October 23, 2019

Rigel Pharmaceuticals Provides Business Update Prior to Investor & Analyst Call

TAVALISSE® net product sales increased 15% quarter over quarter to $11.7 million

Positive results from IRAK1/4 Proof-of-Mechanism study in humans

Announced new RIP1 inhibitor program, lead molecule enters Phase 1 clinical trial

Appoints Wolfgang Dummer, MD, PhD as Chief Medical Officer

Investor/analyst conference call and webcast today at 10:00am Eastern Time

SOUTH SAN FRANCISCO, Calif., Oct. 23, 2019 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today provided a business update that will be discussed in more detail on the company's investor and analyst call to be held today at 10am Eastern Time / 7am Pacific Time.

"This is an exciting time for Rigel with significant advancements in all segments of our business," said Raul Rodriguez, Rigel's president and CEO. "We continue to grow sales of TAVALISSE in the U.S. and are making substantial strides in expanding our pipeline. Our clinical development efforts will be led by our newly appointed chief medical officer, Dr. Wolfgang Dummer. We are excited to leverage his experience and depth of knowledge as we continue to pursue our clinical development goals."

Business Update Highlights

TAVALISSE Revenues Increase
Preliminary estimates indicate that TAVALISSE (fostamatinib disodium hexahydrate) net product sales continued to achieve double digit quarter over quarter growth, increasing 15% to $11.7 million from $10.2 million in the second quarter of 2019. This information is preliminary, has not been audited and is subject to change upon completion of Rigel's closing procedures.

IRAK1/4 Program Shows Proof-of-Mechanism in Humans
Rigel completed a Phase 1 clinical trial of R835, an interleukin-1 receptor-associated kinase 1/4 (IRAK 1/4) inhibitor. In addition to positive tolerability and pharmacokinetic data, R835 showed consistent inhibition of cytokine production in an LPS (lipopolysaccharide) challenge which was designed to gauge the molecule's impact on inflammatory stimulation.

New RIP1 Inhibitor Program
For the first time today, Rigel announced its new receptor-interacting protein kinase (RIP1) inhibitor program. The lead molecule, R552, has initiated a Phase 1 clinical trial. RIP1 is believed to be a key driver of necroptosis which is implicated in a broad range of key inflammatory cellular processes including cell death and cytokine production.

Appointment of Wolfgang Dummer, MD, PhD as CMO
The Company is pleased to announce the appointment of Wolfgang Dummer, MD, PhD to the role of Chief Medical Officer. Dr. Dummer has more than 20 years of clinical and drug development experience at world class institutions, as well as an extensive academic history. Most recently, he served as Chief Medical Officer at Aridis Pharmaceuticals, Inc. where he was responsible for overseeing all aspects of drug development in the field of antimicrobial immunotherapy. Prior to that, he served as Vice President of Clinical Development at BioMarin Pharmaceutical Inc., where he led the development of a deep rare disease pipeline, including the company's leading marketed product, Vimizim (elosulfase alpha). Prior to Biomarin, Dr. Dummer served for 11 years in capacities of increasing importance in Clinical Research and Development at Genentech, Inc. (now part of Roche), overseeing numerous programs, including Rituximab. Dr. Dummer is a board-certified clinical dermatologist and allergist/immunologist. Over the course of his career, he has published more than 50 peer reviewed journal articles and has more than 40 abstracts, presentations, and book contributions.

Business Update Conference Call
As previously announced, Rigel will host a conference call today to provide a business update. Participants can access the live conference call by dialing (877) 407-3088 (domestic) or (201) 389-0927 (international). The conference call and accompanying slides will also be webcast live and can be accessed from Rigel's website at www.rigel.com. The webcast will be archived and available for replay for 90 days after the call via the Rigel website.

About ITP
In patients with ITP (immune thrombocytopenia), the immune system attacks and destroys the body's own blood platelets, which play an active role in blood clotting and healing. Common symptoms of ITP are excessive bruising and bleeding. People suffering with chronic ITP may live with an increased risk of severe bleeding events that can result in serious medical complications or even death. Current therapies for ITP include steroids, blood platelet production boosters (TPO-RAs) and splenectomy. However, not all patients respond to existing therapies. As a result, there remains a significant medical need for additional treatment options for patients with ITP.

About R835[1]
R835 is an oral investigational candidate that is a potent and selective inhibitor of IRAK1 and IRAK4 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 and dysregulation of these pathways can lead to a variety of inflammatory conditions. Dysregulation of the TLR and IL-1R pathways may be associated with a variety of inflammatory conditions including psoriasis, rheumatoid arthritis, lupus and gout (among others).

About R552[1]

R552 is an investigational candidate that is a receptor-interacting protein kinase (RIP1) inhibitor. RIP1 is believed to play a critical role in necroptosis, a type of regulated cell death. In necroptosis, cells rupture leading to the dispersion of cell contents, which signals an immune response and enhances inflammation. It is implicated in a broad range of key inflammatory cellular processes including cell death and cytokine production. In preclinical studies, R552 showed prevention of joint and skin inflammation in a RIP1-mediated murine model of inflammation and tissue damage.

