RIGEL PHARMACEUTICALS INC
Form 424B5
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Registration Nos. 333-111777 and 333-106942

PROSPECTUS SUPPLEMENT TO PROSPECTUSES
DATED JANUARY 30, 2004 AND JULY 23, 2003

3,165,000 Shares

Common Stock

We are selling 2,850,000 shares of common stock and the selling stockholders identified in this prospectus supplement are selling 315,000 shares of common stock. We will not receive any of the proceeds from the shares of common stock sold by the selling stockholders.

Our common stock is traded on the NASDAQ National Market under the symbol "RIGL." The last reported sale price of our common stock on the NASDAQ National Market on February 19, 2004 was $21.51 per share.

The underwriters have an option to purchase from us and the selling stockholders a maximum of 474,750 additional shares to cover over-allotments of shares.

Investing in our common stock involves risks. See "Risk Factors" on page S-7.

	Price to Public	Underwriting Discounts and Commissions	Proceeds to Us	Proceeds to the Selling Stockholders
Per Share	$20.00	$1.20	$18.80	$18.80
Total	$63,300,000	$3,798,000	$53,580,000	$5,922,000

Delivery of the shares of common stock will be made on or about February 25, 2004.

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 prospectuses to which it relates are truthful or complete. Any representation to the contrary is a criminal offense.

Credit Suisse First Boston

Needham & Company, Inc.

Thomas Weisel Partners LLC

Fortis Securities Inc.

The date of this prospectus supplement is February 19, 2004.

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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 prospectuses 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 "Rigel," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc.

Overview

Rigel's mission is to become a source of novel, small-molecule drugs to meet large, unmet medical needs. We have three initial development programs: allergy/asthma, hepatitis C and rheumatoid arthritis. We have begun clinical testing of our first two product candidates, R112 for allergic rhinitis and R803 for hepatitis C, and plan to begin clinical trials of two additional product candidates, for the treatment of rheumatoid arthritis and asthma, by the end of 2004. We own the economic and commercial rights to these product candidates. Our business model is to develop a portfolio of product candidates and to take these through Phase II clinical trials, after which we intend to seek partners for completion of clinical trials, regulatory approval and marketing. Our approach to drug discovery 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. We believe that this approach to drug discovery will enable us to commence clinical trials with one to two lead compounds each year. Our research efforts are focused in the areas of immunology/inflammation, virology and oncology.

Clinical and Preclinical Product Development Programs

We conduct research programs for our own proprietary programs as well as for programs conducted jointly through our corporate collaborations. We are developing several proprietary drug candidates. Our most advanced development efforts are described below.

Allergy/Asthma

Disease background. Allergic rhinitis and asthma are chronic inflammatory disorders of the airways. Allergic rhinitis, or allergy, is an acute inflammatory reaction in the upper respiratory tract resulting in nasal congestion, sneezing, itching and watery eyes. Asthma effects 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. Over 59 million people in the United States suffer from allergic disorders, and over 11 million people suffer from asthmatic disorders.

Allergic rhinitis program. Our first clinical candidate, R112, is an intranasal inhibitor to Syk, or spleen tyrosine kinase, a novel drug target for respiratory diseases such as allergic rhinitis and asthma. Syk is involved in IgE signaling in mast cells. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases. We completed a Phase I clinical trial of R112 in 18 patients in December 2002, a single-dose Phase I/II clinical trial of 20 patients in June 2003 and a multi-dose safety trial of 24 patients in December 2003.

The single-dose Phase I/II clinical trial evaluated the efficacy and safety of a single intranasal administration of R112 in volunteer patients with asymptomatic seasonal allergic rhinitis. The preliminary results of this study indicate that R112 was well tolerated. In addition, R112 demonstrated

physiological responses, including significant statistical improvement or consistent positive trends in reducing the release of chemical mediators involved in mast cell activation, one of the earliest steps in the initiation of an inflammatory response in allergy and asthma. The multi-dose safety trial results indicated that R112 is well tolerated and demonstrates a favorable safety profile in the study population. Specifically, the key findings of this study include: no local nasal irritation due to the administration of R112; and no significant laboratory abnormalities.

Based on the results of the single and multi-dose trials, we plan to initiate in the first half of 2004 Phase II clinical trials that will measure allergic symptom improvement and treatment. This randomized, placebo-controlled park study will take place in two locations in different parts of the country where patients will spend two days in an outdoor setting during the high-pollen season. We expect to receive the results of this trial in the second half of 2004.

Asthma program. We are currently working on next generation, inhaled and oral Syk inhibitors to address asthma. The selection and clinical program for this indication may be influenced by the possible execution of a strategic partnership in the area of allergy/asthma. We expect to choose a lead candidate to move forward into the clinic later in 2004 and anticipate initiating clinical trials in asthma late in 2004.

Hepatitis C Virus

Disease and current treatment approaches. Hepatitis C is an inflammation of the liver caused by the hepatitis C virus. As the most common chronic blood-borne infection in the U.S., the hepatitis C virus, or HCV, affects an estimated 3.9 million people in the U.S. and 170 million individuals worldwide. Approximately 80 percent of those with acute illness will develop chronic hepatitis, a condition that has been linked to cirrhosis, liver failure and hepatocellular carcinoma, or liver cancer. HCV is a leading cause of chronic liver disease and is the most common indication for liver transplantation.

Currently available HCV therapies are only modestly effective at treating the disease. The most prevalent treatment regimen is with interferon alpha, or IFN, or its longer lasting pegylated version, usually in combination with ribavarin. IFN therapy works to boost the body's own immune system and generally requires six to 12 months of therapy to be effective. Only 20 percent to approximately 40 percent of the patients who complete IFN therapy have a successful response. IFN dosage must be reduced in 10 percent to 40 percent of patients and discontinued in 5 percent to 15 percent of patients because of severe side effects. Moreover, IFN is least effective against HCV genotype 1, the strain responsible for approximately 70 percent of chronic HCV cases in the U.S.

Anti-HCV program. Our lead anti-HCV compound, R803, is an oral, small molecule that, in our preclinical studies, works directly, rapidly and selectively on the virus by interfering with a viral polymerase protein that is needed for replication. To date, R803 has demonstrated potent activity in inhibiting viral replication in preclinical experiments. In various laboratory experiments, R803 appears to act within days to reduce viral levels, and has been shown to be active against various genotypes of HCV, including genotype 1.

We completed our initial Phase I clinical trial of R803 in January 2004. Clinical data indicates that R803 is well tolerated with no clinically significant adverse effects reported in the dosing schedule that we plan to use in further clinical trials. In the Phase I clinical trial, an escalating dose regimen of R803 was studied in 34 volunteers and was compared with 8 volunteers who received a placebo. The trial was conducted in the U.K., and the results will be part of the U.S. IND package that we expect to file with the FDA in the first quarter of 2004.

We plan to commence a Phase I/II clinical trial of R803 in the U.S. during the second quarter of 2004 in HCV-infected patients. This trial will monitor HCV viral levels and safety over numerous days of drug administration.

Rheumatoid Arthritis

Disease and current therapeutic approaches. Rheumatoid arthritis is a chronic inflammatory disease affecting multiple tissues, but typically producing its most pronounced symptoms in the joints. It is progressive, degenerative and ultimately debilitating. The chronic inflammation in joints leads to the destruction of the soft tissue the synovium and cartilage as well as to erosion of the articular surfaces of bones. The disease is estimated to affect over 2 million people in the U.S. It is more prevalent in women, who are estimated to account for 1.5 million of the cases.

Currently, rheumatoid arthritis is not well treated, with most therapies having significant potential side effects or other shortfalls. Rheumatoid arthritis patients receive multiple drugs depending on the extent and aggressiveness of the disease. Initially, patients receive a non-steroidal anti-inflammatory, or a NSAID, or a Cox-2 inhibitor, another anti-inflammatory drug. These drugs address the symptoms of rheumatoid arthritis, but not the underlying progressive destruction of bone and cartilage. As the disease progresses, NSAIDs are supplemented with steroids and then a disease-modifying anti-rheumatic drug, or DMARD, such as methotrexate, an anti-cancer agent, or an anti-TNF agent, such as Enbrel®. These latter drugs block only the inflammatory mediator, TNF, and are all delivered via injection. The side effects (in the case of methotrexate) and delivery (in the case of the anti-TNF agents) limit their use to late in the course of the disease after significant bone and cartilage damage has already occurred.

Rheumatoid arthritis program. We have selected R406 as our lead product candidate for initial clinical trials in rheumatoid arthritis. R406 is a novel, oral syk kinase inhibitor that, in preclinical studies, block the activation of mast cells and B cells that promote the swelling and inflammatory response. R406 has been shown effective in preliminary animal models of arthritis and appears to be well tolerated in preclinical studies. Data from preclinical studies indicate that R406 is effective at low doses in a rodent arthritis model, and was without obvious toxicities at doses well above the effective dose. We expect to file an IND application with the FDA for the indication of rheumatoid arthritis in the second half of 2004.

Corporate Collaborations

We have collaborations with four major pharmaceutical companies to leverage our efforts. These collaborations include: Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics, Pfizer Inc. relating to asthma and allergy therapeutics, Novartis Pharma AG with four different programs relating to immunology, oncology and chronic bronchitis and Daiichi Pharmaceuticals Co., Ltd. in the area of oncology.

Our Strategy

Our strategy is to expand our portfolio of product candidates that can be developed into small molecule therapeutics. We believe that producing a portfolio of many product candidates and working in conjunction with pharmaceutical companies to further develop those candidates increases our probability of commercial success.

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

develop a portfolio of small molecule drugs that can be delivered to intracellular targets;

focus on diseases that represent large medical markets with significant populations that are currently under served; and

establish strategic partnerships with pharmaceutical and biotechnology companies to enhance product development and commercialization and to partner our programs in the later stages of drug development.

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

RECENT OPERATING RESULTS UNAUDITED

On February 3, 2004, we reported our unaudited financial results for the fourth quarter and year ended December 31, 2003. We reported revenue from research collaborations of $2.1 million in the fourth quarter of 2003, compared to $3.7 million in the fourth quarter of 2002. Total operating expenses were $14.0 million in the fourth quarter of 2003, compared to total operating expenses of $11.7 million in the fourth quarter of 2002. For the fourth quarter of 2003, we reported a net loss of $11.9 million, or $0.80 per share, compared to a net loss of $8.1 million, or $1.59 per share, in the fourth quarter of 2002. For the year ended December 31, 2003, we reported revenues from research collaborations of $11.1 million, compared to $15.8 million in 2002. Total operating expenses were $51.9 million in 2003 compared to $52.8 million in 2002. For the year ended December 31, 2003, we reported a net loss of $41.2 million, or $3.62 per share, compared to net loss of $37.0 million, or $7.41 per share, in 2002. As of December 31, 2003, we had cash, cash equivalents and available-for-sale securities of $46.5 million. As of the date of this prospectus supplement, our audited financial statements for the year ended December 31, 2003 have not yet been completed.

THE OFFERING

Common stock we are offering	2,850,000 shares

Common stock being offered by the selling stockholders	315,000 shares
Total	3,165,000 shares
Common stock outstanding immediately following this offering	17,678,546 shares
NASDAQ National 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 for research and development and general corporate purposes.
	We will not receive any proceeds from the sale of common stock by the selling stockholders.

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, 2003 and excludes:

- 1,724,953 shares of common stock underlying warrants outstanding as of December 31, 2003 at a weighted average exercise price of $7.17 per share;
- 2,081,219 shares of common stock underlying options outstanding as of December 31, 2003 at a weighted average exercise price of $8.31 per share; and
- 807,666 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 127,713 shares available for issuance under our 2000 Employee Stock Purchase Plan and 86,513 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan, as of December 31, 2003.

