPPLEMENT SUMMARY

This summary highlights information contained elsewhere in this prospectus supplement. You should read the entire prospectus supplement and the accompanying prospectus carefully, particularly "Risk Factors," before making an investment decision. The name Rigel Pharmaceuticals and our logo are our trademarks. All other trademarks or tradenames referred to in this prospectus supplement are the property of their respective owners. References in this prospectus supplement to "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc.

Overview

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

During 2006 and to date in 2007, we:

Initiated a Phase 2 clinical trial in rheumatoid arthritis, or RA, with our product candidate R788;

Initiated a Phase 2 clinical trial in immune thrombocytopenia purpura, or ITP, with R788;

Initiated a Phase 1/2 clinical trial in lymphoma with R788;

Selected our product candidate R348, an orally-available selective inhibitor of janus kinase 3, or JAK3, to enter preclinical studies;

Announced that Merck Serono, S.A., or Merck Serono, initiated two Phase 1 clinical trials with our product candidate R763, the first for the treatment of patients with refractory solid tumors and the second for the treatment of patients with hematological tumors; and

Announced that Pfizer, Inc., or Pfizer, selected our product candidate R343 for advanced preclinical development in allergic asthma, triggering a \$5.0 million milestone payment to us.

Product Development Programs

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

| Pipeline | Current Stage | Outlook |
|--------------------------------|---------------|---|
| R788 Oral SYK Inhibitor | | |
| RA | Phase 2 | Top-line data expected in the second half of 2007 |
| ITP | Phase 2 | Top-line data expected by the end of 2007 |
| B-cell lymphoma | Phase 1/2 | Interim results expected in the second half of 2007; top-line data expected in 2008 |

R348 Oral JAK3 Inhibitor

| | | |
|----|-------------|--|
| RA | Preclinical | Plan to initiate a Phase 1 clinical trial by the end of 2007 |
|----|-------------|--|

R763 Oral Aurora Kinase Inhibitor

| | | |
|----------------------------|----------------------|---|
| Solid tumors | Phase 1 Merck Serono | Interim results expected in the second half of 2007 |
| Hematological malignancies | Phase 1 Merck Serono | Interim results expected in the second half of 2007 |

R343 Inhaled SYK Inhibitor

| | | |
|--------|--------------------|--|
| Asthma | Preclinical Pfizer | Pfizer scheduled to commence a Phase 1 clinical trial by the end of 2007 |
|--------|--------------------|--|

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

Clinical Stage Programs***Rheumatoid Arthritis***

Disease background. RA is an autoimmune disease characterized by chronic inflammation affecting multiple tissues, but typically produces its most pronounced symptoms in the joints. RA is often progressive and debilitating and affects nearly 2.1 million people in the United States.

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

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

R788 is our lead product candidate. It has a novel mechanism of action, blocking IgG receptor signaling in macrophages and B-cells. Previously, we studied R788 in a Phase 1 single center, double-blind, randomized placebo-controlled clinical trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We also completed a clinical trial of R788 to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this clinical trial suggested that there is not an adverse interaction between R788 and methotrexate. In September 2006, we commenced a Phase 2, multicenter, ascending dose, randomized, double-blind, placebo-controlled, dose ranging clinical trial to evaluate up to three doses of R788 over a 90-day period in RA patients who were taking methotrexate. We have completed the first dose group in the clinical trial and are currently enrolling patients in the second dose group. To date, R788 appears to be well tolerated by the first dose group. We expect to receive top-line results from this clinical trial in the second half of 2007.

Immune Thrombocytopenia Purpura

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

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

Orally-available Syk Inhibitor Program. Platelet destruction from ITP is mediated by IgG signaling, and R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We commenced an exploratory Phase 2 clinical trial of R788 in January 2007 to evaluate its safety and efficacy in chronic ITP patients. In this clinical trial, R788 was orally administered in varying doses for 30 or more days. We have observed encouraging preliminary drug activity in raising platelet counts in a number of the patients studied to date. Based on these initial results, we have submitted an amended protocol to the Food and Drug Administration, or FDA, to expand this trial to allow for a greater range of dose regimens and to continue to treat those patients that are responding beyond 90 days. We expect to receive top-line results from this clinical trial by the end of 2007.

B-Cell Lymphoma

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

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

Orally-available Syk Inhibitor Program. Research has shown that overactivity of the signaling enzyme spleen tyrosine kinase, or Syk, is an essential mechanism in several types of B-cell lymphoma survival and that R788 inhibits the growth of B-cell lymphoma driven by Syk overactivity. In April 2007, we began enrolling patients in a multicenter, Phase 1/2 clinical trial to evaluate the safety and efficacy of R788 for the treatment of patients with B-cell lymphoma. The clinical trial is expected to enroll a total of approximately 60 patients at 10 major treatment centers in the United States and will focus on certain types of B-cell lymphomas. Depending on enrollment, we expect to receive interim results from this clinical trial in the second half of 2007, with top-line results in 2008.

Preclinical Programs

We are conducting proprietary research in three broad disease areas: immunology/inflammation, virology and oncology. With each disease area, we are investigating mechanisms of action of pathogens

as well as screening compounds against potential novel intracellular targets and optimizing those leads that appear to have the greatest potential. Our most advanced preclinical program is in the area of immunology/inflammation. Currently, we are researching autoimmune mediated inflammation disorders, such as RA, transplant rejection, graft vs. host disease, psoriasis, multiple sclerosis and inflammation of the bowel. We have identified more than one kinase that may be inhibited in order to treat inflammation-related disorders, and we are in the process of screening compounds against various kinases in order to find additional lead compounds to potentially treat inflammation-related disorders.

Our most advanced preclinical program is our JAK3 program. In October 2006, we selected R348, an orally-available potent, selective JAK3 inhibitor to enter preclinical studies. JAK3 is a cytoplasmic tyrosine kinase that plays an important role in lymphocyte differentiation and proliferation in a variety of autoimmune diseases. JAK3 is an attractive target based on its selectivity. Our JAK3 program is directed at RA, organ transplant and other immune disorders, though initially we intend to focus on RA. Our objective is to initiate a Phase 1 clinical trial of R348 by the end of 2007.

Partnered Programs in Development

Oncology

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

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

We have identified R763 as a lead compound in our aurora kinase inhibition program targeting cancer cell proliferation. R763 is a potent, highly-selective, small-molecule inhibitor of aurora kinase. In October 2005, we signed a licensing agreement with Merck Serono that gave Merck Serono an exclusive license to develop and commercialize inhibitors in our aurora kinase program, including R763. Under the agreement, Merck Serono is responsible for the further development and commercialization of R763. In September 2006, Merck Serono initiated a Phase 1 multicenter clinical trial to evaluate R763 for the treatment of patients with refractory solid tumors. In February 2007, Merck Serono began an additional Phase 1 clinical trial evaluating R763 on patients with hematological malignancies. Interim results from each of these Phase 1 clinical trials are expected in the second half of 2007.

Asthma

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

Inhaled Syk Inhibitor Program. In the first quarter of 2005, we announced a collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as chronic obstructive pulmonary disease. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in

respiratory tract mast cells by blocking Syk, a novel drug target for respiratory diseases. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases.

In May 2006, Pfizer selected R343 to commence advanced preclinical development in allergic asthma via intrapulmonary delivery. Pfizer has informed us that it is scheduled to commence a Phase 1 clinical trial of R343 by the end of 2007.

Corporate Collaborations

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

Our Strategy

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

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

utilize our robust discovery engine to rapidly discover and validate new product candidates in a broad range of therapeutic indications;

develop a diverse portfolio of drug candidates that address a large range of therapeutic indications or that represent significant market opportunities;

advance at least one new product candidate or indication into the clinic each year; and

establish strategic collaborations with pharmaceutical and biotechnology companies, preferably after Phase 2 trials, to develop and market our product candidates.

We were incorporated in Delaware in June 1996, and we are based in South San Francisco, California.

THE OFFERING

| | |
|--|---|
| Common stock we are offering | 5,000,000 shares |
| Common stock outstanding immediately following this offering | 30,180,687 shares |
| Over-allotment option | 750,000 shares |
| NASDAQ Global Market symbol | RIGL |
| Use of proceeds | We anticipate using the net proceeds to us from the sale of the common stock offered by this prospectus supplement and the accompanying prospectus for research and development and general corporate purposes. |

The number of shares of common stock to be outstanding after the offering is based on the number of shares outstanding as of December 31, 2006 and excludes:

174,533 shares of common stock underlying warrants outstanding as of December 31, 2006 at a weighted average exercise price of \$13.23 per share;

4,405,211 shares of common stock underlying options outstanding as of December 31, 2006 at a weighted average exercise price of \$14.50 per share; and

1,315,261 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 67,601 shares available for issuance under our 2000 Employee Stock Purchase Plan and 160,945 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan, as of December 31, 2006.

Unless otherwise stated, all information contained in this prospectus supplement and the accompanying prospectus assumes that the underwriters do not exercise their over-allotment option.

SUMMARY FINANCIAL DATA

The table below presents summary statement of operations and balance sheet data. The summary financial data for the years ended December 31, 2004 through December 31, 2006 are derived from our audited financial statements for those periods. This information is only a summary. You should read it in conjunction with our historical financial statements and related notes contained in our annual reports, quarterly reports and other information on file with the Securities and Exchange Commission, or SEC. For more details on how you can obtain our SEC reports and other information, you should read the section of the accompanying prospectus entitled "Where You Can Find More Information". The as adjusted balance sheet data gives effect to the sale by us of 5,000,000 shares of our common stock in this offering at an assumed public offering price of \$11.57 per share, after deducting the estimated underwriting discounts and commissions and estimated offering expenses of \$300,000 payable by us.

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

| Balance sheet data | As of December 31, 2006 | |
|---|-------------------------|----------------|
| | Actual | As adjusted(1) |
| | (in thousands) | |
| Cash, cash equivalents and available-for-sale securities(2) | \$ 104,471 | \$ 158,550 |
| Working capital | 96,776 | 150,855 |
| Total assets | 113,240 | 167,319 |
| Long-term liabilities | 14,773 | 14,773 |
| Accumulated deficit | (295,159) | (295,159) |
| Total stockholders' equity | 87,229 | 141,308 |

- (1) Each \$1.00 increase (decrease) in the assumed public offering price of \$11.57 per share would increase (decrease) each of cash, cash equivalents and available-for-sale securities, working capital, total assets and total stockholders' equity by approximately \$4.7 million, assuming that the number of shares offered by us, as set forth on the cover page of this prospectus supplement, remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. We may also increase or decrease the number of shares we are offering. Each increase (decrease) of 1.0 million shares in the number of shares offered by us would increase (decrease) each of cash, cash equivalents and available-for-sale securities, working capital, total assets and total stockholders' equity by approximately \$10.9 million, assuming that the assumed

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public offering price remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. The as adjusted information discussed above is illustrative only and will adjust based on the actual public offering price and other terms of this offering determined at pricing.

(2)

While we have not finalized our full financial results for the first quarter of fiscal 2007, we expect to report that we had \$88.6 million of cash, cash equivalents and available-for-sale securities as of March 31, 2007.

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RISK FACTORS

You should consider carefully the following risks and other information in this prospectus supplement before you decide to purchase shares of our common stock. If any of the following risks actually occur, our business, financial condition and operating results could be adversely affected. As a result, the trading price of our common stock could decline and you could lose part or all of your investment.

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

We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our research and development activities. We believe that our existing capital resources and anticipated proceeds from current collaborations, together with the anticipated net proceeds of this offering, 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. As of December 31, 2006, we had cash, cash equivalents and available-for-sale securities of \$104.5 million. While we have not finalized our full financial results for the first quarter of fiscal 2007, we expect to report that we had \$88.6 million of cash, cash equivalents and available-for-sale securities as of March 31, 2007.

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

Our future funding requirements will depend on many uncertain factors.

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

the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;

our ability to establish new collaborations and to maintain our existing collaboration partnerships;

the progress of research programs carried out by us;

any changes in the breadth of our research and development programs;

our ability to meet the milestones identified in our collaborative agreements that trigger payments;

the progress of the research and development efforts of our collaborative partners;

our ability to acquire or license other technologies or compounds that we seek to pursue;

our ability to manage our growth;

competing technological and market developments;

the costs and timing of obtaining, enforcing and defending our patent and intellectual property rights;

the costs and timing of regulatory approvals and filings by us and our collaborators; and

expenses associated with unforeseen litigation.

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

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

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

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

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

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

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

Commercialization of our product candidates depends upon successful completion of preclinical studies and clinical trials. Preclinical testing and clinical development are long, expensive and uncertain processes. We do not know whether we, or any of our collaborative partners, will be permitted to undertake clinical trials of potential products beyond the trials already concluded and the trials

currently in process. It will take us or our collaborative partners several years to complete any such testing, and failure can occur at any stage of testing. Interim results of trials do not necessarily predict final results, and acceptable results in early trials may not be repeated in later trials. A number of companies in the pharmaceutical industry, including biotechnology companies, have suffered significant setbacks in advanced clinical trials, even after achieving promising results in earlier trials. Moreover, we or our collaborative partners or regulators may decide to discontinue development of any or all of these projects at any time for commercial, scientific or other reasons.

