

RIGEL PHARMACEUTICALS INC

Form 10-Q

August 07, 2012

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**UNITED STATES  
SECURITIES AND EXCHANGE COMMISSION**

WASHINGTON, D.C. 20549

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**FORM 10-Q**

(Mark One)

**☒ QUARTERLY REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE  
ACT OF 1934**

**FOR THE QUARTERLY PERIOD ENDED JUNE 30, 2012**

**OR**

**☐ TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE  
ACT OF 1934**

**FOR THE TRANSITION PERIOD FROM      TO**

**Commission File Number 0-29889**

## Rigel Pharmaceuticals, Inc.

(Exact name of registrant as specified in its charter)

**Delaware**

(State or other jurisdiction of incorporation or organization)

**94-3248524**

(I.R.S. Employer Identification No.)

**1180 Veterans Blvd.**

**South San Francisco, CA**

(Address of principal executive offices)

**94080**

(Zip Code)

**(650) 624-1100**

(Registrant's telephone number, including area code)

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. Yes ☒ No ☐

Indicate by check mark whether the registrant has submitted electronically and posted on its corporate Web site, if any, every Interactive Data File required to be submitted and posted pursuant to Rule 405 of Regulation S-T (§232.405 of this chapter) during the preceding 12 months (or for such shorter period that the registrant was required to submit and post such files). Yes ☒ No ☐

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, or a smaller reporting company. See the definitions of "large accelerated filer," "accelerated filer" and "smaller reporting company" in Rule 12b-2 of the Exchange Act.

Large accelerated filer ☐

Accelerated filer ☒

Non-accelerated filer ☐

Smaller reporting company ☐

(Do not check if a smaller reporting company)

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

As of August 2, 2012, there were 71,626,582 shares of the registrant's Common Stock outstanding.



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**RIGEL PHARMACEUTICALS, INC.  
QUARTERLY REPORT ON FORM 10-Q  
FOR THE QUARTERLY PERIOD ENDED JUNE 30, 2012**

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(In thousands, except share and per share amounts)

|                                                                                                                                                                                                                                                         | June 30,<br>2012<br>(unaudited) | December 31,<br>2011 (1) |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------|--------------------------|
| <b>Assets</b>                                                                                                                                                                                                                                           |                                 |                          |
| Current assets:                                                                                                                                                                                                                                         |                                 |                          |
| Cash and cash equivalents                                                                                                                                                                                                                               | \$ 26,151                       | \$ 18,633                |
| Available-for-sale securities                                                                                                                                                                                                                           | 176,488                         | 229,007                  |
| Prepaid expenses and other current assets                                                                                                                                                                                                               | 3,734                           | 2,593                    |
| Total current assets                                                                                                                                                                                                                                    | 206,373                         | 250,233                  |
| Property and equipment, net                                                                                                                                                                                                                             | 6,112                           | 4,882                    |
| Other assets                                                                                                                                                                                                                                            | 1,875                           | 1,991                    |
|                                                                                                                                                                                                                                                         | \$ 214,360                      | \$ 257,106               |
| <b>Liabilities and stockholders' equity</b>                                                                                                                                                                                                             |                                 |                          |
| Current liabilities:                                                                                                                                                                                                                                    |                                 |                          |
| Accounts payable                                                                                                                                                                                                                                        | \$ 1,912                        | \$ 1,556                 |
| Accrued compensation                                                                                                                                                                                                                                    | 3,673                           | 7,271                    |
| Other accrued liabilities                                                                                                                                                                                                                               | 3,518                           | 2,571                    |
| Deferred rent                                                                                                                                                                                                                                           | 397                             | 129                      |
| Total current liabilities                                                                                                                                                                                                                               | 9,500                           | 11,527                   |
| Long-term portion of deferred rent                                                                                                                                                                                                                      | 9,003                           | 9,313                    |
| Other long-term liabilities                                                                                                                                                                                                                             | 107                             | 117                      |
| Commitments and contingencies                                                                                                                                                                                                                           |                                 |                          |
| Stockholders' equity:                                                                                                                                                                                                                                   |                                 |                          |
| Preferred stock, \$0.001 par value; 10,000,000 shares authorized; none issued and outstanding as of June 30, 2012 and December 31, 2011                                                                                                                 |                                 |                          |
| Common stock, \$0.001 par value; 200,000,000 and 100,000,000 shares authorized as of June 30, 2012 and December 31, 2011, respectively; 71,595,137 and 71,379,052 shares issued and outstanding as of June 30, 2012 and December 31, 2011, respectively | 72                              | 71                       |
| Additional paid-in capital                                                                                                                                                                                                                              | 904,960                         | 897,479                  |
| Accumulated other comprehensive income                                                                                                                                                                                                                  | 37                              | 6                        |
| Accumulated deficit                                                                                                                                                                                                                                     | (709,319)                       | (661,407)                |
| Total stockholders' equity                                                                                                                                                                                                                              | 195,750                         | 236,149                  |
|                                                                                                                                                                                                                                                         | \$ 214,360                      | \$ 257,106               |

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(1) The balance sheet at December 31, 2011 has been derived from the audited financial statements included in Rigel's Annual Report on Form 10-K for the year ended December 31, 2011.

See Accompanying Notes.

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**RIGEL PHARMACEUTICALS, INC.**  
**CONDENSED STATEMENTS OF OPERATIONS**

(In thousands, except per share amounts)

(unaudited)

|                                                                                 | Three Months Ended June 30, |             | Six Months Ended June 30, |             |
|---------------------------------------------------------------------------------|-----------------------------|-------------|---------------------------|-------------|
|                                                                                 | 2012                        | 2011        | 2012                      | 2011        |
| Contract revenues from collaborations                                           | \$ 1,500                    | \$ 395      | \$ 2,250                  | \$ 395      |
| Costs and expenses:                                                             |                             |             |                           |             |
| Research and development                                                        | 20,924                      | 17,109      | 38,828                    | 32,215      |
| General and administrative                                                      | 5,458                       | 4,843       | 11,614                    | 10,597      |
| Total costs and expenses                                                        | 26,382                      | 21,952      | 50,442                    | 42,812      |
| Loss from operations                                                            | (24,882)                    | (21,557)    | (48,192)                  | (42,417)    |
| Interest income                                                                 | 144                         | 90          | 280                       | 180         |
| Interest expense                                                                |                             | (7)         |                           | (18)        |
| Net loss                                                                        | \$ (24,738)                 | \$ (21,474) | \$ (47,912)               | \$ (42,255) |
| Net loss per share, basic and diluted                                           | \$ (0.35)                   | \$ (0.37)   | \$ (0.67)                 | \$ (0.76)   |
| Weighted average shares used in computing net loss per share, basic and diluted | 71,458                      | 58,272      | 71,440                    | 55,290      |

See Accompanying Notes.

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**RIGEL PHARMACEUTICALS, INC.**

**CONDENSED STATEMENTS OF COMPREHENSIVE LOSS**

(In thousands)

(unaudited)

|                                                  | <b>Three Months Ended June 30,</b> |             | <b>Six Months Ended June 30,</b> |             |
|--------------------------------------------------|------------------------------------|-------------|----------------------------------|-------------|
|                                                  | <b>2012</b>                        | <b>2011</b> | <b>2012</b>                      | <b>2011</b> |
| Net loss                                         | \$ (24,738)                        | \$ (21,474) | \$ (47,912)                      | \$ (42,255) |
| Other comprehensive income:                      |                                    |             |                                  |             |
| Unrealized gain on available-for-sale securities | 12                                 | 25          | 31                               | 98          |
| Comprehensive loss                               | \$ (24,726)                        | \$ (21,449) | \$ (47,881)                      | \$ (42,157) |

See Accompanying Notes.

Table of Contents**RIGEL PHARMACEUTICALS, INC.****CONDENSED STATEMENTS OF CASH FLOWS****(In thousands)****(unaudited)**

|                                                                             | <b>Six Months Ended June 30,</b> |             |
|-----------------------------------------------------------------------------|----------------------------------|-------------|
|                                                                             | <b>2012</b>                      | <b>2011</b> |
| <b>Operating activities</b>                                                 |                                  |             |
| Net loss                                                                    | \$ (47,912)                      | \$ (42,255) |
| Adjustments to reconcile net loss to net cash used in operating activities: |                                  |             |
| Depreciation and amortization                                               | 1,162                            | 923         |
| Stock-based compensation expense                                            | 6,109                            | 7,037       |
| Changes in assets and liabilities:                                          |                                  |             |
| Prepaid expenses and other current assets                                   | (1,141)                          | (841)       |
| Other assets                                                                | 116                              | 105         |
| Accounts payable                                                            | 356                              | 58          |
| Accrued compensation                                                        | (3,598)                          | (1,459)     |
| Other accrued liabilities                                                   | 947                              | (1,805)     |
| Deferred revenue                                                            |                                  | 105         |
| Deferred rent and other long term liabilities                               | (52)                             | 204         |
| Net cash used in operating activities                                       | (44,013)                         | (37,928)    |
| <b>Investing activities</b>                                                 |                                  |             |
| Purchases of available-for-sale securities                                  | (224,541)                        | (214,929)   |
| Maturities and sale of available-for-sale securities                        | 277,091                          | 147,300     |
| Capital expenditures                                                        | (2,392)                          | (1,557)     |
| Net cash provided by (used in) investing activities                         | 50,158                           | (69,186)    |
| <b>Financing activities</b>                                                 |                                  |             |
| Net proceeds from issuances of common stock                                 | 1,373                            | 141,674     |
| Payments on capital lease obligations                                       |                                  | (485)       |
| Net cash provided by financing activities                                   | 1,373                            | 141,189     |
| Net increase in cash and cash equivalents                                   | 7,518                            | 34,075      |
| Cash and cash equivalents at beginning of period                            | 18,633                           | 8,877       |
| Cash and cash equivalents at end of period                                  | \$ 26,151                        | \$ 42,952   |
| <b>Supplemental disclosure of cash flow information</b>                     |                                  |             |
| Interest paid                                                               | \$                               | \$ 17       |

See Accompanying Notes.



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**Rigel Pharmaceuticals, Inc.**

**Notes to Condensed Financial Statements**

**(unaudited)**

In this report, Rigel, we, us and our refer to Rigel Pharmaceuticals, Inc.

**1. Nature of Operations**

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

**2. Basis of Presentation**

Our accompanying unaudited condensed financial statements have been prepared in accordance with U.S. generally accepted accounting principles (U.S. GAAP), for interim financial information and pursuant to the instructions to Form 10-Q and Article 10 of Regulation S-X of the Securities Act of 1933, as amended, or the Securities Act. Accordingly, they do not include all of the information and notes required by U.S. GAAP for complete financial statements. These unaudited condensed financial statements include all normal and recurring adjustments that we believe are necessary to fairly state our financial position and the results of our operations and cash flows. Interim-period results are not necessarily indicative of results of operations or cash flows for a full-year or any subsequent interim period. The balance sheet at December 31, 2011 has been derived from audited financial statements at that date, but does not include all disclosures required by U.S. GAAP for complete financial statements. Because all of the disclosures required by U.S. GAAP for complete financial statements are not included herein, these interim unaudited condensed financial statements and the notes accompanying them should be read in conjunction with our audited financial statements and the notes thereto included in our Annual Report on Form 10-K for the year ended December 31, 2011.

The preparation of financial statements in conformity with U.S. GAAP requires management to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. We base our estimates on historical experience and on various other assumptions that we believe to be reasonable under the circumstances. Actual results could differ from these estimates.

**3. Recent Accounting Pronouncements**

In December 2011, the Financial Accounting Standards Board (FASB) issued Accounting Standards Update (ASU) No. 2011-11 related to disclosures on offsetting of assets and liabilities thereby amending ASC 210, *Balance Sheet*. The amendments require us to disclose information about offsetting and related arrangements to enable users of our financial statements to understand the effect of those arrangements on our financial position. ASU No. 2011-11 will be effective on or after January 1, 2013 and will be applied retrospectively for all comparative periods

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presented. We are currently evaluating the impact on our financial statements of adopting ASU No. 2011-11 and cannot estimate the impact of adoption at this time.

In June 2011, FASB issued ASU No. 2011-05 for the presentation of comprehensive income thereby amending ASC 220, *Comprehensive Income*. The amendments require that all non-owner changes in stockholders' equity be presented either in a single continuous statement of comprehensive income or in two separate but consecutive statements. The amendments are effective in fiscal years beginning after December 15, 2011 and will be applied retrospectively. In December 2011, FASB issued ASU No. 2011-12 to defer the effective date of certain amendments to the presentation of reclassifications of items out of accumulated other comprehensive income in ASU No. 2011-05 to allow FASB time to redeliberate on the matter. ASU No. 2011-12 is effective at the same time as the amendments in ASU No. 2011-05. We adopted the amendments on January 1, 2012 and presented a separate statement of comprehensive loss.

In May 2011, FASB issued ASU No. 2011-04 thereby amending ASC 820, *Fair Value Measurement*, to achieve common fair value measurement and disclosure requirements in U.S. GAAP and International Financial Reporting Standards (IFRS). The amendments result in common fair value measurement and disclosure requirements between U.S. GAAP and IFRS, and clarify the application of existing fair value measurements and requirements regarding the disclosure of information about fair value measurements. The amendments are effective in fiscal years beginning after December 15, 2011 and will be applied prospectively. We adopted the amendments on January 1, 2012 on a prospective basis. The adoption of ASU No. 2011-04 had no material effect on our financial statements.

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Basic net income (loss) per share is computed by dividing net income (loss) by the weighted-average number of shares of common stock outstanding during the period. Diluted net income (loss) per share is computed by dividing net earnings by the weighted-average number of shares of common stock outstanding during the period and the number of additional shares of common stock that would have been outstanding if potentially dilutive securities had been issued. Potentially dilutive securities include warrant and stock options and shares issuable under our Employee Stock Purchase Plan (Purchase Plan). The dilutive effect of potentially dilutive securities is reflected in diluted earnings per share by application of the treasury stock method. Under the treasury stock method, an increase in the fair market value of our common stock can result in a greater dilutive effect from potentially dilutive securities.