Unless otherwise stated, all information contained in this prospectus supplement and the accompanying prospectuses 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, 2000 through December 31, 2002 are derived from our audited financial statements for those periods. We derived the summary financial data as of September 30, 2003 and for the nine months ended September 30, 2002 and 2003 from our unaudited financial statements. The unaudited financial statement data includes, in our opinion, all adjustments (consisting only of normal recurring adjustments) that are necessary for a fair presentation of our financial position and results of operations for these periods. Operating results for the nine months ended September 30, 2003 are not necessarily indicative of the results that may be expected for the fiscal year ending December 31, 2003. 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 SEC. For more details on how you can obtain our SEC reports and other information, you should read the section of the accompanying prospectuses entitled "Where you can find more information". The pro forma net loss per share amounts and shares used in computing pro forma net loss per share amount are calculated as if all of our shares of convertible preferred stock were converted into shares of common stock on the date of their issuance. The as adjusted balance sheet data gives effect to the sale by us of 2,850,000 shares of our common stock in this offering at the public offering price of $20.00 per share, after deducting the underwriting discounts and estimated offering expenses payable by us.

	Fiscal year ended December 31,				Nine months ended September 30,	
Statement of operations data						

	2000	2001	2002	Nine months ended September 30, 2002	2003
	(In thousands, except per share amounts)				
Contract revenues from collaborations	$ 13,218	$ 15,303	$ 15,788	$ 12,088	$ 8,949
Costs and expenses:					
Research and development	32,034	32,313	43,350	33,781	31,697
General and administrative	6,689	7,950	9,454	7,335	6,207
	38,723	40,263	52,804	41,116	37,904
Loss from operations	(25,505)	(24,960)	(37,016)	(29,028)	(28,955)
Loss on sale of property and equipment					(169)
Interest income	1,078	1,957	856	732	243
Interest expense	(933)	(802)	(870)	(664)	(454)
Net loss	(25,360)	(23,805)	(37,030)	(28,960)	(29,335)
Deemed dividend to Series E preferred stockholders	(10,133)				
Net loss allocable to common stockholders	$ (35,493)	$ (23,805)	$ (37,030)	$ (28,960)	$ (29,335)
Net loss per share, basic and diluted	$ (43.98)	$ (5.75)	$ (7.41)	$ (5.82)	$ (3.53)
Weighted average shares used in computing net loss per share, basic and diluted	807	4,143	4,995	4,971	8,305
Pro forma net loss per share, basic and diluted	$ (10.81)				
Shares used in computing pro forma net loss per share, basic and diluted	3,283				

	As of September 30, 2003	
Balance sheet data	**Actual**	**As adjusted**
	(In thousands)	
Cash, cash equivalents and available-for-sale securities	$ 56,853	$ 110,033
Working capital	51,435	104,615
Total assets	66,493	119,673
Long-term liabilities	6,725	6,725
Accumulated deficit	(144,150)	(144,150)
Total stockholders' equity	50,738	103,918

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. We believe that our existing capital resources, together with the net proceeds to us from this offering and anticipated proceeds from current collaborations, will be sufficient to support our current operating plan through the second quarter of 2006. Our operations will require significant

additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, the expansion of our facilities and the absence of any meaningful revenues for the foreseeable future. The amount of future funds needed will depend largely on the success of our collaborations and our research activities, and we do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us. We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our infrastructure and research and development activities.

To the extent we raise additional capital by issuing equity securities, our stockholders would 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 scope of research programs carried out at Rigel;
- our ability to establish new collaborations and to maintain our existing collaboration partnerships;
- the progress of the research and development efforts of our collaborators;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- our ability to maintain and establish new corporate relationships and research collaborations;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- any changes in the breadth of our research and development programs;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual rights;
- the costs and timing of regulatory approvals; and
- 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 limited operating history, 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 drug candidates and pursue our development efforts, we have not been profitable and have generated 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 have incurred net losses of $29.3 million during the nine months ended September 30, 2003, $37.0 million in 2002, $23.8 million in 2001 and $25.4 million in 2000. Currently, our revenues are generated solely from research payments from our collaboration agreements and licenses and are insufficient to generate profitable operations. We expect that our future revenue from current collaborations will decline compared to previous periods. As of September 30, 2003, we had an accumulated deficit of approximately $144.2 million. We expect to incur losses for at least the next several years and expect that these losses will increase as we expand our research and development activities and incur significant clinical and testing costs.

There is a high risk that early-stage drug discovery and development might not successfully generate good drug candidates.

At the present time, the majority of our operations are in the early stages of drug identification and development. To date, only two of our drug compounds have made it into the clinical testing stage. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential drug candidates will actually lead to successful drug development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all. Our two drug compounds in the clinic and our future leads for potential drug compounds will be subject to the risks and failures inherent in the development of pharmaceutical products based on new technologies. These risks include, but are not limited to, the inherent difficulty in selecting the right drug target and avoiding unwanted side effects as well as the unanticipated problems relating to product development, testing, regulatory compliance, manufacturing, marketing, competition and costs and expenses that may exceed current estimates. The results of preliminary studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the preliminary studies. With respect to our own compounds in development, we have established anticipated timelines for clinical development based on existing knowledge of the compound. However, we cannot provide assurance that any specified timelines with respect to the initiation or completion of clinical studies may be achieved.

For example, we began a Phase I clinical trial of R112 in September 2002. The data from this trial was incorporated into an IND application that was filed with the FDA in November 2002. Subsequently, we recently completed a Phase I/II clinical trial in which we evaluated the safety and effectiveness of R112 in patients with documented allergies. In addition, we recently completed a multi-dose safety trial of R112 with the goal of establishing the longer-term, multi-dose safety of R112 in various dosing regimens. Based on this study, we plan to initiate a Phase II clinical trial in early 2004. However, the timing of initiation of this study or the outcome cannot be predicted. We also recently completed a human safety trial in the United Kingdom of our compound, R803, for the treatment of hepatitis C. We plan to launch a Phase I/II clinical trial in the United States during the second quarter of 2004 in HCV-infected patients. Because of the uncertainty of whether the accumulated preclinical evidence (pharmacokinetic, pharmacodynamic, safety and/or other factors) or

early clinical results will be observed in later clinical trials, we can make no assurance regarding the results likely from our future clinical trials or the impact of those results on our business.

We might not be able to commercialize our drug 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 may 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, as our projects reach clinical trials, we or our collaborative partners or regulators may decide to discontinue development of any or all of these projects at any time for commercial, scientific or other reasons. For example, if patients experience undesirable side effects, we may be required to halt or suspend a clinical trial.

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

Significant delays in clinical testing could materially impact our product development costs. We do not know whether planned clinical trials will begin on time, will need to be 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 in reaching agreement on acceptable clinical study agreement terms with prospective clinical sites, delays in obtaining institutional review board approval to conduct a study at a prospective clinical site or delays in recruiting subjects to participate in a study. Environmental conditions may impact the execution of clinical trials, particularly in the allergy area.

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 materials, including R112, R803 and R406, for preclinical testing and clinical trials. We rely on a single third-party contractor to produce R112, R803 and R406 bulk drug substance. We also rely on different single manufacturers for finished R112, R803 and R406 product for preclinical and clinical testing. We will rely on manufacturers to deliver materials on a timely basis and to comply with applicable regulatory requirements, including the 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 delayed. Delays in preclinical or clinical testing could delay the filing of our IND applications and 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 revenues 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 revenue 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 revenue 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. During 2002, we recorded our first milestone for both Novartis and Daiichi. Under many agreements, however, milestone payments may not be earned until the collaborator has advanced products into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to recognize 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, such failure might delay ongoing research and development efforts at Rigel because we might not receive any future milestone payments and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations. For example, the funded research phase of our collaboration with Pfizer has been completed and the development portion of our collaboration is ongoing at Pfizer.

Also, the research phase of our collaboration with Johnson & Johnson ended in December 2003. In addition, in May 2002, Novartis elected to conclude the research phases of our two initial joint projects in the autoimmunity and transplant rejection areas, after 42 months, effective November 2002 and February 2003, respectively. Generally, our current corporate collaboration agreements may terminate upon a breach or a change of control. 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.

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 your 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. 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 approximately 135 pending patent applications and 38 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. Additional uncertainty may result from 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 affect the way we do 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, 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. For example, in June 2002, we resolved a dispute with Inoxell A/S (formed as a spinout from Pharmexa formally M&E Biotech) by entering into a global patent settlement concerning certain drug target identification technologies, which includes both cross-licensing and joint ownership to certain patents and allows for worldwide freedom of operation for both companies. 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 drug 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 or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

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

Before receiving FDA clearance to market a product, we must demonstrate that the product is safe and effective on the patient population that will be treated. Data obtained from preclinical and clinical activities are susceptible to varying interpretations that could delay, limit or prevent regulatory clearances. 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 clearance of a product is granted, this clearance will be limited to those 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 clearance.

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 clearance 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 strategic partners' 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 drug 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 products under development 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 126 employees as of December 31, 2003, 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 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 employees of ours 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 or losses resulting from disasters or other business interruptions.

If our officers, directors and largest stockholders choose to act together, they may be able to significantly affect our management and operations, acting in their best interests and not necessarily those of other stockholders.

Our directors, executive officers, principal stockholders and their affiliates beneficially owned approximately 57% of our common stock as of December 31, 2003. Accordingly, they collectively have the ability to significantly affect the election of all of our directors and the outcome of most corporate actions requiring stockholder approval. They may exercise this ability in a manner that advances their best interests and not necessarily those of other stockholders. In addition, the holders of approximately 8.4 million shares of common stock and warrants exercisable for approximately 1.6 million shares of our common stock are entitled to rights with respect to registration of those shares of common stock under the Securities Act.

On June 26, 2003, we completed a private placement with net proceeds of $45.0 million led by MPM Capital that included Frazier Healthcare, Alta Partners and HBM BioVentures. In the private placement, we issued 7,986,110 shares of our common stock at a price of $5.76 per share and warrants to purchase an additional 1,597,221 shares of our common stock at an exercise price of $5.76 per share. As a result of their combined approximate 64% ownership (without giving effect to the exercise of the warrants and based on 14,828,546 shares outstanding as

of December 31, 2003), the investors obtained control over Rigel. The investors hold the requisite percentage of our outstanding shares so as to permit them, if they choose to act in concert, to take actions requiring stockholder approval without obtaining the approval of our other stockholders. For so long as MPM Capital holds at least 10% of the outstanding shares of our common stock, we will use our commercially reasonable best efforts to (i) cause two designees of MPM Capital to be nominated and elected to our board of directors; (ii) appoint one designee to serve on the nominating committee of our board of directors; and (iii) appoint one designee to serve on the compensation committee of our board of directors. These board appointments were completed in conjunction with the closing of the financing on June 26, 2003.

Our stock price may be volatile, and your 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 receipt or failure to receive the significant amount of additional funding necessary to conduct our business;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;
- announcements of technological innovations or new commercial products by our competitors or us;
- developments concerning proprietary rights, including patents;
- developments concerning our collaborations;
- publicity regarding actual or potential medical results relating to products under development by our competitors or us;
- regulatory developments in the United States and foreign countries;
- litigation;
- economic and other external factors or other disaster or crisis; and
- period-to-period fluctuations in financial results.