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

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

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

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

We currently do not have manufacturing capabilities or experience necessary to produce our product candidate R788. We rely on a single manufacturer for the R788 product for clinical trials. We will rely on manufacturers to deliver materials on a timely basis and to comply with applicable regulatory requirements, including the FDA's current Good Manufacturing Practices, or GMP. These outsourcing efforts with respect to manufacturing preclinical and clinical supplies will result in a dependence on our suppliers to timely manufacture and deliver sufficient quantities of materials produced under GMP conditions to enable us to conduct planned preclinical studies, clinical trials and, if possible, to bring products to market in a timely manner.

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

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

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

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

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

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

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

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if such third parties will dedicate sufficient resources or if any development or commercialization efforts by third parties will

be successful. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us for any reason including corporate restructuring, such failure might delay our ongoing research and development efforts, because we might not receive any future milestone payments, and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations.

The research phase of our collaboration with Johnson & Johnson ended in 2003, and the research phases conducted at our facilities under our broad collaboration with Novartis ended in 2004. The research phase of our corporate collaboration agreement with Daiichi ended in 2005. In 2004, we signed a new corporate collaboration with Merck, and the research phase of that collaboration will end in May 2007. In 2005, we signed additional collaborations with Pfizer and Merck Serono. Each of our collaborations could be terminated by the other party at any time, and we may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all. If these collaborations terminate or are not renewed, any resultant loss of revenues from these collaborations or loss of the expertise of our collaborative partners could adversely affect our business.

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

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

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

If conflicts arise between us and our corporate collaborators or scientific advisors, the other party may act in its self-interest and not in the interest of our stockholders. Some of our corporate collaborators are conducting multiple product development efforts within each disease area that is the subject of the collaboration with us or may be acquired or merged with a company having a competing program. In some of our collaborations, we have agreed not to conduct, independently or with any third party, any research that is competitive with the research conducted under our collaborations. Our collaborators, however, may develop, either alone or with others, products in related fields that are competitive with the products or potential products that are the subject of these collaborations. Competing products, either developed by our collaborators or to which our collaborators have rights, may result in their withdrawal of support for our product candidates.

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

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

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

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

we were the first to make the inventions covered by each of our pending patent applications;

we were the first to file patent applications for these inventions;

others will not independently develop similar or alternative technologies or duplicate any of our technologies;

any of our pending patent applications will result in issued patents;

any patents issued to us or our collaborators will provide a basis for commercially-viable products or will provide us with any competitive advantages or will not be challenged by third parties;

we will develop additional proprietary technologies that are patentable; or

the patents of others will not have a negative effect on our ability to do business.

We rely on trade secrets to protect technology where we believe patent protection is not appropriate or obtainable; however, trade secrets are difficult to protect. While we require employees, collaborators and consultants to enter into confidentiality agreements, we may not be able to adequately protect our trade secrets or other proprietary information in the event of any unauthorized use or disclosure or the lawful development by others of such information.

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

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

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

require our collaborators or us to obtain a license to continue to use, manufacture or market the affected products, methods or processes, which may not be available on commercially reasonable terms, if at all;

prevent us from using the subject matter claimed in the patents held by others;

subject us to potential liability for damages;

consume a substantial portion of our managerial and financial resources; and

result in litigation or administrative proceedings that may be costly, whether we win or lose.

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

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

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

must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;

must meet requirements for institutional review board oversight;

must meet requirements for informed consent;

are subject to continuing FDA oversight;

may require large numbers of test subjects; and

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

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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.

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

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

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

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

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

Our competitors may utilize discovery technologies and techniques or partner with collaborators in order to develop products more rapidly or successfully than we, or our collaborators, are able to do. Many of our competitors, particularly large pharmaceutical companies, have substantially greater financial, technical and human resources than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

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

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and potential drugs obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the FDA or other regulatory agencies for product candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their

competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or obtain regulatory approval in the United States or elsewhere.

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

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

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

government and health administration authorities;

private health insurers; and

other third-party payors.

Significant uncertainty exists as to the reimbursement status of newly-approved healthcare products. Third-party payors, including Medicare, are challenging the prices charged for medical products and services. Government and other third-party payors increasingly are attempting to contain healthcare costs by limiting both coverage and the level of reimbursement for new drugs and by refusing, in some cases, to provide coverage for uses of approved products for disease indications for which the FDA has not granted labeling approval. Third-party insurance coverage may not be available to patients for any products we discover and develop, alone or with collaborators. If government and other third-party payors do not provide adequate coverage and reimbursement levels for our products, the market acceptance of these products may be reduced.

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

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

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

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

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

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

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

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

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

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

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

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

the progress and success of clinical trials and preclinical activities (i.e., studies, manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;

the receipt or failure to receive the additional funding necessary to conduct our business;

selling by large stockholders;

announcements of technological innovations or new commercial products by our competitors or us;

developments concerning proprietary rights, including patents;

developments concerning our collaborations;

publicity regarding actual or potential medical results relating to products under development by our competitors or us;

regulatory developments in the United States and foreign countries;

litigation;

economic and other external factors or other disaster or crisis; and

period-to-period fluctuations in financial results.

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

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

establish that members of the board of directors may be removed only for cause upon the affirmative vote of stockholders owning a majority of our capital stock;

authorize the issuance of "blank check" preferred stock that could be issued by our board of directors to increase the number of outstanding shares and thwart a takeover attempt;

limit who may call a special meeting of stockholders;

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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.

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USE OF PROCEEDS

We estimate that the net proceeds to us from this offering will be approximately \$54,079,000 (\$62,235,850 if the underwriters' over-allotment option is exercised in full), assuming a public offering price of \$11.57 per share, after payment of estimated underwriting discounts and commissions and estimated offering expenses of \$300,000 payable by us.

We intend to use the net proceeds to us from the sale of the common stock offered by this prospectus supplement and the accompanying prospectus for research and development and general corporate purposes. We may also use a portion of the net proceeds to acquire or invest in businesses, products and technologies that are complementary to our own, although we currently are not planning or negotiating any such transactions. As of the date of this prospectus supplement, we cannot specify with certainty all of the particular uses for the net proceeds we will have upon completion of the offering. Accordingly, we will retain broad discretion over the use of these proceeds.

Pending the use of the net proceeds, we intend to invest the net proceeds in investment-grade, interest-bearing securities.

PRICE RANGE OF COMMON STOCK

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

| Year ended December 31, 2005 | High | Low |
|---|-------------|------------|
| First quarter | \$ 24.99 | \$ 15.56 |
| Second quarter | 20.24 | 14.52 |
| Third quarter | 23.79 | 18.83 |
| Fourth quarter | 24.86 | 7.43 |
| Year ended December 31, 2006 | High | Low |
| First quarter | 11.68 | 7.18 |
| Second quarter | 11.61 | 8.82 |
| Third quarter | 10.95 | 8.88 |
| Fourth quarter | 12.38 | 10.00 |
| Year ended December 31, 2007 | High | Low |
| First quarter | 12.14 | 9.31 |
| Second quarter (through April 26, 2007) | 12.46 | 10.76 |

As of February 28, 2007, there were 185 holders of record of our common stock. On April 26, 2007, the last sale price reported on the NASDAQ Global Market for our common stock was \$11.57 per share.

DIVIDEND POLICY

We have never paid our stockholders dividends, and we do not anticipate paying any cash dividends in the foreseeable future as we intend to retain any earnings for use in our business.

CAPITALIZATION

The following table shows our cash, cash equivalents and available-for-sale securities and capitalization as of December 31, 2006:

on an actual basis; and

on an as adjusted basis to give effect to the sale by us of 5,000,000 shares of our common stock in this offering at an assumed public offering price of \$11.57 per share, after deducting estimated underwriting discounts and commissions and estimated offering expenses of \$300,000 payable by us.

This table should be read with "Management's discussion and analysis of financial condition and results of operations" and our financial statements and notes thereto incorporated by reference in this prospectus supplement and the accompanying prospectus.

| | As of December 31, 2006 | |
|---|-----------------------------------|----------------|
| | Actual | As adjusted(1) |
| | (In thousands, except share data) | |
| Cash, cash equivalents and available-for-sale securities(2) | \$ 104,471 | \$ 158,550 |
| Long-term liabilities | \$ 14,773 | \$ 14,773 |
| Stockholders' equity: | | |
| Common stock, \$0.001 par value; 100,000,000 shares authorized; 25,180,687 shares issued and outstanding, actual; 30,180,687 shares issued and outstanding, as adjusted | 25 | 30 |
| Additional paid-in capital | 382,350 | 436,424 |
| Accumulated other comprehensive income | 13 | 13 |
| Accumulated deficit | (295,159) | (295,159) |
| Total stockholders' equity | 87,229 | 141,308 |
| Total capitalization | \$ 102,002 | \$ 156,081 |

(1) Each \$1.00 increase (decrease) in the assumed public offering price of \$11.57 per share would increase (decrease) each of cash, cash equivalents and available-for-sale securities, additional paid-in capital, total stockholders' equity and total capitalization by approximately \$4.7 million, assuming that the number of shares offered by us, as set forth on the cover page of this prospectus supplement, remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. We may also increase or decrease the number of shares we are offering. Each increase (decrease) of 1.0 million shares in the number of shares offered by us would increase (decrease) each of cash, cash equivalents and available-for-sale securities, additional paid-in capital, total stockholders' equity and total capitalization by approximately \$10.9 million, assuming that the assumed public offering price remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. The as adjusted information discussed above is illustrative only and will adjust based on the actual public offering price and other terms of this offering determined at pricing.

(2) While we have not finalized our full financial results for the first quarter of fiscal 2007, we expect to report that we had \$88.6 million of cash, cash equivalents and available-for-sale securities as of March 31, 2007.

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The number of shares of common stock outstanding is based on the number of shares outstanding as of December 31, 2006 and excludes:

174,533 shares of common stock underlying warrants outstanding as of December 31, 2006 at a weighted average exercise price of \$13.23 per share;

4,405,211 shares of common stock underlying options outstanding as of December 31, 2006 at a weighted average exercise price of \$14.50 per share; and

1,315,261 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 67,601 shares available for issuance under our 2000 Employee Stock Purchase Plan and 160,945 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan, as of December 31, 2006.

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DILUTION

The net tangible book value of our common stock on December 31, 2006 was approximately \$87.2 million, or \$3.46 per share. Net tangible book value per share is equal to the amount of our total tangible assets, less total liabilities, divided by the number of shares of common stock outstanding. Dilution in net tangible book value per share represents the difference between the amount per share paid by purchasers of shares of common stock in this offering and the net tangible book value per share of our common stock immediately afterwards. After giving effect to the sale by us of 5,000,000 shares of common stock in this offering at an assumed offering price of \$11.57 per share and after deducting estimated underwriting discounts and commissions and estimated offering expenses of \$300,000 payable by us, our net tangible book value at December 31, 2006 would have been approximately \$141.3 million, or \$4.68 per share. This represents an immediate increase in net tangible book value of \$1.22 per share to existing stockholders and an immediate dilution of \$6.89 per share to new investors purchasing shares of common stock in this offering. The following table illustrates this dilution:

| | | | |
|---|----|------|-------|
| Assumed public offering price per share | | \$ | 11.57 |
| Net tangible book value per share as of December 31, 2006 | \$ | 3.46 | |
| Increase per share attributable to new investors | | 1.22 | |
| | | | 4.68 |
| Net tangible book value per share after this offering | | | |
| Dilution per share to new investors | \$ | 6.89 | |

Each \$1.00 increase (decrease) in the assumed public offering price of \$11.57 per share would increase (decrease) our net tangible book value after this offering by approximately \$4.7 million, or approximately \$0.16 per share, and the dilution per share to new investors by approximately \$0.84 per share, assuming that the number of shares offered by us, as set forth on the cover page of this prospectus supplement, remains the same and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. We may also increase or decrease the number of shares we are offering. An increase (decrease) in the number of shares offered by us of one million shares would increase (decrease) our net tangible book value after this offering by approximately \$10.9 million, or \$0.20 per share, and the dilution per share to new investors by \$0.20 per share, assuming that the assumed public offering price remains the same, and after deducting the estimated underwriting discounts and commissions and estimated offering expenses payable by us. The information discussed above is illustrative only and will adjust based on the actual public offering price and other terms of this offering determined at pricing.

If the underwriters exercise their option in full to purchase 750,000 additional shares of common stock in this offering, the net tangible book value per share after the offering would be \$4.83 per share, the increase in the net tangible book value per share to existing stockholders would be \$1.37 per share and the dilution to the new investors would be \$6.74 per share.

The foregoing table does not take into effect further dilution to new investors that could occur upon the exercise of outstanding options having a per share exercise price less than the offering price per share in this offering. As of December 31, 2006, there were:

174,533 shares of common stock underlying warrants outstanding as of December 31, 2006 at a weighted average exercise price of \$13.23 per share;

4,405,211 shares of common stock underlying options outstanding as of December 31, 2006 at a weighted average exercise price of \$14.50 per share; and

1,315,261 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 67,601 shares available for issuance under our 2000 Employee Stock Purchase Plan and 160,945 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan, as of December 31, 2006.