During the periods presented, we had securities which could potentially dilute basic income (loss) per share, but were excluded from the computation of diluted net income (loss) per share, as their effect would have been antidilutive. These securities at June 30, 2012 and 2011 consist of the following (in thousands):

|                     | Three Months Ended<br>June 30, |        | Six Months Ended<br>June 30, |        |
|---------------------|--------------------------------|--------|------------------------------|--------|
|                     | 2012                           | 2011   | 2012                         | 2011   |
| Outstanding options | 13,799                         | 11,823 | 13,799                       | 11,823 |
| Warrant             | 200                            | 200    | 200                          | 200    |
| Purchase Plan       | 101                            | 97     | 67                           | 64     |
|                     | 14,100                         | 12,120 | 14,066                       | 12,087 |

**5. Stock Award Plans**

Total stock-based compensation expense related to all of our stock-based awards that we recognized was as follows (in thousands):

|                                        | Three Months Ended<br>June 30, |          | Six Months Ended<br>June 30, |          |
|----------------------------------------|--------------------------------|----------|------------------------------|----------|
|                                        | 2012                           | 2011     | 2012                         | 2011     |
| Research and development               | \$ 1,636                       | \$ 2,337 | \$ 3,348                     | \$ 4,850 |
| General and administrative             | 1,375                          | 863      | 2,761                        | 2,187    |
| Total stock-based compensation expense | \$ 3,011                       | \$ 3,200 | \$ 6,109                     | \$ 7,037 |

The fair value of each option award is estimated on the date of grant using the Black-Scholes option pricing model. We have segregated option awards into the following three homogenous groups for the purposes of determining fair values of options: officers and directors, all other employees, and consultants.

We determined weighted-average valuation assumptions separately for each of these groups as follows:

- **Volatility** We estimated volatility using the historical share price performance over the expected life of the option up to the point where we have historical market data. We also considered other factors, such as implied volatility, our current clinical trials and other company activities that may affect the volatility of our stock in the future. We determined that at this time historical volatility is more indicative of our expected future stock performance than implied volatility.
- **Expected term** For options granted to consultants, we use the contractual term of the option, which is generally 10 years, for the initial valuation of the option and the remaining contractual term of the option for the succeeding periods. We worked with various historical data to determine the applicable expected term for each of the other option groups. This data included: (1) for exercised options, the term of the options from option grant date to exercise date; (2) for cancelled options, the term of the options from option grant date to cancellation date, excluding unvested option forfeitures; and (3) for options that remained outstanding at the balance sheet date, the term of the options from option grant date to the end of the reporting period and the estimated remaining term of the options. The consideration and calculation of the above data gave us reasonable estimates of the expected term for each employee group. We also considered the vesting schedules of the options granted and factors surrounding exercise behavior of the option groups, our current market price and company activity that may affect our market price. In addition, we considered the optionee type (i.e., officers and directors or all other employees) and other factors that may affect the expected term of the option.

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- **Risk-free interest rate** The risk-free interest rate is based on U.S. Treasury constant maturity rates with similar terms to the expected term of the options for each option group. The downgrade by Standard and Poors (S&P) in the credit rating for the U.S. long-term sovereign debt did not affect our basis for the risk-free interest rate.
- **Dividend yield** The expected dividend yield is 0% as we have not paid and do not expect to pay dividends in the future.

Pursuant to FASB ASC 718, we are required to estimate the amount of expected forfeitures when calculating compensation costs. We estimated the forfeiture rate using our historical experience with pre-vesting options. We adjust our stock-based compensation expense as actual forfeitures occur, review our estimated forfeiture rates each quarter and make changes to our estimate as appropriate.

The following table summarizes the weighted-average assumptions relating to options granted pursuant to our equity incentive plans for the three and six months ended June 30, 2012 and 2011:

|                          | Equity Incentive Plans<br>Three Months Ended<br>June 30, |       | Equity Incentive Plans<br>Six Months Ended<br>June 30, |       |
|--------------------------|----------------------------------------------------------|-------|--------------------------------------------------------|-------|
|                          | 2012                                                     | 2011  | 2012                                                   | 2011  |
| Risk-free interest rate  | 0.8%                                                     | 1.9%  | 0.9%                                                   | 2.1%  |
| Expected term (in years) | 5.8                                                      | 6.0   | 5.5                                                    | 5.2   |
| Dividend yield           | 0.0%                                                     | 0.0%  | 0.0%                                                   | 0.0%  |
| Expected volatility      | 80.1%                                                    | 87.8% | 81.6%                                                  | 84.2% |

Options are priced at the market price of our common stock on the date immediately preceding the date of grant, become exercisable at varying dates and generally expire 10 years from the date of grant. We granted options to purchase 2,134,605 shares of common stock during the six months ended June 30, 2012, with a grant-date weighted-average fair value of \$5.44 per share. We granted options to purchase 2,224,985 shares of common stock during the six months ended June 30, 2011, with a grant-date weighted-average fair value of \$4.63 per share. As of June 30, 2012, there was approximately \$8.1 million of total unrecognized stock-based compensation cost, net of estimated forfeitures, related to unvested options granted under our equity incentive plans. At June 30, 2012, there were 3,174,458 shares of common stock available for future grant under our equity incentive plans and options to purchase 83,367 shares were exercised during the six months ended June 30, 2012.

***Employee Stock Purchase Plan***

The fair value of awards granted under our Purchase Plan is estimated on the date of grant using the Black-Scholes option pricing model, which uses weighted-average assumptions. Our Purchase Plan provides for a twenty-four month offering period comprised of four six-month purchase periods with a look-back option. A look-back option is a provision in our Purchase Plan under which eligible employees can purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date. Our Purchase Plan also includes a feature that provides for a new offering period to begin when the fair market value of our common stock on any purchase date during an offering period falls below the fair market value of our common stock on the first day of such offering period. This feature is called a reset. Participants are automatically enrolled in the new offering period.

As of June 30, 2012, there were approximately 604,710 shares reserved for future issuance under the Purchase Plan. The following table summarizes the weighted-average assumptions related to our Purchase Plan for the six months ended June 30, 2012 and 2011. Expected volatilities for our Purchase Plan are based on the historical volatility of our stock. Expected term represents the weighted-average of the purchase periods within the offering period. The risk-free interest rate for periods within the expected term is based on U.S. Treasury constant maturity rates.

| Employee Stock Purchase Plan |       |       |
|------------------------------|-------|-------|
| Six Months Ended             |       |       |
| June 30,                     |       |       |
|                              | 2012  | 2011  |
| Risk-free interest rate      | 0.1%  | 0.3%  |
| Expected term (in years)     | 0.5   | 1.0   |
| Dividend yield               | 0.0%  | 0.0%  |
| Expected volatility          | 49.7% | 61.4% |

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**6. Revenue Recognition**

We present revenue from our collaboration arrangements under FASB ASC 808, *Collaboration Arrangements*. Our revenue arrangements with multiple elements are evaluated under FASB ASC 605-25, *Multiple-Element Arrangements* (as amended by ASU No. 2009-13), and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has standalone value to the customer, whether the arrangement includes a general right of return relative to the delivered element and whether delivery or performance of the undelivered element is considered probable and substantially under our control. The consideration we receive under collaboration arrangements is allocated among the separate units of accounting based on the selling price hierarchy, and the applicable revenue recognition criteria is applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development periods for each agreement. Under these agreements, we are generally required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Our research and development expenses under the collaborative research agreements approximate the revenue recognized under such agreements over the term of the respective agreements. It is our policy to recognize revenue based on our level of effort expended, however, revenue recognized will not exceed amounts billable under the agreement.

Revenues associated with substantive, at-risk milestones pursuant to collaborative agreements are recognized upon achievement of the milestones. We consider a milestone to be substantive at the inception of the arrangement if it is commensurate with either our performance to achieve the milestone or the enhancement of the value of the delivered item as a result of a specific outcome resulting from our performance to achieve the milestone, it relates solely to past performance and it is reasonable relative to all of the deliverables and payment terms within the arrangement. Non-refundable contingent future amounts receivable in connection with future events specified in collaboration agreements that are not considered milestones will be recognized as revenue when payments are earned from our collaborators through their completion or achievement of any underlying events, the amounts are fixed or determinable and collectability is reasonably assured.

**7. Research and Development Accruals**

We have various contracts with third parties related to our research and development activities. Costs that are incurred but not billed to us as of the end of the period are accrued. We make estimates of the amounts incurred in each period based on the information available to us and our knowledge of the nature of the contractual activities generating such costs. Clinical trial contract expenses are accrued based on units of activity reported by third parties. Expenses related to other research and development contracts, such as research contracts, toxicology study contracts and manufacturing contracts are estimated to be incurred generally on a straight-line basis over the duration of the contracts. Raw materials and study materials purchased for us by third parties are expensed at the time of purchase.

**8. Sponsored Research and License Agreements**

We conduct research and development programs independently and in connection with our corporate collaborators. We currently have one significant active collaboration with AstraZeneca (AZ), relating to fostamatinib for the treatment of rheumatoid arthritis (RA) and other indications. Our collaboration with AZ does not provide us with regular reimbursement of research expenses. If certain conditions are met, we

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are entitled to receive future payments and royalties. We cannot guarantee that these conditions will be met or that research and development efforts will be successful. As a result, we may not receive any further payments or royalties under the agreement with AZ.

### *AstraZeneca*

In February 2010, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our oral spleen tyrosine kinase (SYK) inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, previously known as R788, our late-stage investigational product candidate for the treatment of RA and other indications. AZ is responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing most of our oral SYK inhibitors. The agreement became effective on March 26, 2010, and we received an upfront payment from AZ of \$100.0 million in April 2010.

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Under the agreement, our deliverables were: (i) granting a license of rights to fostamatinib, (ii) transfer of technology (know-how) related to fostamatinib, and (iii) conducting, at our expense, the fostamatinib open label extension study until it was transferred to AZ on September 25, 2010. We concluded that these deliverables should be accounted for as one single unit of accounting and we recognized the \$100.0 million upfront payment received in April 2010 from AZ ratably over the performance period from March 26, 2010, the effective date of the agreement, through September 25, 2010, the completion date of the last deliverable, which was the transfer of the fostamatinib long-term open label extension study to AZ. We elected a straight-line method for recognition of this upfront payment as the effort to advance and transfer the study was consistent over the transition period.

On September 29, 2010, we announced that we earned \$25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for their initiation of Phase 3 clinical trials in the fostamatinib program by AZ. AZ is required to pay us up to an additional \$320.0 million if specified development, regulatory and product launch events are achieved for fostamatinib. We are also eligible to receive up to an additional \$800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales, if any. Future events that may trigger payments to us under the AZ agreement are based solely on AZ's future efforts and achievements of the specified tasks.

Either party may terminate the agreement if the other party materially breaches the agreement and such breach remains uncured for 60 days after the date of notice of such breach, or in the event of insolvency of the other party. We may also terminate the agreement in its entirety if AZ challenges the validity, enforceability or scope of any of our patents licensed to AZ by us under the agreement. AZ may also terminate the agreement either (1) without cause upon 180 days written notice or (2) upon 30 days written notice in the event of any change of control of Rigel. If neither party terminates the agreement, then the agreement will remain in effect until the cessation of all commercial sales of all products subject to the agreement, including fostamatinib.

***Other Agreements***

In June 2012, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our program, R256, an inhaled janus kinase (JAK) inhibitor shown to inhibit interleukin (IL)-13 and IL-4 signaling, which is being investigated as a treatment for moderate to severe chronic asthma. AZ will be responsible for beginning the first-in-human clinical studies for R256, and for designing and conducting the clinical development of the compound. AZ will also have exclusive rights to commercialize R256 around the world. AZ paid us an upfront payment of \$1.0 million in July 2012. Under the agreement, we were obligated to provide the following deliverables: (i) granting a license of rights to our program, and (ii) delivery of a small batch of compound to AZ. We concluded that these deliverables should be accounted for as separate units of accounting. We used management's best estimate of selling price in the allocation of the upfront payment and recognized revenue of \$1.0 million in the quarter ended June 30, 2012.

In July 2011, we received a \$4.3 million final payment from Merck Serono S.A. (Merck Serono). The final payment from Merck Serono was for the collaboration agreement that was terminated in 2010, and all licenses under the collaboration agreement to aurora kinase inhibitors reverted back to us. The payment did not qualify as a substantive milestone as it related solely to the past performance of Merck Serono. We recognized the receipt of the \$4.3 million as revenue in the third quarter of 2011.

In June 2011, we entered into an exclusive license agreement with BerGenBio AS (BerGenBio) for the development and commercialization of an oncology program. BerGenBio is responsible for all activities it wishes to perform under the license granted. BerGenBio paid us an upfront payment of \$500,000 in August 2011. We recognized a second payment of \$500,000 from BerGenBio as revenue in the second quarter of 2012. This oncology program was developed before we focused our research and development efforts on inflammatory and autoimmune diseases, as

well as muscle disorders.

In August 2002, we signed a collaboration agreement with Daiichi Sankyo (Daiichi) to pursue research related to a specific target from a novel class of drug targets called ligases that control cancer cell proliferation through protein degradation. In January 2012, we received a \$750,000 payment from Daiichi related to an oncology compound in pre-clinical testing at Daiichi. We have earned, to date, payments totaling \$6.5 million and may earn additional payments in connection with certain clinical events. The research phase of this three-year collaboration expired in August 2005. Under the terms of the collaboration agreement, we retain the rights to co-develop and co-promote certain products resulting from this collaboration in North America, while Daiichi retains co-development and promotion rights in the remainder of the world. Future events that may trigger payments to us under the Daiichi agreement are based solely on Daiichi's future efforts and achievements of events.

