November 7, 2023

Rigel Reports Third Quarter 2023 Financial Results and Provides Business Update

- *Third quarter 2023 Total Revenue of $28.1 million which includes record TAVALISSE® net product sales of $24.5 million and REZLIDHIA® net product sales of $2.7 million*
- *New data on olutasidenib in mIDH1 relapsed or refractory acute myeloid leukemia to be presented at ASH Annual Meeting*
- *Conference call and webcast scheduled today at 4:30 p.m. Eastern Time*

SOUTH SAN FRANCISCO, Calif., Nov. 7, 2023 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today reported financial results for the third quarter ended September 30, 2023, 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 and sales of REZLIDHIA® (olutasidenib) capsules for the treatment of adult patients with relapsed or refractory (R/R) acute myeloid leukemia (AML) with a susceptible isocitrate dehydrogenase-1 (IDH1) mutation as detected by an FDA-approved test.

"The third quarter of 2023 was marked by strong momentum from our commercial hematology-oncology portfolio driven by record TAVALISSE net product sales and growing REZLIDHIA awareness among leukemia treaters," said Raul Rodriguez, Rigel's president and CEO. "These strong net sales combined with our expense discipline have allowed us to make substantial progress on our plan to reach financial breakeven."

Business Update

- In the third quarter of 2023, a total of 2,551 bottles of TAVALISSE were sold in the U.S. During the quarter, 2,412 bottles were shipped directly to patients and clinics, representing the highest number of bottles shipped to patients and clinics in a quarter since launch.
- During the third full quarter of launch, a total of 210 bottles of REZLIDHIA were sold in the U.S. During this quarter, 221 bottles were shipped directly to patients and clinics.
- Last week, Rigel announced four poster presentations highlighting data from the Company's commercial and clinical-stage hematology-oncology portfolio at the upcoming 65th American Society of Hematology (ASH) Annual Meeting and Exposition. Included is a poster, Abstract #2888, reporting post hoc analyses in a subset of patients with mIDH1 R/R AML or MDS that were R/R to hematopoietic stem

cell transplant (HSCT), ivosidenib (IVO), or venetoclax (VEN). The analyses suggest that olutasidenib alone or in combination with azacitidine may induce complete remissions in these patients. To learn more about Rigel's clinical and commercial hematology-oncology portfolio visit Booth #2805 during ASH 2023.

- Rigel continues to advance its open-label, Phase 1b clinical trial of R289, an investigational, potent, and selective IRAK1/4 inhibitor, in patients with lower-risk myeloid dysplastic syndrome (LR-MDS) who are refractory/resistant to prior therapies. Target enrollment in the second cohort of the trial has been completed and Rigel is currently enrolling patients in the third cohort. Preliminary data results are expected by mid-year 2024.
- In September, the Data and Safety Monitoring Board (DSMB) recommended that the fostamatinib study arm of the ACTIV-4 Host Tissue (NECTAR) platform cease enrollment. No safety concerns were identified. The National Heart, Lung, and Blood Institute (NHLBI), part of the National Institutes of Health, concurs with the DSMB's recommendations and has asked the trial investigators to cease enrollment, complete follow-up for participants already enrolled, and complete study closeout. The full study data will be analyzed and disseminated as previously planned.

Financial Update

For the third quarter of 2023, Rigel reported a net loss of $5.7 million, or $0.03 per basic and diluted share, compared to a net loss of $19.0 million, or $0.11 per basic and diluted share, for the same period of 2022.

For the third quarter of 2023, total revenues were $28.1 million, consisting of $24.5 million in TAVALISSE net product sales, $2.7 million in REZLIDHIA net product sales, and $1.0 million in contract revenues from collaborations. TAVALISSE net product sales of $24.5 million increased by $5.3 million, or 27%, compared to $19.2 million in the same period of 2022. Contract revenues from collaborations for the third quarter of 2023 consisted primarily of royalty revenue from Grifols S.A. (Grifols) of $0.8 million.

For the third quarter of 2023, total costs and expenses were $32.6 million, compared to $40.8 million for the same period of 2022. The decrease in costs and expenses was partly due to decreased research and development costs due to the completion of activities related to the Phase 3 clinical trial of fostamatinib in wAIHA and the Phase 3 clinical trial of fostamatinib in high-risk hospitalized patients with COVID-19. Also contributing to the decrease, were lower facility-related costs and an upfront payment to Forma Therapeutics Inc. (Forma, now Novo Nordisk) recorded as in-process research and development (IPR&D) and included within cost and expenses in the third quarter of 2022. These decreases were partially offset by increased research and development costs due to the timing of activities related to the IRAK 1/4 inhibitor program.