About TAVALISSE

Indication

TAVALISSE® (fostamatinib disodium hexahydrate) tablets is indicated for the treatment of thrombocytopenia in adult patients with chronic immune thrombocytopenia (ITP) who have had an insufficient response to a previous treatment.

Important Safety Information

Warnings and Precautions

- Hypertension can occur with TAVALISSE treatment. Patients with pre-existing hypertension may be more susceptible to the hypertensive effects. Monitor blood pressure every 2 weeks until stable, then monthly, and adjust or initiate antihypertensive therapy for blood pressure control maintenance during therapy. If increased blood pressure persists, TAVALISSE interruption, reduction, or discontinuation may be required.
- Elevated liver function tests (LFTs), mainly ALT and AST, can occur with TAVALISSE. Monitor LFTs monthly during treatment. If ALT or AST increase to >3 x upper limit of normal, manage hepatotoxicity using TAVALISSE interruption, reduction, or discontinuation.
- Diarrhea occurred in 31% of patients and severe diarrhea occurred in 1% of patients treated with TAVALISSE. Monitor patients for the development of diarrhea and manage using supportive care measures early after the onset of symptoms. If diarrhea becomes severe (≥Grade 3), interrupt, reduce dose or discontinue TAVALISSE.
- Neutropenia occurred in 6% of patients treated with TAVALISSE; febrile neutropenia occurred in 1% of patients. Monitor the ANC monthly and for infection during treatment. Manage toxicity with TAVALISSE interruption, reduction, or discontinuation.
- TAVALISSE can cause fetal harm when administered to pregnant women. Advise pregnant women the potential risk to a fetus. Advise females of reproductive potential to use effective contraception during treatment and for at least 1 month after the last dose. Verify pregnancy status prior to initiating TAVALISSE. It is unknown if TAVALISSE or its metabolite is present in human milk. Because of the potential for serious adverse reactions in a breastfed child, advise a lactating woman not to breastfeed during TAVALISSE treatment and for at least 1 month after the last dose.

Drug Interactions

- Concomitant use of TAVALISSE with strong CYP3A4 inhibitors increases exposure to the major active metabolite of TAVALISSE (R406), which may increase the risk of adverse reactions. Monitor for toxicities that may require a reduction in TAVALISSE dose.
- It is not recommended to use TAVALISSE with strong CYP3A4 inducers, as concomitant use reduces exposure to R406.
- Concomitant use of TAVALISSE may increase concentrations of some CYP3A4 substrate drugs and may require a dose reduction of the CYP3A4 substrate drug.
- Concomitant use of TAVALISSE may increase concentrations of BCRP substrate drugs (eg, rosuvastatin) and P-Glycoprotein (P-gp) substrate drugs (eg, digoxin), which may require a dose reduction of the BCRP and P-gp substrate drug.

Adverse Reactions

- Serious adverse drug reactions in the ITP double-blind studies were febrile neutropenia, diarrhea, pneumonia, and hypertensive crisis, which occurred in 1% of TAVALISSE patients. In addition, severe adverse reactions occurred including dyspnea and hypertension (both 2%), neutropenia, arthralgia, chest pain, diarrhea, dizziness, nephrolithiasis, pain in extremity, toothache, syncope, and hypoxia (all 1%).
- Common adverse reactions (≥5% and more common than placebo) from FIT-1 and FIT-2 included: diarrhea, hypertension, nausea, dizziness, ALT and AST increased, respiratory infection, rash, abdominal pain, fatigue, chest pain, and neutropenia.

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

**To report side effects of prescription drugs to the FDA,
visit www.fda.gov/medwatch or call 1-800-FDA-1088 (800-332-1088).**

TAVALISSE is a trademark of Rigel Pharmaceuticals, Inc.

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), 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. Rigel's current clinical programs include a Phase 3 study of fostamatinib in autoimmune hemolytic anemia (AIHA) and an ongoing Phase 1 study of R835, a proprietary molecule from its interleukin receptor associated kinase (IRAK) program. In addition, Rigel has product candidates in development with partners BerGenBio ASA, Daiichi Sankyo, Aclaris Therapeutics, and AstraZeneca.

[1]The product candidate is investigational and has not been established safe or effective by the U.S. Food and Drug Administration (FDA) or any regulatory authority.

Forward Looking Statements

This release contains forward-looking statements relating to, among other things, the continued growth of commercial sales of TAVALISSE in the U.S.; Rigel's third quarter net product sales results; the potential expansion of fostamatinib into other countries; expected pipeline expansion and related commercial growth from product sales; preliminary estimates of TAVALISSE sales for Q3; and the design, timing and results of Rigel's clinical trials. 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", "expects", "anticipates", "estimates", "hopes", "believes" 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; changes or revisions as a result of Rigel's quarterly closing procedures; risks that the FDA, European Medicines Agency (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 Quarterly Report on Form 10-Q for the period ended June 30, 2019. 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.

IR Contact: David Burke
Phone: 650.624.1232
Email: dburke@rigel.com

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