Table of Contents**9. Cash, Cash Equivalents and Available-For-Sale Securities**

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

|                                            | <b>June 30,<br/>2012</b> | <b>December 31,<br/>2011</b> |
|--------------------------------------------|--------------------------|------------------------------|
| Checking account                           | \$ 1,309                 | \$ 686                       |
| Money market funds                         | 15,893                   | 11,947                       |
| U. S. treasury bills                       |                          | 3,002                        |
| Government-sponsored enterprise securities | 80,085                   | 144,599                      |
| Corporate bonds and commercial paper       | 105,352                  | 87,406                       |
|                                            | \$ 202,639               | \$ 247,640                   |
| Reported as:                               |                          |                              |
| Cash and cash equivalents                  | \$ 26,151                | \$ 18,633                    |
| Available-for-sale securities              | 176,488                  | 229,007                      |
|                                            | \$ 202,639               | \$ 247,640                   |

Cash equivalents and available-for-sale securities include the following securities with unrealized gains and losses (in thousands):

| <b>June 30, 2012</b>                       | <b>Amortized<br/>Cost</b> | <b>Gross<br/>Unrealized<br/>Gains</b> | <b>Gross<br/>Unrealized<br/>Losses</b> | <b>Fair Value</b> |
|--------------------------------------------|---------------------------|---------------------------------------|----------------------------------------|-------------------|
| Government-sponsored enterprise securities | \$ 80,080                 | \$ 18                                 | \$ (13)                                | \$ 80,085         |
| Corporate bonds and commercial paper       | 105,320                   | 78                                    | (46)                                   | 105,352           |
| Total                                      | \$ 185,400                | \$ 96                                 | \$ (59)                                | \$ 185,437        |

| <b>December 31, 2011</b>                   | <b>Amortized<br/>Cost</b> | <b>Gross<br/>Unrealized<br/>Gains</b> | <b>Gross<br/>Unrealized<br/>Losses</b> | <b>Fair Value</b> |
|--------------------------------------------|---------------------------|---------------------------------------|----------------------------------------|-------------------|
| U. S. treasury bills                       | \$ 3,001                  | \$ 1                                  | \$                                     | \$ 3,002          |
| Government-sponsored enterprise securities | 144,602                   | 27                                    | (30)                                   | 144,599           |
| Corporate bonds and commercial paper       | 87,398                    | 48                                    | (40)                                   | 87,406            |
| Total                                      | \$ 235,001                | \$ 76                                 | \$ (70)                                | \$ 235,007        |

As of June 30, 2012, the contractual maturities of our cash equivalents and available-for-sale securities were (in thousands):

|                    | <b>Within One<br/>Year</b> | <b>Years to Maturity<br/>After One Year<br/>Through<br/>Five Years</b> |
|--------------------|----------------------------|------------------------------------------------------------------------|
| Money market funds | \$ 15,893                  | \$                                                                     |
|                    | 44,295                     | 35,790                                                                 |

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Government-sponsored enterprise  
securities

|                                      |            |           |
|--------------------------------------|------------|-----------|
| Corporate bonds and commercial paper | 94,710     | 10,642    |
|                                      | \$ 154,898 | \$ 46,432 |

As of June 30, 2012, our cash equivalents and available-for-sale securities had a weighted average time to maturity of 266 days. We view our available-for-sale portfolio as available for use in current operations. Accordingly, we have classified certain investments as available-for-sale securities on our balance sheet even though the stated maturity date of these securities may be more than one year from the current balance sheet date. We have the ability to hold all investments as of June 30, 2012 to maturity. At June 30, 2012 and December 31, 2011, we had no investments that had been in a continuous unrealized loss position for more than twelve months. Given the short duration of our investment portfolio, we believe that the downgrade in 2011 by S&P in the credit rating for the U.S. long-term sovereign debt did not materially affect the value of our investments. As of June 30, 2012, a total of 31 individual securities had been in an unrealized loss position for twelve months or less and the losses were determined to be temporary.

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The following table shows the fair value and gross unrealized losses of our investments in individual securities that are in an unrealized loss position, aggregated by investment category (in thousands):

| June 30, 2012                              | Fair Value |        | Unrealized Losses |      |
|--------------------------------------------|------------|--------|-------------------|------|
| Government-sponsored enterprise securities | \$         | 37,028 | \$                | (13) |
| Corporate bonds and commercial paper       |            | 41,141 |                   | (46) |
| Total                                      | \$         | 78,169 | \$                | (59) |

**10. Fair Value**

Under FASB ASC 820, *Fair Value Measurements and Disclosures*, fair value is defined as the price at which an asset could be exchanged or a liability transferred in a transaction between knowledgeable, willing parties in the principal or most advantageous market for the asset or liability. Where available, fair value is based on observable market prices or parameters or derived from such prices or parameters. Where observable prices or parameters are not available, valuation models are applied.

Assets and liabilities recorded at fair value in our financial statements are categorized based upon the level of judgment associated with the inputs used to measure their fair value. Hierarchical levels directly related to the amount of subjectivity associated with the inputs to fair valuation of these assets and liabilities, are as follows:

Level 1 Inputs are unadjusted, quoted prices in active markets for identical assets at the reporting date. Active markets are those in which transactions for the asset or liability occur in sufficient frequency and volume to provide pricing information on an ongoing basis.

The fair valued assets we hold that are generally included under this Level 1 are money market securities where fair value is based on publicly quoted prices.

Level 2 Are inputs, other than quoted prices included in Level 1, that are either directly or indirectly observable for the asset or liability through correlation with market data at the reporting date and for the duration of the instrument's anticipated life.

The fair valued assets we hold that are generally assessed under Level 2 included government-sponsored enterprise securities, U. S. treasury bills and corporate bonds and commercial paper. We utilize third party pricing services in developing fair value measurements where fair value is based on valuation methodologies such as models using observable market inputs, including benchmark yields, reported trades, broker/dealer quotes, bids, offers and other reference data. We use quotes from external pricing service providers and other on-line quotation systems to verify the fair value of investments provided by our third party pricing service providers. We review independent auditor's reports from our third party pricing service providers particularly regarding the controls over pricing and valuation of financial instruments and ensure that our internal controls address certain control deficiencies, if any, and complementary user entity controls are in place.

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Level 3 Unobservable inputs that are supported by little or no market activity and that are significant to the fair value of the assets or liabilities and which reflect management's best estimate of what market participants would use in pricing the asset or liability at the reporting date. Consideration is given to the risk inherent in the valuation technique and the risk inherent in the inputs to the model.

Table of Contents***Fair Value on a Recurring Basis***

Financial assets measured at fair value on a recurring basis are categorized in the tables below based upon the lowest level of significant input to the valuations (in thousands):

|                                            | Assets at Fair Value as of June 30, 2012 |            |         |            |
|--------------------------------------------|------------------------------------------|------------|---------|------------|
|                                            | Level 1                                  | Level 2    | Level 3 | Total      |
| Money market funds                         | \$ 15,893                                | \$         | \$      | \$ 15,893  |
| Government-sponsored enterprise securities |                                          | 80,085     |         | 80,085     |
| Corporate bonds and commercial paper       |                                          | 105,352    |         | 105,352    |
| Total                                      | \$ 15,893                                | \$ 185,437 | \$      | \$ 201,330 |

|                                            | Assets at Fair Value as of December 31, 2011 |            |         |            |
|--------------------------------------------|----------------------------------------------|------------|---------|------------|
|                                            | Level 1                                      | Level 2    | Level 3 | Total      |
| Money market funds                         | \$ 11,947                                    | \$         | \$      | \$ 11,947  |
| U. S. treasury bills                       |                                              | 3,002      |         | 3,002      |
| Government-sponsored enterprise securities |                                              | 144,599    |         | 144,599    |
| Corporate bonds and commercial paper       |                                              | 87,406     |         | 87,406     |
| Total                                      | \$ 11,947                                    | \$ 235,007 | \$      | \$ 246,954 |

**11. Contingencies**

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us and certain of our officers, directors and underwriters for our February 2008 public offering of common stock (Stock Offering). An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff and Robbins Geller Rudman & Dowd LLP (formerly Coughlin Stoia) as lead counsel. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleged violations of the Securities Act and the Exchange Act in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate fostamatinib (then known as R788). The plaintiff sought damages, including rescission or rescissory damages for purchasers in the Stock Offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 9, 2009, including purchasers in the Stock Offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010. On August 24, 2010, the Court issued an order granting our motion and dismissed the consolidated complaint with leave to amend. On September 22, 2010, plaintiff filed a notice informing the Court that it will not amend its complaint and requested that the Court enter a final judgment. On October 28, 2010, the plaintiff submitted a proposed judgment requesting entry of such judgment in favor of the defendants. On November 1, 2010, judgment was entered dismissing the action. The plaintiff filed a notice of appeal on November 15, 2010 to the Circuit Court appealing the district court's order granting our motion to dismiss the consolidated amended complaint. The plaintiff filed its opening brief on February 23, 2011. We filed our opposition brief on April 8, 2011. On May 9, 2011, the plaintiff filed its reply brief. On February 17, 2012, the Circuit Court heard oral arguments on plaintiff's appeal.

We believe that we have meritorious defenses and intend to defend this lawsuit vigorously. This lawsuit and any other related lawsuits are subject to inherent uncertainties, and the actual costs to be incurred relating to the lawsuit will depend upon many unknown factors. The outcome of the litigation is necessarily uncertain and we could be forced to expend significant resources in the defense of this suit, and we may not prevail. Monitoring and defending legal actions is time consuming for our management and detracts from our ability to fully focus our internal resources on our business activities. In addition, we may incur substantial legal fees and costs in connection with the litigation. We are not currently able to estimate the possible cost to us from this matter, if any, and we cannot be certain how long it may take to resolve this matter or the possible amount of any damages that we may be required to pay. We have not established any reserves for any potential liability relating to this lawsuit.

A reserve may be required in the future due to new developments with respect to the pending lawsuit, patent claims or changes in approach such as a change in or establishment of a settlement strategy in dealing with these matters, when a loss becomes probable and is estimable.

## **12. Capital Stock**

In May 2012, our stockholders approved an amendment to our Amended and Restated Certificate of Incorporation to increase the authorized number of shares of our common stock from 100,000,000 to 200,000,000 shares. The increase in the authorized number of shares of our common stock was effected pursuant to a Certificate of Amendment of the Amended and Restated Certificate of Incorporation filed with the Secretary of State of the State of Delaware in May 2012.

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**Item 2. Management's Discussion and Analysis of Financial Condition and Results of Operations**

*This discussion and analysis should be read in conjunction with our financial statements and the accompanying notes included in this report and the audited financial statements and accompanying notes included in our Annual Report on Form 10-K for the year ended December 31, 2011. Operating results for the three and six months ended June 30, 2012 are not necessarily indicative of results that may occur in future interim periods or for the full fiscal year.*

*This Quarterly Report on Form 10-Q contains statements indicating expectations about future performance and other forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended (the Securities Act), and Section 21E of the Securities Exchange Act of 1934, as amended (the Exchange Act), that involve risks and uncertainties. We usually use words such as may, will, should, could, expect, plan, anticipate, believe, estimate, predict, intend, or the negative of these terms or similar expressions to identify these forward-looking statements. These statements appear throughout this Quarterly Report on Form 10-Q and are statements regarding our current expectation, belief or intent, 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, and the timing of commencement and results thereof; our corporate collaborations and revenues that may be received from our collaborations and the timing of those potential payments; our drug discovery technologies; our research and development expenses; protection of our intellectual property; sufficiency of our cash and capital resources and the need for additional capital; and our operations and legal risks. You should not place undue reliance on these forward-looking statements. Our actual results could differ materially from those anticipated in these forward-looking statements for many reasons, including as a result of the risks and uncertainties discussed under the heading Risk Factors in Item 1A of Part II of this Quarterly Report on Form 10-Q. 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.*

**Overview**

We are a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as muscle disorders. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Current product development programs include fostamatinib, an oral spleen tyrosine kinase (SYK) inhibitor that is in Phase 3 clinical trials for rheumatoid arthritis (RA) with our partner AZ; R343, an inhaled SYK inhibitor that has completed Phase 1 clinical trials for asthma; R333, a topical JAK/SYK inhibitor for discoid lupus; and R548, an oral janus kinase 3 (JAK3) inhibitor for the treatment of transplant rejection and other immune disorders.

Since inception, we have financed our operations primarily through the sale of equity securities, contract payments under our collaboration agreements and equipment financing arrangements. Our research and development activities, including preclinical studies and clinical trials, consume substantial amounts of capital. As of June 30, 2012, we had approximately \$202.6 million in cash, cash equivalents and available-for-sale securities. We believe that our existing capital resources will be sufficient to support our current and projected funding requirements through at least the next 12 months. Unless and until we are able to generate a sufficient amount of product, royalty or milestone revenue, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of contingent and royalty payments that we may receive under our existing collaborations, we do not currently have any commitments

for future funding.

### **Product Development Programs**

Our product development portfolio features multiple novel, small-molecule drug candidates whose specialized mechanisms of action are intended to provide therapeutic benefit for a range of inflammatory and autoimmune diseases, as well as muscle disorders.

### **Partnered Clinical Programs**

#### ***Fostamatinib Rheumatoid Arthritis***

*Disease background.* RA is a systemic autoimmune inflammatory disease that causes damage to the joints and other organs, affecting approximately 1 in 100 people in the United States. It is a major cause of disability and is also associated with reduced life expectancy, especially if it is not adequately treated. Despite current treatment options, many patients still experience significant disease activity, including continued joint destruction leading to pain and disability; therefore, new treatment options are needed.

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The current treatment options for RA have significant potential side effects and other shortfalls, including gastrointestinal complications and kidney damage. RA patients may receive multiple drugs, depending on the extent and aggressiveness of their disease. Most RA patients eventually require some form of disease modifying anti-rheumatic drugs (DMARDs). This category of drugs includes methotrexate (MTX) and a variety of intravenously-delivered immunomodulatory agents (tumor necrosis factor (TNF) inhibitors and co-stimulation inhibitors).

*Orally-available SYK inhibitor program.* Fostamatinib is an orally bio-available SYK inhibitor. It has a novel mechanism of action for the treatment of RA in which it reversibly blocks signaling in multiple cell types involved in inflammation and tissue degradation (e.g. macrophages, osteoclasts, mast cells and B cells). RA is an autoimmune disease characterized by chronic inflammation that affects multiple tissues, but typically produces its most pronounced symptoms in the joints.

## *OSKIRA*

The Oral SYK Inhibition in Rheumatoid Arthritis (OSKIRA) Phase 3 clinical trial program is designed to investigate fostamatinib as a treatment for RA in patients with an inadequate response to DMARDs, including MTX. AZ announced that the OSKIRA clinical trial program included three pivotal Phase 3 studies assessing the efficacy and tolerability of fostamatinib: two 12-month studies examining the effect of fostamatinib on patients responding inadequately to DMARDs (including MTX), and a six-month study assessing the effect of fostamatinib on patients who have previously responded inadequately to anti-TNF therapy. The fostamatinib clinical trial program is also expected to include long-term safety extension studies involving more than 2,000 of the patients recruited during the course of the Phase 2 and 3 clinical trial programs. AZ also announced that in the first quarter of 2011 they had commenced a Phase 2b clinical trial (OSKIRA-4) that explores fostamatinib as a monotherapy in RA. This trial will provide information on the profile of fostamatinib without concomitant treatment with a DMARD. Recently, AZ indicated that the Phase 3 clinical studies in RA are continuing as planned. OSKIRA-1 completed enrollment in the fourth quarter of 2011 and OSKIRA-2 completed enrollment in the second quarter of 2012. AZ expects to report Phase 3 results from OSKIRA-1, OSKIRA-2, and OSKIRA-3 in the first half of 2013. AZ also expects to report data from OSKIRA 4 by late 2012. AZ has stated that they expect to file a new drug application (NDA) for fostamatinib in the United States, and a European equivalent, in the second half of 2013.

