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## **Rigel to Focus on Extensive Clinical Pipeline at Upcoming J.P. Morgan Presentation**

### **R348 for Chronic Dry Eye Initiates Clinical Trial**

SOUTH SAN FRANCISCO, Calif., Jan. 3, 2013 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that James M. Gower, the company's chairman and chief executive officer, will present an update on the company's product portfolio at the J.P. Morgan Healthcare Conference in San Francisco on January 7, 2013 at 1:30pm Pacific time (see webcast details below). In December 2012, Rigel initiated a Phase 1 clinical study of R348, a topical JAK/SYK inhibitor, as a potential therapeutic for chronic dry eye and expects to initiate a Phase 2 clinical study in mid 2013. With the addition of R348, Rigel currently has four programs in clinical development, including fostamatinib, which is completing its Phase 3 program with partner AstraZeneca.

"Rigel has created a substantial clinical portfolio with key products now in Phase 3 and Phase 2 clinical trials," said Mr. Gower. "We expect 2013 to be a transformational year for Rigel as several of these studies produce clinical results in succession."

The following is additional information about some of the programs Rigel will discuss at the J.P. Morgan Conference:

#### **Fostamatinib**

Rigel's partner, AstraZeneca, reported that the Phase 3 trials of fostamatinib in the OSKIRA (Oral SYK Inhibition in Rheumatoid Arthritis) program are proceeding on course. The program includes three pivotal studies assessing the efficacy and safety of fostamatinib in patients with rheumatoid arthritis (RA). OSKIRA-1 and OSKIRA-2 are independent 12-month studies examining the effect of fostamatinib on RA patients with inadequate responses to DMARDs, including methotrexate. OSKIRA-3 is a 6-month study assessing the effect of fostamatinib on RA patients who have previously responded inadequately to a single anti-TNF therapy. In addition, AstraZeneca is conducting a long-term extension study looking at the ongoing safety and tolerability of the investigational drug (OSKIRA-X).

The OSKIRA Phase 3 studies are expected to report top line results in the first half of 2013. AstraZeneca expects to submit regulatory filings in the US and EU for fostamatinib use in combination with a DMARD, based on the OSKIRA Phase 3 program, in the second half of

2013.

### **R343, Inhaled SYK Inhibitor**

In September 2012, Rigel announced the initiation of SITAR (SYK Inhibition for Treatment of Asthma with R343) the Phase 2 multi-center, multiple-dose, double-blind study.

Approximately 270 patients with allergic asthma will be given R343 or placebo in a dry powder inhaler device and the primary endpoint will measure each patient's change in FEV1 (the maximum amount of air a person can forcefully exhale in one second) from baseline to dosing completion. Prior research conducted on the mechanism of action of R343 suggests that this single agent may provide therapeutic benefits to counter both acute/early and chronic/late inflammation mechanisms associated with a wide range of allergic asthma symptoms.

Rigel expects results of SITAR in mid 2013.

### **R333, Topical Dermatological JAK/SYK Inhibitor**

Also in September 2012, Rigel announced the initiation of SKINDLE (SYK Kinase Inhibition for Discoid Lupus Erythematosus (DLE)). This Phase 2 double-blind, multi-center study in patients with active discoid skin lesions from DLE or Systemic Lupus Erythematosus (SLE) will evaluate the primary effectiveness of R333, a topical JAK/SYK inhibitor, versus placebo following 28 days of treatment. Current treatment options for the approximately 300,000 Americans with this autoimmune disorder of the skin are few, and have toxicities that further limit their use.

Rigel expects results of SKINDLE in mid 2013.

### **R348, Topical Ophthalmic JAK/SYK Inhibitor**

Chronic dry eye, or Keratoconjunctivitis Sicca, is a relatively common inflammatory condition affecting the lacrimal glands of the eye. Adults with this condition may also suffer from SLE, Sjogren's syndrome, RA or other autoimmune disorders. Chronic dry eye is an irritating and painful syndrome that, if not well controlled, may be destructive to the cornea.

Since both JAK and SYK are important components in the body's immune and inflammatory responses, R348's combined JAK/SYK inhibition is expected to offer relief directly to the eye. A First in Human Phase 1 clinical trial of R348 eye drops was initiated in patients with chronic dry eye disease in December 2012. Rigel expects to move into Phase 2 clinical trials with R348 in mid 2013.

### **Muscle Programs**

In addition to the immunology programs mentioned above, Rigel's R&D teams are making strides in developing potential small molecule therapeutics for a variety of muscle wasting and muscle endurance disorders, including those associated with conditions like peripheral arterial disease, ventilator atrophy, chronic heart failure, chronic obstructive pulmonary disease and type 2 diabetes mellitus. Preclinical studies with an AMPK Signaling Activator and a muscle atrophy inhibitor are underway.

### **Webcast Details**

To access the live audio webcast of Rigel's presentation at the J.P. Morgan Conference or the subsequent archived recording, log on to <http://www.rigel.com/>. Please connect to Rigel's website several minutes prior to the start of the live webcast to ensure adequate time for any

software download that may be necessary.

**About Rigel ([www.rigel.com](http://www.rigel.com))**

Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) is 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. Rigel's pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Rigel's productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market its product candidates. Current product development programs include fostamatinib, an oral SYK inhibitor that is in Phase 3 clinical trials for rheumatoid arthritis with its partner AstraZeneca; R343, an inhaled SYK inhibitor for asthma and R333, a topical JAK/SYK inhibitor for discoid lupus – both of which have commenced Phase 2 clinical trials; and, R348, a topical SYK inhibitor in a Phase 1 clinical trial for the treatment of chronic dry eye. Visit [www.rigel.com](http://www.rigel.com) for more information.

*This press release contains "forward-looking" statements, including, without limitation, statements related to the progress of the development of fostamatinib, partnered with AstraZeneca, the timing of certain regulatory filings for fostamatinib, as well as statements related to dates for the progress in development of other product candidates, including the expected timing of commencing clinical trials and obtaining results from ongoing clinical programs. Any statements contained in this press release that are not statements of historical fact may be deemed to be forward-looking statements. Words such as "potential," "will," "expect," and similar expressions are intended to identify these forward-looking statements. These forward-looking statements are based upon Rigel's current expectations and involve risks and uncertainties. There are a number of important factors that could cause Rigel's results to differ materially from those indicated by these forward-looking statements, including, without limitation, the timing and success of clinical trials and the potential problems that may arise in the development and approval process, market competition, risks associated with Rigel's corporate partnerships, as well as other risks detailed from time to time in Rigel's reports with the Securities and Exchange Commission, including its Quarterly Report on Form 10-Q for the quarter ended September 30, 2012. Rigel does not undertake any obligation to update forward-looking statements and expressly disclaims any obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein.*

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