**UNITED STATES FEDERAL INCOME TAX CONSEQUENCES
TO NON-UNITED STATES HOLDERS**

The following summary describes the material United States federal income and estate tax consequences of the acquisition, ownership and disposition of common stock acquired in this offering by a Non-U.S. Holder (as defined below). This discussion does not address all aspects of United States federal income and estate taxes and does not deal with foreign, state and local consequences that may be relevant to Non-U.S. Holders in light of their particular circumstances. Special rules may apply to certain Non-U.S. Holders, such as financial institutions, insurance companies, tax-exempt organizations, broker-dealers and traders in securities, United States expatriates, "controlled foreign corporations," "passive foreign investment companies," corporations that accumulate earnings to avoid United States federal income tax, persons that hold our common stock as part of a "straddle," "hedge," "conversion transaction," "synthetic security" or integrated investment, partnerships and other pass-through entities, and investors in such pass-through entities, that are subject to special treatment under the Internal Revenue Code of 1986, as amended (the "Code"). Such Non-U.S. Holders are urged to consult their own tax advisors to determine the United States federal, state, local and other tax consequences that may be relevant to them. Furthermore, the discussion below is based upon the provisions of the Code, and Treasury regulations, rulings and judicial decisions thereunder as of the date hereof, and such authorities may be repealed, revoked or modified, perhaps retroactively, so as to result in United States federal income and estate tax consequences different from those discussed below.

The following discussion is for general information only and is not tax advice. Persons considering the purchase of common stock are urged to consult their own tax advisors concerning the United States federal income and estate tax consequences in light of their particular situations as well as any consequences arising under the laws of any other taxing jurisdiction including any state, local or foreign tax consequences.

Except as otherwise described in the discussion of estate tax below, a "Non-U.S. Holder" is a beneficial holder of common stock that is not a U.S. Holder. A "U.S. Holder" means a beneficial holder of common stock that is for United States federal income tax purposes (i) an individual who is a citizen or resident of the United States, (ii) a corporation or other entity treated as a corporation created or organized in or under the laws of the United States or any political subdivision thereof, (iii) an estate the income of which is subject to United States federal income taxation regardless of its source or (iv) a trust if it (x) is subject to the primary supervision of a court within the United States and one or more United States persons have the authority to control all substantial decisions of the trust or (y) has a valid election in effect under applicable United States Treasury regulations to be treated as a United States person.

If a partnership (including any entity or arrangement treated as a partnership for United States federal income tax purposes) acquires common stock, the tax treatment of a partner will generally depend upon the status of the partner and the activities of the partnership. Persons who are partners of partnerships holding the common stock are urged to consult their tax advisors.

Distributions

Subject to the discussion below, distributions, if any, made to a Non-U.S. Holder of our common stock out of our current or accumulated earnings and profits generally will constitute dividends for United States tax purposes and will be subject to withholding tax at a 30% rate or such lower rate as may be specified by an applicable income tax treaty. To obtain a reduced rate of withholding under a treaty, a Non-U.S. Holder generally will be required to provide us with a properly-executed IRS Form W-8BEN certifying the Non-U.S. Holder's entitlement to benefits under that treaty. Treasury Regulations provide special rules to determine whether, for purposes of determining the applicability of a tax treaty, dividends paid to a Non-U.S. Holder that is an entity should be treated as paid to the

entity or to those holding an interest in that entity. If a Non-U.S. Holder holds stock through a financial institution or other agent acting on the holder's behalf, the holder will be required to provide appropriate documentation to such agent.

We generally are not required to withhold tax on dividends paid to a Non-U.S. Holder that are effectively connected with the Non-U.S. Holder's conduct of a trade or business within the United States if a properly-executed IRS Form W-8ECI, stating that the dividends are so connected, is filed with us. But see the discussion of backup withholding below. Instead, the effectively connected dividends will be subject to regular United States income tax, generally in the same manner as if the Non-U.S. Holder were a United States citizen or resident alien or a domestic corporation, as the case may be, unless a specific treaty exemption applies. A corporate Non-U.S. Holder receiving effectively connected dividends may also be subject to an additional "branch profits tax", which is imposed, under certain circumstances, at a rate of 30% (or such lower rate as may be specified by an applicable treaty) of the corporate Non-U.S. Holder's effectively connected earnings and profits, subject to certain adjustments. If you are eligible for a reduced rate of withholding tax pursuant to a tax treaty, you may obtain a refund of any excess amounts currently withheld if you file an appropriate claim for refund with the United States Internal Revenue Service (which we refer to as the "IRS").

To the extent distributions on our common stock, if any, exceed our current and accumulated earnings and profits, they will constitute a return of capital and will first reduce your basis in our common stock, but not below zero, and then will be treated as gain from the sale of stock.

Gain on disposition of common stock

A Non-U.S. Holder generally will not be subject to United States federal income tax with respect to gain realized on a sale or other disposition of our common stock unless (i) the gain is effectively connected with a trade or business of such holder in the United States and a specific treaty exemption does not apply to eliminate the tax, (ii) if a tax treaty would otherwise apply to eliminate the tax, the gain is attributable to a permanent establishment of the Non-U.S. Holder in the United States, (iii) in the case of Non-U.S. Holders who are nonresident alien individuals and hold our common stock as a capital asset, such individuals are present in the United States for 183 or more days in the taxable year of the disposition and certain other conditions are met, (iv) the Non-U.S. Holder is subject to tax pursuant to the provisions of the Code regarding the taxation of United States expatriates, or (v) we are or have been a "United States real property holding corporation" within the meaning of Code Section 897(c)(2) at any time within the shorter of the five-year period preceding such disposition or such holder's holding period. We believe that we are not, and do not anticipate becoming, a United States real property holding corporation. Even if we are treated as a United States real property holding corporation, gain realized by a Non-U.S. Holder on a disposition of our common stock will not be subject to United States federal income tax so long as (1) the Non-U.S. Holder owned directly, indirectly and constructively, no more than five percent of our common stock at all times within the shorter of (a) the five year period preceding the disposition or (b) the holder's holding period and (2) our common stock is regularly traded on an established securities market. There can be no assurance that our common stock will continue to qualify as regularly traded on an established securities market.

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

Information reporting requirements and backup withholding

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

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

Under current United States federal income tax law, information reporting and backup withholding will apply to the proceeds of a disposition of our common stock effected by or through a United States office of a broker unless the disposing holder certifies as to its non-United States status or otherwise establishes an exemption. Generally, United States information reporting and backup withholding will not apply to a payment of disposition proceeds where the transaction is effected outside the United States through a non-United States office of a non-United States broker. Backup withholding will apply to a payment of disposition proceeds if the broker has actual knowledge that the holder is a United States person.

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

Federal estate tax

An individual who at the time of death is not a citizen or resident of the United States and who is treated as the owner of, or has made certain lifetime transfers of, an interest in our common stock will be required to include the value thereof in his gross estate for United States federal estate tax purposes, and may be subject to United States federal estate tax unless an applicable estate tax treaty provides otherwise. The test for whether an individual is a resident of the United States for federal estate tax purposes differs from the test used for United States federal income tax purposes. Some individuals, therefore, may be "Non-U.S. Holders" for United States federal income tax purposes, but not for United States federal estate tax purposes, and vice versa.

THE PRECEDING DISCUSSION OF UNITED STATES FEDERAL INCOME TAX CONSIDERATIONS IS FOR GENERAL INFORMATION ONLY. IT IS NOT TAX ADVICE. EACH PROSPECTIVE INVESTOR SHOULD CONSULT ITS OWN TAX ADVISOR REGARDING THE TAX CONSEQUENCES OF PURCHASING, HOLDING AND DISPOSING OF OUR COMMON STOCK.

UNDERWRITING

Under the terms and subject to the conditions contained in an underwriting agreement dated _____, 2007, we have agreed to sell to the underwriters named below, for whom Credit Suisse Securities (USA) LLC, Lehman Brothers Inc. and Thomas Weisel Partners LLC are acting as representatives, the following respective numbers of shares of common stock:

| Underwriter | Number of Shares |
|------------------------------------|------------------|
| Credit Suisse Securities (USA) LLC | |
| Lehman Brothers Inc. | |
| Thomas Weisel Partners LLC | |
| Total | 5,000,000 |

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

We have granted to the underwriters a 30-day option to purchase on a pro rata basis up to 750,000 additional shares from us at the public offering price on the cover page of this prospectus supplement less the underwriting discounts and commissions. The option may be exercised only to cover any over-allotments of common stock.

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

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

| | Per Share | | Total | |
|--|------------------------|---------------------|------------------------|---------------------|
| | Without Over-allotment | With Over-allotment | Without Over-allotment | With Over-allotment |
| Underwriting discounts and commissions payable by us | \$ | \$ | \$ | \$ |
| Expenses payable by us | \$ | \$ | \$ | \$ |

We have agreed that we will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, or file with the SEC a registration statement under the Securities Act of 1933 (the "Securities Act") relating to, any shares of our common stock or securities convertible into or exchangeable or exercisable for any shares of our common stock, or publicly disclose the intention to make any offer, sale, pledge, disposition or filing, without the prior written consent of Credit Suisse Securities (USA) LLC and Lehman Brothers Inc. for a period of 45 days after the date of this prospectus supplement. The foregoing restrictions will not apply to issuances of shares of our common stock pursuant to the conversion or exchange of convertible or exchangeable securities or the exercise of warrants or options, in each case outstanding on the date of this prospectus supplement, grants of employee stock options pursuant to the terms of a plan in effect on the date of this prospectus supplement, or issuances of shares of our common stock pursuant to the exercise of such options.

Our officers and directors have agreed that, subject to certain exceptions, they will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, any shares of our common stock or securities convertible into or exchangeable or exercisable for any shares of our common stock, enter

into a transaction that would have the same effect, or enter into any swap, hedge or other arrangement that transfers, in whole or in part, any of the economic consequences of ownership of our common stock, whether any of these transactions are to be settled by delivery of our common stock or other securities, in cash or otherwise, or publicly disclose the intention to make any offer, sale, pledge or disposition, or to enter into any transaction, swap, hedge or other arrangement, without, in each case, the prior written consent of Credit Suisse Securities (USA) LLC and Lehman Brothers Inc. for a period of 45 days after the date of this prospectus supplement.

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

Our shares of common stock are quoted on the NASDAQ Global Market under the symbol "RIGL".

Some of the underwriters and their respective affiliates may have from time to time performed and may in the future perform various financial advisory, commercial banking and investment banking services for us in the ordinary course of business, for which they received, or will receive, customary fees.

In connection with the offering the underwriters may engage in stabilizing transactions, over-allotment transactions, syndicate covering transactions, penalty bids and passive market making in accordance with Regulation M under the Securities Exchange Act of 1934.

Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specified maximum.

Over-allotment involves sales by the underwriters of shares in excess of the number of shares the underwriters are obligated to purchase, which creates a syndicate short position. The short position may be either a covered short position or a naked short position. In a covered short position, the number of shares over-allotted by the underwriters is not greater than the number of shares that they may purchase in the over-allotment option. In a naked short position, the number of shares involved is greater than the number of shares in the over-allotment option. The underwriters may close out any covered short position by either exercising their over-allotment option and/or purchasing shares in the open market.

Syndicate covering transactions involve purchases of the common stock in the open market after the distribution has been completed in order to cover syndicate short positions. In determining the source of shares to close out the short position, the underwriters will consider, among other things, the price of shares available for purchase in the open market as compared to the price at which they may purchase shares through the over-allotment option. If the underwriters sell more shares than could be covered by the over-allotment option, a naked short position, the position can only be closed out by buying shares in the open market. A naked short position is more likely to be created if the underwriters are concerned that there could be downward pressure on the price of the shares in the open market after pricing that could adversely affect investors who purchase in the offering.

Penalty bids permit the representatives to reclaim a selling concession from a syndicate member when the common stock originally sold by the syndicate member is purchased in a stabilizing or syndicate covering transaction to cover syndicate short positions.

In passive market making, market makers in the common stock who are underwriters or prospective underwriters may, subject to limitations, make bids for or purchases of our common stock until the time, if any, at which a stabilizing bid is made.

These stabilizing transactions, syndicate covering transactions and penalty bids may have the effect of raising or maintaining the market price of our common stock or preventing or retarding a decline in

the market price of the common stock. As a result the price of our common stock may be higher than the price that might otherwise exist in the open market. These transactions may be effected on The NASDAQ Global Market or otherwise and, if commenced, may be discontinued at any time.

A prospectus in electronic format may be made available on the web sites maintained by one or more of the underwriters, or selling group members, if any, participating in this offering and one or more of the underwriters participating in this offering may distribute prospectuses electronically. The representatives may agree to allocate a number of shares to underwriters and selling group members for sale to their online brokerage account holders. Internet distributions will be allocated by the underwriters and selling group members that will make internet distributions on the same basis as other allocations.

Notice to Prospective Investors in the European Economic Area

In relation to each member state of the European Economic Area that has implemented the Prospectus Directive (each, a relevant member state), with effect from and including the date on which the Prospectus Directive is implemented in that relevant member state (the relevant implementation date), an offer of common stock described in this prospectus may not be made to the public in that relevant member state prior to the publication of a prospectus in relation to the common stock that has been approved by the competent authority in that relevant member state or, where appropriate, approved in another relevant member state and notified to the competent authority in that relevant member state, all in accordance with the Prospectus Directive, except that, with effect from and including the relevant implementation date, an offer of securities may be offered to the public in that relevant member state at any time:

to any legal entity that is authorized or regulated to operate in the financial markets or, if not so authorized or regulated, whose corporate purpose is solely to invest in securities or

to any legal entity that has two or more of (1) an average of at least 250 employees during the last financial year; (2) a total balance sheet of more than €43,000,000 and (3) an annual net turnover of more than €50,000,000, as shown in its last annual or consolidated accounts or

in any other circumstances that do not require the publication of a prospectus pursuant to Article 3 of the Prospectus Directive.