## *TASKi2*

In July 2009, we announced that fostamatinib produced significant clinical improvement in RA patients in the *TASKi2* Phase 2b clinical trial, which evaluated 457 RA patients for up to six months. *TASKi2* was a multi-center, randomized, double-blind, placebo-controlled, parallel-dose clinical trial involving RA patients in the United States, Latin America and Europe who had failed to respond to MTX alone. Patients received either 100 mg of fostamatinib b.i.d. (twice a day), 150 mg q.d. (once a day) or placebo. The groups treated with 100 mg of fostamatinib b.i.d. and 150 mg q.d. reported higher response rates than the placebo group in all criteria levels. The efficacy results for the two dosing groups were comparable, although the response rates for the 100 mg b.i.d. group were uniformly greater. Consistent with the previous Phase 2a clinical trial (*TASKi1*), the onset effect of fostamatinib occurred within one week after the initiation of therapy and was maintained. The most frequent adverse events were expected based on results from *TASKi1* and appeared to be manageable. The most common, clinically-meaningful, drug-related adverse events noted in *TASKi2* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The mean increase in blood pressure at six months from baseline, using a last observation carry forward methodology, was less than 0.5 mmHg for the 150 mg q.d. dose group and approximately 1 mmHg for the 100 mg b.i.d. dose group. On the patients that had a history of high blood pressure, an elevated blood pressure level at screening or baseline, or were on blood pressure medication, approximately 29% in the 150 mg q.d. dose and 39% in the 100 mg b.i.d. dose groups, had blood pressure medication adjusted or initiated during the course of the study, compared with 12% of similar patients from the placebo group. On the patients that did not have a history of high blood pressure, were not on blood pressure medication or did not have an elevated blood pressure level at screening or baseline, approximately 4% from the 150 mg q.d. dose and 9% from the 100 mg b.i.d. dose groups had blood pressure medication initiated during the course of the study, compared with 3% of similar patients from the placebo group. For

those patients who had their dose of blood pressure medications adjusted or initiated, their blood pressure was successfully reduced and was generally well controlled throughout the remainder of the trial. The blood pressure medications were standard doses of common blood pressure medication, such as angiotensin-converting enzyme (ACE) inhibitors or diuretics. The most common adverse events in the clinical trial overall were related to infections, though these were generally evenly distributed among the fostamatinib and placebo groups.

#### *TASKi3*

In July 2009, we also announced results for the *TASKi3* Phase 2b clinical trial involving 219 RA patients who had failed to respond to at least one biologic treatment. In the *TASKi3* clinical trial, patients received either 100 mg of fostamatinib b.i.d. or placebo b.i.d. for up to three months. The group treated with fostamatinib did not report significantly higher American College of Rheumatology (ACR) 20, ACR 50, ACR 70 and Disease Activity Score (DAS) 28 response rates than the placebo group at three months, and therefore, the trial failed to meet its efficacy endpoints. The objective components (C-Reactive Protein and Erythrocyte Sedimentation Rate) of these ACR scores did show a statistically significant difference; however, the subjective reported response rate components did not show a statistically significant difference as compared to placebo.

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*TASKi3* was the first clinical trial for fostamatinib in which anatomical changes in the patients' wrists and hands were evaluated using Magnetic Resonance Imaging and scored using the Rheumatoid Arthritis Magnetic Resonance Imaging Scoring (RAMRIS) system. Those results showed improvements in the treated group versus the placebo group in the Synovitis and Osteitis scores, while the Erosion scores, known to be the slowest to change, showed no significant effect at three months. Similar to *TASKi2*, the most common, clinically-meaningful, drug-related adverse events noted in *TASKi3* were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed the clinical trial with minimal safety issues. The mean increase in blood pressure from baseline at three months, using a last observation carry forward methodology, was 3.2 - 3.6 mmHg for the fostamatinib group. In *TASKi3*, approximately 26% of the patients that had a history of high blood pressure, had an elevated blood pressure level at screening or baseline, or were on blood pressure medication, had their blood pressure medication adjusted or initiated during the course of the study, compared with 14% of similar patients in the placebo group. Approximately 5% of the patients with a history of high blood pressure, or who were not on blood pressure medication or did not have an elevated blood pressure level at screening or baseline, had their blood pressure medication initiated during the course of the study, compared with 3% of similar patients from the placebo group. For those patients who had dosages of blood pressure medications adjusted or initiated, their blood pressure was successfully reduced and was generally well controlled throughout the remainder of the trial. The blood pressure medications were standard doses of common blood pressure medications such as ACE inhibitors or diuretics. The most common adverse events in the clinical trial overall were related to infections, though these were generally evenly distributed among the fostamatinib and placebo groups.

***Fostamatinib Other Indications***

In addition to RA, fostamatinib has been studied in patients with other immune disorders and some cancers. Our collaboration with AZ gives AZ sole responsibility for all development decisions for all indications. AZ commenced Phase 2 clinical trials to investigate the effect of fostamatinib on hematological malignancies in the first quarter of 2012.

**Clinical Stage Programs**

***R343 Asthma***

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

*Inhaled SYK inhibitor program.* R343 is a potent SYK inhibitor that blocks IgE receptor signaling. Mast cells play important roles in both early and late phase allergic reactions, and SYK inhibitors could potentially prevent both phases. Based on its mechanism of action, this inhaled SYK inhibitor may provide a new treatment paradigm for the largest group of patients with allergic asthma whose symptoms range from acute to chronic phases of the disease.

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In 2005, we announced a collaborative research and license agreement with Pfizer, Inc. (Pfizer) for the development of inhaled products for the treatment of allergic asthma. The collaboration was focused on our pre-clinical small-molecule compounds, which inhibit SYK. R343 was the oral SYK inhibitor small molecule at the center of this collaboration. Pfizer completed the Phase 1a clinical trial of an inhaled formulation of R343, which commenced in December 2007 and resulted in a payment of \$5.0 million to us. Pfizer also completed an initial Phase 1b allergen challenge clinical trial. In 2011, we assumed development of R343 after Pfizer returned full rights to the R343 program to us as a result of its decision to exit research and development in the allergy and respiratory therapeutic area, and the collaborative research and license agreement was terminated. We expect to initiate a Phase 2 multi-center, multiple-dose, and placebo-controlled study with R343 for the treatment of allergic asthma this month. R343 will be delivered directly into the lungs via a dry inhalation device.

### ***R333 Discoid Lupus Erythematosus (DLE)***

*Disease background.* DLE is an autoimmune disease of the skin characterized by disc-shaped sores with inflammation, swelling, scaling, scarring, pigment discoloration and even hair loss. The lesions most commonly appear in sun exposed areas, predominantly on the face, chest and scalp. This disease has an acute phase, which research has connected to SYK signaling within the immune cascade. There is also a chronic phase of the disease due to the abundance of JAK signaling. Current treatments for DLE have either poor efficacy or significant toxicities.

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*Topical JAK/SYK inhibitor program.* R333 is a topical (ointment) JAK/SYK inhibitor, which may be useful in treating both the acute and chronic phases of DLE. We initiated Phase 1 clinical studies of its topical agent in the fourth quarter of 2011 to test its application in treating acute and chronic phases of DLE. We expect to initiate a Phase 2 clinical trial of R333 for the treatment of DLE this month.

***R548 Transplant Rejection and Other Immune Disorders***

*Disease background.* Transplant rejection is an area of tremendous medical need. While 90% of patients survive the first year after receiving the transplanted organ, chronic organ rejection rates rise to 50% within the 5 to 10 years following transplant surgery. Currently available therapeutics are not sufficient to achieve lasting recovery and limit the range of transplant options for certain organs. Furthermore, transplants of certain organs are rarely done because of the inadequacies of these therapies.

*Oral JAK3 inhibitor program.* R548 is an oral JAK3 inhibitor that is expected to moderate the immune system's response to the allograft and improve patient outcomes. R548 may also have application in treating other immune system disorders.

In January 2012, we announced that we initiated Phase 1 clinical studies in normal healthy volunteers in the fourth quarter of 2011 of R548 with a focus to treat transplant rejection and other immune system disorders.

**Research/Preclinical Programs**

We are conducting proprietary research in the broad disease areas of inflammation/immunology and muscle wasting/muscle endurance. Within each disease area, our researchers are investigating mechanisms of action as well as screening compounds against potential novel targets and optimizing those leads that appear to have the greatest potential.

In the area of inflammation/immunology, we expect to initiate clinical trials with one new molecule in late 2012. We have a lead candidate, R348, which is a soluble JAK/SYK inhibitor for topical ophthalmic use which may be useful to treat Sjogren's syndrome, an autoimmune disorder that affects the lacrimal glands of the eye (tear ducts).

In the area of muscle atrophy and muscle endurance, we are focusing on several signaling pathways that are important for muscle homeostasis. Patients with chronic illnesses such as chronic heart failure, chronic obstructive pulmonary disease (COPD) or diabetes, often experience a decrease in strength and increase in fatigue due to muscle myopathy. We are conducting preclinical studies of an oral activator of adenosine monophosphate (AMP)-activated protein kinase (AMPK) to examine whether it can improve the body's energy utilization and restore muscle endurance in chronically ill subjects. Our focus for this program is to evaluate its potential treatment in patients with congestive heart failure (CHF), COPD or peripheral vascular disease who exhibit exercise intolerance.

We also have an active small molecule discovery program in muscle wasting. Excessive loss of muscle in the context of illness can contribute significantly to both morbidity and mortality rates. Many conditions that have been associated with muscle atrophy, or the loss of muscle mass, including cancer, chronic heart failure, chronic kidney disease, mechanical ventilation and aging (sarcopenia), have significant patient populations that may benefit from therapeutics that counter such muscle loss. We are developing a program for intravenous inhibition of growth/differentiation factor 8 (GDF8) signaling for muscle strength. This preclinical program is focused on inhibiting the GDF8 signaling cascade which leads to loss of muscle in a variety of chronic disease states, but particularly in regard to loss of diaphragm muscle mass and strength (atrophy) associated with respiratory ventilator use. Preclinical studies have shown that inhibiting GDF8 signaling may be therapeutically useful to prevent muscle loss and improve muscle function. We may enter the clinic in 2013 with one of our muscle programs discussed above.

#### **Corporate Collaborations**

We conduct research and development programs independently and in connection with our corporate collaborators. We currently have one significant active collaboration with AZ, relating to fostamatinib for the treatment of RA and other indications. Our collaboration with AZ does not provide us with regular reimbursement of research expenses. If certain conditions are met, we are entitled to receive future payments and royalties. We cannot guarantee that these conditions will be met or that research and development efforts will be successful. As a result, we may not receive any further payments or royalties under the agreement with AZ.

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***AstraZeneca***

In February 2010, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. AZ is responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing our oral SYK inhibitors. The agreement became effective on March 26, 2010 and we received an upfront payment from AZ of \$100.0 million in April 2010.

Under the agreement, our deliverables were: (i) granting a license of rights to fostamatinib, (ii) transfer of technology (know-how) related to fostamatinib, and (iii) conducting, at our expense, the fostamatinib open label extension study until it was transferred to AZ on September 25, 2010. We concluded that these deliverables should be accounted for as one single unit of accounting and we recognized the \$100.0 million upfront payment received in April 2010 from AZ ratably over the performance period from March 26, 2010, the effective date of the agreement, through September 25, 2010, the completion date of the last deliverable, which was the transfer of the fostamatinib long-term open label extension study to AZ. We elected a straight-line method for recognition of this upfront payment, as the effort to advance and transfer the study was fairly consistent over the transition period.

On September 29, 2010, we announced that we earned \$25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical trials in the fostamatinib program by AZ. AZ is required to pay us up to an additional \$320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional \$800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales, if any. Future events that may trigger payments to us under the AZ agreement are based solely on AZ's future efforts and achievements of the specified tasks.

Either party may terminate the agreement if the other party materially breaches the agreement and such breach remains uncured for 60 days after the date of notice of such breach, or in the event of insolvency of the other party. We may also terminate the agreement in its entirety if AZ challenges the validity, enforceability or scope of any of our patents licensed to AZ by us under the agreement. AZ may also terminate the agreement either (1) without cause upon 180 days written notice or (2) upon 30 days written notice in the event of any change of control of Rigel. If neither party terminates the agreement, then the agreement will remain in effect until the cessation of all commercial sales of all products subject to the agreement, including fostamatinib.

***Other Agreements***

In June 2012, we entered into an exclusive worldwide license agreement with AZ for the development and commercialization of our program, R256, JAK inhibitor shown to inhibit IL-13 and IL-4 signaling, which is being investigated as a treatment for moderate to severe chronic asthma. AZ will be responsible for beginning the first-in-human clinical studies for R256, and for designing and conducting the clinical development of the compound. AZ will also have exclusive rights to commercialize R256 around the world. AZ paid us an upfront payment of \$1.0 million in July 2012. Under the agreement, we were obligated to provide the following deliverables: (i) granting a license of rights to our program, and (ii) delivery of a small batch of compound to AZ. We concluded that these deliverables should be accounted for as separate units of accounting. We used management's best estimate of selling price in the allocation of the upfront payment and recognized revenue of \$1.0 million in the quarter ended June 30, 2012.

In June 2011, we entered into an exclusive license agreement with BerGenBio for the development and commercialization of an oncology program. BerGenBio is responsible for all activities it wishes to perform under the license granted. BerGenBio paid us an upfront payment of \$500,000 in August 2011. We recognized a second payment of \$500,000 from BerGenBio as revenue in the second quarter of 2012. This oncology program was developed before we focused our research and development efforts on inflammatory and autoimmune diseases, as well as muscle disorders.

