November 2, 2021

Rigel Reports Third Quarter 2021 Financial Results and Provides Business Update

- Completed enrollment of FORWARD Phase 3 trial of fostamatinib in patients with warm autoimmune hemolytic anemia (wAIHA), topline data expected mid-2022

- Rigel's Phase 3 trial in high-risk hospitalized patients with COVID-19 has enrolled ~210 patients

- Net product sales of $16.0 million and total revenues of $21.5 million

- Conference call and webcast today at 4:30 p.m. Eastern Time

SOUTH SAN FRANCISCO, Calif., Nov. 2, 2021 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today reported financial results for the third quarter ended September 30, 2021, including sales of TAVALISSE® (fostamatinib disodium hexahydrate) tablets for the treatment of adults with chronic immune thrombocytopenia (ITP) who have had an insufficient response to a previous treatment.

"Rigel has expanded the commercial organization and our pipeline candidates are advancing in the clinic, setting us up for a transformative year in 2022," said Raul Rodriguez, Rigel's president and CEO. "We recently achieved an important development milestone, completing enrollment of our pivotal FORWARD study in wAIHA, with an anticipated data readout in mid-2022. In addition, our sales force expansion is now complete, allowing us to have a greater impact in driving awareness and uptake for TAVALISSE as a novel, targeted therapy for ITP in the U.S."

Business Update

- Enrollment of Rigel's FORWARD study, a Phase 3 pivotal trial of TAVALISSE in patients with wAIHA, is complete. Rigel expects to report topline data from the 24-week study in mid-2022 and proceed with regulatory filings if the data is positive. If approved, TAVALISSE has the potential to be the first-to-market therapy for patients with wAIHA in 2023.

- Rigel expanded its field sales force by the end of September, growing from 39 to 55 territory business managers to improve efficiency and increase in-person interactions.

- In the third quarter of 2021, 1,710 bottles of TAVALISSE were shipped to patients and clinics, representing an increase of 5% year over year. Net product sales for the third quarter decreased 2% year over year to $16.0 million. During the third quarter, the company's net product sales were negatively impacted by a decrease in bottles remaining in its distribution channels compared to Q2 2021.

- During the quarter, partner Grifols announced its continued commercial rollout of TAVLESSE in Europe with launches in France, Italy and Spain. Grifols' phased rollout across the rest of Europe planned over the following months is expected to include the Czech Republic, Denmark, Finland, Norway, and Sweden.

- In September, results from the NIH/NHLBI-sponsored Phase 2 trial of fostamatinib in hospitalized patients with COVID-19 were published in *Clinical Infectious Diseases*.

- Rigel's Phase 3 clinical trial evaluating fostamatinib in high-risk patients hospitalized with COVID-19 has enrolled ~210 of the targeted 308 patients to date.

Financial Update

For the third quarter of 2021, Rigel reported a net loss of $21.0 million, or $0.12 per basic and diluted share, compared to a net loss of $14.2 million, or $0.08 per basic and diluted share, for the same period of 2020.

In the third quarter of 2021, total revenues were $21.5 million, consisting of $16.0 million in TAVALISSE net product sales, $4.5 million in contract revenues from collaborations, and $1.0 million in government contract revenue. TAVALISSE net product sales of $16.0 million in the third quarter of 2021 decreased by 2% from $16.3 million for the same period in 2020.

Contract revenues of $4.5 million from collaborations for the third quarter of 2021 consisted of $2.4 million in revenue related to the achievement of the remaining performance obligations in Rigel's license agreement with Eli Lilly (Lilly), $1.8 million in revenue related to the achievement of a certain milestone from Daiichi Sankyo (Daiichi), $0.2 million in revenue related to the performance of certain research and development services pursuant to its collaboration agreement with Grifols, and a $0.1 million milestone payment from Medison. Government contract revenue of $1.0 million for the third quarter of 2021 was related to the income recognized pursuant to the agreement Rigel entered in January 2021 with the U.S. Department of Defense (DOD) to support Rigel's ongoing Phase 3 clinical trial of fostamatinib in hospitalized patients with COVID-19.

Rigel reported total costs and expenses of $41.3 million in the third quarter of 2021, compared to $32.2 million for the same period in 2020. The increase in costs and expenses was primarily due to the research and development costs related to Rigel's ongoing Phase 3 clinical trial of fostamatinib for the treatment of hospitalized patients with COVID-19, as well as increased commercial activities, including the recent sales force expansion.