Each purchaser of common stock described in this prospectus located within a relevant member state will be deemed to have represented, acknowledged and agreed that it is a "qualified investor" within the meaning of Article 2(1)(e) of the Prospectus Directive.

For purposes of this provision, the expression an "offer to the public" in any relevant member state means the communication in any form and by any means of sufficient information on the terms of the offer and the securities to be offered so as to enable an investor to decide to purchase or subscribe the securities, as the expression may be varied in that member state by any measure implementing the Prospectus Directive in that member state, and the expression "Prospectus Directive" means Directive 2003/71/EC and includes any relevant implementing measure in each relevant member state.

The sellers of the common stock have not authorized and do not authorize the making of any offer of the common stock through any financial intermediary on their behalf, other than offers made by the underwriters with a view to the final placement of the common stock as contemplated in this prospectus. Accordingly, no purchaser of the common stock, other than the underwriters, is authorized to make any further offer of the common stock on behalf of the sellers or the underwriters.

Notice to Prospective Investors in the United Kingdom

This prospectus is only being distributed to, and is only directed at, persons in the United Kingdom that are qualified investors within the meaning of Article 2(1)(e) of the Prospectus Directive ("Qualified Investors") that are also (i) investment professionals falling within Article 19(5) of the Financial Services and Markets Act 2000 (Financial Promotion) Order 2005 (the "Order") or (ii) high net worth entities, and other persons to whom it may lawfully be communicated, falling within Article 49(2)(a) to (d) of the Order (all such persons together being referred to as "relevant persons"). This prospectus and its contents are confidential and should not be distributed, published or reproduced (in whole or in part) or disclosed by recipients to any other persons in the United Kingdom. Any person in the United Kingdom that is not a relevant persons should not act or rely on this document or any of its contents.

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NOTICE TO CANADIAN RESIDENTS

Resale Restrictions

The distribution of the common stock in Canada is being made only on a private placement basis only and is exempt from the requirement that we prepare and file a prospectus with the securities regulatory authorities in each province where trades of common stock are made. Accordingly, any resale of the common stock in Canada must be made in accordance with applicable securities laws which will vary depending on the relevant jurisdiction, and which may require resales to be made under available statutory exemptions or under a discretionary exemption granted by the applicable Canadian securities regulatory authority. Canadian Investors are advised to seek legal advice prior to any resale of the common stock.

The Company is not a "reporting issuer", as such term is defined under applicable Canadian securities legislation, in any province or territory of Canada. Canadian investors are advised that the Company currently does not intend to file a prospectus or similar document with any securities regulatory authority in Canada qualifying the resale of the shares to the public in any province or territory of Canada.

Representations of Purchasers

By purchasing the common stock in Canada and accepting a purchase confirmation a purchaser is representing to us and the dealer from whom the purchase confirmation is received that:

the purchaser is entitled under applicable provincial securities laws to purchase the common stock without the benefit of a prospectus qualified under those securities laws,

where required by law, that the purchaser is purchasing as principal and not as agent,

the purchaser has reviewed the text above under Resale Restrictions, and

the purchaser acknowledges and consents to the provision of specified information concerning its purchase of the common stock to the regulatory authority that by law is entitled to collect the information.

Further details concerning the legal authority for this information is available on request.

Rights of Action Ontario Purchasers Only

Under Ontario securities legislation, certain purchasers who purchase a security offered by this prospectus supplement during the period of distribution will have a statutory right of action for damages, or while still the owner of the common stock, for rescission against in the event that this prospectus supplement contains a misrepresentation without regard to whether the purchaser relied on the misrepresentation. The right of action for damages is exercisable not later than the earlier of 180 days from the date the purchaser first had knowledge of the facts giving rise to the cause of action and three years from the date on which payment is made for the common stock. The right of action for rescission is exercisable not later than 180 days from the date on which payment is made for the common stock. If a purchaser elects to exercise the right of action for rescission, the purchaser will have no right of action for damages against us. In no case will the amount recoverable in any action exceed the price at which the shares of common stock were offered to the purchaser and if the purchaser is shown to have purchased the securities with knowledge of the misrepresentation, we will have no liability. In the case of an action for damages, we will not be liable for all or any portion of the damages that are proven to not represent the depreciation in value of the common stock as a result of the misrepresentation relied upon. These rights are in addition to, and without derogation from, any other rights or remedies available at law to an Ontario purchaser. The foregoing is a summary of the

rights available to an Ontario purchaser. Ontario purchasers should refer to the complete text of the relevant statutory provisions.

Enforcement of Legal Rights

All of our directors and officers as well as the experts named herein may be located outside of Canada and, as a result, it may not be possible for Canadian purchasers to effect service of process within Canada upon us or those persons. All or a substantial portion of our assets and the assets of those persons may be located outside of Canada and, as a result, it may not be possible to satisfy a judgment against us or those persons in Canada or to enforce a judgment obtained in Canadian courts against us or those persons outside of Canada.

Taxation and Eligibility for Investment

Canadian purchasers of the common stock should consult their own legal and tax advisors with respect to the tax consequences of an investment in the common stock in their particular circumstances and about the eligibility of the common stock for investment by the purchaser under relevant Canadian legislation.

LEGAL MATTERS

Cooley Godward Kronish LLP, Palo Alto, California will pass upon the validity of the issuance of the common stock offered by this prospectus supplement and the accompanying prospectus. Certain legal matters relating to the offering will be passed upon for the underwriters by Wilson Sonsini Goodrich & Rosati, Professional Corporation, Palo Alto, California.

PROSPECTUS

RIGEL PHARMACEUTICALS, INC.

\$200,000,000

**Common Stock
Preferred Stock
Debt Securities
Warrants
Units**

From time to time, we may sell common stock, preferred stock, debt securities and/or warrants, either individually or in units, with a total value of up to \$200 million. We may also offer common stock or preferred stock issuable upon conversion of debt securities, common stock issuable upon conversion of preferred stock, or common stock, preferred stock or debt securities issuable upon the exercise of warrants.

We will provide the specific terms of these offerings and securities in one or more supplements to this prospectus. We may also add, update or change in the prospectus supplement any of the information contained in this prospectus or in the documents incorporated by reference into this prospectus. You should read this prospectus and any prospectus supplement, as well as any documents incorporated by reference in this prospectus and any prospectus supplement, carefully before you invest.

Our common stock is traded on The Nasdaq National Market under the trading symbol "RIGL." The applicable prospectus supplement will contain information, where applicable, as to any other listing (if any) on The Nasdaq Stock Market's National Market or any securities exchange of the securities covered by the prospectus supplement. On November 7, 2005, the last reported sale price of our common stock on the Nasdaq National Market was \$22.80 per share.

THIS PROSPECTUS MAY NOT BE USED TO OFFER OR SELL ANY SECURITIES UNLESS ACCOMPANIED BY A PROSPECTUS SUPPLEMENT.

The securities may be sold directly by us to investors, through agents designated from time to time or to or through underwriters or dealers. For additional information on the methods of sale, you should refer to the section entitled "Plan of Distribution." If any underwriters are involved in the sale of any securities with respect to which this prospectus is being delivered, the names of such underwriters and any applicable commissions or discounts will be set forth in a prospectus supplement. The net proceeds we expect to receive from such sale will also be set forth in a prospectus supplement.

NEITHER THE SECURITIES AND EXCHANGE COMMISSION NOR ANY STATE SECURITIES COMMISSION HAS APPROVED OR DISAPPROVED OF THESE SECURITIES OR DETERMINED IF THIS PROSPECTUS IS TRUTHFUL OR COMPLETE. ANY REPRESENTATION TO THE CONTRARY IS A CRIMINAL OFFENSE.

INVESTING IN OUR SECURITIES INVOLVES A HIGH DEGREE OF RISK. SEE THE SECTION ENTITLED "RISK FACTORS" BEGINNING ON PAGE 3 OF THIS PROSPECTUS.

The date of this prospectus is December 1, 2005.

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RIGEL

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. We have three product development programs: allergy/asthma, rheumatoid arthritis and cancer. We have two product candidates in clinical trials, R112 for allergic rhinitis and R406/788 for rheumatoid arthritis, and we expect to initiate clinical trials of R763 for the treatment of cancer later this year. We have not yet, however, obtained regulatory approval for the commercial sale of any products. Our business model is to develop a portfolio of product candidates for our own proprietary programs and with potential collaborative partners. Our goal is to move one new product candidate for a significant indication into the clinic each year. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Our drug discovery engine is based on advanced, proprietary techniques that allow us to identify targets with a demonstrable role in a disease pathway and to screen efficiently for those targets that are likely to be amenable to drug modulation. Our research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms, particularly in the areas of immunology/inflammation, oncology and virology. We have incurred net losses since inception and expect to incur substantial and increasing losses for the next several years as we continue to move drug candidates into and through preclinical and clinical stages of drug development and expand our research and development activities. To date, we have funded our operations primarily through the sale of equity securities, non-equity payments from collaborative partners and capital asset lease financings.

We were incorporated in Delaware on June 14, 1996. Our principal executive offices are located at 1180 Veterans Boulevard, South San Francisco, California 94080. Our telephone number is (650) 624-1100 and our website is <http://www.rigel.com>. We have not incorporated by reference into this prospectus the information on our website, and you should not consider it to be a part of this document. Our website address is included in this document as an inactive textual reference only.

Rigel Pharmaceuticals, Inc., the Rigel Pharmaceuticals, Inc. logo and all other Rigel names are trademarks of Rigel Pharmaceuticals, Inc. in the U.S. and in other selected countries. All other brand names or trademarks appearing in this prospectus are the property of their respective holders.

ABOUT THIS PROSPECTUS

This prospectus is part of a registration statement that we filed with the Securities and Exchange Commission using a "shelf" registration process. Under this shelf registration process, we may sell from time to time common stock, preferred stock, debt securities and/or warrants to purchase any of such securities, either individually or in units, in one or more offerings, up to a total dollar amount of \$200 million. This prospectus provides you with a general description of the securities we may offer. Each time we sell common stock, preferred stock, debt securities and/or warrants, we will provide a prospectus supplement that will contain more specific information, as set forth below under "The Securities We May Offer." We may also add, update or change in the prospectus supplement any of the information contained in this prospectus or in the documents incorporated by reference into this prospectus. However, no prospectus supplement shall fundamentally change the terms that are set forth in this prospectus or offer a security that is not registered and described in this prospectus at the time of its effectiveness. This prospectus, together with applicable prospectus supplements and the documents incorporated by reference into this prospectus, includes all material information relating to this offering. Please carefully read both this prospectus and any prospectus supplement together with the additional information described below under "Where You Can Find More Information."

You should rely only on the information we have provided or incorporated by reference in this prospectus or any prospectus supplement. We have not authorized anyone to provide you with information different from that contained or incorporated by reference in this prospectus. No dealer,

salesperson or other person is authorized to give any information or to represent anything not contained or incorporated by reference in this prospectus. You must not rely on any unauthorized information or representation. This prospectus is an offer to sell only the securities offered hereby, but only under circumstances and in jurisdictions where it is lawful to do so. You should assume that the information in this prospectus or any prospectus supplement is accurate only as of the date on the front of the document and that any information we have incorporated by reference is accurate only as of the date of the document incorporated by reference, regardless of the time of delivery of this prospectus or any sale of a security.

This prospectus contains summaries of certain provisions contained in some of the documents described herein, but reference is made to the actual documents for complete information. All of the summaries are qualified in their entirety by the actual documents. Copies of some of the documents referred to herein have been filed or will be filed as exhibits to the registration statement of which this prospectus is a part or will be incorporated by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission, and you may obtain copies of those documents as described below under "Where You Can Find More Information."

RISK FACTORS

Investment in our securities involves a high degree of risk. You should consider carefully the risk factors identified in our most recent annual and quarterly filings with the Securities and Exchange Commission, as well as other information in this prospectus and any prospectus supplements and the documents incorporated by reference herein or therein before purchasing any of our securities. Each of these risk factors could adversely affect our business, operating results and financial condition, as well as adversely affect the value of an investment in our securities.

THE SECURITIES WE MAY OFFER

We may offer shares of our common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities, either individually or in units, with a total value of up to \$200 million, from time to time under this prospectus at prices and on terms to be determined by market conditions at the time of offering. This prospectus provides you with a general description of the securities we may offer. Each time we offer a type or series of securities under this prospectus, we will provide a prospectus supplement that will describe the specific amounts, prices and other important terms of the securities, including, to the extent applicable:

designation or classification;

aggregate principal amount or aggregate offering price;

maturity, if applicable;

rates and times of payment of interest or dividends, if any;

redemption, conversion or sinking fund terms, if any;

voting or other rights, if any;

conversion prices, if any; and

important United States federal income tax considerations.

The prospectus supplement also may add, update or change information contained in this prospectus or in documents we have incorporated by reference into this prospectus. However, no prospectus supplement shall fundamentally change the terms that are set forth in this prospectus or offer a security that is not registered and described in this prospectus at the time of its effectiveness.

This Prospectus May Not Be Used to Consummate a Sale of Securities Unless It Is Accompanied by a Prospectus Supplement.

