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Rigel Initiates Phase 1 Clinical Trial of R835, an IRAK1/4 Inhibitor for Autoimmune and Inflammatory Diseases

SOUTH SAN FRANCISCO, Calif., June 26, 2018 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that it has initiated a Phase 1 study to assess safety, tolerability, pharmacokinetics and pharmacodynamics of R835 in healthy subjects. Rigel selected R835, a proprietary molecule from its interleukin receptor associated kinase (IRAK) preclinical development program, for human clinical trials. Preclinical studies show that R835 inhibits both the IRAK1 and IRAK4 signaling pathways, which play a key role in inflammation and immune responses to tissue damage. Dual inhibition of IRAK1 and IRAK4 allows for more complete suppression of pro-inflammatory cytokine release.

"Our approach to drug discovery and development at Rigel is to identify molecules that modulate significant immune system processes, potentially allowing for wide-ranging application across different immune conditions or diseases impacted by the immune system," said Raul Rodriguez, president and CEO of Rigel. "We are excited to explore the broad potential of R835 in autoimmune and inflammatory diseases, such as psoriasis, lupus and others."

The Phase 1 study was initiated in the second quarter of 2018. It is a randomized, placebo-controlled, double-blind trial in up to 91 healthy subjects, ages 18 to 55. The study design aims to assess the tolerability and safety of R835 in both single ascending and multiple ascending doses.

About R835
The investigational candidate, R835, is an orally available, potent and selective inhibitor of IRAK1 and IRAK4 that blocks inflammatory cytokine production in response to toll-like receptor (TLR) and the interleukin-1 family receptor (IL-1R) signaling. TLRs and IL-1Rs play a critical role in the innate immune response and dysregulation of these pathways can lead to a variety of inflammatory conditions including psoriasis, rheumatoid arthritis, inflammatory bowel disease and gout (among others). R835 prevents cytokine release in response to TLR and IL-1R activation *in vitro*. R835 is active in multiple rodent models of inflammatory disease including psoriasis, arthritis, lupus, multiple sclerosis and gout.

About Rigel (www.rigel.com)
Rigel Pharmaceuticals, Inc., is a biotechnology company dedicated to discovering,

developing and providing novel small molecule drugs that significantly improve the lives of patients with immune and hematologic disorders, cancer and rare diseases. Rigel's pioneering research focuses on signaling pathways that are critical to disease mechanisms. The company's first FDA approved product is TAVALISSE™ (fostamatinib disodium hexahydrate), an oral spleen tyrosine kinase (SYK) inhibitor, for the treatment of adult patients with chronic immune thrombocytopenia who have had an insufficient response to a previous treatment. Rigel's current clinical programs include Phase 2 studies of fostamatinib in autoimmune hemolytic anemia and IgA nephropathy. In addition, Rigel has product candidates in development with partners BerGenBio AS, Daiichi Sankyo, and Aclaris Therapeutics.

Please see www.TAVALISSE.com for full Prescribing Information.

Forward Looking Statements

This release contains forward-looking statements relating to, among other things, Rigel's plans to assess the safety, tolerability and pharmacodynamics of R835 in healthy subjects and to explore the potential of R835 in autoimmune and inflammatory diseases. 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 "plans", "expects", 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, risks and uncertainties associated with the commercialization of TAVALISSE; risks that the FDA or other regulatory authorities may make adverse decisions regarding TAVALISSE; risks that TAVALISSE clinical trials may not be predictive of real-world results or of results in subsequent clinical trials; risks that TAVALISSE may have unintended side effects, adverse reactions or incidents of misuses; the availability of resources to develop Rigel's product candidates; market competition; as well as other risks detailed from time to time in Rigel's reports filed with the Securities and Exchange Commission, including its quarterly report on Form 10-Q for the period ended March 31, 2018. 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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