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

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

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

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

limit who may call a special meeting of stockholders;

prohibit stockholder action by written consent, thereby requiring all stockholder actions to be taken at a meeting of our stockholders;

establish advance notice requirements for nominations for election to the board of directors or for proposing matters that can be acted upon at stockholder meetings;

provide for a board of directors with staggered terms; and

provide that the authorized number of directors may be changed only by a resolution of our board of directors.

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

USE OF PROCEEDS

We estimate that the net proceeds to us from this offering will be approximately $53,180,000 ($61,212,770 if the underwriters' over-allotment option is exercised in full), based on the public offering price of $20.00 per share, after payment of underwriting discounts and commissions and estimated offering expenses payable by us. We will not receive any proceeds from the sale by the selling stockholders.

We intend to use the net proceeds to us from the sale of the common stock offered by this prospectus supplement 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 the NASDAQ National 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 closing prices of our common stock as reported on the NASDAQ National Market:

Year ended December 31, 2001	**High**	**Low**

First quarter	$ 114.75	$ 30.42
Second quarter	76.50	29.25
Third quarter	78.75	36.00
Fourth quarter	57.78	36.00

Year ended December 31, 2002	**High**	**Low**
First quarter	$ 45.90	$ 30.60
Second quarter	43.47	19.80
Third quarter	26.73	12.69
Fourth quarter	17.10	9.45

Year ended December 31, 2003	**High**	**Low**
First quarter	$ 10.53	$ 5.22
Second quarter	13.50	5.85
Third quarter	15.00	7.18
Fourth quarter	19.20	12.25

Year ending December 31, 2004	**High**	**Low**
First quarter (through February 19, 2004)	$ 24.92	$ 19.10

On June 25, 2003, we effected a 1 for 9 reverse split of our common stock, which is reflected as appropriate in the table above. As of December 31, 2003, there were 192 holders of record of our common stock. On February 19, 2004, the last sale price reported on the NASDAQ National Market for our common stock was $21.51 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 unaudited cash, cash equivalents and available-for-sale securities and capitalization as of September 30, 2003:

- on an actual basis; and
- on an as adjusted basis to give effect to the sale by us of 2,850,000 shares of our common stock in this offering at the public offering price of $20.00 per share, after deducting underwriting discounts and commissions and estimated offering expenses 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 prospectuses.

	As of September 30, 2003	
	Actual	**As adjusted**

	As of September 30, 2003	
	(In thousands, except share data)	
Cash, cash equivalents and available-for-sale securities	$ 56,853	$ 110,033
Long-term liabilities	$ 6,725	$ 6,725
Stockholders' equity:		
Common stock, $0.001 par value; 100,000,000 shares authorized; 14,788,394 shares issued and outstanding, actual; 17,638,394 shares issued and outstanding, as adjusted	15	18
Additional paid-in capital	195,168	248,345
Deferred stock compensation	(259)	(259)
Accumulated other comprehensive loss	(3)	(3)
Accumulated deficit	(144,150)	(144,150)
Less treasury stock, at cost	(33)	(33)
Total stockholders' equity	50,738	103,918
Total capitalization	$ 57,463	$ 110,643

The number of shares of common stock outstanding is based on the number of shares outstanding as of September 30, 2003 and excludes:

1,724,953 shares of common stock underlying warrants outstanding as of September 30, 2003 at a weighted average exercise price of $7.17 per share;

2,129,131 shares of common stock underlying options outstanding as of September 30, 2003 at a weighted average exercise price of $8.38 per share; and

483,411 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 148,186 shares available for issuance under our 2000 Employee Stock Purchase Plan and 86,513 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan, as of September 30, 2003.

DILUTION

The net tangible book value of our common stock on September 30, 2003 was approximately $50.7 million, or $3.43 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 2,850,000 shares of common stock in this offering at the public offering price of $20.00 per share and after deducting underwriting discounts and commissions and estimated offering expenses payable by us, our net tangible book value at September 30, 2003 would have been approximately $103.9 million, or $5.89 per share. This represents an immediate increase in net tangible book value of $2.46 per share to existing stockholders and an immediate dilution of $14.11 per share to new investors purchasing shares of common stock in this offering. The following table illustrates this dilution:

Public offering price per share		$ 20.00
Net tangible book value per share as of September 30, 2003	$ 3.43	

Increase per share attributable to new investors	2.46	
Net tangible book value per share after this offering		5.89
Dilution per share to new investors		$ 14.11

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 September 30, 2003, there were:

- 1,724,953 shares of common stock underlying outstanding warrants at a weighted average exercise price of $7.17 per share;
- 2,129,131 shares of common stock underlying outstanding options outstanding at a weighted average exercise price of $8.38 per share; and
- 483,411 shares available for issuance or future grant under our 2000 Equity Incentive Plan, 148,186 shares available for issuance under our 2000 Employee Stock Purchase Plan and 86,513 shares available for issuance or future grant under our 2000 Non-Employee Directors' Stock Option Plan.

MANAGEMENT

Executive Officers and Directors

Our executive officers and directors are:

Name	Position
James M. Gower	Chairman of the Board and Chief Executive Officer
Donald G. Payan, M.D.	Executive Vice President, Chief Scientific Officer and Director
Raul R. Rodriguez	Senior Vice President, Business Development & Commercial Operations
James H. Welch	Vice President, Chief Financial Officer and Corporate Secretary
Elliott B. Grossbard, M.D.	Senior Vice President of Medical Development
Dolly Vance	General Counsel and Vice President of Intellectual Property
Jean Deleage, Ph.D.	Director
Alan D. Frazier	Director
Dennis Henner, Ph.D.	Director
Walter H. Moos, Ph.D.	Director
Hollings C. Renton, M.B.A.	Director
Stephen A. Sherwin, M.D.	Director
Nicholas Simon, M.B.A.	Director

Executive Officers

James M. Gower has been our Chairman of the Board and Chief Executive Officer since October 2001. Mr. Gower joined us as our President, Chief Executive Officer and as a member of our board of directors in January 1997. From 1992 to March 1996, Mr. Gower was President and Chief Executive Officer of Tularik Inc., a biotechnology company developing small-molecule drugs regulating gene expression. Prior to Tularik, Mr. Gower spent ten years at Genentech, Inc., a biopharmaceutical company, where he most recently served as Senior Vice President. During his ten years at Genentech, Mr. Gower was responsible for business development and sales and marketing functions. In addition, he established and managed Genentech's foreign operations in Canada and Japan and served as President of Genentech Development Corporation. Mr. Gower serves on the board of directors of Cell Genesys, Inc. He holds a BS and an MBA in operations research from the University of Tennessee.

Donald G. Payan, M.D., one of Rigel's co-founders, has been a member of our Board of Directors since July 1996 and has served as our Executive Vice President and Chief Scientific Officer since January 1997. From January 1997 to July 1998, he also served as our Chief Operating Officer. From July 1996 to January 1997, Dr. Payan served as our President and Chief Executive Officer. From December 1995 to May 1996, Dr. Payan was Vice President of AxyS Pharmaceuticals, Inc., a biopharmaceutical company. From September 1993 to December 1995, Dr. Payan was Executive Vice President and Chief Scientific Officer of Khepri Pharmaceuticals, Inc., which he founded and subsequently merged with AxyS Pharmaceuticals. Dr. Payan continues his association with the University of California, San Francisco, which began in 1982, where he is currently an Adjunct Professor of Medicine and Surgery. Dr. Payan holds a BS and an MD from Stanford University.

Raul R. Rodriguez joined us as our Senior Vice President, Business Development & Commercial Operations in April 2000. From April 1997 to March 2000 Mr. Rodriguez was with Ontogeny, a biotechnology company, managing that Company's business development and other administrative areas. From April 1994 to April 1997 Mr. Rodriguez was with Scios, a biotechnology company and from 1989 to March 1994 Mr. Rodriguez worked at G.D. Searle, a pharmaceutical company. In these

companies, Mr. Rodriguez held positions of increasing responsibility in the areas of business development and planning. After earning his bachelor's degree from Harvard College, he went on to earn his Masters of Public Health at the University of Illinois. Subsequently, he received his MBA at the Stanford Graduate School of Business.

James H. Welch became Vice President, Chief Financial Officer and Corporate Secretary in October 2001. He joined Rigel in May 1999 as Vice President, Finance and Administration. Prior to joining Rigel, Mr. Welch served as an independent consultant at various companies from June 1998 to May 1999. From February 1997 to June 1998, he served as Chief Financial Officer of Biocircuits Corporation, a manufacturer of medical diagnostic equipment, and from June 1992 to February 1997, he served as Corporate Controller of Biocircuits. Previously, Mr. Welch held various positions at NeXT Computer, Inc., most recently as Division Controller. Mr. Welch holds a BA in business administration from Whitworth College and an MBA from Washington State University.

Elliott B. Grossbard, M.D., joined us as Senior Vice President of Medical Development in April 2002. Prior to joining Rigel, Dr. Grossbard was Vice President, Clinical Affairs for Avigen Inc., an Alameda-based gene therapy products company. Before that, Dr. Grossbard served as Senior Vice President of Development and Vice President of Medical and Regulatory Affairs at Scios, Inc. During his tenure there, he oversaw several operational areas, including pharmacology/toxicology, quality control/quality and manufacturing/process sciences. He was also integral in the clinical development of Scios' lead compound Natrecor® (nesiritide), which was recently approved by the FDA for the treatment of acute heart failure and the preclinical development of a variety of proteins, peptides and small molecules. From 1982 through 1990, Dr. Grossbard held the positions of Associate Director, Clinical Research, and Director, Clinical Research at Genentech Inc. At Genentech, he directed the development of the thrombolytic agent, Activase® tissue plasminogen activator (TPA), from the earliest preclinical studies through clinical trials, NDA filing and FDA approval. Dr. Grossbard joined Genentech from Hoffman-LaRoche where he held various positions in clinical research. Dr. Grossbard's primary research focus at Roche was on the interferon-alpha (Roferon®) program. Prior to joining the corporate sector, Dr. Grossbard held numerous academic appointments at such leading research institutions as Memorial Sloan-Kettering and Cornell University Medical Center, including Director of the adult bone marrow transplant program at Memorial Sloan-Kettering. Dr. Grossbard received his B.A. from Columbia College in 1969 and his M.D. from Columbia University in 1973. In addition, he received a M.S. in Law from Yale University School of Law in 1981. He trained in Medicine at Massachusetts General Hospital and in Hematology at Columbia University and Sloan-Kettering.

Dolly Vance was appointed General Counsel & Vice President of Intellectual Property in January of 2003. She joined Rigel in September 2000 as Rigel's first in-house counsel. From 1997 until September 2000 she was at the law firm of Flehr Hohbach Test Albritton & Herbert (now Dorsey Whitney), where she last held the position of partner. Ms. Vance also worked as an associate at the law firm of Arnall Golden & Gregory from 1995 to 1997 and at the law firm of Harness Dickey & Pierce from 1993 to 1995. Prior to law school she worked in various research laboratories, including the laboratory of Norman Davidson, at California Institute of Technology from 1988 to 1990. She holds a bachelor's degree from University of California, San Diego and a J.D. degree from Boston University School of Law.

Non-Employee Directors

Jean Deleage, Ph.D., joined us as a director in January 1997. Dr. Deleage is a founder and managing director of Alta Partners, a venture capital firm investing in information technologies and life science companies. Dr. Deleage is a managing partner of Burr, Egan, Deleage & Co., a venture capital firm that he founded in 1979. Dr. Deleage was a founder of Sofinnova, a venture capital organization

in France, and Sofinnova, Inc., the U.S. subsidiary of Sofinnova. Dr. Deleage currently serves on the board of directors of Aclara Biosciences, Inc., Crucell, N.V., Kosan Biosciences, Inc. and Telik, Inc. Dr. Deleage received a Baccalaureate in France, a Masters Degree in electrical engineering from the Ecole Superieure d'Electricite and a PhD in economics from the Sorbonne.