For the nine months ended September 30, 2021, Rigel reported net income of $4.7 million, or $0.03 per basic and diluted share, compared to a net loss of $10.5 million, or $0.06 per basic and diluted share, for the same period of 2020.

Rigel reported total revenues of $128.8 million for the nine months ended September 30, 2021, consisting of $45.4 million in TAVALISSE net product sales, $73.9 million in contract revenues from collaborations, and $9.5 million in government contract revenues. TAVALISSE net product sales of $45.4 million increased by 3% from $43.9 million for the same period of 2020.

Total costs and expenses for the nine months ended September 30, 2021, were $119.9 million, compared to $100.3 million for the same period in 2020. The increase in costs and expenses was primarily due to increases in research and development costs related to Rigel's various ongoing clinical studies, including its Phase 3 clinical trial of fostamatinib for the treatment of hospitalized patients with COVID-19, increased commercial activities that include the recent sales force expansion, personnel-related costs, and stock-based compensation expense.

As of September 30, 2021, Rigel had cash, cash equivalents, and short-term investments of $143.1 million, compared to $57.3 million as of December 31, 2020.

Conference Call and Webcast with Slides Today at 4:30pm Eastern Time
Rigel will hold a live conference call and webcast today at 4:30pm Eastern Time (1:30pm Pacific Time).

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 the Investor Relations section of the company's website at www.rigel.com. The webcast will be archived and available for replay 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 AIHA
Autoimmune hemolytic anemia (AIHA) is a rare, serious blood disorder in which the immune system produces antibodies that destroy the body's own red blood cells. AIHA affects approximately 45,000 adult patients in the U.S. and can be a severe, debilitating disease. To date, there are no disease-targeted therapies approved for AIHA, despite the unmet medical need that exists for these patients. Warm antibody AIHA (wAIHA), the most common form of AIHA, is characterized by the presence of antibodies that react with the red blood cell surface at body temperature.

About COVID-19 & SYK Inhibition
COVID-19 is the infectious disease caused by Severe Acute Respiratory Syndrome Coronavirus-2 (SARS-CoV-2). SARS-CoV-2 primarily infects the upper and lower respiratory tract and can lead to acute respiratory distress syndrome (ARDS). Additionally, some

patients develop other organ dysfunction including myocardial injury, acute kidney injury, shock resulting in endothelial dysfunction and subsequently micro and macrovascular thrombosis.[1] Much of the underlying pathology of SARS-CoV-2 is thought to be secondary to a hyperinflammatory immune response associated with increased risk of thrombosis.[2]

SYK is involved in the intracellular signaling pathways of many different immune cells. Therefore, SYK inhibition may improve outcomes in patients with COVID-19 via inhibition of key Fc gamma receptor (FcγR) and c-type lectin receptor (CLR) mediated drivers of pathology such as pro-inflammatory cytokine release by monocytes and macrophages, production of neutrophil extracellular traps (NETs) by neutrophils, and platelet aggregation.[3,4,5,6] Furthermore, SYK inhibition in neutrophils and platelets may lead to decreased thrombo-inflammation, alleviating organ dysfunction in critically ill patients with COVID-19.

For more information on Rigel's comprehensive clinical program in COVID-19, go to: https://www.rigel.com/pipeline/proprietary-programs/covid-19

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.TAVALISSEUSPI.com for full Prescribing Information.

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

TAVALISSE and TAVLESSE are registered trademarks of Rigel Pharmaceuticals, Inc.

About Rigel

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 hematologic disorders, cancer and rare immune 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 is also commercially available in Europe, the United Kingdom (TAVLESSE) and Canada (TAVALISSE) for the treatment of chronic immune thrombocytopenia in adult patients.

Fostamatinib is currently being studied in a Phase 3 clinical trial (NCT03764618) for the treatment of warm autoimmune hemolytic anemia (wAIHA)[7]; a Phase 3 clinical trial (NCT04629703) for the treatment of hospitalized high-risk patients with COVID-19[7]; an NIH/NHLBI-sponsored Phase 3 clinical trial (ACTIV-4 Host Tissue Trial, NCT04924660) for

the treatment of COVID-19 in hospitalized patients, and a Phase 2 clinical trial (NCT04581954) for the treatment of COVID-19 being conducted by Imperial College London.

