As filed with the Securities and Exchange Commission on July 10, 2003
Registration No. 333-

SECURITIES AND EXCHANGE COMMISSION

Washington, D.C. 20549

FORM S-3

REGISTRATION STATEMENT
UNDER
THE SECURITIES ACT OF 1933

RIGEL PHARMACEUTICALS, INC.

(Exact name of registrant as specified in its charter)

Delaware	**94-3248524**
(State or other jurisdiction of incorporation or organization)	(I.R.S. Employer Identification No.)

1180 Veterans Boulevard
South San Francisco, CA 94080
(650) 624-1100
(Address, including zip code, and telephone number, including area code, of registrant's principal executive offices)

JAMES M. GOWER
CHAIRMAN OF THE BOARD AND CHIEF EXECUTIVE OFFICER
RIGEL PHARMACEUTICALS, INC.
1180 VETERANS BOULEVARD
SOUTH SAN FRANCISCO, CA 94080
(650) 624-1100
(Name, address, including zip code, and telephone number, including area code, of agent for service)

Copy to:
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FIVE PALO ALTO SQUARE
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PALO ALTO, CA 94306-2155
(650) 843-5000

Approximate date of commencement of proposed sale to the public:
As soon as practicable after this registration statement becomes effective.

If the only securities being registered on this form are being offered pursuant to dividend or interest reinvestment plans, please check the following box ☐

If any of the securities being registered on this form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, other than securities offered only in connection with dividend or interest reinvestment plans, check the following box. ☒

If this form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, please check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering. ☐

If this form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering. ☐

If delivery of the prospectus is expected to be made pursuant to Rule 434, please check the following box. ☐

CALCULATION OF REGISTRATION FEE

Title of Securities to be Registered	Amount to be Registered (1)	Proposed Maximum Offering Price Per Share (2)	Proposed Maximum Aggregate Offering Price (2)	Amount of Registration Fee
Common Stock, $0.001 par value per share	9,583,331 shares	$7.76	$74,366,648.56	$6,016.27

(1) Includes 1,597,221 shares of common stock that may be issued upon the exercise of warrants, as well as additional shares of common stock that may be issued as a result of stock splits, stock dividends or similar transactions.

(2) Estimated solely for the purpose of calculating the registration fee in accordance with Rule 457 under the Securities Act. The price per share and aggregate offering price are based on the average of the high and low prices of the registrant's common stock on July 9, 2003, as reported on the Nasdaq National Market.

The registrant hereby amends this registration statement on such date or dates as may be necessary to delay its effective date until the registrant shall file a further amendment that specifically states that this registration statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act or until the registration statement shall become effective on such date as the Securities and Exchange Commission, acting pursuant to said Section 8(a), may determine.

The information in this prospectus is not complete and may be changed. The selling stockholders may not sell these securities until the registration statement filed with the Securities and Exchange Commission is effective. This prospectus is not an offer to sell these securities and is not soliciting offers to buy these securities in any state where such offer or sale is not permitted.

SUBJECT TO COMPLETION, DATED JULY 10, 2003

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 9, 2003, the last reported sale price of our common stock was $7.50 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 16 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 1.

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.

, 2003

TABLE OF CONTENTS

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 clinical trial is now underway at National Jewish Medical Center in Denver, Colorado. The clinical trial will evaluate the effectiveness of R112 in patients with documented allergies. We expect to have the preliminary initial results of this clinical trial in July or August of 2003. We cannot predict the results of this clinical trial or the impact that the 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.

Name	Shares Beneficially Owned Prior to the Offering		Number of Shares Being Offered	Shares Beneficially Owned After the Offering (1)	
	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
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

, 2003

PART II

INFORMATION NOT REQUIRED IN THE PROSPECTUS

Item 14. Other Expenses of Issuance and Distribution

We will bear no expenses in connection with any sale or other distribution by the selling stockholders of the shares being registered hereunder other than the expenses of the preparation and distribution of this registration statement and the prospectus included in this registration statement. The extent of these expenses is set forth in the following

table. All of the amounts shown are estimates, except for the registration fee.

Securities and Exchange Commission registration fee	$	6,016.27
Accounting fees and expenses		10,000.00
Legal fees and expenses		50,000.00
Printing and miscellaneous expenses		9,283.73
Total	$	75,300.00

Item 15. Indemnification of Officers and Directors

As permitted by Delaware law, our amended and restated certificate of incorporation provides that we must indemnify our directors to the fullest extent permitted by Delaware law. In addition, our amended and restated bylaws provide that:

- we are required to indemnify our directors and executive officers to the fullest extent permitted by Delaware law, subject to limited exceptions;
- we may indemnify our other employees and agents to the extent that we indemnify our executive officers and directors, unless otherwise prohibited by law, our amended and restated certificate of incorporation, our amended and restated bylaws or agreements;
- we are required to advance expenses to our directors and executive officers as incurred in connection with legal proceedings against them for which they may be indemnified; and
- the rights conferred in the amended and restated bylaws are not exclusive.