Alan D. Frazier joined us as a director in October 1997. In 1991, Mr. Frazier founded Frazier Healthcare Ventures, a venture capital firm, and has served as the managing principal since its inception. From 1983 to 1991, Mr. Frazier served as Executive Vice President, Chief Financial Officer and Treasurer of Immunex Corporation, a biopharmaceutical company. From 1980 to 1983, Mr. Frazier was a principal in the Audit Department of Arthur Young & Company (now Ernst & Young). He also serves on the board of trustees of the Fred Hutchinson Cancer Research Center. Mr. Frazier holds a BA in economics from the University of Washington.

Dennis Henner, Ph.D., joined us as a director in June 2003. Since May 2001, Dr. Henner has been a General Partner at MPM Capital, one of the world's largest dedicated life sciences investment firms. Prior to joining MPM, Dr. Henner was at Genentech from 1981 to 2001, where he was the Senior Vice President of Research, and a member of the Executive Committee, Product Review Committee and Research Review Committee. During his tenure, he oversaw the development of numerous products that have been fundamental to Genentech's success, including novel antibody products such as Herceptin. Dr. Henner is currently Chairman of the Board at Rinat and a member of the board of directors of Tercica and Xcyte. He received his Ph.D. from the Department of Microbiology at the University of Virginia and did postgraduate training at the Scripps Clinic and Research Foundation. Dr. Henner's scientific work focused on the expression of therapeutic proteins in bacterial hosts, and the development of recombinant antibody therapeutics.

Walter H. Moos, Ph.D., joined us as a director in March 1997. Since 1997, Dr. Moos has served as the Chairman and Chief Executive Officer of MitoKor, a biotechnology company. From 1991 to 1997, he served as Corporate Vice President and Vice President, Research and Development in the Technologies Division of Chiron Corporation, a biotechnology company. From 1982 to 1991, Dr. Moos held several positions at the Parke-Davis Pharmaceutical Research Division of the Warner-Lambert Company, last holding the position of Vice President, Neuroscience and Biological Chemistry. He has been an Adjunct Professor at the University of California, San Francisco, since 1992. Dr. Moos holds an AB from Harvard University and a PhD in chemistry from the University of California, Berkeley.

Hollings C. Renton, M.B.A., joined us as a director in January 2004. Since June 2000, Mr. Renton has served as Chairman of the Board of Onyx Pharmaceuticals, Inc., where he has also served as President and Chief Executive Officer. Prior to joining Onyx, Mr. Renton was the President and Chief Operating Officer of Chiron Corporation. He assumed that position in 1991 on Chiron's acquisition of Cetus Corporation, where he had been President since 1990 and Chief Operating Officer since 1987. He joined Cetus in 1981 and was Chief Financial Officer from 1983 to 1987. He holds an M.B.A. from the University of Michigan and a B.S. in mathematics from Colorado State University. Mr. Renton also serves as a member of the boards of directors of Cepheid, Onyx, the Biotechnology Industry Organization (BIO), and Special Olympics Northern California.

Stephen A. Sherwin, M.D., joined us as a director in March 2000. Since March 1990, he has served as Chief Executive Officer and director of Cell Genesys, Inc., and as Chairman of the Board of Cell Genesys since March 1994. From March 1990 to August 2001, Dr. Sherwin held the additional position of President of Cell Genesys. From 1983 to 1990, Dr. Sherwin held various positions at Genentech Inc., a biopharmaceutical company, most recently as Vice President, Clinical Research. Dr. Sherwin currently serves as Chairman of the Board of Ceregene, Inc., a majority-owned subsidiary of Cell Genesys, and as a director of Neurocrine Biosciences, Inc. He received his MD from Harvard Medical School and his BA from Yale University.

Nicholas Simon, M.B.A., joined us as a director in June 2003. Dr. Simon has been a General Partner at MPM Capital since October 2001. Prior to joining MPM, Mr. Simon was Chief Executive Officer and Founder of Collabra Pharma, Inc., a pharmaceutical development company. Mr. Simon held several business development positions in his eleven years at Genentech including, most recently, Vice President, Business & Corporate Development for Genentech. During his tenure, he completed over fifty deals including product out-licensing, strategic alliances, and product acquisitions. Signature deals include the in-licensing of Rituxan and the strategic alliance with Xoma on anti-CD11. Prior to Genentech, Mr. Simon held various marketing and sales positions with several biotech companies including Xoma, Cooper Biomedical and Bethesda Research Laboratories. He is currently a member of the board of directors of ARYx Therapeutics, Barrier Therapeutics, Biovitrum, Cotherix, Genitope, Genteric and QuatRx. Mr. Simon received a B.S. in Microbiology from the University of Maryland and an M.B.A. in Marketing from Loyola College.

SELLING STOCKHOLDERS

A total of 315,000 shares of our common stock are being offered for sale by the selling stockholders listed below. The following table provides information about the selling stockholder, including:

- the number and percentage of outstanding shares each selling stockholder owned as of December 31, 2003;
- how many shares are offered by each selling stockholder for sale by this prospectus supplement, assuming the underwriters do not elect to exercise their over-allotment option; and
- the number and percentage of outstanding shares each selling stockholder will own after the offering, assuming the underwriters do not elect to exercise their over-allotment option.

We will not receive any of the proceeds from the sale of common stock by the selling stockholders.

	Beneficial Ownership as of December 31, 2003			Beneficial Ownership After Offering	
Name and Address of Beneficial Owner	Shares	Percent*	Shares Offered	Shares	Percent*
MPM BioVentures III, L.P. (1) 111 Huntington Avenue, 31st Floor Boston, MA 02199	291,510	2.0%	9,648	281,862	1.6%
MPM BioVentures III-QP, L.P. (1) 111 Huntington Avenue, 31st Floor Boston, MA 02199	4,335,536	29.2%	143,488	4,192,048	23.7%
MPM BioVentures III GmbH & Co. Beteiligungs KG (1) 111 Huntington Avenue, 31st Floor Boston, MA 02199	366,407	2.5%	12,126	354,281	2.0%
MPM Bioventures III Parallel Fund, L.P. (1) 111 Huntington Avenue, 31st Floor Boston, MA 02199	130,938	0.9%	4,333	126,605	0.7%
MPM Asset Management Investors 2003 BVIII LLC (1) 111 Huntington Avenue, 31st Floor Boston, MA 02199	83,942	0.6%	2,778	81,164	0.5%
Alta California Partners, L.P. (2) One Embarcadero Center, Suite 4050 San Francisco, CA 94111	2,210,601	14.9%	72,800	2,136,137	12.1%
Alta Embarcadero Partners, LLC (2) One Embarcadero Center, Suite 4050 San Francisco, CA 94111	2,210,601	14.9%	1,664	2,136,137	12.1%

Frazier Healthcare IV, L.P. (3)	1,554,608	10.5%	67,819	1,486,789	8.4%

601 Union Street, Suite 3200 Seattle, WA 98101					
Frazier Affiliates IV, L.P. (3) 601 Union Street, Suite 3200 Seattle, WA 98101	7,891	0.1%	344	7,547	0.0%
			315,000		

*
Percentage calculations based on 14,828,546 shares of our common stock that were issued and outstanding as of December 31, 2003.

(1)
Dennis J. Henner and Nicholas J. Simon, directors of Rigel since June 26, 2003, are managing members of MPM BioVentures III LLC and MPM Asset Management Investors 2003 BVIII, LLC. MPM BioVentures III LLC is the general partner of MPM BioVentures III GP, L.P., which is the general partner of MPM BioVentures III, L.P., MPM BioVentures III-QP, L.P. and MPM BioVentures III Parallel Fund, L.P. and the Managing Limited Partner of MPM BioVentures III GmbH & Co. Beteiligungs KG. As managing members of the foregoing funds, they may be deemed to share voting and investment powers for the shares held by the foregoing funds. Each of Mr. Simon and Dr. Henner disclaims beneficial ownership of all such shares except to the extent of his proportionate pecuniary interest therein. In addition to the entities set forth above, MPM BioEquities Master Fund, L.P. is the beneficial owner of 208,333 shares of Rigel's common stock, including 34,722 shares subject to currently exercisable warrants. The beneficial ownership numbers reflected in the table above include 48,585 shares of common stock subject to purchase by MPM BioVentures III, L.P., 722,589 shares of common stock subject to purchase by MPM BioVentures III-QP, L.P., 61,068 shares of common stock subject to purchase by MPM BioVentures III GmbH & Co. Beteiligungs KG, 21,823 shares of common stock subject to purchase by MPM Bioventures III Parallel Fund, L.P. and 13,990 shares of common stock subject to purchase by MPM Asset Management Investors 2003 BVIII LLC under warrants that are currently exercisable.

(2)
Jean Deleage, a director of Rigel, is a principal of Alta Partners and a general partner or managing director of the following funds which have an investment interest in Rigel: Alta California Partners, LP, owns 678,436 shares of Rigel's common stock and holds currently exercisable warrants to purchase 33,947 shares of Rigel's common stock; Alta Embarcadero Partners, LLC, owns 15,499 shares of Rigel's common stock and holds currently exercisable warrants to purchase 776 shares of Rigel's common stock; Alta BioPharma Partners II, LP, owns 1,211,676 shares of Rigel's common stock and holds currently exercisable warrants to purchase 217,686 shares of Rigel's common stock; Alta Embarcadero BioPharma Partners II, LLC, owns 44,573 shares of Rigel's common stock and holds currently exercisable warrants to purchase 8,008 shares of Rigel's common stock. As a managing member, partner or member of the foregoing funds, Mr. Deleage may be deemed to share voting and investment powers for the shares held by the foregoing funds. Mr. Deleage disclaims ownership of all such shares except to the extent of his proportionate pecuniary interest therein.

(3)
Alan D. Frazier, a director of Rigel since October 1997, is the president and controlling shareholder of Frazier and Company, Inc. (the managing member of the general partner of Frazier Healthcare II, L.P.) and is a managing member of FHM IV, LLC (the general partner of FHM IV, L.P., which is the general partner of both Frazier Healthcare IV, L.P. and Frazier Affiliates IV, L.P.). In addition to the entities set forth in the table above, Frazier and Company, Inc. is the beneficial owner of 1,682 shares of Rigel's common stock and Frazier Healthcare II, L.P. is the beneficial owner of 481,396 shares of Rigel's common stock. As a managing member, officer, partner or member of the foregoing funds, Mr. Frazier may be deemed to share voting and investment powers for the shares held by the foregoing funds. Mr. Frazier disclaims beneficial ownership of all such shares except to the extent of his proportionate pecuniary interest therein. The beneficial ownership numbers reflected in the table above include 259,101 shares of common stock subject to purchase by Frazier Healthcare IV, L.P. and 1,315 shares of common stock subject to purchase by Frazier Affiliates IV, L.P., under warrants that are currently exercisable.