Rigel's other clinical programs include its interleukin receptor-associated kinase (IRAK) inhibitor program, and a receptor-interacting serine/threonine-protein kinase (RIP1) inhibitor program in clinical development with partner Eli Lilly and Company. In addition, Rigel has product candidates in development with partners AstraZeneca, BerGenBio ASA, and Daiichi Sankyo.

For further information, visit www.rigel.com or follow us on Twitter or LinkedIn.

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2. Becker RC. *COVID-19 Update: COVID-19 associated coagulopathy*. Journal of Thrombosis and Thrombolysis May 15, 2020. DOI: https://doi.org/10.1007/s11239-020-02134-3
3. Hoepel W et al. *High titers and low fucosylation of early human anti–SARS-CoV-2 IgG promote inflammation by alveolar macrophages*. Science Translational Medicine 02 Jun 2021. DOI: https://www.doi.org/10.1126/scitranslmed.abf8654
4. Sung P-S and Hsieh S-L. *CLEC2 and CLEC5A: Pathogenic Host Factors in Acute Viral Infections*. Frontiers in Immunology December 6, 2019. DOI: https://doi.org/10.3389/fimmu.2019.02867
5. Strich J et al. *Fostamatinib Inhibits Neutrophils Extracellular Traps Induced by COVID-19 Patient Plasma: A Potential Therapeutic*. Journal of Infectious Disease March 15, 2021. DOI: https://doi.org/10.1093/infdis/jiaa789
6. Bye AP et al. *Aberrant glycosylation of anti-SARS-CoV-2 IgG is a pro-thrombotic stimulus for platelets*. BioRxiv March 26, 2021. DOI: https://doi.org/10.1101/2021.03.26.437014
7. *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, the commercial success of TAVALISSE in the U.S. and TAVLESSE in Europe, including the efficacy of its expanded sales force; expectations related to the potential and market opportunity for fostamatinib as therapeutic for, among other things, wAIHA and COVID-19; the commercialization of fostamatinib in international markets; Rigel's ability to further develop its clinical stage and early-stage product candidates and programs; and Rigel's partnering effort. 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 Quarterly Report on Form 10-Q for the quarter ended June 30, 2021 and subsequent filings. 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, except as required by law.

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RIGEL PHARMACEUTICALS, INC.
STATEMENTS OF OPERATIONS
(in thousands, except per share amounts)

	Three Months Ended September 30,		Nine Months Ended September 30,	
	2021	2020	2021	2020
	(unaudited)			
Revenues:				
Product sales, net	$ 16,012	$ 16,289	$ 45,441	$ 43,943
Contract revenues from collaborations	4,531	2,100	73,886	46,228
Government contract	1,000	-	9,500	-
Total revenues	21,543	18,389	128,827	90,171
Costs and expenses:				
Cost of product sales	151	140	596	574
Research and development (see Note A)	18,300	14,600	51,933	44,963
Selling, general and administrative (see Note A)	22,877	17,430	67,376	54,780
Total costs and expenses	41,328	32,170	119,905	100,317
Income from operations	(19,785)	(13,781)	8,922	(10,146)
Interest income	14	36	31	563
Interest expense	(1,317)	(429)	(3,561)	(924)
Income before income taxes	(21,088)	(14,174)	5,392	(10,507)
Provision for (Benefit from) income taxes	(136)	-	665	-
Net income	$ (20,952)	$ (14,174)	$ 4,727	$ (10,507)
Net loss per share, basic and diluted				
Basic	$ (0.12)	$ (0.08)	$ 0.03	$ (0.06)
Diluted	$ (0.12)	$ (0.08)	$ 0.03	$ (0.06)
Weighted average shares used in computing net loss per share, basic and diluted				
Basic	170,886	168,932	170,297	168,658
Diluted	170,886	168,932	176,452	168,658
Note A				
Stock-based compensation expense included in:				
Selling, general and administrative	$ 1,800	$ 1,352	$ 5,625	$ 3,981
Research and development	402	532	1,522	1,684
	$ 2,202	$ 1,884	$ 7,147	$ 5,665

SUMMARY BALANCE SHEET DATA
(in thousands)

	September 30, 2021	December 31, 2020 (1)
	(unaudited)	
Cash, cash equivalents and short-term investments	$ 143,146	$ 57,327
Total assets	186,518	110,378
Stockholders' equity	49,670	34,026

(1) Derived from audited financial statements

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