For the nine months ended September 30, 2023, Rigel reported a net loss of $25.8 million, or $0.15 per basic and diluted share, compared to a net loss of $60.0 million, or $0.35 per basic and diluted share, for the same period of 2022.

For the nine months ended September 30, 2023, total revenues were $81.1 million, consisting of $68.1 million in TAVALISSE net product sales, $6.7 million in REZLIDHIA net product sales, $5.3 million in contract revenues from collaborations, and $1.0 million in government contract revenue. TAVALISSE net product sales of $68.1 million increased by

$14.1 million, or 26%, compared to $53.9 million in the same period of 2022. Contract revenues from collaborations for the nine months ended September 30, 2023, consisted primarily of revenue from Grifols related to the delivery of drug supplies of $2.8 million and a royalty of $2.3 million. Government contract revenue for the nine months ended September 30, 2023, was related to income recognized in the second quarter of 2023 pursuant to the agreement with the U.S. Department of Defense to support Rigel's Phase 3 clinical trial of fostamatinib in high-risk hospitalized patients with COVID-19.

For the nine months ended September 30, 2023, total costs and expenses were $103.5 million, compared to $126.6 million for the same period of 2022. The decrease in costs and expenses was partly due to decreased research and development costs due to the completion of trial activities related to the Phase 3 clinical trial of fostamatinib in wAIHA and the Phase 3 clinical trial of fostamatinib in high-risk hospitalized patients with COVID-19, as well as timing of activities related to the IRAK 1/4 inhibitor program. Also contributing to the decrease were lower facility-related costs, and an upfront payment to Forma (now Novo Nordisk) recorded as IPR&D and included within cost and expenses in the third quarter of 2022.

As of September 30, 2023, Rigel had cash, cash equivalents and short-term investments of $62.4 million, compared to $58.2 million as of December 31, 2022.

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 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 rapidly progressing cancer of the blood and bone marrow that affects myeloid cells, which normally develop into various types of mature blood cells. 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 20,380 new cases, most in adults, in 2023.[2]

Relapsed AML affects about half of all patients who, following treatment and remission, experience a return of leukemia cells in the bone marrow.[3] 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.[4] Quality of life declines for patients with each successive line of treatment for AML, and well-tolerated treatments in relapsed or refractory disease remain an unmet need.

About R289

R289 is a prodrug of R835, an IRAK1/4 dual inhibitor, which has been shown in preclinical studies to block inflammatory cytokine production in response to toll-like receptor (TLR) and 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 various inflammatory conditions. Chronic stimulation of both these receptor systems is thought to cause the pro-inflammatory environment in the bone marrow responsible for persistent cytopenias in lower-risk MDS patients.[5]

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 is a registered trademark of Rigel Pharmaceuticals, Inc.

About REZLIDHIA®

INDICATION

REZLIDHIA is indicated for the treatment of adult patients with relapsed or refractory acute myeloid leukemia (AML) with a susceptible isocitrate dehydrogenase-1 (IDH1) mutation as detected by an FDA-approved test.

IMPORTANT SAFETY INFORMATION

WARNING: DIFFERENTIATION SYNDROME

Differentiation syndrome, which can be fatal, can occur with REZLIDHIA treatment. Symptoms may include dyspnea, pulmonary infiltrates/pleuropericardial effusion, kidney injury, hypotension, fever, and weight gain. If differentiation syndrome is suspected, withhold REZLIDHIA and initiate treatment with corticosteroids and hemodynamic monitoring until symptom resolution.

WARNINGS AND PRECAUTIONS

Differentiation Syndrome

REZLIDHIA can cause differentiation syndrome. In the clinical trial of REZLIDHIA in patients with relapsed or refractory AML, differentiation syndrome occurred in 16% of patients, with grade 3 or 4 differentiation syndrome occurring in 8% of patients treated, and fatalities in 1% of patients. Differentiation syndrome is associated with rapid proliferation and differentiation of myeloid cells and may be life-threatening or fatal. Symptoms of differentiation syndrome in patients treated with REZLIDHIA included leukocytosis, dyspnea, pulmonary

infiltrates/pleuropericardial effusion, kidney injury, fever, edema, pyrexia, and weight gain. Of the 25 patients who experienced differentiation syndrome, 19 (76%) recovered after treatment or after dose interruption of REZLIDHIA. Differentiation syndrome occurred as early as 1 day and up to 18 months after REZLIDHIA initiation and has been observed with or without concomitant leukocytosis.