We may sell the securities directly to investors or to or through agents, underwriters or dealers. We, and our agents or underwriters, reserve the right to accept or reject all or part of any proposed purchase of securities. If we do offer securities through agents or underwriters, we will include in the applicable prospectus supplement:

the names of those agents or underwriters;

applicable fees, discounts and commissions to be paid to them;

details regarding over-allotment options, if any; and

the net proceeds to us.

Common Stock. We may issue shares of our common stock from time to time. Holders of common stock are entitled to one vote per share on all matters submitted to a vote of stockholders. Subject to any preferences of outstanding shares of preferred stock, holders of common stock are entitled to dividends when and if declared by our board of directors.

Preferred Stock. We may issue shares of our preferred stock from time to time, in one or more series. Our board of directors shall determine the rights, preferences, privileges and restrictions of the preferred stock, including dividend rights, conversion rights, voting rights, terms of redemption, liquidation preferences, sinking fund terms and the number of shares constituting any series or the designation of any series. Convertible preferred stock will be convertible into our common stock. Conversion may be mandatory or at your option and would be at prescribed conversion rates.

If we sell any series of preferred stock under this prospectus and applicable prospectus supplements, we will fix the rights, preferences, privileges, qualifications and restrictions of the preferred stock of such series in the certificate of designation relating to that series. We will file as an exhibit to the registration statement of which this prospectus is a part, or will incorporate by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission, the form of any certificate of designation that describes the terms of the series of preferred stock we are offering before the issuance of the related series of preferred stock. We urge you to read the prospectus supplements related to the series of preferred stock being offered, as well as the complete certificate of designation that contains the terms of the applicable series of preferred stock.

Debt Securities. We may issue debt securities from time to time, in one or more series, as either senior or subordinated debt or as senior or subordinated convertible debt. The senior debt securities will rank equally with any other unsubordinated debt that we may have and may be secured or unsecured. The subordinated debt securities will be subordinate and junior in right of payment, to the extent and in the manner described in the instrument governing the debt, to all or some portion of our indebtedness. Any convertible debt securities that we issue will be convertible into or exchangeable for our common stock or other securities of ours. Conversion may be mandatory or at your option and would be at prescribed conversion rates.

The debt securities will be issued under one or more documents called indentures, which are contracts between us and a trustee for the holders of the debt securities. In this prospectus, we have summarized certain general features of the debt securities. We urge you, however, to read the prospectus supplements related to the series of debt securities being offered, as well as the complete indentures that contain the terms of the debt securities. Indentures have been filed as exhibits to the registration statement of which this prospectus is a part, and supplemental indentures and forms of debt securities containing the terms of debt securities being offered will be filed as exhibits to the registration statement of which this prospectus is a part, or will be incorporated by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission.

Warrants. We may issue warrants for the purchase of common stock, preferred stock and/or debt securities in one or more series. We may issue warrants independently or together with common stock, preferred stock and/or debt securities, and the warrants may be attached to or separate from these securities. In this prospectus, we have summarized certain general features of the warrants. We urge you, however, to read the prospectus supplements related to the series of warrants being offered, as well as the warrant agreements that contain the terms of the warrants. Forms of the warrant agreements and forms of warrants containing the terms of the warrants being offered have been filed as exhibits to the registration statement of which this prospectus is a part, and supplemental agreements and forms of warrants will be filed as exhibits to the registration statement of which this prospectus is a part, or will be incorporated by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission.

We will evidence each series of warrants by warrant certificates that we will issue under a separate agreement. We will enter into the warrant agreements with a warrant agent. Each warrant agent will be a bank that we select that has its principal office in the United States and a combined capital and surplus of at least \$50 million. We will indicate the name and address of the warrant agent in the applicable prospectus supplement relating to a particular series of warrants.

Units. We may issue units consisting of common stock, preferred stock, debt securities and/or warrants for the purchase of common stock, preferred stock and/or debt securities in one or more series. In this prospectus, we have summarized certain general features of the units. We urge you, however, to read the prospectus supplements related to the series of units being offered, as well as the unit agreements that contain the terms of the units. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission, the form of unit agreement and any supplemental agreements that describe the terms of the series of units we are offering before the issuance of the related series of units.

We will evidence each series of units by unit certificates that we will issue under a separate agreement. We will enter into the unit agreements with a unit agent. Each unit agent will be a bank or trust company that we select. We will indicate the name and address of the unit agent in the applicable prospectus supplement relating to a particular series of units.

FORWARD-LOOKING INFORMATION

This prospectus, including the documents that we incorporate by reference, contains statements indicating expectations about future performance and other forward-looking statements 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 forward-looking statements. These statements appear throughout this prospectus 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 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, which apply only as of the date of this prospectus. Our actual results could differ materially from those anticipated in these forward-looking statements for many reasons. 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. Factors that could cause or contribute to such differences include, but are not limited to, those discussed in the section entitled "Risk Factors" contained in our filings made with the Securities and Exchange Commission from time to time, including quarterly reports on Form 10-Q, annual reports on Form 10-K and any supplements to this prospectus. However, 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.

RATIO OF EARNINGS TO FIXED CHARGES

Our earnings were insufficient to cover fixed charges in each of the years in the five-year period ended December 31, 2004 and in the nine-month period ended September 30, 2005. "Earnings" consist of income (loss) from continuing operations before income taxes, extraordinary items, cumulative effect of accounting changes, equity in net losses of affiliates and fixed charges. "Fixed

charges" consist of interest expense and the portion of operating lease expense that represents interest. The extent to which earnings were insufficient to cover fixed charges is as follows:

| | Year Ended December 31, | | | | | Nine Months Ended September 30, 2005 |
|---|-------------------------|-------------|-------------|-------------|-------------|--|
| | 2000 | 2001 | 2002 | 2003 | 2004 | |
| | (in thousands) | | | | | |
| Deficiency of earnings available to cover fixed charges | \$ (25,360) | \$ (23,805) | \$ (37,030) | \$ (41,197) | \$ (56,255) | (36,363) |

USE OF PROCEEDS

Except as described in any prospectus supplement, we currently intend to use the net proceeds from the sale of our securities for research and development and general corporate purposes. We may also use a portion of the net proceeds to acquire or invest in businesses, products and technologies that are complementary to our own, although we currently are not planning or negotiating any such transactions. Pending these uses, the net proceeds will be invested in investment-grade, interest-bearing securities.

DESCRIPTION OF CAPITAL STOCK

Our authorized capital stock consists of 100 million shares of common stock, \$0.001 par value, and 10 million shares of preferred stock, \$0.001 par value. As of November 3, 2005, there were 24,173,345 shares of our common stock outstanding and no shares of preferred stock outstanding. In addition, as of such date, certain stockholders held warrants to purchase 91,199 shares of our common stock and certain other individuals held options to purchase 3,973,968 shares of our common stock.

Common Stock

The holders of our common stock are entitled to one vote for each share held of record on all matters submitted to a vote of the stockholders and do not have cumulative voting rights. Accordingly, holders of a majority of the shares of our common stock entitled to vote in any election of directors may elect all of the directors standing for election. Subject to preferences that may be applicable to any shares of our preferred stock that may become outstanding, the holders of our common stock are entitled to receive ratably such dividends as may be declared by the board of directors out of funds legally available therefor. Upon the liquidation, dissolution or winding up of Rigel, holders of our common stock are entitled to share ratably in all assets remaining available for distribution to our stockholders after payment of our liabilities and the liquidation preferences of any shares of our preferred stock then outstanding. Holders of our common stock have no preemptive rights and no right to convert their common stock into any other securities. There are no redemption or sinking fund provisions applicable to our common stock. All outstanding shares of our common stock are, and all shares of our common stock that may be issued under this prospectus will be, fully paid and non-assessable.

Preferred Stock

Pursuant to our amended and restated certificate of incorporation, our board of directors has the authority, without further action by the stockholders, to issue up to 10 million shares of preferred stock, in one or more series. Our board of directors is authorized to fix or alter from time to time the designation, powers, preferences and rights of the shares of each series, including dividend rights, conversion rights, voting rights, terms of redemption, liquidation preferences and sinking fund terms, as well as the qualifications, limitations or restrictions of any unissued series of preferred stock. Our board of directors may also establish from time to time the number of shares constituting any series of preferred stock, and to increase or decrease the number of shares of any series subsequent to the issuance of shares of that series, but not below the number of shares of any series then outstanding.

We will fix the rights, preferences, privileges and restrictions of the preferred stock of each series in the certificate of designation relating to that series. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission, the form of any certificate of designation that describes the terms of the series of preferred stock we are offering before the issuance of the related series of preferred stock. This description will include:

the title and stated value;

the number of shares we are offering;

the liquidation preference per share;

the purchase price;

the dividend rate, period and payment date and method of calculation for dividends;

whether dividends will be cumulative or non-cumulative and, if cumulative, the date from which dividends will accumulate;

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the procedures for any auction and remarketing, if any;

the provisions for a sinking fund, if any;

the provisions for redemption or repurchase, if applicable, and any restrictions on our ability to exercise those redemption and repurchase rights;

any listing of the preferred stock on any securities exchange or market;

whether the preferred stock will be convertible into our common stock, and, if applicable, the conversion price, or how it will be calculated, and the conversion period;

whether the preferred stock will be exchangeable into debt securities, and, if applicable, the exchange price, or how it will be calculated, and the exchange period;

voting rights, if any, of the preferred stock;

preemption rights, if any;

restrictions on transfer, sale or other assignment, if any;

whether interests in the preferred stock will be represented by depositary shares;

a discussion of any material or special United States federal income tax considerations applicable to the preferred stock;

the relative ranking and preferences of the preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs;

any limitations on issuance of any class or series of preferred stock ranking senior to or on a parity with the series of preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs; and

any other specific terms, preferences, rights or limitations of, or restrictions on, the preferred stock.

If we issue shares of preferred stock under this prospectus, the shares will be fully paid and non-assessable and will not have, or be subject to, any preemptive or similar rights.

The General Corporation Law of the State of Delaware, the state of our incorporation, provides that the holders of preferred stock will have the right to vote separately as a class on any proposal involving fundamental changes in the rights of holders of that preferred stock. This right is in addition to any voting rights that may be provided for in the applicable certificate of designation.

The issuance of preferred stock could adversely affect the voting power, liquidation rights, conversion or other rights of holders of our common stock. Preferred stock could be issued quickly with terms calculated to delay or prevent a change in control of our company or make removal of management more difficult. Additionally, the issuance of preferred stock may have the effect of decreasing the market price of common stock.

Registration Rights

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As of the date of this prospectus, holders of approximately 1,117,663 shares of our common stock and warrants to purchase our common stock are entitled to rights with respect to the registration of those shares of common stock under the Securities Act. If we propose to register any of our securities under the Securities Act for the account of others, the holders of 190,694 of these shares are entitled to notice of the registration and are entitled to include, at our expense, their shares of common stock in the registration and any related underwriting, provided, among other conditions, that the underwriters may limit the number of shares to be included in the registration. The holders of approximately 926,969 of these shares may require us, at our expense and subject to certain limitations, to file a registration statement under the Securities Act with respect to their shares of our common stock.

Stock Options and Warrants

As of November 3, 2005, there were 5,823,764 shares of our common stock reserved for issuance under our equity incentive plans, options and warrants. Of this number, 3,932,920 shares were reserved for issuance upon exercise of outstanding options that were previously granted under our stock options plans, 1,698,830 shares were reserved for issuance upon exercise of options that may be granted in the future under our stock options plans, 100,815 shares were reserved for issuance under our employee stock purchase plan, and 91,199 shares were reserved for issuance upon exercise of outstanding warrants.

Anti-Takeover Effects of Provisions of Delaware Law and Our Charter Documents

Delaware Takeover Statute. We are subject to the provisions of Section 203 of the Delaware General Corporation Law. In general, the statute prohibits a publicly-held Delaware corporation such as Rigel from engaging in a business combination with an interested stockholder for a period of three years after the date of the transaction in which the person became an interested stockholder, unless the business combination is approved in a prescribed manner. For purposes of Section 203, a business combination includes a merger, asset sale or other transaction resulting in a financial benefit to the interested stockholder, and an interested stockholder is a person who, together with affiliates and associates, owns (or within three years prior, did own) 15% or more of the corporation's voting stock.

Charter Documents. Our amended and restated certificate of incorporation requires that any action required or permitted to be taken by our stockholders must be effected at a duly called annual or special meeting of stockholders and may not be effected by a consent in writing. Additionally, our amended and restated certificate of incorporation:

does not provide for the use of cumulative voting in the election of directors;

provides for a board of directors, classified into three classes of directors;

provides that the authorized number of directors may be changed only by resolution of our board of directors; and

provides for the authority of our board of directors to issue up to 10 million shares of "blank check" preferred stock and to determine the price, powers, preferences and rights of these shares, without stockholder approval.

Our amended and restated bylaws provide that candidates for director may be nominated only by our board of directors or by a stockholder who gives written notice to us no later than 90 days prior nor earlier than 120 days prior to the first anniversary of the last annual meeting of stockholders, subject to certain exceptions. The authorized number of directors is fixed in accordance with our amended and restated certificate of incorporation. Our board of directors may appoint new directors to fill vacancies or newly created directorships. Our amended and restated bylaws also limit who may call a special meeting of stockholders.

Delaware law and these charter provisions may have the effect of deterring hostile takeovers or delaying changes in control of our management, which could depress the market price of our common stock.

Transfer Agent and Registrar

The transfer agent and registrar for our common stock is Wells Fargo Bank, N. A. Its address is 161 North Concord Exchange, South St. Paul, MN 55075-1139 and its telephone number is (800) 468-9716.