We have entered into indemnification agreements with each of our directors and certain officers. These agreements, among other things, require us to indemnify each director and officer to the fullest extent permitted by Delaware law, including indemnification for expenses such as attorneys' fees, judgments, fines and settlement amounts incurred by the director or officer in any action or proceeding, including any action by or in the right of Rigel, arising out of the person's services as a director or officer of us, any subsidiary of ours or any other company or enterprise to which the person provides services at our request. At present, we are not aware of any pending or threatened litigation.

Item 16. Exhibits

(a) Exhibits

Exhibit Number	Description of Document
4.1	Specimen Common Stock Certificate.(1)
5.1	Opinion of Cooley Godward LLP.
15.1	Letter regarding unaudited interim financial information.
23.1	Consent of Ernst & Young LLP, Independent Auditors.
23.2	Consent of Cooley Godward LLP (included in Exhibit 5.1).
24.1	Power of Attorney (included on signature page).

(1) Filed as an exhibit to the Current Report on Form 8-K, originally filed with the Securities and Exchange Commission on June 24, 2003, and incorporated herein by reference.

Item 17. Undertakings

(a) The undersigned registrant hereby undertakes:

(1) To file, during any period in which offers or sales are being made, a post-effective amendment to this registration statement to include any material information with respect to the plan of distribution not previously disclosed in the registration statement or any material change to such information in the registration statement.

(2) That, for the purpose of determining any liability under the Securities Act, each post-effective amendment shall be deemed to be a new registration statement relating to the securities it offers, and the offering of the securities at that time shall be deemed to be the initial bona fide offering thereof.

(3) To remove from registration by means of a post-effective amendment any of the securities being registered that remain unsold at the termination of this offering.

(b) The undersigned registrant hereby undertakes that, for purposes of determining any liability under the Securities Act, each filing of the registrant's annual report pursuant to section 13(a) or section 15(d) of the Exchange Act (and, where applicable, each filing of an employee benefit plan's annual report pursuant to section 15(d) of the Exchange Act) that is incorporated by reference in the registration statement shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

(c) Insofar as indemnification for liabilities arising under the Securities Act may be permitted to directors, officers and controlling persons of the registrant pursuant to the foregoing provisions, or otherwise, the registrant has been advised that in the opinion of the Securities and Exchange Commission this form of indemnification is against public policy as expressed in the Securities Act and is, therefore, unenforceable. In the event that a claim for indemnification against these liabilities (other than the payment by the registrant of expenses incurred or paid by a director, officer or controlling person of the registrant in the successful defense of any action, suit or proceeding) is asserted by a director, officer or controlling person in connection with the securities being registered, the registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Securities Act and will be governed by the final adjudication of this issue.

SIGNATURES

Pursuant to the requirements of the Securities Act, the Registrant certifies that it has reasonable grounds to believe that it meets all of the requirements for filing on Form S-3 and has duly caused this Registration Statement to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of South San Francisco, State of California, on July 10, 2003.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER

James M. Gower
Chairman of the Board and
Chief Executive Officer

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints James M. Gower and James H. Welch, and each of them, as true and lawful attorneys-in-fact and agents, with full powers of substitution and resubstitution, for them and in their name, place and stead, in any and all capacities, to sign any and all amendments (including pre-effective and post-effective amendments and registration statements filed pursuant to Rule 462) to this Registration Statement, and to file the same, with all exhibits thereto, and other documents in connection therewith, with the Securities and Exchange Commission (the "SEC"), and generally to do all such things in their names and behalf in their capacities as officers and directors to enable Rigel to comply with the provisions of the Securities Act and all requirements of the SEC, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done in connection therewith, as fully to all intents and purposes as he or she might or could do in person, ratifying and confirming all that said attorneys-in-fact and agents, or any of them, or their or his or her substitutes or substitute, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Act, this Registration Statement has been signed below by the following persons in the capacities and on the dates indicated.

