May 22, 2013

Rigel's R348 to Initiate Phase 2 Clinical Trial in Dry Eye

Two Partnered Oncology Programs to Initiate Clinical Trials

SOUTH SAN FRANCISCO, Calif., May 22, 2013 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced the clinical advancement of three programs in development. The first program is a wholly-owned topical ophthalmic formulation of a JAK/SYK inhibitor, R348, being developed by Rigel as a treatment for dry eye disease. The other two programs are aimed at oncology targets and are being conducted by corporate partners, including an AXL kinase inhibitor under development by BerGenBio AS (BerGenBio) and a ligase inhibitor under development by Daiichi Sankyo Company, Limited (Daiichi Sankyo).

"Our ophthalmic formulation of R348 was shown to be well tolerated in our recent Phase 1 study and we look forward to evaluating the drug candidate in patients with chronic dry eye disorders," said Raul Rodriguez, president and chief operating officer of Rigel. "In addition, for our two partnered oncology programs, we are pleased that our partners BerGenBio and Daiichi Sankyo have each taken steps to initiate first-in-human clinical studies with small molecules that represent novel approaches to treating a variety of solid and blood borne cancers," he added.

R348, Topical Ophthalmic JAK/SYK Inhibitor

Chronic dry eye is an inflammatory disease that often affects the lacrimal (tear producing) glands of the eye. Over five million Americans suffer with this disorder, and many patients with chronic dry eye may also suffer with autoimmune conditions, including systemic lupus erythematosus and rheumatoid arthritis. Chronic dry eye is an irritating and painful disease that may be destructive to the cornea if not well controlled.

Rigel has developed a topical ophthalmic (eye drop) formulation of R348, a JAK/SYK inhibitor, aimed at reducing the underlying inflammation responsible for causing the symptoms of this condition. A recently completed Phase 1 study of R348 in patients with dry eye disease showed that the drug candidate is well tolerated and Rigel expects to begin a Phase 2 study, titled DROPS (Dry eye Rigel Ophthalmic Phase 2 Study), shortly. This multi-center, randomized, double-masked study, will evaluate two doses of R348 versus placebo administered twice a day over a three-month period in approximately 210 patients with dry eye disease. The efficacy endpoints will include change from baseline in corneal staining,

tear production and dry eye symptom scores. Results of this Phase 2 study are expected in the first half of 2014.

R428/BGB324 AXL Kinase Inhibitor- BerGenBio

In June 2011, Rigel and Norway's BerGenBio entered into an agreement for Rigel's preclinical candidate, R428, which is an orally bioavailable and selective AXL kinase inhibitor. Now called BGB324, this AXL kinase inhibitor is expected to be useful in the treatment of hematological and certain solid tumor cancers, including leukemia, pancreatic, and breast cancers. AXL kinase expression by cancer cells is essential to metastatic dissemination and is linked with increasing resistance to current cancer therapeutics. By inhibiting AXL kinase expression, BGB324 has been shown, in preclinical studies, to be effective as a single agent therapeutic in preventing and reversing acquired resistance to standard of care cytotoxics and targeted therapies, and may also slow or prevent tumor metastasis. BerGenBio has filed an IMPD (IND-equivalent) and intends to conduct the first-in-human studies of BGB324 in Europe.

Ubiquitin Ligase Inhibitor – Daiichi Sankyo

Rigel and Daiichi Sankyo have a collaboration aimed at identifying small molecule drug candidates against a ligase target that controls cancer cell proliferation through protein degradation. Daiichi Sankyo has brought a small molecule from this collaboration, through preclinical development, recently filed an IND, and intends to begin a Phase 1 clinical study of the orally bioavailable study drug, which is aimed at targeting ubiquitin protein ligase. Since dysfunction in the ubiquitin/proteasome system has been shown to be associated with cancer, selective small molecules targeting ubiquitin ligases may be a novel approach to treatment.

About Rigel (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 RA with its partner AstraZeneca; R343, an inhaled SYK inhibitor for asthma and R333, a topical JAK/SYK inhibitor for discoid lupus – both of which are undergoing Phase 2 clinical trials; and, R348, a topical JAK/SYK inhibitor, expected to enter into a Phase 2 clinical trial for the treatment of chronic dry eye.

This press release contains "forward-looking" statements, including, without limitation, statements related to Rigel's future product candidate pipeline and strategy, its programs in dry eye and oncology, and the further development of, and the therapeutic and commercial potential of fostamatinib, partnered with AstraZeneca. 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 "expect," "will," "may," "aim," "believe," "plan," "potential," 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 uncertain timing and success of preclinical studies, clinical trials, regulatory filings and the approval process, and the risks associated with corporate collaborations, 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 March 31, 2013. 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.

Contact: Raul Rodriguez
Phone: 650.624.1302
Email: invrel@rigel.com

Media Contact: Susan C. Rogers, Alchemy Consulting, Inc.
Phone: 650.430.3777
Email: susan@alchemyemail.com

SOURCE Rigel Pharmaceuticals, Inc.