November 3, 2022

Rigel Reports Third Quarter 2022 Financial Results and Provides Business Update

- *FDA review ongoing for olutasidenib NDA; preparations underway for potential launch*
- *Third quarter TAVALISSE® net product sales of $19.2 million and total revenues of $22.4 million*
- *Conference call and webcast scheduled today at 4:30 p.m. Eastern Time*

SOUTH SAN FRANCISCO, Calif., Nov. 3, 2022 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today reported financial results for the third quarter ended September 30, 2022, 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.

"We are excited to have several poster presentations at ASH that showcase our hematology-oncology portfolio. In particular, updated data from the Phase 2 registrational study of olutasidenib in patients with mIDH1 relapsed or refractory acute myeloid leukemia demonstrate durable remissions, which we believe truly differentiates olutasidenib as a potential market leading therapy," said Raul Rodriguez, Rigel's president and CEO. "In addition, during the third quarter of 2022, we made meaningful progress to position the company for the potential launch of olutasidenib and to drive growth in TAVALISSE ITP sales."

Business Update

- In the third quarter of 2022, TAVALISSE net product sales were $19.2 million, an increase of 20% compared to the same period of 2021.
- In August, Rigel announced an exclusive license agreement with Forma Therapeutics, Inc. (Forma) to develop, manufacture and commercialize olutasidenib, an investigational, oral, small molecule inhibitor of mutant isocitrate dehydrogenase-1 (mIDH1) for the treatment of relapsed/refractory acute myeloid leukemia (R/R AML) and other malignancies. Forma's New Drug Application (NDA) for olutasidenib is under review by the U.S. Food and Drug Administration (FDA) with a Prescription Drug User Fee Act (PDUFA) target action date of February 15, 2023.
- Today, Rigel announced five poster presentations at the 64th ASH Annual Meeting, including updated data from the interim analysis of 147 efficacy evaluable patients with mIDH1 R/R AML who received olutasidenib monotherapy 150 mg twice daily. Results

from the interim analysis of patients with mIDH1 R/R AML demonstrated a 35% CR+CRh* rate with a median duration of 25.9 months. The abstract concluded that the observed activity is clinically meaningful and represents a potential therapeutic advance in the treatment of this patient population.
- This week, Rigel announced top-line results from the FOCUS Phase 3 clinical trial of fostamatinib in high-risk hospitalized COVID-19 patients. While the trial approached but did not meet statistical significance (p=0.0603) in the primary efficacy endpoint of the number of days on oxygen through Day 29, all prespecified secondary endpoints in the study numerically favored fostamatinib over placebo, including mortality, time to sustained recovery, change in ordinal scale assessment, and number of days in the ICU. The Company is evaluating the opportunity and next steps in collaboration with its partner, the U.S. Department of Defense.
- In October, Rigel announced that it does not expect to file a supplemental NDA for fostamatinib for the treatment of patients with warm autoimmune hemolytic anemia (wAIHA) based on guidance from the FDA's review of the Company's re-analysis of data from the FORWARD Phase 3 trial. Rigel will continue to explore its options for the wAIHA program in relation to its complete portfolio of development opportunities.
- In October, Rigel also announced a 16% reduction in its workforce, resulting in the elimination of 30 positions primarily in development and administration.

*CR+CRh: Complete remission (CR) plus a complete remission with partial hematological recovery (CRh)

Financial Update

For the third quarter of 2022, Rigel reported a net loss of $19.0 million, or $0.11 per basic and diluted share, compared to a net loss of $21.0 million, or $0.12 per basic and diluted share, for the same period of 2021.

For the third quarter of 2022, total revenues were $22.4 million, consisting of $19.2 million in TAVALISSE net product sales, $0.7 million in contract revenues from collaborations and $2.5 million in government contract revenue. TAVALISSE net product sales of $19.2 million increased by 20%, compared to $16.0 million in the third quarter of 2021. Contract revenues from collaborations during the third quarter of 2022 consisted primarily of revenue from Grifols related to the delivery of fostamatinib supply, performance of certain research and development services pursuant to the collaboration agreement and royalty revenue. Government contract revenue for the third quarter of 2022 was related to the income recognized pursuant to the agreement 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.

For the third quarter of 2022, total costs and expenses were $40.8 million, compared to $41.3 million for the same period of 2021. The decrease in costs and expenses was primarily due to a decrease in research and development costs related to the Phase 3 clinical trial for wAIHA, the Phase 3 clinical trial in high-risk hospitalized patients with COVID-19 and the IRAK 1/4 inhibitor program. These decreases were partially offset by increased personnel related costs and commercial activities.

For the nine months ended September 30, 2022, Rigel reported a net loss of $60.0 million, or $0.35 per basic and diluted share, compared to a net income of $4.7 million, or $0.03 per basic and diluted share, for the same period of 2021.

For the nine months ended September 30, 2022, total revenues were $69.0 million, consisting of $53.9 million in TAVALISSE net product sales, $12.5 million in contract revenues from collaborations and $2.5 million in government contract revenue. TAVALISSE net product sales of $53.9 million increased by 19% compared to $45.4 million in the same period of 2021. Contract revenues from collaborations for the nine months ended September 30, 2022, consisted of $7.6 million in revenue from Kissei primarily related to a milestone payment and delivery of fostamatinib supply, $2.0 million in revenue related to the license agreement with Knight, $2.4 million in revenue from Grifols related to the delivery of fostamatinib supply, performance of certain research and development services pursuant to the collaboration agreement and royalty revenue, and $0.5 million in revenue related to the license agreement with Eli Lilly. Government contract revenue for the nine months ended September 30, 2022, was related to the income recognized pursuant to the agreement with the DOD as mentioned above.