Signature	Title	Date
/s/ JAMES M. GOWER **James M. Gower**	Chairman of the Board and Chief Executive Officer (Principal Executive Officer)	July 10, 2003
/s/ JAMES H. WELCH **James H. Welch**	Vice President, Chief Financial Officer and Secretary (Principal Financial and Accounting Officer)	July 10, 2003
/s/ DONALD G. PAYAN **Donald G. Payan**	Executive Vice President, Chief Scientific Officer and Director	July 10, 2003

/s/ JEAN DELEAGE **Jean Deleage**	Director	July 10, 2003
/s/ ALAN D. FRAZIER **Alan D. Frazier**	Director	July 10, 2003
/s/ DENNIS J. HENNER **Dennis J. Henner**	Director	July 10, 2003
/s/ WALTER H. MOOS **Walter H. Moos**	Director	July 10, 2003
/s/ STEPHEN A. SHERWIN **Stephen A. Sherwin**	Director	July 10, 2003
/s/ NICHOLAS J. SIMON, III **Nicholas J. Simon, III**	Director	July 10, 2003

INDEX TO EXHIBITS

Exhibit Number	Description of Document
4.1	Specimen Common Stock Certificate. (1)
5.1	Opinion of Cooley Godward LLP.
15.1	Letter regarding unaudited interim financial information.
23.1	Consent of Ernst & Young LLP, Independent Auditors.
23.2	Consent of Cooley Godward LLP (included in Exhibit 5.1).
24.1	Power of Attorney (included on signature page).

(1) Filed as an exhibit to the Current Report on Form 8-K, originally filed with the Securities and Exchange Commission on June 24, 2003, and incorporated herein by reference.

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EXHIBIT 5.1

[Cooley Godward LLP Letterhead]

July 10, 2003

Rigel Pharmaceuticals, Inc.
1180 Veterans Blvd.
South San Francisco, CA 94080

Ladies and Gentlemen:

You have requested our opinion with respect to certain matters in connection with the filing by Rigel Pharmaceuticals, Inc. (the "Company") of a Registration Statement on Form S-3 (the "Registration Statement") with the Securities and Exchange Commission covering the offering for resale of up to 7,986,110 shares (the "Shares") of the Company's common stock, $0.001 par value (the "Common Stock") and 1,597,221 shares of Common Stock (the "Warrant Shares") issuable upon exercise of warrants (the "Warrants") issued pursuant to the Common Stock and Warrant Purchase Agreement, dated as of April 29, 2003 (the "Purchase Agreement").

In connection with this opinion, we have examined and relied upon the Registration Statement and related Prospectus included therein, your Amended and Restated Certificate of Incorporation and Bylaws, a certificate executed by an officer of the Company, to the effect that the consideration for the Shares and the Warrants was received by the Company in accordance with the terms of the Purchase Agreement, and such other documents, records, certificates, memoranda and other instruments as we deem necessary as a basis for this opinion. We have assumed the genuineness and authenticity of all documents submitted to us as originals, the conformity to originals of all documents submitted to us as copies thereof and the due execution and delivery of all documents where due execution and delivery are a prerequisite to the effectiveness thereof.

On the basis of the foregoing, and in reliance thereon, we are of the opinion that the Shares have been validly issued and are fully paid and nonassessable, and that the Warrant Shares, when issued by the Company upon exercise of the Warrants in accordance with the terms of the Warrants, will be validly issued, fully paid and nonassessable.

We consent to the filing of this opinion as an exhibit to the Registration Statement and to the reference to our firm under the caption "Legal Matters" in the Prospectus included in the Registration Statement.

Very truly yours,

COOLEY GODWARD LLP

By: /s/ Suzanne Sawochka Hooper

Suzanne Sawochka Hooper

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EXHIBIT 5.1

EXHIBIT 15.1

July 9, 2003

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

We are aware of the incorporation by reference in the Registration Statement (Form S-3) of Rigel Pharmaceuticals, Inc. for the registration of 9,583,331 shares of its common stock, and in the related prospectus contained in such Registration Statement, of our report dated April 21, 2003, relating to the unaudited condensed interim financial statements of Rigel Pharmaceuticals, Inc. that are included in its Form 10-Q for the quarter ended March 31, 2003.

As noted above, under Rule 436(c) of the Securities Act of 1933, our report is not a part of the registration statement prepared or certified by accountants within the meaning of section 7 or 11 of the Securities Act of 1933.

Very truly yours,
/s/ ERNST & YOUNG LLP

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EXHIBIT 23.1

CONSENT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS

We consent to the reference of our firm under the caption "Experts" in the Registration Statement (Form S-3) and related prospectus of Rigel Pharmaceuticals, Inc. for the registration of 9,583,331 shares of its common stock and to the incorporation by reference thereof of our report dated January 24, 2003, except for Note 9 as to which the date is January 31, 2003, with respect to the financial statements of Rigel Pharmaceuticals, Inc. included in its Annual Report on Form 10-K/A, as amended, for the year ended December 31, 2002, filed with the Securities and Exchange Commission.

/s/ ERNST & YOUNG LLP

Palo Alto, California
July 9, 2003

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EXHIBIT 23.1

CONSENT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS