March 6, 2014

Rigel Announces Publication of R118 AMPK Activator Research

Initiates Phase 1 Trial for Intermittent Claudication

SOUTH SAN FRANCISCO, Calif., March 6, 2014 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that the *American Journal of Physiology* has published recent research results with its orally-bioavailable AMPK activator, R118. The publication, entitled "Exercise performance and peripheral vascular insufficiency improve with AMPK activation…", provides strong preclinical evidence that R118 may be useful in treating peripheral artery disease (PAD), a chronic and progressive vascular disease effecting nearly 5% of the population over the age of 50, and related metabolic disorders. This publication extends work from a previous Rigel publication on the mechanism and impact of AMPK activation. The Company also announced that it has commenced Phase 1 clinical studies with R118 as a potential treatment for Intermittent Claudication (IC), a painful and debilitating outcome of PAD.

"There is considerable excitement about the potential for AMPK activation to restore both mobility and endurance to people suffering with the effects of poor blood circulation as a result of chronic vascular or metabolic diseases. The market potential for a new treatment in these indications is large," said James M. Gower, chairman and chief executive officer of Rigel. "Rigel has been at the forefront of AMPK research and we are proud to be taking the R118 program forward into clinical studies."

Rigel R118 and AMPK Activation Mechanism Publications

The latest AMPK publication in the *American Journal of Physiology, Heart and Circulatory Physiology*, http://ajpheart.physiology.org/content/early/2014/02/19/ajpheart.00839.2013, features Rigel's extensive research profiling R118 in a novel murine model designed to mimic the physiological conditions of people with chronic PAD. Various measurements were taken to record both the cellular-level functionality of R118 in the muscles' vasculature and the exercise performance of the group receiving R118 treatments compared to the untreated, or those treated with a positive control. In the study, the group treated with R118 showed functional performance benefits, including the ability to run faster and longer, as well as notable improvements in cellular energy efficiencies and small blood vessel perfusion.

In an earlier publication, "AMPK Activation through Mitochondrial Regulation Results in Increased Substrate Oxidation and Improved Metabolic Parameters in Models of Diabetes",

published on December 5, 2013 in *PLoS One* (8[12]:e81870), http://dx.plos.org/10.1371/journal.pone.0081870, Rigel researchers presented information on the mechanisms by which molecules like R118 activate AMPK and the resulting impact on mitochondrial function, nutrient metabolism, and glucose and lipid homeostasis.

AMPK Activation

AMPK (adenosine monophosphate-activated kinase) is a master regulatory protein that has been shown to play a role in how effectively cells use energy and respond to biochemical changes brought on by exercise and cellular stress. The skeletal muscles of people with PAD display a number of biochemical characteristics that are linked to poor exercise performance or insufficient small blood vessel perfusion. This results in IC symptoms, which can limit their lifestyles and contribute to disease progression. Research on AMPK activation indicates that this treatment approach may have significant clinical benefit for people with PAD, as well as for patients with metabolic disorders, such as diabetes.

R118 Clinical Development

Rigel has initiated a Phase 1 clinical study of R118 in normal healthy volunteers with a goal of subsequently entering into a Phase 2 proof-of-concept trial in intermittent claudication.

About Rigel (www.rigel.com)

Rigel Pharmaceuticals, Inc. 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 currently has five product candidates in development: fostamatinib, an oral SYK inhibitor expected to enter Phase 3 clinical trials for ITP and a Phase 2 clinical trial for IgA nephropathy in the first half of 2014; R348, a topical JAK/SYK inhibitor currently in Phase 2 clinical trials for dry eye; R118, an AMPK activator in Phase 1; and two oncology product candidates in Phase 1 development with partners BerGenBio and Daiichi Sankyo.

This press release contains "forward-looking" statements, including, without limitation, statements related to development plans, and the timing of planned clinical trials and results. 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 "planned," "will," "may," "expect," and similar expressions are intended to identify these forward-looking statements. These forward-looking statements are based on Rigel's current expectations and inherently involve significant risks and uncertainties. Actual results and the timing of events could differ materially from those anticipated in such forward looking statements as a result of these risks and uncertainties, which include, without limitation, the availability of resources to develop Rigel's product candidates, Rigel's need for additional capital in the future to sufficiently fund Rigel's operations and research, the uncertain timing of completion of and the success of clinical trials, market competition, risks associated with and Rigel's dependence on Rigel's corporate partnerships, as well as other risks detailed from time to time in Rigel's reports filed with the Securities and Exchange Commission, including its Annual Report on Form 10-K for the year ended December 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, Rivily, Inc.
Phone: 650.430.3777
Email: susan@rivily.com

SOURCE Rigel Pharmaceuticals, Inc.