In August 2002, we signed a collaboration agreement with Daiichi to pursue research related to a specific target from a novel class of drug targets called ligases that control cancer cell proliferation through protein degradation. In January 2012, we received a \$750,000 payment from Daiichi related to an oncology compound in pre-clinical testing at Daiichi. We have earned, to date, payments totaling \$6.5 million and may earn additional payments in connection with certain clinical events. The research phase of this three-year collaboration expired in August 2005. Under the terms of the collaboration agreement, we retain the rights to co-develop and co-promote certain products resulting from this collaboration in North America, while Daiichi retains co-development and promotion rights in the remainder of the world. Future events that may trigger payments to us under the Daiichi agreement are based solely on Daiichi's future efforts and achievements of events.

Table of Contents**Research and Development Expenses**

Our research and development expenditures include costs related to preclinical and clinical trials, scientific personnel, supplies, equipment, consultants, sponsored research, stock-based compensation, and allocated facility costs.

We do not track fully-burdened research and development costs separately for each of our drug candidates. We review our research and development expense by focusing on three categories: research, development, and other. Our research team is focused on creating a portfolio of product candidates that can be developed into small molecule therapeutics in our own proprietary programs or with potential collaborative partners and utilizes our robust discovery engine to rapidly discover and validate new product candidates in our focused range of therapeutic indications. Research expenses relate primarily to personnel expenses, lab supplies, fees to third party research consultants and compounds. Our development group leads the implementation of our clinical and regulatory strategies and prioritizes disease indications in which our compounds may be studied in clinical trials. Development expenses relate primarily to clinical trials, personnel expenses, lab supplies and fees to third party research consultants. Other expenses primarily consist of allocated facilities costs and allocated stock-based compensation expense relating to personnel in research and development groups.

In addition to reviewing the three categories of research and development expense described in the preceding paragraph, we principally consider qualitative factors in making decisions regarding our research and development programs, which include enrollment in clinical trials and the results thereof, the clinical and commercial potential for our drug candidates and competitive dynamics. We also make our research and development decisions in the context of our overall business strategy, which includes the evaluation of potential collaborations for the development of our drug candidates.

The following table presents our total research and development expense by category (in thousands).

| Categories: | Three Months Ended<br>June 30, |           | Six Months Ended<br>June 30, |           |
|-------------|--------------------------------|-----------|------------------------------|-----------|
|             | 2012                           | 2011      | 2012                         | 2011      |
| Research    | \$ 6,243                       | \$ 5,879  | \$ 12,382                    | \$ 11,485 |
| Development | 8,749                          | 4,796     | 14,723                       | 7,750     |
| Other       | 5,932                          | 6,434     | 11,723                       | 12,980    |
|             | \$ 20,924                      | \$ 17,109 | \$ 38,828                    | \$ 32,215 |

Other expenses mainly represent allocated facilities costs of approximately \$4.3 million and \$4.1 million for the three months ended June 30, 2012 and 2011, respectively, and allocated stock-based compensation expenses of approximately \$1.6 million and \$2.3 million for the three months ended June 30, 2012 and 2011, respectively. For the six months ended June 30, 2012 and 2011, allocated facilities costs were approximately \$8.4 million and \$8.1 million, respectively, and allocated stock-based compensation expenses were approximately \$3.3 million and \$4.9 million, respectively.

For the period from January 1, 2007 to June 30, 2012, our total research and development expense by category was approximately \$121.7 million, \$181.4 million, and \$139.6 million, for research, development and other, respectively.

For the three and six months ended June 30, 2012, a major portion of our total research and development expense was associated with the salaries of our research and development personnel, research and development expense for our asthma program, our topical JAK/SYK inhibitor program, and our oral JAK3 inhibitor program, and allocated facilities costs. For the three months and six months ended June 30, 2011, a major portion of our research and development expense was associated with the salaries of our research and development personnel, allocated facilities costs, and allocated stock-based compensation expense.

The Phase 2 clinical trials of fostamatinib in RA were completed in 2009. We licensed the rights to fostamatinib to AZ in February 2010. On September 29, 2010, AZ announced the enrollment of the first patient in the Phase 3 clinical program for fostamatinib, referred to as OSKIRA-1. AZ also announced that in the first quarter of 2011 they had commenced a Phase 2b clinical trial, OSKIRA-4, that explores fostamatinib as a monotherapy in RA. Recently, AZ indicated that the Phase 3 clinical studies in RA are continuing as planned. OSKIRA-1 completed enrollment in the fourth quarter of 2011 and OSKIRA-2 completed enrollment in the second quarter of 2012. AZ expects to report Phase 3 results from OSKIRA-1, OSKIRA-2, and OSKIRA-3 in the first half of 2013. AZ also expects to report data from OSKIRA 4 by late 2012. AZ has stated that they expect to file an NDA for fostamatinib in the United States, and a European equivalent, in the second half of 2013. AZ will be responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing most of our oral SYK inhibitors.

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The scope and magnitude of future research and development expense are difficult to predict given the number of clinical trials that we will need to conduct for any of our potential products, as well as our limited capital resources. Preclinical testing and clinical development are long, expensive and uncertain processes. In general, biopharmaceutical development involves a series of steps, beginning with identification of a potential target and including, among others, proof of concept in animals and Phase 1, 2 and 3 clinical trials in humans. Each of these steps is typically more expensive than the previous step. Success in early stages of development often results in increasing expenditures for a given product candidate. Significant delays in clinical testing could materially impact our product development costs and timing of completion of the clinical trials. We do not know whether planned clinical trials will begin on time, will need to be halted or revamped or will be completed on schedule, or at all. Clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a trial, delays from scale up, delays in reaching agreement on acceptable clinical trial agreement terms with prospective clinical sites, delays in obtaining institutional review board approval to conduct a clinical trial at a prospective clinical site or delays in recruiting subjects to participate in a clinical study.

We currently do not have reliable estimates of total costs for a particular drug candidate to reach the market. Our potential products are subject to a lengthy and uncertain regulatory process that may involve unanticipated additional clinical trials and may not result in receipt of the necessary regulatory approvals. Failure to receive the necessary regulatory approvals would prevent us from commercializing the product candidates affected. In addition, clinical trials of our potential products may fail to demonstrate safety and efficacy, which could prevent or significantly delay regulatory approval. We do not have a reasonable basis to determine when or if material net cash inflows from the commercialization and sale of our drug candidates will occur. Commercialization of our product candidates depends upon successful completion of extensive preclinical studies and clinical trials to demonstrate their safety and efficacy for humans. We do not know whether we, or any of our current or potential future collaborative partners, will undertake clinical trials of potential products beyond the trials already concluded and the trials currently in process. It will take us, or our current or potential future 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. Moreover, we or our current or potential future collaborative partners may decide to discontinue development of any project at any time for regulatory, commercial, scientific or other reasons. To date, we have not commercialized any of our drug candidates, and we may never do so.

For a discussion of the risks and uncertainties associated with the timing and costs of completing the development of our drug candidates, see Part I. Item 1A. Risk Factors, including in particular the following risks:

- If our corporate collaborations or license agreements are unsuccessful, our research and development efforts could be delayed.
- If conflicts arise between our collaborators or advisors and us, any of them may act in their self-interest, which may be adverse to our stockholders' interests.
- If we are unable to obtain regulatory approval to market products in the United States and foreign jurisdictions, we will not be permitted to commercialize products from our research and development.
- We might not be able to commercialize our product candidates successfully if problems arise in the clinical testing and approval process.

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- There is a high risk that drug discovery and development efforts might not successfully generate good product candidates.
- We will need additional capital in the future to sufficiently fund our operations and research.
- Because we expect to be dependent upon collaborative and license agreements, we might not meet our strategic objectives.
- 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.
- Delays in clinical testing could result in increased costs to us.

For further discussion on research and development activities, see [Research and Development Expense](#) under [Results of Operations](#) below.

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**Recent Accounting Pronouncements**

In December 2011, the Financial Accounting Standards Board (FASB) issued Accounting Standards Update (ASU) No. 2011-11 related to disclosures on offsetting of assets and liabilities thereby amending ASC 210, *Balance Sheet*. The amendments require us to disclose information about offsetting and related arrangements to enable users of our financial statements to understand the effect of those arrangements on our financial position. ASU No. 2011-11 will be effective on or after January 1, 2013 and will be applied retrospectively for all comparative periods presented. We are currently evaluating the impact on our financial statements of adopting ASU No. 2011-11 and cannot estimate the impact of adoption at this time.

In June 2011, FASB issued ASU No. 2011-05 for the presentation of comprehensive income thereby amending ASC 220, *Comprehensive Income*. The amendments require that all non-owner changes in stockholders' equity be presented either in a single continuous statement of comprehensive income or in two separate but consecutive statements. The amendments are effective in fiscal years beginning after December 15, 2011 and will be applied retrospectively. In December 2011, FASB issued ASU No. 2011-12 to defer the effective date of certain amendments to the presentation of reclassifications of items out of the accumulated other comprehensive income in ASU No. 2011-05 to allow FASB time to redeliberate on the matter. ASU No. 2011-12 is effective at the same time as the amendments in ASU No. 2011-05. We adopted the amendments on January 1, 2012 and presented a separate statement of comprehensive loss.

In May 2011, FASB issued ASU No. 2011-04 thereby amending ASC 820, *Fair Value Measurement*, to achieve common fair value measurement and disclosure requirements in U.S. GAAP and International Financial Reporting Standards (IFRS). The amendments result in common fair value measurement and disclosure requirements between U.S. GAAP and IFRS, and clarify the application of existing fair value measurements and requirements regarding the disclosure of information about fair value measurements. The amendments are effective in fiscal years beginning after December 15, 2011 and will be applied prospectively. We adopted the amendments on January 1, 2012 on a prospective basis. The adoption of ASU No. 2011-04 had no material effect on our financial statements.

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

Our discussion and analysis of our financial condition and results of operations is based upon our financial statements, which have been prepared in accordance with U.S. GAAP. The preparation of these financial statements requires us to make estimates and judgments that affect the reported amounts of assets, liabilities, revenues and expenses, and related disclosure of contingent assets and liabilities. We evaluate our estimates, including those related to the terms of our research and development collaborations (i.e. revenue recognition of upfront fees and certain contingent payments), investments, stock-based compensation, impairment issues, the estimated useful life of assets, and estimated accruals and contingencies, on an on-going basis. We base our estimates on historical experience and on various other assumptions that we believe to be reasonable under the circumstances, the results of which form the basis for making judgments about the carrying values of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions. We believe the following critical accounting policies affect our more significant judgments and estimates used in the preparation of our financial statements:

***Revenue Recognition***

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We present revenue from our collaboration arrangements under FASB Accounting Standards Codification (ASC) 808, *Collaboration Arrangements*. Our revenue arrangements with multiple elements are evaluated under FASB ASC 605-25, *Multiple-Element Arrangements* (as amended by ASU No. 2009-13), and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has standalone value to the customer, whether the arrangement includes a general right of return relative to the delivered element and whether delivery or performance of the undelivered element is considered probable and substantially under our control. The consideration we receive under collaboration arrangements is allocated among the separate units of accounting based on the selling price hierarchy, and the applicable revenue recognition criteria is applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related development periods for each agreement. Under these agreements, we are required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Our research and development expenses under the collaborative research agreements approximate the revenue recognized under such agreements over the term of the respective agreements. It is our policy to recognize revenue based on our level of effort expended, however, revenue recognized will not exceed amounts billable under the agreement.

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Revenues associated with substantive, at-risk milestones pursuant to collaborative agreements are recognized upon achievement of the milestones. We consider a milestone to be substantive at the inception of the arrangement if it is commensurate with either our performance to achieve the milestone or the enhancement of the value of the delivered item as a result of a specific outcome resulting from our performance to achieve the milestone, it relates solely to past performance and it is reasonable relative to all of the deliverables and payment terms within the arrangement. Non-refundable contingent future amounts receivable in connection with future events specified in collaboration agreements that are not considered milestones will be recognized as revenue when payments are earned from our collaborators through their completion or achievement of any underlying events, the amounts are fixed or determinable and collectability is reasonably assured.

***Stock-based Compensation***

The determination of the fair value of stock-based payment awards on the date of grant using the Black-Scholes option-pricing model is affected by our stock price as well as assumptions regarding a number of complex and subjective variables. These variables include, but are not limited to, volatility, expected term, risk-free interest rate and dividends. We estimate volatility using our historical stock price performance over the expected life of the option up to the point where we have historical market data. For expected term, among other things, we take into consideration our historical data of options exercised, cancelled and expired. The risk-free rate is based on the U.S. Treasury constant maturity rate. We have not paid and do not expect to pay dividends in the foreseeable future. In order to calculate stock-based compensation expense, we also estimate the forfeiture rate using our historical experience with options that cancel before they vest.

***Research and Development Accruals***

We have various contracts with third parties related to our research and development activities. Costs that are incurred but not billed to us as of the end of the period are accrued. We make estimates of the amounts incurred in each period based on the information available to us and our knowledge of the nature of the contractual activities generating such costs. Clinical trial contract expenses are accrued based on units of activity reported by third parties. Expenses related to other research and development contracts, such as research contracts, toxicology study contracts and manufacturing contracts are estimated to be incurred generally on a straight-line basis over the duration of the contracts. Raw materials and study materials purchased by third parties are expensed at the time of purchase. Many of our estimates are based significantly or in part on information provided for us by third parties. If such information were not reported properly, our research and development expense amounts could be misstated.

**Results of Operations**

**Three and Six Months Ended June 30, 2012 and 2011**

**Revenues**

**Three Months  
Ended**

**Six Months  
Ended**

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|                                              | June 30,<br>2012 |       |    | June 30,<br>2011 |    |       | Aggregate<br>Change |       |    |     |    |       |
|----------------------------------------------|------------------|-------|----|------------------|----|-------|---------------------|-------|----|-----|----|-------|
|                                              |                  |       |    | (in thousands)   |    |       |                     |       |    |     |    |       |
| <i>Contract revenues from collaborations</i> | \$               | 1,500 | \$ | 395              | \$ | 1,105 | \$                  | 2,250 | \$ | 395 | \$ | 1,855 |

Revenues by collaborator were:

|             | Three Months Ended<br>June 30, |                        |                  | Six Months Ended<br>June 30, |                        |                  |
|-------------|--------------------------------|------------------------|------------------|------------------------------|------------------------|------------------|
|             | 2012                           | 2011<br>(in thousands) | Aggregate Change | 2012                         | 2011<br>(in thousands) | Aggregate Change |
| AstraZeneca | \$ 1,000                       | \$                     | \$ 1,000         | \$ 1,000                     | \$                     | \$ 1,000         |
| BerGenBio   | 500                            | 395                    | 105              | 500                          | 395                    | 105              |
| Daiichi     |                                |                        |                  | 750                          |                        | 750              |
| Total       | \$ 1,500                       | \$ 395                 | \$ 1,105         | \$ 2,250                     | \$ 395                 | \$ 1,855         |

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The increase in contract revenues from collaborations for the three and six months ended June 30, 2012, compared to the same periods in 2011, was primarily due to the \$1.0 million upfront payment from AZ pursuant to our worldwide license agreement for R256, \$500,000 payment from BerGenBio related to our oncology program, in each case in the second quarter of 2012, as well as a \$750,000 payment from Daiichi in the first quarter of 2012 related to an oncology compound in pre-clinical testing pursuant to our existing collaboration agreement.

