August 2, 2022

Rigel Reports Second Quarter 2022 Financial Results and Provides Business Update

- *Second quarter TAVALISSE® net product sales of $18.6 million and total revenues of $29.8 million*
- *Expanded Rigel's hematology-oncology portfolio by entering into an exclusive license agreement with Forma Therapeutics, Inc. for olutasidenib with an expected launch in 2023*
- *Enrollment completed in Rigel's pivotal Phase 3 clinical trial in high-risk patients hospitalized with COVID-19 with top-line data expected year-end*
- *Management to host a conference call and webcast today at 4:30 p.m. Eastern Time and will be joined by Key Opinion Leader and olutasidenib Phase 2 clinical trial investigator, Jorge E. Cortes, M.D.*

SOUTH SAN FRANCISCO, Calif., Aug. 2, 2022 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today reported financial results for the second quarter ended June 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.

"The second quarter of 2022 was a significant one for Rigel, marked by the highest quarterly net product sales for TAVALISSE in ITP since launch. We are also excited about the strategic expansion of our hematology-oncology portfolio to include olutasidenib, and the synergy it provides. We believe the addition of olutasidenib will broaden the reach of the Rigel field force by providing a potential new therapy for mIDH1 relapsed or refractory acute myeloid leukemia and other malignancies," said Raul Rodriguez, Rigel's president and CEO. "I am pleased with our progress, and believe Rigel is well-positioned to continue driving momentum for TAVALISSE in ITP and prepare for the potential launch of olutasidenib in 2023."

Business Update

- In the second quarter of 2022, TAVALISSE net product sales were $18.6 million, representing the highest net product sales since launch and an increase of 9% compared to the second quarter of 2021.

- Today, Rigel announced an exclusive license agreement with Forma Therapeutics, Inc. (Forma) to develop, manufacture and commercialize olutasidenib, an 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. The U.S. Food and Drug Administration (FDA) has accepted Forma's New Drug Application (NDA) for olutasidenib. The Prescription Drug User Fee Act (PDUFA) target action date is February 15, 2023. Olutasidenib is highly synergistic with Rigel's existing hematology-oncology focused commercial infrastructure and if approved, would be Rigel's second commercial product in this space.
- On June 8, 2022, Rigel announced topline efficacy and safety data from the FORWARD Phase 3 clinical trial of fostamatinib in patients with warm autoimmune hemolytic anemia (wAIHA). The trial did not demonstrate statistical significance in the primary efficacy endpoint of durable hemoglobin response in the overall study population. The safety profile was consistent with prior clinical experience, and no new safety issues were identified. Rigel is conducting an in-depth analysis of this data to better understand differences in patient characteristics and outcomes and expects to discuss these findings with the FDA to determine the path forward in wAIHA.
- In July, Rigel completed enrollment, with 280 patients, in its pivotal Phase 3 clinical trial evaluating fostamatinib in high-risk patients hospitalized with COVID-19. The trial had originally targeted a total of 308 patients; however, Rigel determined the trial would be sufficiently powered with 280 patients to potentially provide a clinically meaningful result and determine the efficacy and safety of fostamatinib in COVID-19. Rigel expects to report top-line results in Q4 2022 and if the data is positive, file an Emergency Use Authorization with the FDA.
- In May, Rigel entered into a commercial license agreement with Knight Therapeutics International SA (Knight) for the commercialization of TAVALISSE in all indications in Latin America. Rigel received a $2.0 million upfront payment in the second quarter of 2022, with potential for up to an additional $20.0 million in regulatory and sales-based commercial milestone payments, and will receive twenty- to mid-thirty percent, tiered, escalated net sales-based royalty payments for products sold in the Knight territory.
- In April, Rigel's partner Kissei Pharmaceutical Co., Ltd. (Kissei) announced that an NDA was submitted to Japan's Pharmaceuticals and Medical Devices Agency for fostamatinib in chronic ITP. During the second quarter, Rigel received a $5.0 million regulatory milestone in connection with the filing of the NDA.

Financial Update

For the second quarter of 2022, Rigel reported a net loss of $13.5 million, or $0.08 per basic and diluted share, compared to a net loss of $13.8 million, or $0.08 per basic and diluted share for the same period of 2021.

For the second quarter of 2022, total revenues were $29.8 million, consisting of $18.6 million in TAVALISSE net product sales and $11.3 million in contract revenues from collaborations. TAVALISSE net product sales of $18.6 million increased by 9%, compared to $17.1 million in the second quarter of 2021. Contract revenues from collaborations during the second quarter of 2022 consisted of $7.5 million in revenue from Kissei related to a milestone payment and delivery of fostamatinib supply, $2.0 million in revenue related to the license agreement with Knight, $1.4 million in revenue from Grifols related to the delivery of fostamatinib supply and performance of certain research and development services pursuant to the collaboration agreement, and $0.3 million in revenue related to the license agreement

with Eli Lilly.

For the second quarter of 2022, total costs and expenses were $42.8 million, compared to $39.3 million for the same period of 2021. The increase in costs and expenses was primarily due to increased commercial activities related to the sales force expansion, and increased research and development costs for the IRAK1/4 inhibitor program, 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.

For the six months ended June 30, 2022, Rigel reported a net loss of $40.9 million, or $0.24 per basic and diluted share, compared to a net income of $25.7 million, or $0.15 per basic and diluted share, for the same period of 2021.

