Rigel to Present Four Posters Highlighting IRAK1/4 Inhibitor at the EULAR 2020 E-Congress

SOUTH SAN FRANCISCO, Calif., June 3, 2020 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that data related to R835, the company’s investigational IRAK1/4 inhibitor, will be presented in two oral and two poster presentations at the European League Against Rheumatism (EULAR) 2020 E-Congress taking place June 3-6, 2020. A fifth abstract highlighting R835 has been accepted for publication. The presentations will be made available on the event’s website at https://congress.eular.org/ on Wednesday, June 3 at 1:00 AM CEST.

The presentations will highlight the biological and pharmacological characterization of R835, a potent and selective inhibitor of both interleukin receptor associated kinase (IRAK)1 and IRAK4, and the results of the completed Phase 1 studies. In multiple pre-clinical rodent models of acute and chronic inflammation, R835 administration resulted in reduced inflammation, and in Phase 1 human studies it showed encouraging pharmacokinetic properties. In an intravenously administered lipopolysaccharide (LPS) challenge study, proof-of-mechanism for R835 was established by demonstrating the suppression of inflammatory cytokine (e.g. TNFa and IL-6) production in healthy volunteers. The results, including the LPS challenge study results, support the continued clinical development of R835 as a novel agent for the treatment of inflammatory and autoimmune diseases.

**Oral Presentations**

**Abstract OP0133**
Preclinical efficacy of R835, a novel IRAK1/4 dual inhibitor, in rodent models of joint inflammation  
Presenting Author: Vanessa Taylor, PhD  
Session: Immunity in rheumatic disease  
Date: Thursday, June 4  
Time: 10:45 AM CEST

**Abstract OP0046**
Targeting IRAK1 and 4 signaling with R835, a novel oral small molecule inhibitor: a potential new treatment for systemic lupus erythematosus  
Presenting Author: Chrystelle Lamagna, PhD  
Session: Pathogenic insights transforming the treatment of Sjögren’s and SLE 2020 and
Poster Presentations

Abstract THU0219
First-in-human Study of Safety, Pharmacokinetics and Pharmacodynamics of IRAK1/4 Inhibitor R835 in Healthy Subjects
Presenting Author: Lucy Yan, MD, PhD
Session: Rheumatoid arthritis - non biologic treatment and small molecules
Date: Thursday, June 4
Time: 12:01 AM to 11:59 PM CEST

Abstract FR0016
R835, a novel IRAK1/4 dual inhibitor in clinical development, blocks Toll-Like receptor 4 (TLR4) signaling in human and mouse
Session: Innate immunity in rheumatic diseases
Presenting Author: Vanessa Taylor, PhD
Date: Friday, June 5
Time: 12:01 AM to 11:59 PM CEST

Abstract Publication
AB0058
Cell-type specific regulation of IL-1R signaling by R835, a dual IRAK1/4 inhibitor
Session: Innate immunity in rheumatic diseases

About R835
The investigational candidate, R835, is an orally available, potent and selective inhibitor of IRAK1 and IRAK4 that has been shown preclinically to block inflammatory cytokine production in response to toll-like receptor (TLR) and the interleukin-1 receptor (IL-1R) family signaling. TLRs and IL-1Rs play a critical role in the innate immune response. Dysregulation of these pathways can lead to a variety of inflammatory conditions. 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) tablets, the only 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. The product has been approved by the European Commission for the treatment of chronic immune thrombocytopenia in adult patients who are refractory to other treatments and will be marketed in Europe under the name TAVLESSE® (fostamatinib).

Rigel’s clinical programs include a Phase 3 study of fostamatinib in warm autoimmune
hemolytic anemia (AIHA); a completed Phase 1 study of R835\(^1\), a proprietary molecule from its interleukin receptor associated kinase (IRAK) inhibitor program; and an ongoing Phase 1 study of R552\(^1\), a proprietary molecule from its receptor-interacting protein kinase (RIP) inhibitor program. In addition, Rigel has product candidates in clinical development with partners Aclaris Therapeutics, AstraZeneca, BerGenBio ASA, and Daiichi Sankyo.

Please see [www.TAVALISSE.com](http://www.TAVALISSE.com) for the full Prescribing Information.

\(^1\) The product for this use or indication is investigational and has not been proven safe or effective by any regulatory authority.

**Forward Looking Statements**

This release contains forward-looking statements relating to, among other things, Rigel's belief that the results of the LPS challenge study support the progression of clinical development of R835 as a novel agent for the treatment of inflammatory and autoimmune 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 "potential," "may," "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 and marketing of TAVALISSE; risks that the FDA, EMA or other regulatory authorities may make adverse decisions regarding fostamatinib; 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 Annual Report on Form 10-K for the year ended December 31, 2019 and Quarterly Report on Form 10-Q for the quarter ended March 31, 2020. In addition, the COVID-19 pandemic may result in further delays in Rigel's studies, trials and sales, or impact Rigel's ability to obtain supply of TAVALISSE. 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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