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

The following is a general discussion of certain material U.S. federal income and estate tax consequences of the ownership and disposition of our common stock by a beneficial owner thereof that is a "Non-U.S. Holder." A "Non-U.S. Holder" is a person or entity that, for U.S. federal income tax purposes, is a non-resident alien individual, a foreign corporation or a foreign estate or trust. The test for whether an individual is a resident of the U.S. for federal estate tax purposes differs from the test used for federal income tax purposes. Some individuals, therefore, may be "Non-U.S. Holders" for purposes of the federal income tax discussion below, but not for purposes of the federal estate tax discussion, and vice versa. This discussion is based on the U.S. Internal Revenue Code of 1986, as amended, judicial decisions and administrative regulations and interpretations in effect as of the date of this prospectus, all of which are subject to change, including changes with retroactive effect. This discussion does not address all aspects of U.S. federal income and estate taxation that may be relevant to Non-U.S. Holders in light of their particular circumstances (including, without limitation, Non-U.S. Holders who are pass-through entities or who hold their common stock through pass-through entities) and does not address any tax consequences arising under the laws of any state, local or non-U.S. jurisdiction. Prospective holders should consult their tax advisors with respect to the federal income and estate tax consequences of holding and disposing of our common stock in light of their particular situations and any consequences to them arising under the laws of any state, local or non-U.S. jurisdiction.

Dividends

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

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

Gain on disposition of common stock

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

with a trade or business of such holder in the United States 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 U.S., (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 U.S. 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 U.S. federal income tax so long as (i) the Non-U.S. Holder owned directly or indirectly, 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 (ii) 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 regular graduated U.S. 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 on the gain derived from the sale, which tax may be offset by U.S. source capital losses (even though you are not considered a resident of the United States).

Information reporting requirements and backup withholding

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

Backup withholding will generally not apply to payments of dividends made by us or our paying agents to a Non-U.S. Holder if the holder has provided its federal taxpayer identification number, if any, or the required certification that it is not a U.S. person (which is generally provided by furnishing a properly-executed IRS Form W-8BEN), unless the payer otherwise has knowledge or reason to know that the payee is a U.S. person. Under current U.S. federal income tax law, information reporting and backup withholding will apply to the proceeds of a disposition of our common stock effected by or through a U.S. office of a broker unless the disposing holder certifies as to its non-U.S. status or otherwise establishes an exemption. Generally, U.S. information reporting and backup withholding will not apply to a payment of disposition proceeds where the transaction is effected outside the United States through a non-U.S. office of a non-U.S. broker. However, U.S. information reporting requirements (but not backup withholding) will apply to a payment of disposition proceeds where the transaction is effected outside the United States by or through an office outside the United States of a broker that fails to maintain documentary evidence that the holder is a Non-U.S. Holder and that certain conditions are met, or that the holder otherwise is entitled to an exemption, and the broker is (i) a U.S. person, (ii) a foreign person which derived 50% or more of its gross income for certain periods from the conduct of a trade or business in the United States, (iii) a "controlled foreign corporation" for U.S. federal income tax purposes, or (iv) a foreign partnership (a) at least 50% of the capital or profits interest in which is owned by U.S. persons, or (b) that is engaged in a U.S. trade or

business. Backup withholding will apply to a payment of disposition proceeds if the broker has actual knowledge that the holder is a U.S. person.

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

Federal estate tax

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

UNDERWRITING

Under the terms and subject to the conditions contained in an underwriting agreement dated February 19, 2004, we and the selling stockholders have agreed to sell to the underwriters named below, for whom Credit Suisse First Boston LLC, Needham & Company, Inc., Thomas Weisel Partners LLC and Fortis Securities Inc. are acting as representatives, the following respective numbers of shares of common stock:

Underwriter	Number of Shares
Credit Suisse First Boston LLC	1,519,200
Needham & Company, Inc.	683,640
Thomas Weisel Partners LLC	683,640
Fortis Securities Inc.	151,920
Adams, Harkness & Hill, Inc.	31,650

Underwriter	Number of Shares
ThinkEquity Partners LLC	31,650
Wells Fargo Securities, LLC	31,650
White Mountain Capital, LLC	31,650
Total	3,165,000

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 and the selling stockholders have granted to the underwriters a 30-day option to purchase on a pro rata basis up to 474,750 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 $0.72 per share. The underwriters and selling group members may allow a discount of $0.10 per share on sales to other broker/dealers. After the initial public offering the representatives may change the public offering price and concession and discount to broker/dealers.

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

	Per Share		Total	
	Without Over-allotment	With Over-allotment	Without Over-allotment	With Over-allotment
Underwriting Discounts and Commissions paid by us	$ 1.20	$ 1.20	$ 3,420,000	$ 3,932,730
Expenses payable by us	$ 0.14	$ 0.12	$ 400,000	$ 400,000
Underwriting Discounts and Commissions paid by selling stockholders	$ 1.20	$ 1.20	$ 378,000	$ 434,970
Expenses payable by the selling stockholders	$	$	$	$

We have agreed that we will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, or file with the Securities and Exchange Commission 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 First Boston LLC for a period of 90 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.

Subject to certain exceptions, our officers, directors, the selling stockholders and certain other stockholders affiliated with the selling stockholders have agreed that they will not offer, sell, contract to sell, pledge or otherwise dispose of, directly or indirectly, any shares of our common stock or securities convertible into or exchangeable or exercisable for any shares of our common stock, enter into a transaction that would have the same effect, or enter into any swap, hedge or other arrangement that transfers, in whole or in part, any of the economic consequences of ownership of our common stock, whether any of these transactions are to be settled by delivery of our common stock or other securities, in cash or otherwise, or publicly disclose the intention to make any offer, sale, pledge or disposition, or to enter into any transaction, swap, hedge or other arrangement, without, in each case, the prior written consent of Credit Suisse First Boston LLC for a period of 90 days after the date of this prospectus supplement; provided, however, that with respect to an aggregate of 1,500,000 shares of common stock held by certain of the selling stockholders and their affiliated funds, the above-described lock-up period will be 45 days.

We and the selling stockholders 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 National 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 National 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 CANADIAN RESIDENTS

Resale Restrictions

The distribution of the common stock in Canada is being made only on a private placement basis exempt from the requirement that we and the selling stockholders prepare and file a prospectus with the securities regulatory authorities in each province where trades of common stock are made. Any resale of the common stock in Canada must be made under 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. Purchasers are advised to seek legal advice prior to any resale of the common stock.

Representations of Purchasers

By purchasing common stock in Canada and accepting a purchase confirmation a purchaser is representing to us, the selling stockholders 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, and

the purchaser has reviewed the text above under Resale Restrictions.

Rights of Action Ontario Purchasers Only

Under Ontario securities legislation, a purchaser who purchases a security offered by this prospectus during the period of distribution will have a statutory right of action for damages, or while still the owner of the shares, for rescission against us and the selling stockholders in the event that this prospectus contains a misrepresentation. A purchaser will be deemed to have 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 shares. The right of action for rescission is exercisable not later than 180 days from the date on which payment is made for the shares. If a purchaser elects to exercise the right of action for rescission, the purchaser will have no right of action for damages against us or the selling stockholders. In no case will the amount recoverable in any action exceed the price at which the shares were offered to the purchaser and if the purchaser is shown to have purchased the securities with knowledge of the misrepresentation, we and the selling stockholders will have no liability. In the case of an action for damages, we and the selling stockholders will not be liable for all or any portion of the damages that are proven to not represent the depreciation in value of the shares 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 and the selling stockholders 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 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 LLP, Palo Alto, California will pass upon the validity of the issuance of the common stock offered by this prospectus supplement. As of the date of this prospectus supplement, certain partners and associates of Cooley Godward LLP own an aggregate of 17,500 shares of our common stock through investment partnerships. 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

$75,000,000

RIGEL PHARMACEUTICALS, INC.

Common Stock
Preferred Stock
Debt Securities
Warrants

From time to time, we may sell common stock, preferred stock, debt securities and/or warrants.

We will provide the specific terms of these securities in one or more supplements to 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 January 5, 2004, the last reported sale price of our common stock on the Nasdaq National Market was $19.84 per share.

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

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 2 OF THIS PROSPECTUS.

The date of this prospectus is January 30, 2004

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RIGEL

Rigel's mission is to become a source of novel, small-molecule drugs to meet large, unmet medical needs. Our business model is to develop a portfolio of drug candidates and to take these through Phase II clinical trials, after which we intend to seek partners for completion of clinical trials, regulatory approval and marketing. We have identified three lead product development programs: mast cell inhibition to treat immunologic diseases such as asthma/allergy and autoimmune disorders, antiviral agents to treat hepatitis C and ubiquitin ligases, a new class of cancer drug targets. Rigel has begun clinical testing of its first product candidates, R112 for allergic rhinitis and R803 for hepatitis C, and plans to initiate clinical trials of two additional drug candidates for the treatment of rheumatoid arthritis and asthma by the end of 2004. We have not yet, however, obtained regulatory approval for the commercial sale of any products. 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.

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 common stock, preferred stock, debt securities and/or warrants in one or more offerings, up to a total dollar amount of $75 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. 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, 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 in this prospectus. No dealer, salesperson or other person is authorized to give any information or to represent anything not contained 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.

RISK FACTORS

Except for the historical information contained in this prospectus or incorporated by reference, this prospectus (and the information incorporated by reference in this prospectus) contains forward-looking statements that involve risks and uncertainties. Our actual results could differ materially from those discussed here or incorporated by reference. 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.

Investment in our securities involves a high degree of risk. You should consider carefully these risk factors identified in our most recent annual and quarterly filings, 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, with a total value of up to $75 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, 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 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. 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 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; 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.

Debt Securities. We may offer 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 unsecured and unsubordinated debt. 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 of our senior indebtedness. Convertible debt securities 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 national banking association, as trustee. 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. Forms of 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 reports 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 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 reports 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.

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. 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, 2002 and in the nine-month period ended September 30, 2003. "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,
	1998	1999	2000	2001	2002	2003
	(in thousands)					
Deficiency of earnings available to cover fixed charges	$ (10,604)	$ (12,366)	$ (25,360)	$ (23,805)	$ (37,030)	$ (29,335)

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 December 31, 2003, there were 14,828,546 shares of our common stock outstanding and no shares of preferred stock outstanding. In addition, certain stockholders held warrants to purchase 1,724,953 shares of our common stock.

Common Stock

The holders of common stock are entitled to one vote for each share held of record on all matters submitted to a vote of the stockholders and do not have cumulative voting rights. Accordingly, holders of a majority of the shares of 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 outstanding shares of preferred stock, the holders of 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 after payment of liabilities and the liquidation preferences of any outstanding shares of preferred stock. Holders of 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 common stock are, and all shares of 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 incorporate by reference as an exhibit to the registration statement that includes this prospectus or as an exhibit to a current report on Form 8-K, 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;
- 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, conversion or other rights of holders of 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 and Participation Rights

As of the date of this prospectus, holders of approximately 9.8 million 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. These registration rights require, among other things, that if we propose to register any of our securities under the Securities Act, either for our own account or for the account of others, the holders 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. In addition, the holders of these shares may require us, at our expense and subject to limitations, to file a registration statement under the Securities Act with respect to their shares of common stock. These holders have waived these

registration rights in connection with the offerings that might be made under this registration statement.

In addition to these registration rights, holders of at least 10% of our outstanding common stock have the right to participate in securities offerings we might undertake in the future by purchasing their pro-rata share of any common or preferred stock or other securities issued by us in such an issuance. This right of participation is subject to some exclusions, including those for securities issued pursuant to stock option plans, pursuant to public offerings, in connection with any stock split, stock dividend or recapitalization, in connection with equipment lease financings, in connection with corporate collaborations and in connection with acquisitions or transactions approved by our board of directors. In addition, we are prohibited from offering participation rights, rights of first refusal, rights of first offer or similar rights to anyone elase on terms more favorable than, or in preference to, the participation rights currently held by these stockholders. These holders have waived these participation rights in connection with the offerings that might be made under this registration statement.

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 apply generally to any future debt securities we may offer, 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. As of the date of this prospectus, Rigel has no outstanding issuer debt.