DESCRIPTION OF DEBT SECURITIES

The following description, together with the additional information we include in any applicable prospectus supplements, summarizes the material terms and provisions of the debt securities that we may offer under this prospectus. While the terms we have summarized below will generally apply to any future debt securities we may offer under this prospectus, we will describe the particular terms of any debt securities that we may offer in more detail in the applicable prospectus supplement. The terms of any debt securities we offer under a prospectus supplement may differ from the terms we describe below. However, no prospectus supplement shall fundamentally change the terms that are set forth in this prospectus or offer a security that is not registered and described in this prospectus at the time of its effectiveness.

We will issue the senior notes under the senior indenture which we will enter into with the trustee named in the senior indenture. We will issue the subordinated notes under the subordinated indenture which we will enter into with the trustee named in the subordinated indenture. We have filed forms of these documents as exhibits to the registration statement of which this prospectus is a part. We use the term "indentures" to refer to both the senior indenture and the subordinated indenture.

The indentures will be qualified under the Trust Indenture Act of 1939. We use the term "debenture trustee" to refer to either the senior trustee or the subordinated trustee, as applicable.

The following summaries of material provisions of the senior notes, the subordinated notes and the indentures are subject to, and qualified in their entirety by reference to, all the provisions of the indenture applicable to a particular series of debt securities. We urge you to read the applicable prospectus supplements related to the debt securities that we sell under this prospectus, as well as the complete indentures that contain the terms of the debt securities. Except as we may otherwise indicate, the terms of the senior indenture and the subordinated indenture are identical.

General

We will describe in the applicable prospectus supplement the terms relating to a series of debt securities, including:

the title;

the principal amount being offered, and, if a series, the total amount authorized and the total amount outstanding;

any limit on the amount that may be issued;

whether or not we will issue the series of debt securities in global form and, if so, the terms and who the depositary will be;

the maturity date;

the principal amount due at maturity, and whether the debt securities will be issued with any original issue discount;

whether and under what circumstances, if any, we will pay additional amounts on any debt securities held by a person who is not a United States person for tax purposes, and whether we can redeem the debt securities if we have to pay such additional amounts;

the annual interest rate, which may be fixed or variable, or the method for determining the rate, the date interest will begin to accrue, the dates interest will be payable and the regular record dates for interest payment dates or the method for determining such dates;

whether or not the debt securities will be secured or unsecured, and the terms of any secured debt;

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the terms of the subordination of any series of subordinated debt;

the place where payments will be payable;

restrictions on transfer, sale or other assignment, if any;

our right, if any, to defer payment of interest and the maximum length of any such deferral period;

the date, if any, after which, the conditions upon which, and the price at which we may, at our option, redeem the series of debt securities pursuant to any optional or provisional redemption provisions, and any other applicable terms of those redemption provisions;

provisions for a sinking fund, purchase or other analogous fund, if any;

the date, if any, on which, and the price at which we are obligated, pursuant to any mandatory sinking fund or analogous fund provisions or otherwise, to redeem, or at the holder's option to purchase, the series of debt securities;

whether the indenture will restrict our ability or the ability of our subsidiaries to:

incur additional indebtedness;

issue additional securities;

create liens;

pay dividends or make distributions in respect of our capital stock or the capital stock of our subsidiaries;

redeem capital stock;

place restrictions on our subsidiaries' ability to pay dividends, make distributions or transfer assets;

make investments or other restricted payments;

sell or otherwise dispose of assets;

enter into sale-leaseback transactions;

engage in transactions with stockholders or affiliates;

issue or sell stock of our subsidiaries; or

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effect a consolidation or merger;

whether the indenture will require us to maintain any interest coverage, fixed charge, cash flow-based, asset-based or other financial ratios;

a discussion of any material or special United States federal income tax considerations applicable to the debt securities;

information describing any book-entry features;

the procedures for any auction or remarketing, if any;

the denominations in which we will issue the series of debt securities, if other than denominations of \$1,000 and any integral multiple thereof;

if other than dollars, the currency in which the series of debt securities will be denominated; and

any other specific terms, preferences, rights or limitations of, or restrictions on, the debt securities, including any events of default that are in addition to those described in this

prospectus or any covenants provided with respect to the debt securities that are in addition to those described above, and any terms which may be required by us or advisable under applicable laws or regulations or advisable in connection with the marketing of the debt securities.

Conversion or Exchange Rights

We will set forth in the prospectus supplement the terms on which a series of debt securities may be convertible into or exchangeable for common stock or other securities of ours or a third party, including the conversion or exchange rate, as applicable, or how it will be calculated, and the applicable conversion or exchange period. We will include provisions as to whether conversion or exchange is mandatory, at the option of the holder or at our option. We may include provisions pursuant to which the number of our securities or the securities of a third party that the holders of the series of debt securities receive upon conversion or exchange would, under the circumstances described in those provisions, be subject to adjustment, or pursuant to which those holders would, under those circumstances, receive other property upon conversion or exchange, for example in the event of our merger or consolidation with another entity.

Consolidation, Merger or Sale

The indentures in the forms initially filed as exhibits to the registration statement of which this prospectus is a part do not contain any covenant which restricts our ability to merge or consolidate, or sell, convey, transfer or otherwise dispose of all or substantially all of our assets. However, any successor of ours or acquiror of such assets would have to assume all of our obligations under the indentures and the debt securities.

If the debt securities are convertible for our other securities, the person with whom we consolidate or merge or to whom we sell all of our property would have to make provisions for the conversion of the debt securities into securities which the holders of the debt securities would have received if they had converted the debt securities before the consolidation, merger or sale.

Events of Default Under the Indenture

The following are events of default under the indentures with respect to any series of debt securities that we may issue:

if we fail to pay interest when due and payable and our failure continues for 90 days and the time for payment has not been extended or deferred;

if we fail to pay the principal, or premium, if any, when due and payable and the time for payment has not been extended or delayed;

if we fail to observe or perform any other covenant contained in the debt securities or the indentures, other than a covenant specifically relating to another series of debt securities, and our failure continues for 90 days after we receive notice from the debenture trustee or holders of at least 25% in aggregate principal amount of the outstanding debt securities of the applicable series; and

if specified events of bankruptcy, insolvency or reorganization occur.

If an event of default with respect to debt securities of any series occurs and is continuing, other than an event of default specified in the last bullet point above, the debenture trustee or the holders of at least 25% in aggregate principal amount of the outstanding debt securities of that series, by notice to us in writing, and to the debenture trustee if notice is given by such holders, may declare the unpaid principal of, premium, if any, and accrued interest, if any, due and payable immediately. If an event of default specified in the last bullet point above occurs with respect to us, the principal amount of and

accrued interest, if any, of each issue of debt securities then outstanding would be due and payable without any notice or other action on the part of the debenture trustee or any holder.

The holders of a majority in principal amount of the outstanding debt securities of an affected series may waive any default or event of default with respect to the series and its consequences, except defaults or events of default regarding payment of principal, premium, if any, or interest, unless we have cured the default or event of default in accordance with the indenture.

Subject to the terms of the indentures, if an event of default under an indenture occurs and continues, the debenture trustee would be under no obligation to exercise any of its rights or powers under such indenture at the request or direction of any of the holders of the applicable series of debt securities, unless such holders have offered the debenture trustee reasonable indemnity. The holders of a majority in principal amount of the outstanding debt securities of any series will have the right to direct the time, method and place of conducting any proceeding for any remedy available to the debenture trustee, or exercising any trust or power conferred on the debenture trustee, with respect to the debt securities of that series, provided that:

the direction so given by the holder is not in conflict with any law or the applicable indenture; and

subject to its duties under the Trust Indenture Act of 1939, the debenture trustee need not take any action that might involve it in personal liability or might be unduly prejudicial to the holders not involved in the proceeding.

A holder of the debt securities of any series will only have the right to institute a proceeding under the indentures or to appoint a receiver or trustee, or to seek other remedies if:

the holder has given written notice to the debenture trustee of a continuing event of default with respect to that series;

the holders of at least 25% in aggregate principal amount of the outstanding debt securities of that series have made written request, and such holders have offered reasonable indemnity to the debenture trustee to institute the proceeding as trustee; and

the debenture trustee does not institute the proceeding, and does not receive from the holders of a majority in aggregate principal amount of the outstanding debt securities of that series other conflicting directions within 90 days after the notice, request and offer.

These limitations do not apply to a suit instituted by a holder of debt securities if we default in the payment of the principal, premium, if any, or interest on, the debt securities.

We will periodically file statements with the debenture trustee regarding our compliance with specified covenants in the indentures.

Modification of Indenture; Waiver

We and the debenture trustee may change an indenture without the consent of any holders with respect to specific matters, including:

to fix any ambiguity, defect or inconsistency in the indenture;

to comply with the provisions described above under "Consolidation, Merger or Sale";

to comply with any requirements of the Securities and Exchange Commission in connection with the qualification of any indenture under the Trust Indenture Act of 1939;

to evidence and provide for the acceptance of appointment by a successor trustee;

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to provide for uncertificated debt securities and to make all appropriate changes for such purpose;

to add to, delete from, or revise the conditions, limitations and restrictions on the authorized amount, terms or purposes of issuance, authorization and delivery of debt securities of any series;

to add to our covenants such new covenants, restrictions, conditions or provisions for the protection of the holders, to make the occurrence, or the occurrence and the continuance, of a default in any such additional covenants, restrictions, conditions or provisions an event of default, or to surrender any of our rights or powers under the indenture; or

to change anything that does not materially adversely affect the interests of any holder of debt securities of any series.

In addition, under the indentures, the rights of holders of a series of debt securities may be changed by us and the debenture trustee with the written consent of the holders of at least a majority in aggregate principal amount of the outstanding debt securities of each series that is affected. However, we and the debenture trustee may only make the following changes with the consent of each holder of any outstanding debt securities affected:

extending the fixed maturity of the series of debt securities;

reducing the principal amount, reducing the rate of or extending the time of payment of interest, or reducing any premium payable upon the redemption of any debt securities; or

reducing the percentage of debt securities, the holders of which are required to consent to any supplemental indenture.

Discharge

Each indenture provides that we can elect to be discharged from our obligations with respect to one or more series of debt securities, except for obligations to:

register the transfer or exchange of debt securities of the series;

replace stolen, lost or mutilated debt securities of the series;

maintain paying agencies;

hold monies for payment in trust;

recover excess money held by the debenture trustee;

compensate and indemnify the debenture trustee; and

appoint any successor trustee.

In order to exercise our rights to be discharged, we must deposit with the debenture trustee money or government obligations sufficient to pay all the principal of, any premium and interest on, the debt securities of the series on the dates payments are due.

Form, Exchange and Transfer

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We will issue the debt securities of each series only in fully registered form without coupons and, unless we otherwise specify in the applicable prospectus supplement, in denominations of \$1,000 and any integral multiple thereof. The indentures provide that we may issue debt securities of a series in temporary or permanent global form and as book-entry securities that will be deposited with, or on behalf of, The Depository Trust Company or another depository named by us and identified in a

prospectus supplement with respect to that series. See "Legal Ownership of Securities" for a further description of the terms relating to any book-entry securities.

At the option of the holder, subject to the terms of the indentures and the limitations applicable to global securities described in the applicable prospectus supplement, the holder of the debt securities of any series can exchange the debt securities for other debt securities of the same series, in any authorized denomination and of like tenor and aggregate principal amount.

Subject to the terms of the indentures and the limitations applicable to global securities set forth in the applicable prospectus supplement, holders of the debt securities may present the debt securities for exchange or for registration of transfer, duly endorsed or with the form of transfer endorsed thereon duly executed if so required by us or the security registrar, at the office of the security registrar or at the office of any transfer agent designated by us for this purpose. Unless otherwise provided in the debt securities that the holder presents for transfer or exchange, we will make no service charge for any registration of transfer or exchange, but we may require payment of any taxes or other governmental charges.

We will name in the applicable prospectus supplement the security registrar, and any transfer agent in addition to the security registrar, that we initially designate for any debt securities. We may at any time designate additional transfer agents or rescind the designation of any transfer agent or approve a change in the office through which any transfer agent acts, except that we will be required to maintain a transfer agent in each place of payment for the debt securities of each series.

If we elect to redeem the debt securities of any series, we will not be required to:

issue, register the transfer of, or exchange any debt securities of any series being redeemed in part during a period beginning at the opening of business 15 days before the day of mailing of a notice of redemption of any debt securities that may be selected for redemption and ending at the close of business on the day of the mailing; or

register the transfer of or exchange any debt securities so selected for redemption, in whole or in part, except the unredeemed portion of any debt securities we are redeeming in part.

Information Concerning the Debenture Trustee

The debenture trustee, other than during the occurrence and continuance of an event of default under an indenture, undertakes to perform only those duties as are specifically set forth in the applicable indenture. Upon an event of default under an indenture, the debenture trustee must use the same degree of care as a prudent person would exercise or use in the conduct of his or her own affairs. Subject to this provision, the debenture trustee is under no obligation to exercise any of the powers given it by an indenture at the request of any holder of debt securities unless it is offered reasonable security and indemnity against the costs, expenses and liabilities that it might incur.

Payment and Paying Agents

Unless we otherwise indicate in the applicable prospectus supplement, we will make payment of the interest on any debt securities on any interest payment date to the person in whose name the debt securities, or one or more predecessor securities, are registered at the close of business on the regular record date for the interest.