For the six months ended June 30, 2022, total revenues were $46.6 million, consisting of $34.7 million in TAVALISSE net product sales and $11.8 million in contract revenues from collaborations. TAVALISSE net product sales of $34.7 million increased by 18% compared to $29.4 million in the same period of 2021. Contract revenues from collaborations for the six months ended June 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, $1.7 million in revenue from Grifols related to the delivery of fostamatinib supply and performance of certain research and development services pursuant to the collaboration agreement, and $0.5 million in revenue related to the license agreement with Eli Lilly.

For the six months ended June 30, 2022, total costs and expenses were $85.8 million, compared to $78.6 million for the same period of 2021. The increase in costs and expenses was primarily due to increased commercial related activities related to the sales force expansion, and increased research and development costs for the IRAK1/4 inhibitor program, 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 June 30, 2022, Rigel had cash, cash equivalents and short-term investments of $89.2 million, compared to $125.0 million as of December 31, 2021. In July 2022, Rigel accessed an additional $10.0 million term loan through its credit agreement with MidCap Financial Trust (MidCap) and amended the terms of the credit agreement which, among other things, allows Rigel to defer the loan principal payment by one year and extends the maturity date for the term loans.

Conference Call and Webcast Today at 4:30 p.m. Eastern Time, with Key Opinion Leader and olutasidenib Phase 2 clinical trial investigator, Jorge E. Cortes, M.D.

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, including the license agreement with Forma. The conference call will also feature a presentation of the olutasidenib Phase 2 interim results by Jorge E. Cortes, M.D., Director, Georgia Cancer Center at Augusta University, Cecil F. Whitaker Jr., GRA Eminent Scholar Chair in Cancer, and Phase 2 trial investigator.

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.

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,
visit www.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.

Rigel's portfolio also includes olutasidenib, an oral, small molecule inhibitor of mutated IDH1 being investigated for the treatment of relapsed/refractory acute myeloid leukemia (R/R AML) and other malignancies. Rigel in-licensed olutasidenib from Forma with exclusive, worldwide rights to develop, manufacture, and commercialize the investigational agent.

Rigel conducted a Phase 3 clinical trial (NCT03764618) evaluating fostamatinib for the treatment of warm autoimmune hemolytic anemia (wAIHA)[11]. Fostamatinib is also currently being studied in a Phase 3 clinical trial (NCT04629703) for the treatment of hospitalized high-risk patients with COVID-19[11] and an NIH/NHLBI-sponsored Phase 3 clinical trial (ACTIV-4 Host Tissue Trial, NCT04924660) for the treatment of COVID-19 in hospitalized patients.

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

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

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11. *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,our expectations related to the potential and market opportunity of olutasidenib; reporting of data from the Company's Phase 3 clinical trial of fostamatinib in hospitalized COVID-19 patients; and expectations related to the potential and market opportunity for fostamatinib as therapeutic for wAIHA. 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 relating to that the FDA, European Medicines Agency or other regulatory authorities may make adverse decisions regarding olutasidenib; that olutasidenib 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 olutasidenib; market competitions; the satisfaction of the conditions to the funding of the term loans under the amended credit agreement with MidCap and Rigel's ability to maintain (and otherwise comply with the covenants in) the amended credit agreement; 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 March 31, 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 June 30,		Six Months Ended June 30,	
	2022	2021	2022	2021
	(unaudited)			
Revenues:				
	$	$	$	$
Product sales, net	18,550	17,053	34,747	29,429
Contract revenues from collaborations	11,269	3,713	11,807	69,355
Government contract	-	5,500	-	8,500
Total revenues	29,819	26,266	46,554	107,284
Costs and expenses:				
Cost of product sales	1,036	129	1,157	445
Research and development (see Note A)	14,767	16,807	30,241	33,633
Selling, general and administrative (see Note A)	26,981	22,378	54,382	44,499
Total costs and expenses	42,784	39,314	85,780	78,577
Income (loss) from operations	(12,965)	(13,048)	(39,226)	28,707
Interest income	42	16	63	17
Interest expense	(569)	(1,759)	(1,774)	(2,244)
Income (loss) before income taxes	(13,492)	(14,791)	(40,937)	26,480
Provision for (benefit from) income taxes	-	(970)	-	801
	$	$	$	$
Net income (loss)	(13,492)	(13,821)	(40,937)	25,679
Net income (loss) per share, basic and diluted				
	$	$	$	$
Basic	(0.08)	(0.08)	(0.24)	0.15
	$	$	$	$
Diluted	(0.08)	(0.08)	(0.24)	0.15
Weighted average shares used in computing net income (loss) per share, basic and diluted				
Basic	172,147	170,192	171,961	169,997
Diluted	172,147	170,192	171,961	175,912

Note A

Stock-based compensation expense included in:				
	$	$	$	$
Selling, general and administrative	1,933	1,772	4,672	3,825
Research and development	458	534	926	1,120
	$	$	$	$
	2,391	2,306	5,598	4,945

SUMMARY BALANCE SHEET DATA
(in thousands)

	June 30, 2022	December 31, 2021 (1)
	(unaudited)	
	$	$
Cash, cash equivalents and short-term investments	89,166	124,967
Total assets	128,001	167,328
Stockholders' equity (deficit)	(3,677)	30,374

(1) Derived from audited financial statements

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