We will issue the senior notes under the senior indenture that we will enter into with the trustee named in the senior indenture. We will issue the subordinated notes under the subordinated indenture that 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 which includes this prospectus. 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. Except as we may otherwise indicate, the terms of the senior indenture and the subordinated indenture are identical.

General

We will describe in each prospectus supplement the following terms relating to a series of notes:

- 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 notes in global form, the terms and who the depositary will be;
- the maturity date;
- 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 and 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 notes will be secured or unsecured, and the terms of any secured debt;

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

the place where payments will be payable;

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

the date, if any, after which, and the price at which, we may, at our option, redeem the series of notes pursuant to any optional or provisional redemption provisions and the terms of those redemptions provisions;

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

whether the indenture will restrict our ability to pay dividends, or will require us to maintain any asset ratios or reserves;

whether we will be restricted from incurring any additional indebtedness or issuing additional securities;

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

information describing any book-entry features;

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

any provisions for payment of additional amounts for taxes and any provision for redemption, if we must pay such additional amount with respect to any debt security;

whether the debt securities are to be offered at a price such that they will be deemed to be offered at an "original issue discount" as defined in paragraph (a) of Section 1273 of the Internal Revenue Code;

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

any other specific terms, preferences, rights or limitations of, or restrictions on, the debt securities, including any additional events of default or covenants provided with respect to the debt securities, and any terms that may be required by us or advisable under applicable laws or regulations.

Conversion or Exchange Rights

We will set forth in the prospectus supplement the terms on which a series of notes may be convertible into or exchangeable for common stock or other securities of ours. 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 shares of common stock or other securities of ours that the holders of the series of notes receive would be subject to adjustment.

Consolidation, Merger or Sale

The indentures do not contain any covenant that 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 to or acquirer of such assets must assume all of our obligations under the indentures or the notes, as appropriate. If the debt securities are convertible for our other securities or securities of other entities, the person with whom we consolidate or merge or to whom we sell all of our property must make provisions for the conversion of the debt securities into securities that 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 notes 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 notes or the indentures, other than a covenant specifically relating to another series of notes, 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 notes of the applicable series; and
- if specified events of bankruptcy, insolvency or reorganization occur.

If an event of default with respect to notes 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 notes 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 shall 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 notes 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. Any waiver shall cure the default or event of default.

Subject to the terms of the indentures, if an event of default under an indenture shall occur and be continuing, the debenture trustee will 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 notes, unless such holders have offered the debenture trustee reasonable indemnity. The holders of a majority in principal amount of the outstanding notes 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 notes 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 notes 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 notes 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 notes 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 notes if we default in the payment of the principal, premium, if any, or interest on, the notes.

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 add to, delete from or revise the conditions, limitations, and restrictions on the authorized amount, terms, or purposes of issue, authentication and delivery of notes, as set forth in the indenture;

to provide for the issuance of and establish the form and terms and conditions of the notes of any series as provided under "General" to establish the form of any certifications required to be furnished pursuant to the terms of the indenture or any series of notes, or to add to the rights of the holders of any series of notes;

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

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

to add to our covenants such new covenants, restrictions, conditions or provisions for the protection of the holders, and 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 change anything that does not materially adversely affect the interests of any holder of notes of any series.

In addition, under the indentures, the rights of holders of a series of notes 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 notes 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 notes affected:

extending the fixed maturity of the series of notes;

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 notes; or

reducing the percentage of notes, the holders of which are required to consent to any amendment, supplement, modification or waiver.

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, if any, and interest on, the debt securities of the series on the dates payments are due.

Form, Exchange and Transfer

We will issue the notes 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 notes 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 depositary 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 notes of any series can exchange the notes for other notes 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 notes may present the notes 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 notes 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 notes. 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 notes of each series.

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

- issue, register the transfer of, or exchange any notes of that series during a period beginning at the opening of business 15 days before the day of mailing of a notice of redemption of any notes 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 notes so selected for redemption, in whole or in part, except the unredeemed portion of any notes 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 the indentures at the request of any holder of notes 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 notes on any interest payment date to the person in whose name the notes, 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 notes 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 will make interest payments by check that we will mail to the holder or by wire transfer to certain holders. Unless we otherwise indicate in a prospectus supplement, we will designate the corporate trust office of the debenture trustee in the City of New York as our sole paying agent for payments with respect to notes of each series. We will name in the applicable prospectus supplement any other paying agents that we initially designate for the notes of a particular series. We will maintain a paying agent in each place of payment for the notes 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 notes that 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 security thereafter may look only to us for payment thereof.

Governing Law

The indentures and the notes 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 Notes

The subordinated notes will be unsecured and will be subordinate and junior in priority of payment to certain of our other indebtedness to the extent described in a prospectus supplement. The subordinated indenture does not limit the amount of subordinated notes that we may issue. It also does not limit us from issuing any other secured 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. Specific warrant agreements will contain additional important terms and provisions and will be incorporated by reference as an exhibit to the registration statement that includes this prospectus or as an exhibit to a current report on Form 8-K.

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.

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 depositary 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 depositary'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 depositary. 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 depositary. Unless we specify otherwise in the applicable prospectus supplement, The Depository Trust Company, New York, New York, known as DTC, will be the depositary 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 depositary, its nominee or a successor depositary, 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 depositary, 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 depositary 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 depositary, 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 depositary 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 depositary'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 depositary's actions or for its records of ownership interests in a global security. We and the trustee also do not supervise the depositary in any way;

The depositary 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 depositary'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 reallowed 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. 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 reallowed 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. 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 Exchange Act 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. As of the date of this prospectus, certain partners and associates of Cooley Godward LLP own an aggregate of approximately 17,400 shares of our common stock, either individually or through investment partnerships.

EXPERTS

The financial statements of Rigel Pharmaceuticals, Inc. appearing in Rigel Pharmaceuticals, Inc.'s Annual Report (Form 10-K), as amended, for the year ended December 31, 2002, have been audited by Ernst & Young LLP, independent auditors, as set forth in their report thereon included therein and incorporated herein by reference. Such financial statements are incorporated herein by reference in reliance upon such report 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 periods ended March 31, 2003 and March 31, 2002, the three and six-month periods ended June 30, 2003 and June 30, 2002 and the three and nine-month periods ended

September 30, 2003 and September 30, 2002 incorporated by reference in this prospectus, Ernst & Young LLP has reported that it has applied limited procedures in accordance with professional standards for a review of such information. However, its separate reports, included in Rigel Pharmaceuticals, Inc.'s Quarterly Reports on Form 10-Q for the quarters ended March 31, 2003, June 30, 2003 and September 30, 2003, and incorporated herein by reference, state that it did not audit and it does not express an opinion on that interim financial information. Accordingly, the degree of reliance on its reports on such information should be restricted considering the limited nature of the review procedures applied. The independent auditors are not subject to the liability provisions of Section 11 of the Securities Act for its reports on the unaudited interim financial information because those reports are not "reports" or a "part" of the Registration Statement prepared or certified by the auditors within the meaning of Sections 7 and 11 of the Securities 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 and preferred stock, debt securities and/or warrants 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 Room 1024, 450 Fifth Street, N.W., 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 cost. 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.

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 we will make with the Securities and Exchange Commission under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act after the date of this prospectus but prior to the termination of the offering of the securities covered by this prospectus.

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, as amended, for the year ended December 31, 2002, filed with the Securities and Exchange Commission on March 31, 2003;

2. Our quarterly report on Form 10-Q for the quarter ended March 31, 2003, filed with the Securities and Exchange Commission on May 15, 2003;

3. Our quarterly report on Form 10-Q for the quarter ended June 30, 2003, filed with the Securities and Exchange Commission on August 14, 2003;

4. Our quarterly report on Form 10-Q for the quarter ended September 30, 2003, filed with the Securities and Exchange Commission on November 14, 2003;

5. Our current report on Form 8-K, filed with the Securities and Exchange Commission on May 2, 2003;

6. Our current report on Form 8-K, filed with the Securities and Exchange Commission on June 24, 2003;

7. Our current report on Form 8-K, filed with the Securities and Exchange Commission on June 27, 2003;

8. Our current report on Form 8-K, filed with the Securities and Exchange Commission on August 4, 2003;

9. Our current report on Form 8-K, filed with the Securities and Exchange Commission on January 22, 2004; and

10. 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, on 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.

9,583,331 Shares

RIGEL PHARMACEUTICALS, INC.

Common Stock

The selling stockholders listed on page 15 are offering up to 9,583,331 shares of our common stock. We will not receive any proceeds from the sale of the shares by the selling stockholders.

Our common stock trades on the Nasdaq National Market under the trading symbol "RIGL." From June 25, 2003 through July 23, 2003, our common stock will trade under the trading symbol "RIGLD" as a result of a reverse split of outstanding shares of our common stock on June 24, 2003. On July 22, 2003, the last reported sale price of our common stock was $8.68 per share.

The selling stockholders may sell the shares described in this prospectus in a number of different ways and at varying prices. See "Plan of Distribution" on page 18 for more information about how the selling stockholders may sell their shares.

We will not be paying any underwriting discounts or commissions in this offering.

INVESTING IN OUR SECURITIES INVOLVES A HIGH DEGREE OF RISK. SEE "RISK FACTORS" BEGINNING ON PAGE 2.

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.

July 23, 2003

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This prospectus is part of a registration statement we filed with the Securities and Exchange Commission. You should rely only on the information we have provided or incorporated by reference in this prospectus. We have not authorized anyone to provide you with information different from that contained in this prospectus. The selling stockholders are offering to sell, and seeking offers to buy, shares of our common stock only in jurisdictions where it is lawful to do so. You should assume that the information in this prospectus 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 our common stock.

RIGEL

Rigel's mission is to become a source of novel, small-molecule drugs to meet large, unmet medical needs. Our business model is to develop a portfolio of drug candidates and to take these through Phase II clinical trials, after which we intend to seek partners for completion of clinical trials, regulatory approval and marketing. We have identified three lead product development programs: mast cell inhibition to treat immunologic diseases such as asthma/allergy and autoimmune disorders, antiviral agents to treat Hepatitis C and ubiquitin ligases, a new class of cancer drug targets. We have begun clinical testing of our first product candidate, for the treatment of allergic rhinitis, and plan to begin clinical trials of two additional drug candidates for the treatment of Hepatitis C and rheumatoid arthritis within the next twelve months. Our approach to drug discovery is based on advanced, proprietary functional genomics 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. We were incorporated in Delaware in June 1996, and we are based in South San Francisco, California.

RISK FACTORS

An investment in our securities is risky. Prior to making a decision about investing in our securities you should carefully consider the following risks, as well as the other information included or incorporated by reference in this prospectus. If any of the following risks actually occurs, our business could be harmed. In that case, the trading price of our common stock could decline, and you might lose all or part of your investment. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business. If any of these additional risks or uncertainties occurs, the trading price of our common stock could decline, and you might lose all or part of your investment.

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

Our operations will require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, the expansion of our facilities and the absence of any meaningful revenues for the foreseeable future. The amount of future funds needed will depend largely on the success of our collaborations and our research activities, and we do not know whether additional

financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us. We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our infrastructure and research and development activities.

To the extent we raise additional capital by issuing equity securities, our stockholders would at that time would 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:

- our ability to maintain our existing collaboration partnerships;
- our ability to establish, and the scope of, new collaborations;
- the progress and number of research programs carried out at Rigel;
- the progress of the research and development efforts of our collaborators;
- 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;
- our ability to maintain and establish new corporate relationships and research collaborations;
- our ability to acquire or license other technologies or compounds, if any;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual rights;
- the costs and timing of regulatory approvals; and
- 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 workforce reduction announced in January 2003 and any future workforce and expense reductions may have an adverse impact on our ability to make significant progress on our internal programs.