We will pay principal of and any premium and interest on the debt securities of a particular series at the office of the paying agents designated by us, except that, unless we otherwise indicate in the applicable prospectus supplement, we may make interest payments by check which we will mail to the holder or by wire transfer to certain holders. Unless we otherwise indicate in a prospectus supplement, we will designate an office or agency of the debenture trustee in the City of New York as our sole

paying agent for payments with respect to debt securities of each series. We will name in the applicable prospectus supplement any other paying agents that we initially designate for the debt securities of a particular series. We will maintain a paying agent in each place of payment for the debt securities of a particular series.

All money we pay to a paying agent or the debenture trustee for the payment of the principal of or any premium or interest on any debt securities which remains unclaimed at the end of two years after such principal, premium or interest has become due and payable will be repaid to us, and the holder of the debt security thereafter may look only to us for payment thereof.

Governing Law

The indentures and the debt securities will be governed by and construed in accordance with the laws of the State of New York, except to the extent that the Trust Indenture Act of 1939 is applicable.

Subordination of Subordinated Debt Securities

The subordinated debt securities will be subordinate and junior in priority of payment to certain of our other indebtedness to the extent described in a prospectus supplement. The indentures in the forms initially filed as exhibits to the registration statement of which this prospectus is a part do not limit the amount of indebtedness which we may incur, including senior indebtedness or subordinated indebtedness, and do not limit us from issuing any other debt, including secured debt or unsecured debt.

DESCRIPTION OF WARRANTS

The following description, together with the additional information we may include in any applicable prospectus supplements, summarizes the material terms and provisions of the warrants that we may offer under this prospectus and the related warrant agreements and warrant certificates. While the terms summarized below will apply generally to any warrants that we may offer, we will describe the particular terms of any series of warrants in more detail in the applicable prospectus supplement. If we indicate in the prospectus supplement, the terms of any warrants offered under that prospectus supplement may differ from the terms described below. However, no prospectus supplement shall fundamentally change the terms that are set forth in this prospectus or offer a security that is not registered and described in this prospectus at the time of its effectiveness.

We have filed forms of the warrant agreements and forms of warrant certificates containing the terms of the warrants being offered as exhibits to the registration statement of which this prospectus is a part. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission, the form of warrant agreement, including a form of warrant certificate, that describes the terms of the particular series of warrants we are offering before the issuance of the related series of warrants. The following summaries of material provisions of the warrants and the warrant agreements are subject to, and qualified in their entirety by reference to, all the provisions of the warrant agreement and warrant certificate applicable to a particular series of warrants. We urge you to read the applicable prospectus supplements related to the particular series of warrants that we sell under this prospectus, as well as the complete warrant agreements and warrant certificates that contain the terms of the warrants.

General

We will describe in the applicable prospectus supplement the terms of the series of warrants, including:

the offering price and aggregate number of warrants offered;

the currency for which the warrants may be purchased;

if applicable, the designation and terms of the securities with which the warrants are issued and the number of warrants issued with each such security or each principal amount of such security;

if applicable, the date on and after which the warrants and the related securities will be separately transferable;

in the case of warrants to purchase debt securities, the principal amount of debt securities purchasable upon exercise of one warrant and the price at, and currency in which, this principal amount of debt securities may be purchased upon such exercise;

in the case of warrants to purchase common stock or preferred stock, the number of shares of common stock or preferred stock, as the case may be, purchasable upon the exercise of one warrant and the price at which these shares may be purchased upon such exercise;

the effect of any merger, consolidation, sale or other disposition of our business on the warrant agreements and the warrants;

the terms of any rights to redeem or call the warrants;

any provisions for changes to or adjustments in the exercise price or number of securities issuable upon exercise of the warrants;

the dates on which the right to exercise the warrants will commence and expire;

the manner in which the warrant agreements and warrants may be modified;

federal income tax consequences of holding or exercising the warrants;

the terms of the securities issuable upon exercise of the warrants; and

any other specific terms, preferences, rights or limitations of or restrictions on the warrants.

Before exercising their warrants, holders of warrants will not have any of the rights of holders of the securities purchasable upon such exercise, including:

in the case of warrants to purchase debt securities, the right to receive payments of principal of, or premium, if any, or interest on, the debt securities purchasable upon exercise or to enforce covenants in the applicable indenture; or

in the case of warrants to purchase common stock or preferred stock, the right to receive dividends, if any, or, payments upon our liquidation, dissolution or winding up or to exercise voting rights, if any.

Exercise of Warrants

Each warrant will entitle the holder to purchase the securities that we specify in the applicable prospectus supplement at the exercise price that we describe in the applicable prospectus supplement. Unless we otherwise specify in the applicable prospectus supplement, holders of the warrants may exercise the warrants at any time up to 5:00 P.M. New York time on the expiration date that we set forth in the applicable prospectus supplement. After the close of business on the expiration date, unexercised warrants will become void.

Holders of the warrants may exercise the warrants by delivering the warrant certificate representing the warrants to be exercised together with specified information, and paying the required amount to the warrant agent in immediately available funds, as provided in the applicable prospectus supplement. We will set forth on the reverse side of the warrant certificate and in the applicable prospectus supplement the information that the holder of the warrant will be required to deliver to the warrant agent.

Upon receipt of the required payment and the warrant certificate properly completed and duly executed at the corporate trust office of the warrant agent or any other office indicated in the applicable prospectus supplement, we will issue and deliver the securities purchasable upon such exercise. If fewer than all of the warrants represented by the warrant certificate are exercised, then we will issue a new warrant certificate for the remaining amount of warrants. If we so indicate in the applicable prospectus supplement, holders of the warrants may surrender securities as all or part of the exercise price for warrants.

Governing Law

The warrants and warrant agreements will be governed by and construed in accordance with the laws of the State of New York.

Enforceability of Rights by Holders of Warrants

Each warrant agent will act solely as our agent under the applicable warrant agreement and will not assume any obligation or relationship of agency or trust with any holder of any warrant. A single bank or trust company may act as warrant agent for more than one issue of warrants. A warrant agent will have no duty or responsibility in case of any default by us under the applicable warrant agreement or warrant, including any duty or responsibility to initiate any proceedings at law or otherwise, or to make any demand upon us. Any holder of a warrant may, without the consent of the related warrant

agent or the holder of any other warrant, enforce by appropriate legal action its right to exercise, and receive the securities purchasable upon exercise of, its warrants.

DESCRIPTION OF UNITS

The following description, together with the additional information we may include in any applicable prospectus supplements, summarizes the material terms and provisions of the units that we may offer under this prospectus. While the terms we have summarized below will apply generally to any units that we may offer under this prospectus, we will describe the particular terms of any series of units in more detail in the applicable prospectus supplement. The terms of any units offered under a prospectus supplement may differ from the terms described below. However, no prospectus supplement will fundamentally change the terms that are set forth in this prospectus or offer a security that is not registered and described in this prospectus at the time of its effectiveness.

We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from a current report on Form 8-K that we file with the Securities and Exchange Commission, the form of unit agreement that describes the terms of the series of units we are offering, and any supplemental agreements, before the issuance of the related series of units. The following summaries of material terms and provisions of the units are subject to, and qualified in their entirety by reference to, all the provisions of the unit agreement and any supplemental agreements applicable to a particular series of units. We urge you to read the applicable prospectus supplements related to the particular series of units that we sell under this prospectus, as well as the complete unit agreement and any supplemental agreements that contain the terms of the units.

General

We may issue units comprised of one or more debt securities, shares of common stock, shares of preferred stock and warrants in any combination. Each unit will be issued so that the holder of the unit is also the holder of each security included in the unit. Thus, the holder of a unit will have the rights and obligations of a holder of each included security. The unit agreement under which a unit is issued may provide that the securities included in the unit may not be held or transferred separately, at any time or at any time before a specified date.

We will describe in the applicable prospectus supplement the terms of the series of units, including:

the designation and terms of the units and of the securities comprising the units, including whether and under what circumstances those securities may be held or transferred separately;

any provisions of the governing unit agreement that differ from those described below; and

any provisions for the issuance, payment, settlement, transfer or exchange of the units or of the securities comprising the units.

The provisions described in this section, as well as those described under "Description of Capital Stock," "Description of Debt Securities" and "Description of Warrants" will apply to each unit and to any common stock, preferred stock, debt security or warrant included in each unit, respectively.

Issuance in Series

We may issue units in such amounts and in such numerous distinct series as we determine.

Enforceability of Rights by Holders of Units

Each unit agent will act solely as our agent under the applicable unit agreement and will not assume any obligation or relationship of agency or trust with any holder of any unit. A single bank or

trust company may act as unit agent for more than one series of units. A unit agent will have no duty or responsibility in case of any default by us under the applicable unit agreement or unit, including any duty or responsibility to initiate any proceedings at law or otherwise, or to make any demand upon us. Any holder of a unit may, without the consent of the related unit agent or the holder of any other unit, enforce by appropriate legal action its rights as holder under any security included in the unit.

Title

We, the unit agents and any of their agents may treat the registered holder of any unit certificate as an absolute owner of the units evidenced by that certificate for any purpose and as the person entitled to exercise the rights attaching to the units so requested, despite any notice to the contrary. See "Legal Ownership of Securities" below.

LEGAL OWNERSHIP OF SECURITIES

We can issue securities in registered form or in the form of one or more global securities. We describe global securities in greater detail below. We refer to those persons who have securities registered in their own names on the books that we or any applicable trustee maintain for this purpose as the "holders" of those securities. These persons are the legal holders of the securities. We refer to those persons who, indirectly through others, own beneficial interests in securities that are not registered in their own names, as "indirect holders" of those securities. As we discuss below, indirect holders are not legal holders, and investors in securities issued in book-entry form or in street name will be indirect holders.

Book-Entry Holders

We may issue securities in book-entry form only, as we will specify in the applicable prospectus supplement. This means securities may be represented by one or more global securities registered in the name of a financial institution that holds them as depositary on behalf of other financial institutions that participate in the depositary's book-entry system. These participating institutions, which are referred to as participants, in turn, hold beneficial interests in the securities on behalf of themselves or their customers.

Only the person in whose name a security is registered is recognized as the holder of that security. Securities issued in global form will be registered in the name of the depositary or its participants. Consequently, for securities issued in global form, we will recognize only the depositary as the holder of the securities, and we will make all payments on the securities to the depositary. The depositary passes along the payments it receives to its participants, which in turn pass the payments along to their customers who are the beneficial owners. The depositary and its participants do so under agreements they have made with one another or with their customers; they are not obligated to do so under the terms of the securities.

As a result, investors in a book-entry security will not own securities directly. Instead, they will own beneficial interests in a global security, through a bank, broker or other financial institution that participates in the depositary's book-entry system or holds an interest through a participant. As long as the securities are issued in global form, investors will be indirect holders, and not holders, of the securities.

Street Name Holders

We may terminate a global security or issue securities in non-global form. In these cases, investors may choose to hold their securities in their own names or in "street name." Securities held by an investor in street name would be registered in the name of a bank, broker or other financial institution that the investor chooses, and the investor would hold only a beneficial interest in those securities through an account he or she maintains at that institution.

For securities held in street name, we will recognize only the intermediary banks, brokers and other financial institutions in whose names the securities are registered as the holders of those securities, and we will make all payments on those securities to them. These institutions pass along the payments they receive to their customers who are the beneficial owners, but only because they agree to do so in their customer agreements or because they are legally required to do so. Investors who hold securities in street name will be indirect holders, not holders, of those securities.

Legal Holders

Our obligations, as well as the obligations of any applicable trustee and of any third parties employed by us or a trustee, run only to the legal holders of the securities. We do not have obligations

to investors who hold beneficial interests in global securities, in street name or by any other indirect means. This will be the case whether an investor chooses to be an indirect holder of a security or has no choice because we are issuing the securities only in global form.

For example, once we make a payment or give a notice to the holder, we have no further responsibility for the payment or notice even if that holder is required, under agreements with depository participants or customers or by law, to pass it along to the indirect holders but does not do so. Similarly, we may want to obtain the approval of the holders to amend an indenture, to relieve us of the consequences of a default or of our obligation to comply with a particular provision of the indenture or for other purposes. In such an event, we would seek approval only from the holders, and not the indirect holders, of the securities. Whether and how the holders contact the indirect holders is up to the holders.

Special Considerations For Indirect Holders

If you hold securities through a bank, broker or other financial institution, either in book-entry form or in street name, you should check with your own institution to find out:

how it handles securities payments and notices;

whether it imposes fees or charges;

how it would handle a request for the holders' consent, if ever required;

whether and how you can instruct it to send you securities registered in your own name so you can be a holder, if that is permitted in the future;

how it would exercise rights under the securities if there were a default or other event triggering the need for holders to act to protect their interests; and

if the securities are in book-entry form, how the depository's rules and procedures will affect these matters.

Global Securities

A global security is a security that represents one or any other number of individual securities held by a depository. Generally, all securities represented by the same global securities will have the same terms.

Each security issued in book-entry form will be represented by a global security that we deposit with and register in the name of a financial institution or its nominee that we select. The financial institution that we select for this purpose is called the depository. Unless we specify otherwise in the applicable prospectus supplement, The Depository Trust Company, New York, New York, known as DTC, will be the depository for all securities issued in book-entry form.