If differentiation syndrome is suspected, temporarily withhold REZLIDHIA and initiate systemic corticosteroids (e.g., dexamethasone 10 mg IV every 12 hours) for a minimum of 3 days and until resolution of signs and symptoms. If concomitant leukocytosis is observed, initiate treatment with hydroxyurea, as clinically indicated. Taper corticosteroids and hydroxyurea after resolution of symptoms. Differentiation syndrome may recur with premature discontinuation of corticosteroids and/or hydroxyurea treatment. Institute supportive measures and hemodynamic monitoring until improvement; withhold dose of REZLIDHIA and consider dose reduction based on recurrence.

Hepatotoxicity
REZLIDHIA can cause hepatotoxicity, presenting as increased alanine aminotransferase (ALT), increased aspartate aminotransferase (AST), increased blood alkaline phosphatase, and/or elevated bilirubin. Of 153 patients with relapsed or refractory AML who received REZLIDHIA, hepatotoxicity occurred in 23% of patients; 13% experienced grade 3 or 4 hepatotoxicity. One patient treated with REZLIDHIA in combination with azacitidine in the clinical trial, a combination for which REZLIDHIA is not indicated, died from complications of drug-induced liver injury. The median time to onset of hepatotoxicity in patients with relapsed or refractory AML treated with REZLIDHIA was 1.2 months (range: 1 day to 17.5 months) after REZLIDHIA initiation, and the median time to resolution was 12 days (range: 1 day to 17 months). The most common hepatotoxicities were elevations of ALT, AST, blood alkaline phosphatase, and blood bilirubin.

Monitor patients frequently for clinical symptoms of hepatic dysfunction such as fatigue, anorexia, right upper abdominal discomfort, dark urine, or jaundice. Obtain baseline liver function tests prior to initiation of REZLIDHIA, at least once weekly for the first two months, once every other week for the third month, once in the fourth month, and once every other month for the duration of therapy. If hepatic dysfunction occurs, withhold, reduce, or permanently discontinue REZLIDHIA based on recurrence/severity.

ADVERSE REACTIONS
The most common (≥20%) adverse reactions, including laboratory abnormalities, were aspartate aminotransferase increased, alanine aminotransferase increased, potassium decreased, sodium decreased, alkaline phosphatase increased, nausea, creatinine increased, fatigue/malaise, arthralgia, constipation, lymphocytes increased, bilirubin increased, leukocytosis, uric acid increased, dyspnea, pyrexia, rash, lipase increased, mucositis, diarrhea and transaminitis.

DRUG INTERACTIONS

- Avoid concomitant use of REZLIDHIA with strong or moderate CYP3A inducers.
- Avoid concomitant use of REZLIDHIA with sensitive CYP3A substrates unless otherwise instructed in the substrates prescribing information. If concomitant use is unavoidable, monitor patients for loss of therapeutic effect of these drugs.

LACTATION
Advise women not to breastfeed during treatment with REZLIDHIA and for 2 weeks after the last dose.

GERIATRIC USE
No overall differences in effectiveness were observed between patients 65 years and older and younger patients. Compared to patients younger than 65 years of age, an increase in incidence of hepatotoxicity and hypertension was observed in patients ≥65 years of age.

HEPATIC IMPAIRMENT
In patients with mild or moderate hepatic impairment, closely monitor for increased probability of differentiation syndrome.

Click here for Full Prescribing Information, including Boxed WARNING.

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

REZLIDHIA is a registered trademark of Rigel Pharmaceuticals, Inc.

About Rigel
Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) is a biotechnology company dedicated to discovering, developing and providing novel therapies that significantly improve the lives of patients with hematologic disorders and cancer. Founded in 1996, Rigel is based in South San Francisco, California. For more information on Rigel, the Company's marketed products and pipeline of potential products, visit www.rigel.com.