For the nine months ended September 30, 2022, total costs and expenses were $126.6 million, compared to $119.9 million for the same period of 2021. The increase in costs and expenses was primarily due to increased personnel costs from the sales force expansion, increased commercial-related activities, and increased research and development costs for the IRAK1/4 inhibitor program. These increases were partially offset by decreased research and development costs related to the Phase 3 clinical trial for wAIHA and the ongoing Phase 3 clinical trial in high-risk hospitalized patients with COVID-19.

As of September 30, 2022, Rigel had cash, cash equivalents and short-term investments of $81.6 million, compared to $125.0 million as of December 31, 2021.

Conference Call and Webcast with Slides Today at 4:30pm Eastern Time

Rigel will host a live conference call and webcast today at 4:30 p.m. Eastern Time (1:30 p.m. Pacific Time) to discuss financial results and provide an update on the business.

Participants can access the live conference call by dialing (877) 407-3088 (domestic) or (201) 389-0927 (international). The conference call 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 AML

Acute myeloid leukemia (AML) is a cancer that starts in a person's bone marrow but often quickly moves into the blood. AML develops from immature blood cells, known as myeloid

cells, that are supposed to mature into white blood cells. However, the diseased myeloid cells do not function properly. They instead multiply rapidly, which causes normal blood cell production to fail. AML occurs primarily in adults and accounts for about 1 percent of all adult cancers. The American Cancer Society estimates that in the United States alone, there will be about 20,050 new cases, most in adults, in 2022.[1]

Relapsed AML affects about half of all patients who, following treatment and remission, experience a return of leukemia cells in the bone marrow.[2] Refractory AML, which affects between 10 and 40 percent of newly diagnosed patients, occurs when a patient fails to achieve remission even after intensive treatment.[3]

About AIHA

Autoimmune hemolytic anemia (AIHA) is a rare, serious blood disorder in which the immune system produces antibodies that lead to the destruction of the body's own red blood cells. Warm antibody AIHA (wAIHA), which is the most common form of AIHA, is characterized by the presence of antibodies that react with the red blood cell surface at body temperature. wAIHA affects approximately 36,000 adult patients in the U.S.[4] and can be a severe, debilitating disease. To date, there are no disease-targeted therapies approved for wAIHA, despite the unmet medical need that exists for these patients.

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.[5] 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.[6]

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.[7,8,9,10] Furthermore, SYK inhibition in neutrophils and platelets may lead to decreased thrombo-inflammation, alleviating organ dysfunction in critically ill patients with 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. (Nasdaq: RIGL) 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. Founded in 1996, Rigel is based in South San Francisco, California. For more information on Rigel, the Company's marketed product and pipeline of potential products, visit www.rigel.com.

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Forward-Looking Statements

This release contains forward-looking statements relating to, among other things, our expectations related to the potential and market opportunity of olutasidenib; our wAIHA program; and the opportunity and next steps in collaboration with Rigel's partner, the U.S. Department of Defense. 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, those risks and uncertainties associated with the commercialization and marketing of TAVALISSE; risks that the FDA, European Medicines Agency or other regulatory authorities may make adverse decisions regarding fostamatinib or olutasidenib; risks that our clinical trials may not be predictive of real-world results or of results in subsequent clinical trials; the availability of resources to develop, manufacture and commercialize our product candidates; market competition; and those 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, 2022 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,	
	2022	2021	2022	2021
	(unaudited)			
Revenues:				
Product sales, net	$ 19,188	$ 16,012	$ 53,935	$ 45,441
Contract revenues from collaborations	722	4,531	12,529	73,886
Government contract	2,500	1,000	2,500	9,500
Total revenues	22,410	21,543	68,964	128,827
Costs and expenses:				
Cost of product sales	250	151	1,407	596
Research and development (see Note A)	14,666	18,300	44,907	51,933
Selling, general and administrative (see Note A)	25,897	22,877	80,279	67,376
Total costs and expenses	40,813	41,328	126,593	119,905
Income (loss) from operations	(18,403)	(19,785)	(57,629)	8,922
Interest income	192	14	255	31
Interest expense	(826)	(1,317)	(2,600)	(3,561)
Income (loss) before income taxes	(19,037)	(21,088)	(59,974)	5,392
Provision for (benefit from) income taxes	-	(136)	-	665
Net income (loss)	$ (19,037)	$ (20,952)	$ (59,974)	$ 4,727
Net income (loss) per share, basic and diluted				
Basic	$ (0.11)	$ (0.12)	$ (0.35)	$ 0.03
Diluted	$ (0.11)	$ (0.12)	$ (0.35)	$ 0.03
Weighted average shares used in computing net income (loss) per share, basic and diluted				
Basic	172,836	170,886	172,256	170,297
Diluted	172,836	170,886	172,256	176,452

Note A
Stock-based compensation expense included in:

Selling, general and administrative	$ 2,119	$ 1,800	$ 6,791	$ 5,625
Research and development	588	402	1,514	1,522
	$ 2,707	$ 2,202	$ 8,305	$ 7,147

SUMMARY BALANCE SHEET DATA
(in thousands)

	September 30, 2022	December 31, 2021 (1)
	(unaudited)	
Cash, cash equivalents and short-term investments	$ 81,642	$ 124,967
Total assets	115,609	167,328
Stockholders' equity (deficit)	(19,834)	30,374

(1) Derived from audited financial statements

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