A global security may not be transferred to or registered in the name of anyone other than the depository, its nominee or a successor depository, unless special termination situations arise. We describe those situations below under "Special Situations When a Global Security Will Be Terminated." As a result of these arrangements, the depository, or its nominee, will be the sole registered owner and holder of all securities represented by a global security, and investors will be permitted to own only beneficial interests in a global security. Beneficial interests must be held by means of an account with a broker, bank or other financial institution that in turn has an account with the depository or with another institution that does. Thus, an investor whose security is represented by a global security will not be a holder of the security, but only an indirect holder of a beneficial interest in the global security.

If the prospectus supplement for a particular security indicates that the security will be issued in global form only, then the security will be represented by a global security at all times unless and until

the global security is terminated. If termination occurs, we may issue the securities through another book-entry clearing system or decide that the securities may no longer be held through any book-entry clearing system.

Special Considerations For Global Securities

As an indirect holder, an investor's rights relating to a global security will be governed by the account rules of the investor's financial institution and of the depository, as well as general laws relating to securities transfers. We do not recognize an indirect holder as a holder of securities and instead deal only with the depository that holds the global security.

If securities are issued only in the form of a global security, an investor should be aware of the following:

An investor cannot cause the securities to be registered in his or her name, and cannot obtain non-global certificates for his or her interest in the securities, except in the special situations we describe below;

An investor will be an indirect holder and must look to his or her own bank or broker for payments on the securities and protection of his or her legal rights relating to the securities, as we describe above;

An investor may not be able to sell interests in the securities to some insurance companies and to other institutions that are required by law to own their securities in non-book-entry form;

An investor may not be able to pledge his or her interest in a global security in circumstances where certificates representing the securities must be delivered to the lender or other beneficiary of the pledge in order for the pledge to be effective;

The depository's policies, which may change from time to time, will govern payments, transfers, exchanges and other matters relating to an investor's interest in a global security. We and any applicable trustee have no responsibility for any aspect of the depository's actions or for its records of ownership interests in a global security. We and the trustee also do not supervise the depository in any way;

The depository may, and we understand that DTC will, require that those who purchase and sell interests in a global security within its book-entry system use immediately available funds, and your broker or bank may require you to do so as well; and

Financial institutions that participate in the depository's book-entry system, and through which an investor holds its interest in a global security, may also have their own policies affecting payments, notices and other matters relating to the securities. There may be more than one financial intermediary in the chain of ownership for an investor. We do not monitor and are not responsible for the actions of any of those intermediaries.

Special Situations When A Global Security Will Be Terminated

In a few special situations described below, the global security will terminate and interests in it will be exchanged for physical certificates representing those interests. After that exchange, the choice of whether to hold securities directly or in street name will be up to the investor. Investors must consult their own banks or brokers to find out how to have their interests in securities transferred to their own name, so that they will be direct holders. We have described the rights of holders and street name investors above.

The global security will terminate when the following special situations occur:

if the depositary notifies us that it is unwilling, unable or no longer qualified to continue as depositary for that global security and we do not appoint another institution to act as depositary within 90 days;

if we notify any applicable trustee that we wish to terminate that global security; or

if an event of default has occurred with regard to securities represented by that global security and has not been cured or waived.

The prospectus supplement may also list additional situations for terminating a global security that would apply only to the particular series of securities covered by the prospectus supplement. When a global security terminates, the depositary, and not we or any applicable trustee, is responsible for deciding the names of the institutions that will be the initial direct holders.

PLAN OF DISTRIBUTION

We may sell the securities through underwriters or dealers, through agents, or directly to one or more purchasers. One or more prospectus supplements will describe the terms of the offering of the securities, including:

the name or names of any underwriters, if any;

the purchase price of the securities and the proceeds we will receive from the sale;

any over-allotment options under which underwriters may purchase additional securities from us;

any agency fees or underwriting discounts and other items constituting agents' or underwriters' compensation;

any initial public offering price;

any discounts or concessions allowed or reallocated or paid to dealers; and

any securities exchange or market on which the securities may be listed.

Only underwriters named in the prospectus supplement are underwriters of the securities offered by the prospectus supplement.

If underwriters are used in the sale, they will acquire the securities for their own account and may resell them from time to time in one or more transactions at a fixed public offering price or at varying prices determined at the time of sale. The obligations of the underwriters to purchase the securities will be subject to the conditions set forth in the applicable underwriting agreement. We may offer the securities to the public through underwriting syndicates represented by managing underwriters or by underwriters without a syndicate. Subject to certain conditions, the underwriters will be obligated to purchase all the securities of the series offered by the prospectus supplement. Any public offering price and any discounts or concessions allowed or reallocated or paid to dealers may change from time to time. We may use underwriters with whom we have a material relationship. We will describe in the prospectus supplement, naming the underwriter, the nature of any such relationship.

We may sell securities directly or through agents we designate from time to time. We will name any agent involved in the offering and sale of securities and we will describe any commissions we will pay the agent in the prospectus supplement.

Unless the prospectus supplement states otherwise, our agent will act on a best efforts basis for the period of its appointment. However, no prospectus supplement shall fundamentally change the terms that are set forth in this prospectus or offer a security that is not registered and described in this prospectus at the time of its effectiveness.

We may authorize agents or underwriters to solicit offers by certain types of institutional investors to purchase securities from us at the public offering price set forth in the prospectus supplement pursuant to delayed delivery contracts providing for payment and delivery on a specified date in the future. We will describe the conditions to these contracts and the commissions we must pay for solicitation of these contracts in the prospectus supplement.

We may provide agents and underwriters with indemnification against certain civil liabilities, including liabilities under the Securities Act, or contribution with respect to payments that the agents or underwriters may make with respect to such liabilities. Agents and underwriters may engage in transactions with, or perform services for, us in the ordinary course of business.

All securities we offer, other than common stock, will be new issues of securities with no established trading market. Any underwriters may make a market in these securities, but will not be

obligated to do so and may discontinue any market making at any time without notice. We cannot guarantee the liquidity of the trading markets for any securities.

Any underwriter may engage in overallotment, stabilizing transactions, short covering transactions and penalty bids in accordance with Regulation M under the Securities Exchange Act of 1934, as amended. Overallotment involves sales in excess of the offering size, which create a short position. Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specified maximum. Short covering transactions involve purchases of the securities in the open market after the distribution is completed to cover short positions. Penalty bids permit the underwriters to reclaim a selling concession from a dealer when the securities originally sold by the dealer are purchased in a covering transaction to cover short positions. Those activities may cause the price of the securities to be higher than it would otherwise be. If commenced, the underwriters may discontinue any of the activities at any time.

Any underwriters who are qualified market makers on The Nasdaq National Market may engage in passive market making transactions in the securities on The Nasdaq National Market in accordance with Rule 103 of Regulation M under the Securities Exchange Act of 1934, as amended, during the business day prior to the pricing of the offering, before the commencement of offers or sales of the securities. Passive market makers must comply with applicable volume and price limitations and must be identified as passive market makers. In general, a passive market maker must display its bid at a price not in excess of the highest independent bid for such security; if all independent bids are lowered below the passive market maker's bid, however, the passive market maker's bid must then be lowered when certain purchase limits are exceeded.

In compliance with guidelines of the National Association of Securities Dealers, or NASD, the maximum consideration or discount to be received by any NASD member or independent broker dealer may not exceed 8% of the aggregate amount of the securities offered pursuant to this prospectus and any applicable prospectus supplement.

LEGAL MATTERS

The validity of the securities being offered hereby will be passed upon by Cooley Godward LLP, Palo Alto, California.

EXPERTS

The financial statements of Rigel Pharmaceuticals, Inc. appearing in Rigel Pharmaceuticals, Inc.'s Annual Report (Form 10-K) for the year ended December 31, 2004, and Rigel Pharmaceuticals, Inc.'s management's assessment of the effectiveness of internal control over financial reporting as of December 31, 2004 included therein, have been audited by Ernst & Young LLP, independent registered public accounting firm, as set forth in their reports thereon included therein and incorporated herein by reference. Such financial statements and management's assessment have been incorporated herein by reference in reliance upon such reports given on the authority of such firm as experts in accounting and auditing.

With respect to the unaudited condensed consolidated interim financial information for the three-month period ended March 31, 2005, the three and six-month periods ended June 30, 2005, and the three and nine month periods ended September 30, 2005, incorporated by reference in this prospectus, Ernst & Young LLP reported that they have applied limited procedures in accordance with professional standards for a review of such information. However, their separate reports dated April 19, 2005, July 19, 2005 and November 7, 2005 included in Rigel Pharmaceuticals, Inc.'s Quarterly Reports on Forms 10-Q for the quarters ended March 31, 2005, June 30, 2005, and September 30, 2005, respectively, and incorporated by reference herein, state that they did not audit and therefore do not express an opinion on that interim financial information. Accordingly, the degree of reliance on their

reports on such information should be restricted considering the limited nature of the review procedures applied. Ernst & Young LLP is not subject to the liability provisions of Section 11 of the Securities Act of 1933 (the "Act") for their reports on the unaudited interim financial information because those reports are not "reports" or a "part" of the Registration Statement prepared or certified by Ernst & Young LLP within the meaning of Sections 7 and 11 of the Act.

WHERE YOU CAN FIND MORE INFORMATION

We are a reporting company and file annual, quarterly and current reports, proxy statements and other information with the Securities and Exchange Commission. We have filed with the Securities and Exchange Commission a registration statement on Form S-3 under the Securities Act with respect to the shares of common stock, preferred stock, debt securities, warrants and/or units we are offering under this prospectus. This prospectus does not contain all of the information set forth in the registration statement and the exhibits to the registration statement. For further information with respect to us and the securities we are offering under this prospectus, we refer you to the registration statement and the exhibits and schedules filed as a part of the registration statement. You may read and copy the registration statement, as well as our reports, proxy statements and other information, at the Securities and Exchange Commission's public reference room at 100 F Street, N.E., Washington, D.C. 20549. You can request copies of these documents by writing to the Securities and Exchange Commission and paying a fee for the copying costs. Please call the Securities and Exchange Commission at 1-800-SEC-0330 for more information about the operation of the public reference room. Our Securities and Exchange Commission filings are also available at the Securities and Exchange Commission's web site at <http://www.sec.gov>. In addition, you can read and copy our Securities and Exchange Commission filings at the office of the National Association of Securities Dealers, Inc. at 1735 K Street, N.W., Washington, D.C. 20006.

INCORPORATION OF CERTAIN INFORMATION BY REFERENCE

The Securities and Exchange Commission allows us to "incorporate by reference" information that we file with it, which means that we can disclose important information to you by referring you to those documents. The information incorporated by reference is an important part of this prospectus. Information in this prospectus supersedes information incorporated by reference that we filed with the Securities and Exchange Commission prior to the date of this prospectus, while information that we file later with the Securities and Exchange Commission will automatically update and supersede this information. We incorporate by reference into this registration statement and prospectus the documents listed below and any future filings (other than current reports furnished under Item 2.02 or Item 7.01 of Form 8-K and exhibits filed on such form that are related to such items) we will make with the Securities and Exchange Commission under Sections 13(a), 13(c), 14 or 15(d) of the Securities Exchange Act of 1934, as amended, after the date of the initial registration statement but prior to effectiveness of the registration statement and after the date of this prospectus but prior to the termination of the offering of the securities covered by this prospectus. Any statements in any such future filings will automatically be deemed to modify and supersede any information in any document we previously filed with the Securities and Exchange Commission that is incorporated or deemed to be incorporated herein by reference to the extent that statements in the later filed document modify or replace such earlier statements.

The following documents filed with the Securities and Exchange Commission are incorporated by reference in this prospectus:

1. Our annual report on Form 10-K for the year ended December 31, 2005, filed with the Securities and Exchange Commission on March 16, 2005;

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2. Our quarterly report on Form 10-Q for the quarter ended March 31, 2005, filed with the Securities and Exchange Commission on May 6, 2005;
3. Our quarterly report on Form 10-Q for the quarter ended June 30, 2005, filed with the Securities and Exchange Commission on August 5, 2005;
4. Our quarterly report on Form 10-Q for the quarter ended September 30, 2005, filed with the Securities and Exchange Commission on November 8, 2005;
5. Amendment No. 1 to our quarterly report on Form 10-Q for the quarter ended September 30, 2005, filed with the Securities and Exchange Commission on November 8, 2005;
6. Our current report of Form 8-K, filed with the Securities and Exchange Commission on January 20, 2005;
7. Our current report of Form 8-K, filed with the Securities and Exchange Commission on February 2, 2005;
8. Our current report of Form 8-K, filed with the Securities and Exchange Commission on February 3, 2005;
9. Our current report of Form 8-K, filed with the Securities and Exchange Commission on June 6, 2005;
10. Our current report of Form 8-K, filed with the Securities and Exchange Commission on July 15, 2005;
11. Our current report of Form 8-K, filed with the Securities and Exchange Commission on October 6, 2005;
12. The description of our common stock set forth in our registration statement on Form 8-A, filed with the Securities and Exchange Commission on October 3, 2000, including any amendments or reports filed for the purposes of updating this description.

We will furnish without charge to you, upon written or oral request, a copy of any or all of the documents incorporated by reference, including exhibits to these documents. You should direct any requests for documents to Rigel Pharmaceuticals, Inc., Attention: Corporate Secretary, 1180 Veterans Blvd., South San Francisco, California 94080. Our phone number is (650) 624-1100.



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