1. R289 is an investigational compound not approved by the FDA.
2. The American Cancer Society. Key Statistics for Acute Myeloid Leukemia (AML). Revised January 12, 2023. Accessed Feb. 15, 2023: https://www.cancer.org/cancer/acute-myeloid-leukemia/about/key-statistics.html
3. Leukaemia Care. Relapse in Acute Myeloid Leukaemia (AML). Version 3. Reviewed October 2021. Accessed Feb 15, 2023: https://media.leukaemiacare.org.uk/wp-content/uploads/Relapse-in-Acute-Myeloid-Leukaemia-AML-Web-Version.pdf
4. Thol F, Schlenk RF, Heuser M, Ganser A. *How I treat refractory and early relapsed acute myeloid leukemia*. Blood (2015) 126 (3): 319-27. doi: https://doi.org/10.1182/blood-2014-10-551911
5. Sallman DA et al. *Unraveling the Pathogenesis of MDS: The NLRP3 Inflammasome and Pyroptosis Drive the MDS Phenotype*. Front Oncol. June 16, 2016. DOI: https://doi.org/10.3389/fonc.2016.0015

Forward Looking Statements
This press release contains forward-looking statements relating to, among other things, the potential and market opportunity of olutasidenib as therapeutics for R/R AML and other conditions, the commercialization of fostamatinib or olutasidenib in the U.S. and international markets, and Rigel's ability to further develop its clinical stage and early-stage product candidates and Rigel's partnering effort, including the progress of Phase 1b clinical trial of R289 for the treatment of lower-risk myeloid dysplastic syndrome. Any statements contained in this press release that are not statements of historical fact may be deemed to be forward-looking statements. Forward-looking statements can be identified by words such as "plan",

"potential", "may", "expects", "will" and similar expressions in reference to future periods. Forward-looking statements are neither historical facts nor assurances of future performance. Instead, they are based on Rigel's current beliefs, expectations, and assumptions and hence they inherently involve significant risks, uncertainties and changes in circumstances that are difficult to predict and many of which are outside of our control. Therefore, you should not rely on any of these forward-looking statements. 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 fostamatinib or olutasidenib; risks that the FDA, European Medicines Agency, PMDA or other regulatory authorities may make adverse decisions regarding fostamatinib or olutasidenib; risks that clinical trials may not be predictive of real-world results or of results in subsequent clinical trials; risks that fostamatinib or olutasidenib 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, 2023 and subsequent filings. Any forward-looking statement made by us in this press release is based only on information currently available to us and speaks only as of the date on which it is made. Rigel does not undertake any obligation to update forward-looking statements, whether written or oral, that may be made from time to time, whether as a result of new information, future developments or otherwise, 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,	
	2023	2022	2023	2022
	(unaudited)			
Revenues:				
	$	$	$	$
Product sales, net	27,129	19,188	74,755	53,935
Contract revenues from collaborations	1,005	722	5,335	12,529
Government contract	-	2,500	1,000	2,500
Total revenues	28,134	22,410	81,090	68,964
Costs and expenses:				
Cost of product sales	1,268	250	3,320	1,407
Research and development (see Note A)	6,475	14,666	21,336	44,907
Selling, general and administrative (see Note A)	24,856	25,897	78,891	80,279
Total costs and expenses	32,599	40,813	103,547	126,593
Loss from operations	(4,465)	(18,403)	(22,457)	(57,629)
Interest income	672	192	1,594	255
Interest expense	(1,899)	(826)	(4,965)	(2,600)
	$	$	$	$
Net loss	(5,692)	(19,037)	(25,828)	(59,974)
	$	$	$	$
Net loss per share, basic and diluted	(0.03)	(0.11)	(0.15)	(0.35)
Weighted average shares used in computing net loss per share, basic and diluted	174,364	172,836	173,897	172,256
Note A				
Stock-based compensation expense included in:				
	$	$	$	$
Selling, general and administrative	1,596	2,119	5,127	6,791
Research and development	347	588	1,746	1,514
	$	$	$	$
	1,943	2,707	6,873	8,305

SUMMARY BALANCE SHEET DATA
(in thousands)

	As of	
	September 30, 2023	December 31, 2022 (1)
	(unaudited)	
	$	$
Cash, cash equivalents and short-term investments	62,351	58,206
Total assets	115,324	134,279
Stockholders' deficit	(31,834)	(13,616)

(1) Derived from audited financial statements

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