Contract revenues from collaborations for the three months ended June 30, 2012 comprised of the \$1.0 million upfront payment from AZ pursuant to our worldwide license agreement for R256, as well as the \$500,000 payment from BerGenBio related to our oncology program. Contract revenues from collaborations for the six months ended June 30, 2012 comprised of the \$1.0 million upfront payment from AZ, the \$750,000 payment from Daiichi related to an oncology compound in pre-clinical testing pursuant to our existing collaboration agreement in the first quarter of 2012, as well as the \$500,000 payment from BerGenBio related to our oncology program. Contract revenue from collaborations for the three and six months ended June 30, 2011 was \$395,000, which represents a portion of the \$500,000 upfront payment we earned for out-licensing our oncology program with BerGenBio. We had no deferred revenue as of June 30, 2012. Our potential future revenues may include payments from our current collaboration partners and from new collaboration partners with which we enter into agreements in the future, if any.

## Research and Development Expense

|                                                                                      | Three Months Ended<br>June 30, |                        |                  | Six Months Ended<br>June 30, |                        |                  |  |
|--------------------------------------------------------------------------------------|--------------------------------|------------------------|------------------|------------------------------|------------------------|------------------|--|
|                                                                                      | 2012                           | 2011<br>(in thousands) | Aggregate Change | 2012                         | 2011<br>(in thousands) | Aggregate Change |  |
| <i>Research and development expense</i>                                              | \$ 20,924                      | \$ 17,109              | \$ 3,815         | \$ 38,828                    | \$ 32,215              | \$ 6,613         |  |
| <i>Stock-based compensation expense included in research and development expense</i> | \$ 1,636                       | \$ 2,337               | \$ (701)         | \$ 3,348                     | \$ 4,850               | \$ (1,502)       |  |

The increase in research and development expense for the three and six months ended June 30, 2012, compared to the same periods in 2011, was primarily due to the research and development expense related to the clinical trials of R343, our inhaled SYK inhibitor program for asthma and R333, our topical JAK/SYK inhibitor program for discoid lupus, partially offset by the decrease in stock-based compensation expense as discussed under *Stock-Based Compensation Expense* below. We expect that our research and development expense will increase through 2012 due to the initiation of the two Phase 2 clinical trials for our asthma and our topical JAK/SYK inhibitor programs, and the continued progress of our oral JAK3 inhibitor program.

## General and Administrative Expense

|                                   | Three Months Ended<br>June 30, |                        |                  | Six Months Ended<br>June 30, |                        |                  |  |
|-----------------------------------|--------------------------------|------------------------|------------------|------------------------------|------------------------|------------------|--|
|                                   | 2012                           | 2011<br>(in thousands) | Aggregate Change | 2012                         | 2011<br>(in thousands) | Aggregate Change |  |
| <i>General and administrative</i> | \$ 5,458                       | \$ 4,843               | \$ 615           | \$ 11,614                    | \$ 10,597              | \$ 1,017         |  |

*expense*

*Stock-based  
compensation  
expense included in  
general and  
administrative  
expense*

|    |       |    |     |    |     |    |       |    |       |    |     |
|----|-------|----|-----|----|-----|----|-------|----|-------|----|-----|
| \$ | 1,375 | \$ | 863 | \$ | 512 | \$ | 2,761 | \$ | 2,187 | \$ | 574 |
|----|-------|----|-----|----|-----|----|-------|----|-------|----|-----|

The increase in general and administrative expense for the three and six months ended June 30, 2012, compared to the same periods in 2011, was primarily due to the increase in stock-based compensation expense as discussed under **Stock-Based Compensation Expense** below.

**Stock-Based Compensation Expense**

|                                        | Three Months Ended<br>June 30, |       |    | Aggregate<br>Change | Six Months Ended<br>June 30, |       |    | Aggregate<br>Change |    |       |    |       |
|----------------------------------------|--------------------------------|-------|----|---------------------|------------------------------|-------|----|---------------------|----|-------|----|-------|
|                                        | 2012                           | 2011  |    |                     | 2012                         | 2011  |    |                     |    |       |    |       |
|                                        | (in thousands)                 |       |    |                     | (in thousands)               |       |    |                     |    |       |    |       |
| Stock-based compensation expense from: |                                |       |    |                     |                              |       |    |                     |    |       |    |       |
| Officer, director and employee options | \$                             | 2,993 | \$ | 3,200               | \$                           | (207) | \$ | 6,081               | \$ | 7,037 | \$ | (956) |
| Consultant options                     |                                | 18    |    |                     |                              | 18    |    | 28                  |    |       |    | 28    |
| Total                                  | \$                             | 3,011 | \$ | 3,200               | \$                           | (189) | \$ | 6,109               | \$ | 7,037 | \$ | (928) |

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The decrease in stock-based compensation expense for the three and six months ended June 30, 2012, as compared to the same periods in 2011, was primarily due to decreased stock-based compensation expenses related to research and development (see Research and Development Expense above), partially offset by increased stock-based compensation expense related to general and administrative (see General and Administrative Expense above). The decrease related to research and development was due to the full recognition of stock-based compensation expense by the end of 2011 of certain options granted to research and development personnel in the first quarter of 2008. The increase related to general and administrative was due to the higher valuation of options granted to general and administrative personnel in the first quarter of 2012.

**Interest Income**

|                        | Three Months Ended<br>June 30, |                        |       | Aggregate Change | Six Months Ended<br>June 30, |                        |        | Aggregate Change |
|------------------------|--------------------------------|------------------------|-------|------------------|------------------------------|------------------------|--------|------------------|
|                        | 2012                           | 2011<br>(in thousands) |       |                  | 2012                         | 2011<br>(in thousands) |        |                  |
| <b>Interest income</b> | \$ 144                         | \$ 90                  | \$ 54 |                  | \$ 280                       | \$ 180                 | \$ 100 |                  |

Interest income results from our interest-bearing cash and investment balances. The increase in interest income for the three and six months ended June 30, 2012, as compared to the same period in 2011, was due to higher average cash balances in our investments in 2012.

**Liquidity and Capital Resources****Cash Requirements**

From inception, we have financed our operations primarily through sales of equity securities, contract payments under our collaboration agreements and equipment financing arrangements. We have consumed substantial amounts of capital to date as we continue our research and development activities, including preclinical studies and clinical trials. In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. AZ is responsible for conducting and funding all future development, regulatory filings, manufacturing and global commercialization of products containing most of our oral SYK inhibitors. The agreement became effective on March 26, 2010 and, in connection with the effectiveness of the agreement, we received an upfront payment from AZ of \$100.0 million in April 2010. In October 2010, we received \$25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical studies in the fostamatinib program by AZ. AZ is required to pay us up to an additional \$320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional \$800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales, if any. Future events that could trigger payments to us under the AZ agreement are based solely on AZ's future efforts and achievements of specified tasks.

In June 2011, we completed an underwritten public offering in which we sold 18,745,000 shares of our common stock pursuant to an effective registration statement at a price to the public of \$8.00 per share. We received net proceeds of approximately \$140.5 million after deducting underwriting discounts and commissions and offering expenses.

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As of June 30, 2012, we had approximately \$202.6 million in cash, cash equivalents and available-for-sale securities, as compared to approximately \$247.6 million as of December 31, 2011, a decrease of approximately \$45.0 million. The decrease was primarily attributable to payments of operating expenses for the six months ended June 30, 2012, as well as payments for certain capital expenditures. We believe that our existing capital resources will be sufficient to support our current and projected funding requirements through at least the next 12 months. We have based this estimate on assumptions that may prove to be wrong, and we could utilize our available capital resources sooner than we currently expect. Because of the numerous risks and uncertainties associated with the development of our product candidates and other research and development activities, including risks and uncertainties that could impact the rate of progress of our development activities, we are unable to estimate with certainty the amounts of increased capital outlays and operating expenditures associated with our current and anticipated clinical trials and other research and development activities.

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Our operations will require significant additional funding for the foreseeable future. Unless and until we are able to generate a sufficient amount of product, royalty or milestone revenue, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of contingent and royalty payments that we may receive under our existing collaborations, we do not currently have any commitments for future funding. To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. Any debt financing that we are able to obtain may involve operating covenants that restrict our business. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some of our rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

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

- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by our collaborative partners or licensees or us;
- the ability to achieve the events identified in our collaborative agreements that trigger payments to us from our collaboration partners;
- the progress of research programs carried out by us;
- any changes in the breadth of our research and development programs;
- the progress of the research and development efforts of our collaborative partners;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and other intellectual property rights;

- the costs and timing of regulatory filings and approvals by us and our collaborators; and
- expenses associated with the pending and potential additional related purported securities class action lawsuits, as well as any 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.

For the six months ended June 30, 2012, we maintained an investment portfolio primarily in money market funds, government-sponsored enterprise securities, and corporate bonds and commercial paper. Cash in excess of immediate requirements is invested with regard to liquidity and capital preservation. Wherever possible, we seek to minimize the potential effects of concentration and degrees of risk. The credit rating for the U.S. long-term sovereign debt was downgraded by S&P in 2011. Given the short duration of our investment portfolio, we believe that the downgrade did not materially affect the value of our investments. We have evaluated our investment strategy and decided not to change it at this time. There is no assurance that further deterioration in the conditions of the credit and financial markets would not negatively impact our current investment portfolio. We will continue to monitor the impact in the downgrade of the credit rating and the disruptions in the financial markets to our investment portfolio and if future changes in our investment strategy are necessary.

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|                                           | Six Months Ended June 30, |             |
|-------------------------------------------|---------------------------|-------------|
|                                           | 2012                      | 2011        |
|                                           | (in thousands)            |             |
| Net cash provided by (used in):           |                           |             |
| Operating activities                      | \$ (44,013)               | \$ (37,928) |
| Investing activities                      | 50,158                    | (69,186)    |
| Financing activities                      | 1,373                     | 141,189     |
| Net increase in cash and cash equivalents | \$ 7,518                  | \$ 34,075   |

Net cash used in operating activities was approximately \$44.0 million for the six months ended June 30, 2012, compared to approximately \$37.9 million for the same period in 2011. In each period, net cash used in operating activities primarily consisted of cash payments related to our research and development programs. The timing of cash requirements may vary from period to period depending on our research and development activities, including our planned preclinical and clinical trials, and future requirements to establish commercial capabilities for any products that we may develop.

Net cash provided by investing activities was approximately \$50.2 million for the six months ended June 30, 2012, compared to net cash used in investing activities of approximately \$69.2 million for the six months ended June 30, 2011. Net cash provided by investing activities for the six months ended June 30, 2012 was primarily due to maturities and sale of available-for-sale securities of approximately \$277.1 million, partially offset by purchases of available-for-sale securities of approximately \$224.5 million. Net cash used in investing activities for the six months ended June 30, 2011 was primarily due to purchases of available-for-sale securities of approximately \$214.9 million, partially offset by maturities of available-for-sale securities of approximately \$147.3 million. Capital expenditures were approximately \$2.4 million for the six months ended June 30, 2012, compared to approximately \$1.6 million for the same period in 2011.

Net cash provided by financing activities was approximately \$1.4 million for the six months ended June 30, 2012, compared to approximately \$141.2 million for the same period in 2011. Net cash provided by financing activities for the six months ended June 30, 2012 was primarily due to the proceeds from the exercise of outstanding options during the period and the issuance of shares under our Purchase Plan. In the second quarter of 2011, we completed a public offering in which we received net proceeds of approximately \$140.5 million after deducting underwriting discounts and commissions and offering expenses. Net cash provided by financing activities for the six months ended June 30, 2011 also included proceeds from the exercise of outstanding options and the issuance of shares under our Purchase Plan of approximately \$1.2 million, partially offset by payments of capital lease obligations of approximately \$485,000.

**Off-Balance Sheet Arrangements**

As of June 30, 2012, we had no off-balance sheet arrangements (as defined in Item 303(a)(4)(ii) of Regulation S-K under the Exchange Act) that create potential material risks for us and that are not recognized on our balance sheets.

**Contractual Obligations**

As of June 30, 2012, we had the following contractual commitments:

|                  | Total     | Less than<br>1 Year | Payment Due By Period            |                | More than<br>5 Years |
|------------------|-----------|---------------------|----------------------------------|----------------|----------------------|
|                  |           |                     | 1 - 3<br>Years<br>(in thousands) | 3 - 5<br>Years |                      |
| Facilities lease | \$ 82,781 | \$ 13,540           | \$ 28,718                        | \$ 31,070      | \$ 9,453             |

### Item 3. Quantitative and Qualitative Disclosures About Market Risk

During the six months ended June 30, 2012, there were no material changes to our market risk disclosures as set forth in Part II, Item 7A, Quantitative and Qualitative Disclosures About Market Risk, of our Annual Report on Form 10-K for the year ended December 31, 2011.

### Item 4. Controls and Procedures

*Evaluation of Disclosure Controls and Procedures.* Based on the evaluation of our disclosure controls and procedures (as defined in Rules 13a-15(e) and 15d-15(e) under the Securities Exchange Act of 1934, as amended), our chief executive officer and chief financial officer have concluded that, as of the end of the period covered by this report, our disclosure controls and procedures were effective.

