May 2, 2023

Rigel Reports First Quarter 2023 Financial Results and Provides Business Update

- *First quarter 2023 Total Revenue of $26.1 million which includes TAVALISSE® net product sales of $22.3 million and REZLIDHIA® net product sales of $1.5 million*
- *Global expansion of TAVALISSE in ITP with Japanese launch by partner Kissei*
- *Conference call and webcast scheduled today at 4:30 p.m. Eastern Time*

SOUTH SAN FRANCISCO, Calif., May 2, 2023 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today reported financial results for the first quarter ended March 31, 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.

"We are thrilled with our strong first quarter net product sales performance, a 47% increase from Q1 2022. This reflects our ability to advance our launch of REZLIDHIA in m*IDH1* R/R AML while driving continued growth in TAVALISSE ITP sales," said Raul Rodriguez, Rigel's president and CEO. "For the remainder of 2023, we have established a strong foundation for our hematology-oncology business to successfully deliver growth in both TAVALISSE and REZLIDHIA, and to advance our development programs."

Business Update

- In the first quarter of 2023, a total of 2,281 bottles of TAVALISSE were sold in the U.S., 2,256 of which 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 first full quarter of launch, a total of 113 bottles of REZLIDHIA were sold in the U.S., 109 of which were shipped directly to patients and clinics.
- REZLIDHIA was added by the National Comprehensive Cancer Network® (NCCN®) to the latest NCCN Clinical Practice Guidelines in Oncology (NCCN Guidelines®) for AML in January 2023. REZLIDHIA is included as a recommended targeted therapy for adult patients with R/R AML with *IDH1* mutation.
- Rigel announced a peer-reviewed publication of data in Blood Advances in February 2023, which summarized clinical results from the Phase 2 registration trial of

REZLIDHIA in patients with m*IDH1* R/R AML. The published data demonstrate that REZLIDHIA induced durable remissions and transfusion independence with a well-characterized safety profile.

- In April, Rigel's partner Kissei announced the launch of TAVALISSE in Japan for the treatment of chronic ITP.
- Rigel continues to advance the 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. Rigel has completed enrollment of the first cohort of the trial and enrollment of the second cohort is underway.
- R552, an investigational, potent, and selective RIPK1 inhibitor, is being advanced by Rigel's partner Eli Lilly (Lilly). The initial Phase 2a trial in approximately 100 patients with moderately to severely active rheumatoid arthritis (RA) is anticipated to begin in the second quarter of 2023 and will involve global recruitment. The Phase 2a trial analysis is expected by the end of 2024.

Financial Update

For the first quarter of 2023, Rigel reported a net loss of $13.5 million, or $0.08 per basic and diluted share, compared to a net loss of $27.4 million, or $0.16 per basic and diluted share, for the same period of 2022.

For the first quarter of 2023, total revenues were $26.1 million, consisting of $22.3 million in TAVALISSE net product sales, $1.5 million in REZLIDHIA net product sales, and $2.3 million in contract revenues from collaborations. TAVALISSE net product sales of $22.3 million increased by 38% from $16.2 million for the same period of 2022. Contract revenues from collaborations for the first quarter of 2023 consisted primarily of revenue from Grifols S.A., with $1.6 million related to the delivery of drug supplies and a royalty of $0.7 million.

For the first quarter of 2023, total costs and expenses were $38.8 million, compared to $43.0 million for the same period of 2022. The decrease in costs and expenses was primarily due to decreased research and development costs related to the Phase 3 clinical trial of fostamatinib for wAIHA, the Phase 3 clinical trial of fostamatinib in high-risk hospitalized patients with COVID-19, and the IRAK 1/4 inhibitor program.

As of March 31, 2023, Rigel had cash, cash equivalents and short-term investments of $58.7 million, compared to $58.2 million as of December 31, 2022. In March 2023, Rigel accessed an additional $20.0 million term loan through its credit facility with MidCap Financial Trust.

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 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 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

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 in the U.S. and international markets including Japan, 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, and the advancement of Phase 2a clinical trial of R552 for the treatment of rheumatoid arthritis. 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 TAVALISSE or REZLIDHIA; 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 Annual Report on Form 10-K for the year ended December 31, 2022 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 March 31,	
	2023	**2022**
	(unaudited)	
Revenues:		
	$	$
Product sales, net	23,745	16,197
Contract revenues from collaborations	2,325	538
Total revenues	26,070	16,735
Costs and expenses:		
Cost of product sales	977	121
Research and development (see Note A)	10,089	15,474
Selling, general and administrative (see Note A)	27,729	27,401
Total costs and expenses	38,795	42,996
Loss from operations	(12,725)	(26,261)
Interest income	393	21
Interest expense	(1,204)	(1,205)
Net loss	$ (13,536)	$ (27,445)
Net loss per share, basic and diluted	$ (0.08)	$ (0.16)
Weighted average shares used in computing net loss per share, basic and diluted	173,568	171,774

Note A

Stock-based compensation expense included in:		
	$	$
Selling, general and administrative	1,735	2,739
Research and development	1,023	468
	$	$
	2,758	3,207

SUMMARY BALANCE SHEET DATA
(in thousands)

	As of	
	March 31, 2023	**December 31, 2022 (1)**
	(unaudited)	
	$	$
Cash, cash equivalents and short-term investments	58,662	58,206
Total assets	123,612	134,279
Stockholders' deficit	(24,257)	(13,616)

(1) Derived from audited financial statements

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