In January 2003, we announced a workforce reduction of 25 employees in order to reduce expenses. In light of our continued need for funding and expense control, we may be required to implement further workforce and expense reductions this year. Workforce and expense reductions have resulted, and further reductions could result, in reduced progress on our internal programs. In addition, employees, whether or not directly affected by a reduction, may seek future employment with our business partners or competitors. Although our employees are required to sign a confidentiality agreement at the time of hire, the confidential nature of certain proprietary information may not be maintained in the course of any such future employment. Further, we believe that our future success will depend in large part upon our ability to attract and retain highly skilled personnel. We may have difficulty attracting such personnel as a result of a perceived risk of future workforce and expense reductions. In addition, the implementation of expense reduction programs may result in the diversion of efforts of our executive management team and other key employees, which could adversely affect our business.

Our success as a company is uncertain due to our limited operating history, 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 drug candidates and advance our programs into clinical testing, we have not been profitable and have generated 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 have incurred net losses of $37.0 million in 2002, $23.8 million in 2001 and $25.3 million in 2000. Currently, our revenues are generated solely from research payments from our collaboration agreements and licenses and are insufficient to generate profitable operations. As of March 31, 2003, we had an accumulated deficit of approximately $122.6 million.

There is a high risk that early-stage drug discovery and development might not successfully generate good drug candidates.

At the present time, the majority of our operations are in the early stages of drug identification and development. To date, only one of our drug compounds has made it into the clinical testing stage. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential drug candidates will actually lead to successful drug development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all. Our one drug compound in the clinic and our future leads for potential drug compounds will be subject to the risks and failures inherent in the development of pharmaceutical products based on new technologies. These risks include, but are not limited to, the inherent difficulty in selecting the right drug target and avoiding unwanted side effects as well as the unanticipated problems relating to product development, testing, regulatory compliance, manufacturing, marketing, competition and costs and expenses that may exceed current estimates.

For example, we began a Phase I clinical trial of R112 in September 2002 in Britain. In this initial safety study, conducted with healthy volunteers, no significant adverse events were observed. The data from this trial was incorporated into an investigational new drug, or IND, application that was filed with the United States Food and Drug Administration, or FDA, in November 2002. Approval to

proceed was received from the FDA in December 2002 and a Phase I/II clinical trial was recently completed at National Jewish Medical Center in Denver, Colorado. The clinical trial evaluated the effectiveness of R112 in patients with documented allergies. The preliminary initial results of this clinical trial were announced on July 20, 2003 and we intend to release further details of these preliminary results later this year. Because of the preliminary nature of these data and the need for further clinical testing, we cannot predict the impact that these preliminary results will have on our business.

We might not be able to commercialize our drug 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 one trial already concluded and the trial currently in process. It may 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, when our projects reach clinical trials, we or our collaborative partners 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. We do not know whether planned clinical trials will begin on time, will need to be 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 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.

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 revenues 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 revenue 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 revenue 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. During 2002, we recorded our first milestone for both Novartis and Daiichi. Under many agreements, however, milestone payments may not be earned until the collaborator has advanced products into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to recognize 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, such failure might delay ongoing research and development efforts at Rigel because we might not receive any future milestone payments and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations. For example, the funded research phase of our collaboration with Pfizer has been completed and the development portion of our collaboration is ongoing at Pfizer. In addition, in May 2002, Novartis elected to conclude the research phases of our two initial joint projects in

the autoimmunity and transplant rejection areas, after 42 months, effective November 2002 and February 2003, respectively. Pursuant to the collaboration agreement, Novartis had the option to end the research phase on these programs after 24 months or 42 months. More generally, our current corporate collaboration agreements may terminate upon a breach or a change of control. 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.

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 your 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. 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.

If we fail to enter into new collaborative arrangements in the future, our business and operations would be negatively impacted.

Although we have established several collaborative arrangements and various license agreements, we do not know if we will be able to establish additional arrangements in the future. For example, there have been, and may continue to be, a significant number of recent business combinations among large pharmaceutical companies that have resulted, and may continue to result, in a reduced number of potential future corporate collaborators, which may limit our ability to find partners who will work with us in developing and commercializing our drug targets. We entered into only one collaboration, with Daiichi, in 2002. If business combinations involving our existing corporate collaborators were to occur, the effect could be to diminish, terminate or cause delays in one or more of our corporate collaborations.

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

Our success will depend to a large part on our own, our licensees' and our licensors' ability to obtain and defend patents for each party's respective technologies and the compounds and other products, if any, resulting from the application of such technologies. We have over 150 pending patent applications and 31 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. Additional uncertainty may result from 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.

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.

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. For example, in June 2002, we resolved a dispute with Inoxell A/S (formed as a spinout from Pharmexa formally M&E Biotech) by entering into a global patent settlement concerning certain drug target identification technologies, which includes both cross-licensing and joint ownership to certain patents and allows for worldwide freedom of operation for both companies. 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 drug 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 or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

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

Before receiving FDA clearance to market a product, we must demonstrate that the product is safe and effective on the patient population that will be treated. Data obtained from preclinical and clinical activities are susceptible to varying interpretations that could delay, limit or prevent regulatory clearances. 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 clearance of a product is granted, this clearance will be limited to those 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 clearance.

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 clearance 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 strategic partners' 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 drug 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 products under development 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.

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. 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 133 employees as of June 30, 2003, 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 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 efforts.

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery 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 employees of ours 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 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 or losses resulting from disasters or other business interruptions.

If our officers, directors and largest stockholders choose to act together, they may be able to significantly affect our management and operations, acting in their best interests and not necessarily those of other stockholders.

Our directors, executive officers and their affiliates beneficially owned approximately 67.9% of our common stock as of June 30, 2003. Accordingly, they collectively have the ability to significantly affect the election of all of our directors and the outcome of most corporate actions requiring stockholder approval. They may exercise this ability in a manner that advances their best interests and not necessarily those of other stockholders.

On June 26, 2003, we completed a private placement led by MPM Capital, and included Frazier Healthcare, Alta Partners and HBM BioVentures. In the private placement, we issued 7,986,110 shares of our common stock at a price of $5.76 per share and warrants to purchase an additional 1,597,221 shares of our common stock at an exercise price of $5.76 per share. These shares, including the shares reserved for issuance upon the exercise of the warrants, are being offered by this prospectus. As a result of their combined approximate 70.5% ownership (without giving effect to the exercise of the warrants and based on 13,167,556 shares outstanding as of June 30, 2003), the investors obtained control over Rigel. The investors hold the requisite percentage of our outstanding shares so as to permit them, if they choose to act in concert, to take actions requiring stockholder approval without obtaining the approval of our other stockholders. In addition, two designees of MPM Capital were appointed to our board of directors as of the closing of the private placement. For so long as MPM Capital holds at least 10% of the outstanding shares of our common stock, we will use our commercially reasonable best efforts to (i) cause these two designees to be nominated and elected to our board of directors; (ii) appoint one designee to serve on the nominating committee of our board of directors; and (iii) appoint one designee to serve on the compensation committee of our board of directors.

Our stock price may be volatile, and your 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 receipt or failure to receive the significant amount of additional funding necessary to conduct our business;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;
- 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 at least two-thirds of our capital stock;

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

limit who may call a special meeting of stockholders;

prohibit stockholder action by written consent, thereby requiring all stockholder actions to be taken at a meeting of our stockholders;

establish advance notice requirements for nominations for election to the board of directors or for proposing matters that can be acted upon at stockholder meetings; and

provide for a board of directors with staggered terms.

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.

FORWARD-LOOKING INFORMATION

Some of the statements in this prospectus and the documents incorporated by reference other than statements of historical fact are forward-looking statements within the meaning of Section 27A of the Securities Act and within the meaning of Section 21E of the Securities Exchange Act of 1934, as amended, that are subject to the "safe harbor" created by those sections. These forward-looking statements include but are not limited to statements about:

- risks associated with the success of research and product development programs;
- results achieved in future preclinical studies and clinical trials;
- anticipated capital needs;
- dependence on revenues from existing and new collaborations;
- uncertainty of product development, need for additional capital and uncertainty of change;
- our research and development and other expenses;
- our operations and legal risks;
- governmental regulation and the regulatory approval process;
- uncertainty of health care reform measures;
- uncertainty of potential proprietary rights;
- the scope and validity of patents;
- our proprietary technology and corporate partnerships;
- dependence on key personnel;
- history of operating losses and anticipation of future losses;
- competitive technologies and products; and
- management of growth and risks of acquiring new technologies.

These forward-looking statements are generally identified by words such as "expect," "anticipate," "intend," "believe," "hope," "assume," "estimate," "plan," "will" and other similar words and expressions. Discussions containing these forward-looking statements may be found, among other places, in "Business" and "Management's Discussion and Analysis of Financial Condition and Results of Operations" incorporated by reference from our most recent annual report on Form 10-K, as well as any amendments thereto reflected in subsequent filings with the Securities and Exchange Commission. These forward-looking statements involve risks and uncertainties that could cause our actual results to differ materially from those in the forward-looking statements. Reference is made to discussion about risks that may affect our business under "Risk Factors" above. We do not undertake any obligation to update forward-looking statements. The risks contained in this prospectus, among other things, should be considered in evaluating our prospects and future financial performance.

USE OF PROCEEDS

The proceeds from the sale of the common stock offered pursuant to this prospectus are solely for the accounts of the selling stockholders. We will not receive any proceeds from the sale of these shares of common stock.

SELLING STOCKHOLDERS

We are registering the shares covered by this prospectus on behalf of the selling stockholders named in the table below. We have agreed to register these shares, which include 1,597,221 shares reserved for issuance upon the exercise of warrants, pursuant to the registration rights set forth in Section 2 of the Second Investor Rights Agreement, dated as of June 26, 2003, between Rigel and the stockholders named therein. We have registered the shares to permit each of the selling stockholders and its pledgees, donees, transferees, distributees or other successors-in-interest that receive shares from each selling stockholder as a gift, partnership distribution or other non-sale related transfer after the date of this prospectus to resell the shares.

The following table sets forth the name of each selling stockholder, the number of shares owned by it, the number of shares that may be offered under this prospectus, the number of shares of our common stock owned by each selling stockholder as of June 30, 2003 and the number of shares of our common stock owned by each selling stockholder after this offering is completed. The share numbers set forth below include 1,597,221 shares reserved for issuance upon the exercise of warrants. Except as otherwise disclosed below, none of the selling stockholders has, or within the past three years has had, any position, office or other material relationship with us. The number of shares in the column "Number of Shares Being Offered" represents the maximum number of shares that the selling stockholder may offer under this prospectus. The selling stockholders may sell some, all or none of the shares registered hereunder. We do not know how long the selling stockholders will hold the shares before selling them, and we currently have no agreements, arrangements or understandings with the selling stockholders regarding the sale of any of the shares. The shares offered by this prospectus may be offered from time to time by the selling stockholders.

Beneficial ownership is determined in accordance with Rule 13d-3(d) promulgated by the Securities and Exchange Commission under the Exchange Act. The percentages of shares owned prior

to and after the offering are based on 13,167,556 shares of our common stock outstanding on June 30, 2003.