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*Changes in Internal Controls.* There were no changes in our internal control over financial reporting that occurred during the quarter ended June 30, 2012 that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

*Limitations on the Effectiveness of Controls.* A control system, no matter how well conceived and operated, can provide only reasonable, not absolute, assurance that the objectives of the controls are met. Because of the inherent limitations in all control systems, no evaluation of controls can provide absolute assurance that all control issues, if any, within a company have been detected. Accordingly, our disclosure controls and procedures are designed to provide reasonable, not absolute, assurance that the objectives of our disclosure control system are met and, as set forth above, our chief executive officer and chief financial officer have concluded, based on their evaluation as of the end of the period covered by this report, that our disclosure controls and procedures were sufficiently effective to provide reasonable assurance that the objectives of our disclosure control system were met.

**PART II. OTHER INFORMATION**

**Item 1. Legal Proceedings**

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us and certain of our officers, directors and underwriters for the Stock Offering. An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff and Robbins Geller Rudman & Dowd LLP (formerly Coughlin Stoia) as lead counsel. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleged violations of the Securities Act and the Exchange Act in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate fostamatinib (then known as R788). The plaintiff sought damages, including rescission or rescissory damages for purchasers in the Stock Offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 9, 2009, including purchasers in the Stock Offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010. On August 24, 2010, the Court issued an order granting our motion and dismissed the consolidated complaint with leave to amend. On September 22, 2010, plaintiff filed a notice informing the Court that it will not amend its complaint and requested that the Court enter a final judgment. On October 28, 2010, the plaintiff submitted a proposed judgment requesting entry of such judgment in favor of the defendants. On November 1, 2010, judgment was entered dismissing the action. The plaintiff filed a notice of appeal on November 15, 2010 to the Circuit Court appealing the district court's order granting our motion to dismiss the consolidated amended complaint. The plaintiff filed its opening brief on February 23, 2011. We filed our opposition brief on April 8, 2011. On May 9, 2011, the plaintiff filed its reply brief. On February 17, 2012, the Circuit Court heard oral arguments on plaintiff's appeal.

We believe that we have meritorious defenses and intend to defend the lawsuit vigorously. This lawsuit and any other related lawsuits are subject to inherent uncertainties, and the actual costs to be incurred relating to the lawsuit will depend upon many unknown factors. The outcome of the litigation is necessarily uncertain, and we could be forced to expend significant resources in the defense of this suit, and we may not prevail. Monitoring and defending against legal actions is time-consuming for our management and detracts from our ability to fully focus our internal resources on our business activities. In addition, we may incur substantial legal fees and costs in connection with the litigation. We are not currently able to estimate the possible cost to us from this matter, and we cannot be certain how long it may take to resolve this matter or the possible amount of any damages that we may be required to pay. We have not established any reserves for any potential liability relating to this lawsuit. It is possible that we could, in the future, incur judgments or enter into settlements of claims for monetary damages. A decision adverse to our interests on this action could result in the payment of substantial damages, or possibly fines, and could have a material adverse

effect on our cash flows, results of operations and financial position. In addition, the uncertainty of the currently pending litigation could lead to increased volatility in our stock price.

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**Item 1A. Risk Factors**

*In evaluating our business, you should carefully consider the following risks, as well as the other information contained in this Quarterly Report on Form 10-Q. These risk factors could cause our actual results to differ materially from those contained in forward-looking statements we have made in this Quarterly Report on Form 10-Q and those we may make from time to time. If any of the following risks actually occurs, our business, financial condition and operating results could be harmed. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business.*

*We have marked with an asterisk (\*) those risk factors below that reflect a substantive change from the risk factors included in our Annual Report on Form 10-K filed with the Securities and Exchange Commission on March 6, 2012.*

***If our 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 now and in the future. We rely on these arrangements for not only financial resources, but also for expertise we need now and 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 these collaborations or additional third parties with which we may collaborate, if any, will dedicate sufficient resources or if any development or commercialization efforts by third parties will be successful. In addition, our corporate collaborators may delay clinical trials, provide insufficient funding for a clinical trial program, stop a clinical trial or abandon a drug candidate or development program. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us for any reason, including corporate restructuring, such failure might delay our ongoing research and development efforts, because we might not receive any future 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.

In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. AZ started its Phase 3 clinical trial program in patients in RA in September 2010. Our collaboration agreement with AZ does not include a research phase. The research phase of our collaboration agreement with Daiichi ended in 2005. Each of our collaborations could be terminated by the other party at any time, and we may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all. If these collaborations terminate or are not renewed, any resultant loss of revenues from these collaborations or loss of the resources and expertise of our collaborative partners could adversely affect our business.

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

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

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

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

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

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

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 U.S. Food and Drug Administration (FDA) of an investigational new drug application (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 and regulatory oversight;
- may require large numbers of test subjects; and
- may be suspended by us, our collaborators or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

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

Before receiving FDA approval to market a product, we must demonstrate with substantial clinical evidence that the product is safe and effective in the patient population and the indication that will be treated. Data obtained from preclinical and clinical activities are susceptible to varying

interpretations that could delay, limit or prevent regulatory approvals. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, adverse publicity, as well as other regulatory action against our potential products or us. Additionally, we have limited experience in conducting and managing the clinical trials necessary to obtain regulatory approval.

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

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

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

Commercialization of our product candidates depends upon successful completion of extensive preclinical studies and clinical trials to demonstrate their safety and efficacy for humans. Preclinical testing and clinical development are long, expensive and uncertain processes.

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In connection with clinical trials of our product candidates, we face the risks that:

- the product candidate may not prove to be effective;
- the product candidate may cause harmful side effects;
- the clinical results may not replicate the results of earlier, smaller trials;
- we or the FDA or similar foreign regulatory authorities may terminate or suspend the trials;
- the results may not be statistically significant;
- patient recruitment and enrollment may be slower than expected;
- patients may drop out of the trials; and
- regulatory requirements may change.

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

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

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At the present time, the majority of our operations are in various stages of drug identification and development. We currently have four product compounds in the clinical testing stage: one with indication for RA subject to a collaboration agreement with AZ; one that has completed an initial Phase 1b allergen challenge trial for allergic asthma for which we expect to start a Phase 2 clinical trial this month; one with indication for DLE currently in a Phase 1 clinical trial for which we expect to start a Phase 2 clinical trial this month; and one with indication for transplant rejection currently in a Phase 1 clinical trial. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential product candidates will actually lead to successful product development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all.

Our compounds in clinical trials and our future leads for potential drug compounds are subject to the risks and failures inherent in the development of pharmaceutical products. These risks include, but are not limited to, the inherent difficulty in selecting the right drug and drug target and avoiding unwanted side effects, as well as unanticipated problems relating to product development, testing, obtaining regulatory approvals, maintaining regulatory compliance, manufacturing, competition and costs and expenses that may exceed current estimates. For example, in our two Phase 2b clinical trials for fostamatinib in RA, *TASKi2* and *TASKi3*, the most common, clinically-meaningful, drug-related adverse events noted were diarrhea and hypertension. In both our *TASKi2* and *TASKi3* Phase 2b clinical trials, a meaningfully higher percentage of patients in the fostamatinib treatment groups had blood pressure medication adjusted or initiated during the course of the clinical trials as compared to the placebo group. In larger future clinical trials, we or our partners may discover additional side effects and/or higher frequency of side effects than those observed in completed clinical trials. If approved by the FDA, the side effect profile of fostamatinib may also result in a narrowly approved indication for use of the product, especially in light of other drugs currently available to treat RA, dependent on the safety profile of fostamatinib relative to those drugs.

The results of preliminary and mid-stage studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the previous studies. Similarly, a clinical trial may show that a product candidate is safe and effective for certain patient populations in a particular indication, but other clinical trials may fail to confirm those results in a subset of that population or in a different patient population, which may limit the potential market for that product candidate. For example, fostamatinib produced significant clinical improvement in RA patients who had failed to respond to MTX alone in our *TASKi2* Phase 2b clinical trial, but our *TASKi3* Phase 2b clinical trial failed to meet its efficacy endpoints in RA patients who had failed to respond to at least one biologic treatment. In addition, if we were to repeat either of the *TASKi2* and *TASKi3* Phase 2b clinical trials, any such additional trials may not confirm the results observed in the original trials. The Phase 3 clinical program evaluating fostamatinib in RA patients, initiated by our partner, AZ, may not show fostamatinib to be safe and effective for the treatment of RA patients. With respect to our own compounds in development, we have established anticipated timelines with respect to the initiation of clinical studies based on existing knowledge of the compounds. However, we cannot provide assurance that we will meet any of these timelines for clinical development. Additionally, the initial results of the completed Phase 1b allergen challenge trial conducted by Pfizer for our asthma program does not necessarily predict final results and the results may not be repeated in our Phase 2 and later clinical trials.

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

***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 about 96 pending patent applications and over 209 issued patents in the United States, as well as corresponding pending foreign patent applications and issued foreign patents. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. For example, we may be involved in interferences before the United States Patent and Trademark Office. Interferences are complex and expensive legal proceedings and there is no assurance we will be successful in any such proceedings. An interference could result in our losing our patent rights and/or our freedom to operate and/or require us to pay significant royalties. Additional uncertainty may result because no consistent policy regarding the breadth of legal claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

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

- we were the first to make the inventions covered by each of our pending patent applications;
- we were the first to file patent applications for these inventions;
- others will not independently develop similar or alternative technologies or duplicate any of our technologies;
- any of our pending patent applications will result in issued patents;
- any patents issued to us or our collaborators will provide a basis for commercially-viable products or will provide us with any competitive advantages or will not be challenged by third parties;
- we will develop additional proprietary technologies that are patentable; or

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

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

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

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

Our success will 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 our licensors or ours, and others may be filed in the future. There can be no assurance that our activities, or those of our licensors, will not infringe patents owned by others. We believe that there may be significant litigation in the industry regarding patent and other intellectual property rights, and we do not know if our collaborators or we 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.

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

We have consumed substantial amounts of capital to date as we continue our research and development activities, including preclinical studies and clinical trials. In February 2010, we entered into an exclusive worldwide license agreement with AZ for the global development and commercialization of our oral SYK inhibitors for the treatment of human diseases other than those primarily involving respiratory or pulmonary dysfunction. The agreement includes a license of rights to fostamatinib, our late-stage investigational product candidate for the treatment of RA and other indications. The agreement became effective on March 26, 2010 and, in connection with the effectiveness of the agreement, we received an upfront payment of \$100.0 million in April 2010 from AZ. In October 2010, we received \$25.0 million from AZ for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical trials in the fostamatinib program by AZ. AZ is required to pay us up to an additional \$320.0 million if specified development, regulatory and launch events are achieved for fostamatinib. We are also eligible to receive up to an additional \$800.0 million if specified sales levels are achieved for fostamatinib, as well as significant stepped double-digit royalties on net worldwide sales, if any. In June 2011, we completed an underwritten public offering in which we sold 18,745,000 shares of our common stock pursuant to an effective registration statement at a price to the public of \$8.00 per share. We received net proceeds of approximately \$140.5 million after deducting underwriting discounts and commissions and offering expenses. We may need additional funds in the future and the amount of future funds needed will depend largely on the success of our internally developed

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programs as they proceed in later and more expensive clinical trials. Unless and until we are able to generate a sufficient amount of product, royalty or milestone revenue, which may never occur, we expect to finance future cash needs through public and/or private offerings of equity securities, debt financings or collaboration and licensing arrangements, as well as through interest income earned on the investment of our cash balances and short-term investments. With the exception of contingent and royalty payments that we may receive under our existing collaborations, we do not currently have any commitments for future funding. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on reasonable terms.

To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. Any debt financing that we are able to obtain may involve operating covenants that restrict our business. To the extent that we raise additional funds through any new 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 achievement of the events identified in our collaborative agreements that trigger payments to us from our collaboration partners, most of which are out of our control and rely entirely on the efforts of our partners;
- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by our collaborative partners or licensees or us;

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- the progress of research programs carried out by us;
- any changes in the breadth of our research and development programs;
- the progress of the research and development efforts of our collaborative partners;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual property rights;
- the costs and timing of regulatory approvals and filings by us and our collaborators;
- our ability to manage our growth; and
- expenses associated with the pending and potential additional related purported securities class action lawsuits, as well as any unforeseen litigation.

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

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

Although we generated operating income of approximately \$35.3 million for the year ended December 31, 2010, this resulted from the one-time upfront payment from AZ received in April 2010, as well as payment for completing the transfer of the fostamatinib long-term open label extension study to AZ and for the initiation of Phase 3 clinical trials in the fostamatinib program by AZ. We incurred a loss from operations of

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approximately \$24.9 million for six months ended June 30, 2012. Other than for 2010, we have historically operated at a loss each year since we were incorporated in June 1996, due in large part to the significant research and development expenditures required to identify and validate new product candidates and pursue our development efforts. We expect to continue to incur net operating losses for at least the next two years and there can be no assurance that we will generate operating income in the future. Currently, our only potential sources of revenues are upfront payments, research and development contingent payments and royalty payments pursuant to our collaboration arrangements. If our drug candidates fail or do not gain regulatory approval, or if our drugs do not achieve market acceptance, we may not be profitable. As of June 30, 2012, we had an accumulated deficit of approximately \$709.3 million. The extent of our future losses or profitability, if any, is highly uncertain.

***Our ability to use net operating losses to offset future taxable income may be subject to certain limitations.***

In general, under Section 382 of the Internal Revenue Code, a corporation that undergoes an ownership change is subject to limitations on its ability to utilize its pre-change net operating losses to offset future taxable income. Our existing net operating losses and credits may be subject to limitations arising from previous and future ownership changes under Section 382 of the Internal Revenue Code. To the extent we cannot completely utilize net operating loss carryforwards or tax credits in our financial statements to offset future taxable income, our tax expense may increase in future periods.

***Because we expect to be dependent upon collaborative and license agreements, we might not meet our strategic objectives.***

Our ability to generate revenue in the near term depends on the timing of recognition of certain upfront payments, achievement of certain payment triggering events with our existing collaboration agreements and our ability to enter into additional collaborative agreements with third parties. 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 one or more new collaborations, our business prospects could be harmed, which could have an immediate adverse effect on our ability to continue to develop our compounds and on the trading price of our stock. Our ability to enter into a collaboration may be dependent on many factors, such as the results of our clinical trials, competitive factors and the fit of one of our programs with another company's risk tolerance, including toward regulatory issues, patent portfolio, clinical pipeline, the stage of the available data, particularly if it is early, overall corporate goals and financial position.