	Shares Beneficially Owned Prior to the Offering		Number of Shares Being Offered	Shares Beneficially Owned After the Offering(1)	
Name	Number	Percent (%)		Number	Percent (%)
MPM BioVentures III, L.P.(2)	291,510	2.21	291,510	0	*
MPM BioVentures III-QP, L.P.(2)	4,335,536	31.21	4,335,536	0	*
MPM BioVentures III GmbH & Co. Parallel-Beteiligungs KG(2)	366,407	2.77	366,407	0	*
MPM BioVentures III Parallel Fund, L.P.(2)	130,938	*	130,938	0	*
MPM Asset Management Investors 2003 BVIII LLC(2)	83,942	*	83,942	0	*
MPM BioEquities Master Fund, L.P.(2)	208,333	1.58	208,333	0	*
Alta California Partners, L.P.(3)	712,383	5.40	203,680	508,703	3.86

	Shares Beneficially Owned Prior to the Offering			Shares Beneficially Owned After the Offering(1)	
Alta Embarcadero Partners, LLC(3)	16,275	*	4,654	11,621	*
Alta BioPharma Partners II, L.P.(3)	1,429,362	10.68	1,306,118	123,244	*
Alta Embarcadero BioPharma Partners II, LLC(3)	52,581	*	48,048	4,533	*
Frazier Healthcare IV, L.P.(4)	1,554,608	11.58	1,554,608	0	*
Frazier Affiliates IV, L.P.(4)	7,891	*	7,891	0	*
HBM BioVentures (Cayman) Ltd.	1,212,090	9.09	1,041,666	170,424	1.30
Total	10,401,856	76.72%	9,583,331	818,525	6.22%

* Less than 1%.

(1) Assumes the sale of all shares offered hereby.

(2) Dennis J. Henner and Nicholas J. Simon, directors of Rigel since June 26, 2003, are managing members of MPM BioVentures III LLC and MPM Asset Management Investors 2003 BVIII, LLC. MPM BioVentures III LLC is the general partner of MPM BioVentures III GP, L.P., which is the general partner of MPM BioVentures III, L.P., MPM BioVentures III-QP, L.P. and MPM BioVentures III Parallel Fund, L.P. and the Managing Limited Partner of MPM BioVentures III GmbH & Co. Parallel-Beteiligungs KG. As managing members of the foregoing funds, they may be deemed to share voting and investment powers for the shares held by the foregoing funds. Each of Mr. Simon and Dr. Henner disclaims beneficial ownership of all such shares except to the extent of his proportionate pecuniary interest therein.

(3) Jean Deleage, a director of Rigel since January 1997, is a managing director of Alta BioPharma Management II, LLC (the general partner of Alta BioPharma Partners II, L.P.), a manager of Alta Embarcadero BioPharma Partners II, LLC, a general partner of Alta California Management Partners, L.P. (the general partner of Alta California Partners, L.P.) and a member of Alta Embarcadero Partners, LLC. As a managing director, manager, general partner and member of the foregoing funds, he may be deemed to share voting and investment powers for the shares held by

the foregoing funds. He disclaims beneficial ownership of all such shares except to the extent of his proportionate pecuniary interest therein. Mr. Deleage holds stock options for 2,779 shares of Rigel's common stock.

(4) Alan D. Frazier, a director of Rigel since October 1997, is the president and controlling shareholder of Frazier and Company, Inc. (the managing member of the general partner of Frazier Healthcare II, L.P.) and is a managing member of FHM IV, LLC (the general partner of FHM IV, L.P., which is the general partner of both Frazier Healthcare IV, L.P. and Frazier Affiliates IV, L.P.). In addition to the entities set forth in the table above, Frazier and Company, Inc. is the beneficial owner of 1,682 shares of Rigel's common stock and Frazier Healthcare II, L.P. is the beneficial owner of 481,397 shares of Rigel's common stock. As a managing member, officer, partner or member of the foregoing funds, he may be deemed to share voting and investment powers for the shares held by the foregoing funds. He disclaims beneficial ownership of all such shares except to the extent of his proportionate pecuniary interest therein. Mr. Frazier holds stock options for 2,223 shares of Rigel's common stock.

PLAN OF DISTRIBUTION

The selling stockholders and their successors, including their transferees, pledges, distributees or donees or their successors, may sell the shares directly to purchasers or through underwriters, broker-dealers or agents, who may receive compensation in the form of discounts, concessions or commissions from the selling stockholders or the purchasers. These discounts, concessions or commissions as to any particular underwriter, broker-dealer or agent may be in excess of those customary in the types of transactions involved.

From time to time, a selling stockholder may transfer, pledge, donate, distribute or assign its shares of common stock to lenders, general partners, limited partners or others, and each of such persons may sell shares pursuant to this prospectus and will be deemed to be a "selling stockholder" for purposes of this prospectus. The number of shares of common stock beneficially owned by the selling stockholder will decrease as and when it takes such actions. The plan of distribution for the selling stockholder's shares of common stock sold under this prospectus will otherwise remain unchanged, except that the transferees, pledgees, donees, distributees or other successors will be selling stockholders hereunder.

The shares may be sold in one or more transactions at fixed prices, at prevailing market prices at the time of sale, at prices related to the prevailing market prices, at varying prices determined at the time of sale or at negotiated prices. These sales may be effected in transactions, which may involve crosses or block transactions:

- on any national securities exchange or U.S. inter-dealer system of a registered national securities association on which our common stock may be listed or quoted at the time of sale, including the Nasdaq National Market;
- in the over-the-counter market;
- in transactions otherwise than on these exchanges or systems or in the over-the-counter market;
- through the writing of options, whether the options are listed on an options exchange or otherwise; or
- through the settlement of short sales.

In connection with the sale of the shares, or otherwise, the selling stockholders may enter into hedging transactions with broker-dealers or other financial institutions, which may in turn engage in short sales of the shares in the course of hedging the positions they assume. The selling stockholders may also sell the shares short and deliver these securities to close out their short positions, or loan or pledge the shares to broker-dealers that in turn may sell these securities.

The aggregate proceeds to the selling stockholders from the sale of the shares offered by them will be the purchase price of the shares less discounts and commissions, if any. Each of the selling stockholders reserves the right to accept and, together with their agents from time to time, to reject, in whole or in part, any proposed purchase of shares to be made directly or through agents. We will not receive any of the proceeds from this offering.

In order to comply with the securities laws of some states, if applicable, the shares may be sold in these jurisdictions only through registered or licensed brokers or dealers. In addition, in some states the shares may not be sold unless they have been registered or qualified for sale or an exemption from registration or qualification requirements is available and is complied with.

The selling stockholders and any underwriters, broker-dealers or agents that participate in the sale of the shares may be "underwriters" within the meaning of Section 2(11) of the Securities Act. Any discounts, commissions, concessions or profit they earn on any resale of the shares may be underwriting discounts and commissions under the Securities Act. Selling stockholders who are "underwriters" within

the meaning of Section 2(11) of the Securities Act will be subject to the prospectus delivery requirements of the Securities Act.

In addition, any shares covered by this prospectus that qualify for sale pursuant to Rule 144 of the Securities Act may be sold under Rule 144 rather than pursuant to this prospectus. A selling stockholder may transfer, devise or gift these securities by other means not described in this prospectus.

To the extent required, the specific shares to be sold, the names of the selling stockholders, the respective purchase prices and public offering prices, the names of any agent, dealer or underwriter, and any applicable commissions or discounts with respect to a particular offer will be set forth in an accompanying prospectus supplement or, if appropriate, a post-effective amendment to the registration statement of which this prospectus is a part.

If required, we will distribute a supplement to this prospectus to describe material changes in the terms of the offering.

We will pay all costs and expenses associated with the registration of the resale shares. These expenses include the SEC's filing fees and fees under state securities or "blue sky" laws. The selling stockholders will pay any underwriting discounts, commissions, transfer taxes and other expenses associated with any sale of these shares by them.

As set forth in the Second Investor Rights Agreement, we have agreed to register shares of the selling stockholders under applicable federal and state securities laws under specific circumstances and at specific times. We have also agreed to indemnify the selling stockholders (including their affiliates, trustees, officers, investment advisers and controlling persons) against certain losses, claims, damages and liabilities, including liabilities under the Securities Act.

We have agreed to use commercially reasonable best efforts to maintain the effectiveness of this registration statement under the Securities Act until the earlier of: (i) the second anniversary of the initial effectiveness of the registration statement that includes this prospectus; (ii) the date on which all of the selling stockholders may sell their shares without restriction under Rule 144 of the Securities Act; or (iii) such time as all of the shares have been sold. The selling stockholders may sell all, some or none of the shares offered by this prospectus.

LEGAL MATTERS

The validity of the shares of common stock 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/A), as amended, for the year ended December 31, 2002, have been audited by Ernst & Young LLP, independent auditors, as set forth in their report thereon included therein and incorporated herein by reference. Such financial statements are incorporated herein by reference in reliance upon such report 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 periods ended March 31, 2003 and March 31, 2002, incorporated by reference in this prospectus, Ernst & Young LLP have reported that they have applied limited procedures in accordance with professional standards for a review of such information. However, their separate report, included in Rigel Pharmaceuticals, Inc.'s Quarterly Report on Form 10-Q for the quarter ended March 31, 2003, and incorporated herein by reference, states that they did not audit and they do not express an opinion on that interim financial information. Accordingly, the degree of reliance on their report on such information should be restricted considering the limited nature of the review procedures applied. The independent auditors are not subject to the liability provisions of Section 11 of the Securities Act of 1933 (the "Act") for their report on the unaudited interim financial information because that report is not a "report" or a "part" of the Registration Statement prepared or certified by the auditors 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 resale registration statement on Form S-3 under the Securities Act with respect to the shares of our common stock offered 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 offered 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 Room 1024, 450 Fifth Street, N.W., 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 cost. Please call the Securities and Exchange Commission at 1-800-SEC-0330 for more information about the operation of the public reference rooms. Our Securities and Exchange Commission filings are also available at the Securities and Exchange Commission's web site at 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.

The Securities and Exchange Commission allows us to "incorporate by reference" the information contained in documents that we file with them, which means that we can disclose important information to you by referring to those documents. The information incorporated by reference is considered to be 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 the documents

listed below and any future filings we will make with the Securities and Exchange Commission under Sections 13(a), 13(c), 14 and 15(d) of the Exchange Act.

We incorporate by reference into this prospectus the following documents, which contain important information about us and our business and financial results:

- our Current Report on Form 8-K, filed with the Securities and Exchange Commission on May 2, 2003;
- our Current Report on Form 8-K, filed with the Securities and Exchange Commission on June 24, 2003;
- our Current Report on Form 8-K, filed with the Securities and Exchange Commission on June 27, 2003;
- our Quarterly Report on Form 10-Q for the quarter ended March 31, 2003;
- our Annual Report on Form 10-K for the fiscal year ended December 31, 2002, as amended on May 8, 2003; and
- 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.

We will furnish without charge to you, on 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, telephone: (650) 624-1100.

WE HAVE NOT AUTHORIZED ANY DEALER, SALESPERSON OR OTHER PERSON TO GIVE ANY INFORMATION OR REPRESENT ANYTHING NOT CONTAINED IN THIS PROSPECTUS. YOU SHOULD RELY ONLY ON THE INFORMATION PROVIDED OR INCORPORATED BY REFERENCE IN THIS PROSPECTUS. YOU SHOULD NOT RELY ON ANY UNAUTHORIZED INFORMATION. THIS PROSPECTUS DOES NOT OFFER TO SELL OR BUY ANY SHARES IN ANY JURISDICTION IN WHICH IT IS UNLAWFUL. THE INFORMATION IN THIS PROSPECTUS IS CURRENT AS OF THE DATE ON THE COVER.

9,583,331 Shares

RIGEL PHARMACEUTICALS, INC.

Common Stock

PROSPECTUS

July 23, 2003

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