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To date, a portion of our revenues have been related to the research or transition 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 at least partially offset by corresponding research costs. Following the completion of the research or transition phase of each collaborative agreement, additional revenues may come only from payments triggered by milestones and/or the achievement of other contingent events, and royalties, which may not be paid, if at all, until certain conditions are met. This risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any contingent payments under these agreements. Our receipt of revenues from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. We have received payments from our collaborations with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, Novartis, Daiichi, Merck & Co., Inc., Merck Serono and Pfizer. Under many agreements, future payments may not be earned until the collaborator has advanced product candidates into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to generate revenue under our collaborations when and in accordance with our expectations or the expectations of industry analysts, this failure could harm our business and have an immediate adverse effect on the trading price of our common 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.

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

Significant delays in clinical testing could materially impact our product development costs and timing. We do not know whether planned clinical trials will begin on time, will need to be halted or redesigned or will be completed on schedule, or at all. In addition, clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a study, delays from scaling up of 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. The clinical investigators are not our employees, and we cannot control the amount or timing of resources that they devote to our programs. Failure of the third-party organizations to meet their obligations could adversely affect clinical development of our products. 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 obtaining regulatory 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. Moreover, these third-party investigators and organizations may also have relationships with other commercial entities, some of which may compete with us. If these third-party investigators and organizations assist our competitors at our expense, it could harm our competitive position.

***We have been named a defendant in a purported securities class action lawsuit. This, and potential similar or related litigation, could result in substantial damages and may divert management's time and attention from our business.***

On February 6, 2009, a purported securities class action lawsuit was commenced in the United States District Court for the Northern District of California, naming as defendants us and certain of our officers, directors and underwriters for our February 2008 public offering of common

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stock (the Stock Offering). An additional purported securities class action lawsuit containing similar allegations was subsequently filed in the United States District Court for the Northern District of California on February 20, 2009. By order of the Court dated March 19, 2009, the two lawsuits were consolidated into a single action. On June 9, 2009, the Court issued an order naming the Inter-Local Pension Fund GCC/IBT as lead plaintiff and Robbins Geller Rudman & Dowd LLP (formerly Coughlin Stoia) as lead counsel. The lead plaintiff filed a consolidated complaint on July 24, 2009. We filed a motion to dismiss on September 8, 2009. On December 21, 2009, the Court granted our motion and dismissed the consolidated complaint with leave to amend. Plaintiff filed its consolidated amended complaint on January 27, 2010. The lawsuit alleged violations of the Securities Act and the Exchange Act in connection with allegedly false and misleading statements made by us related to the results of the Phase 2a clinical trial of our product candidate fostamatinib (then known as R788). The plaintiff sought damages, including rescission or rescissory damages for purchasers in the Stock Offering, an award of their costs and injunctive and/or equitable relief for purchasers of our common stock during the period between December 13, 2007 and February 9, 2009, including purchasers in the Stock Offering. We filed a motion to dismiss the consolidated amended complaint on February 16, 2010. On August 24, 2010, the Court issued an order granting our motion and dismissed the consolidated complaint with leave to amend. On September 22, 2010, plaintiff filed a notice informing the Court that it will not amend its complaint and requested that the Court enter a final judgment. On October 28, 2010, the plaintiff submitted a proposed judgment requesting entry of such judgment in favor of the defendants. On November 1, 2010, judgment was entered dismissing the action. The plaintiff filed a notice of appeal on November 15, 2010 to the Ninth Circuit Court of Appeals (the Circuit Court), appealing the district court's order granting our motion to dismiss the consolidated amended complaint. The plaintiff filed its opening brief on February 23, 2011. We filed our opposition brief on April 8, 2011. On May 9, 2011, the plaintiff filed its reply brief. On February 17, 2012, the Circuit Court heard oral arguments on plaintiff's appeal.

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We believe that we have meritorious defenses and intend to defend the lawsuit vigorously. This lawsuit and any other related lawsuits are subject to inherent uncertainties, and the actual costs to be incurred relating to the lawsuit will depend upon many unknown factors. The outcome of the litigation is necessarily uncertain, and we could be forced to expend significant resources in the defense of this suit, and we may not prevail. Monitoring and defending against legal actions is time-consuming for our management and detracts from our ability to fully focus our internal resources on our business activities. In addition, we may incur substantial legal fees and costs in connection with the litigation. We are not currently able to estimate the possible cost to us from this matter, and we cannot be certain how long it may take to resolve this matter or the possible amount of any damages that we may be required to pay. We have not established any reserves for any potential liability relating to this lawsuit. It is possible that we could, in the future, incur judgments or enter into settlements of claims for monetary damages. A decision adverse to our interests on this action could result in the payment of substantial damages, or possibly fines, and could have a material adverse effect on our cash flow, results of operations and financial position. In addition, the uncertainty of the currently pending litigation could lead to increased volatility in our stock price.

***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 the manufacturing capabilities or experience necessary to produce our product candidates for clinical trials, including R343 for our asthma program, R333 for DLE and R548 for transplant rejection. For each clinical trial of our unpartnered product candidates, we rely on third-party manufacturers for the active pharmaceutical ingredients, as well as various manufacturers to manufacture starting components, excipients and formulated drug products. We rely on manufacturers to produce and deliver all of the materials required for our clinical trials, and many of our preclinical efforts, on a timely basis and to comply with applicable regulatory requirements, including the FDA's current Good Manufacturing Practices (cGMP). In addition, we rely on our suppliers to deliver sufficient quantities of materials produced under cGMP conditions to enable us to conduct planned preclinical studies and clinical trials.

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 and may also experience a shortage in qualified personnel. We may not be able to maintain or renew our existing third-party manufacturing arrangements, or enter into new arrangements, on acceptable terms, or at all. Our third party manufacturers could terminate or decline to renew our manufacturing arrangements based on their own business priorities, at a time that is costly or inconvenient for us. If we are unable to contract for the production of materials in sufficient quantity and of sufficient quality on acceptable terms, our planned clinical trials may be significantly delayed. Manufacturing delays could postpone the filing of our IND applications and/or the initiation or completion of clinical trials that we have currently planned or may plan in the future.

Drug manufacturers are subject to ongoing periodic unannounced inspection by the FDA, the Drug Enforcement Administration, and other federal and state agencies to ensure strict compliance with cGMP and other government regulations and corresponding foreign standards. We do not have control over third-party manufacturers' compliance with these regulations and standards and they may not be able to comply. Switching manufacturers may be difficult because the number of potential manufacturers is limited. It may be difficult or impossible for us to find a replacement manufacturer quickly on acceptable terms, or at all. 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 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.



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

Competition may also arise from:

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

Our competitors or their collaborative partners 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 and larger research and development staffs than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

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

Many of our competitors, either alone or together with their collaborative partners, have significantly greater experience than we do in:

- identifying and validating targets;
- screening compounds against targets; and
- undertaking preclinical testing and clinical trials.

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

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 product candidates obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the FDA or other regulatory agencies for product candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or obtain regulatory approval in the United States or elsewhere.

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

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***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. We carry product liability insurance that is limited in scope and amount and may not be adequate to fully protect us against product liability claims. Our inability to obtain sufficient product liability insurance at an acceptable cost to protect against potential product liability claims could prevent or inhibit the

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

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

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

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

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 or for penalties or fines that may be imposed, and such liability could exceed our resources. We are also subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

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

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

***Future interest income and value of our investments may be impacted by declines in interest rates and the broader effects of the recent turmoil in the global credit markets.***

The credit markets and the financial services industry have been experiencing a period of unprecedented turmoil and upheaval. The credit rating for the U.S. long-term sovereign debt was downgraded in August 2011 by S&P. There can be no assurance that further deterioration in credit and financial markets will not occur. As a result, the interest paid on certain of our investments may decrease and the value of certain securities we hold may decline in the future, which could negatively affect our financial condition, cash flows and reported earnings.

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

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

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

- the receipt or failure to receive the additional funding necessary to conduct our business;
- selling by large stockholders;
- presentations of detailed clinical trial data at medical and scientific conferences and investor perception thereof;
- 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 or arbitration;
- economic and other external factors or other disaster or crisis; and
- period-to-period fluctuations in financial results.

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

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

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

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

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

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

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

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The exhibits listed on the accompanying index to exhibits are filed or incorporated by reference (as stated therein) as part of this Quarterly Report on Form 10-Q.

| <b>Exhibit Number</b> | <b>Description of Document</b>                                                                                                                                        |
|-----------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 3.1                   | Amended and Restated Certificate of Incorporation. (1)                                                                                                                |
| 3.2                   | Certificate of Amendment of Amended and Restated Certificate of Incorporation. (1)                                                                                    |
| 3.3                   | Amended and Restated Bylaws. (2)                                                                                                                                      |
| 4.1                   | Form of warrant to purchase shares of common stock. (3)                                                                                                               |
| 4.2                   | Specimen Common Stock Certificate. (4)                                                                                                                                |
| 4.3                   | Warrant issued to Kwacker Limited for the purchase of shares of common stock. (5)                                                                                     |
| 4.4                   | Warrant issued to HCP BTC, LLC for the purchase of shares of common stock. (6)                                                                                        |
| 10.19*                | Rigel Pharmaceuticals, Inc.2000 Equity Incentive Plan, as amended.                                                                                                    |
| 10.30*                | Rigel Pharmaceuticals, Inc.2011 Equity Incentive Plan, as amended.                                                                                                    |
| 31.1                  | Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act.                                                                                       |
| 31.2                  | Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act.                                                                                       |
| 32.1                  | Certification required by Rule 13a-14(b) or Rule 15d-14(b) of the Exchange Act and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350). |
| 101.INS#              | XBRL Instance Document                                                                                                                                                |
| 101.SCH#              | XBRL Taxonomy Extension Schema Document                                                                                                                               |
| 101.CAL#              | XBRL Taxonomy Extension Calculation Linkbase Document                                                                                                                 |
| 101.LAB#              | XBRL Taxonomy Extension Labels Linkbase Document                                                                                                                      |
| 101.PRE#              | XBRL Taxonomy Extension Presentation Linkbase Document                                                                                                                |
| 101.DEF#              | XBRL Taxonomy Extension Definition Linkbase Document                                                                                                                  |

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(1) Filed as an exhibit to Rigel's Current Report on Form 8-K filed on May 29, 2012 and incorporated herein by reference.

(2) Filed as an exhibit to Rigel's Current Report on Form 8-K filed on February 2, 2007 and incorporated herein by reference.

(3) Filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference.

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- (4) Filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) filed on June 24, 2003, and incorporated herein by reference.
- (5) Filed as an exhibit to Rigel's Quarterly Report on Form 10-Q (No. 000-29889) for the quarter ended September 30, 2006, and incorporated herein by reference.
- (6) Filed as an exhibit to Rigel's Quarterly Report on Form 10-Q (No. 000-29889) for the quarter ended March 31, 2009, and incorporated herein by reference.

\* Represents a management contract or compensatory plan or arrangement.

# Pursuant to applicable securities laws and regulations, the Registrant is deemed to have complied with the reporting obligation relating to the submission of interactive data files in such exhibits and is not subject to liability under any anti-fraud provisions of the federal securities laws as long as the Registrant has made a good faith attempt to comply with the submission requirements and promptly amends the interactive data files after becoming aware that the interactive data files fails to comply with the submission requirements. These interactive data files are deemed not filed or part of a registration statement or prospectus for purposes of sections 11 or 12 of the Securities Act of 1933, as amended, are deemed not filed for purposes of section 18 of the Securities Exchange Act of 1934, as amended, and otherwise are not subject to liability under these sections.

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**SIGNATURES**

Pursuant to the requirements of the Securities Exchange Act of 1934, as amended, the registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER  
James M. Gower  
Chief Executive Officer  
(Principal Executive Officer)

Date: August 7, 2012

By: /s/ RYAN D. MAYNARD  
Ryan D. Maynard  
Executive Vice President and Chief Financial Officer  
(Principal Financial and Accounting Officer)

Date: August 7, 2012

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| 10.19*                    | Rigel Pharmaceuticals, Inc.2000 Equity Incentive Plan, as amended.                                                                                                    |
| 10.30*                    | Rigel Pharmaceuticals, Inc.2011 Equity Incentive Plan, as amended.                                                                                                    |
| 31.1                      | Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act.                                                                                       |
| 31.2                      | Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act.                                                                                       |
| 32.1                      | Certification required by Rule 13a-14(b) or Rule 15d-14(b) of the Exchange Act and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350). |
| 101.INS#                  | XBRL Instance Document                                                                                                                                                |
| 101.SCH#                  | XBRL Taxonomy Extension Schema Document                                                                                                                               |
| 101.CAL#                  | XBRL Taxonomy Extension Calculation Linkbase Document                                                                                                                 |
| 101.LAB#                  | XBRL Taxonomy Extension Labels Linkbase Document                                                                                                                      |
| 101.PRE#                  | XBRL Taxonomy Extension Presentation Linkbase Document                                                                                                                |
| 101.DEF#                  | XBRL Taxonomy Extension Definition Linkbase Document                                                                                                                  |

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- (1) Filed as an exhibit to Rigel s Current Report on Form 8-K filed on May 29, 2012 and incorporated herein by reference.
- (2) Filed as an exhibit to Rigel s Current Report on Form 8-K filed on February 2, 2007 and incorporated herein by reference.
- (3) Filed as an exhibit to Rigel s Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference.
- (4) Filed as an exhibit to Rigel s Current Report on Form 8-K (No. 000-29889) filed on June 24, 2003, and incorporated herein by reference.
- (5) Filed as an exhibit to Rigel s Quarterly Report on Form 10-Q (No. 000-29889) for the quarter ended September 30, 2006, and incorporated herein by reference.

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(6) Filed as an exhibit to Rigel's Quarterly Report on Form 10-Q (No. 000-29889) for the quarter ended March 31, 2009, and incorporated herein by reference.

\* Represents a management contract or compensatory plan or arrangement.

# Pursuant to applicable securities laws and regulations, the Registrant is deemed to have complied with the reporting obligation relating to the submission of interactive data files in such exhibits and is not subject to liability under any anti-fraud provisions of the federal securities laws as long as the Registrant has made a good faith attempt to comply with the submission requirements and promptly amends the interactive data files after becoming aware that the interactive data files fails to comply with the submission requirements. These interactive data files are deemed not filed or part of a registration statement or prospectus for purposes of sections 11 or 12 of the Securities Act of 1933, as amended, are deemed not filed for purposes of section 18 of the Securities Exchange Act of 1934, as amended, and otherwise are not subject to liability under these sections.