Rigel Pharmaceuticals and Forma Therapeutics Announce Licensing Agreement for Olutasidenib, a Novel Mutant IDH1 Inhibitor for the Potential Treatment of Relapsed or Refractory Acute Myeloid Leukemia

August 2, 2022

- Registrational Phase 2 data demonstrate olutasidenib's potential as a market-leading, oral, mutant isocitrate dehydrogenase 1 (mIDH1) inhibitor for the treatment of relapsed or refractory acute myeloid leukemia
- FDA has accepted Forma's NDA for olutasidenib, with a PDUFA target action date of February 15, 2023
- Forma to receive an upfront payment of $2.0 million and is eligible to receive an additional $17.5 million upon the achievement of certain near-term regulatory, approval, and first commercial sale milestones, as well as potential future development and commercial milestone payments of $215.5 million and tiered royalties in the low-teens to mid-thirties
- If approved, olutasidenib would be Rigel's second commercial product in hematology-oncology and highly synergistic with Rigel's existing commercial and medical affairs infrastructure
- Rigel to host conference call today to discuss transaction details at 4:30 p.m. Eastern Time and will be joined by Key Opinion Leader and olutasidenib Phase 2 trial investigator, Jorge E. Cortes, M.D.

SOUTH SAN FRANCISCO, Calif. and WATERTOWN, Mass., Aug. 2, 2022 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) and Forma Therapeutics, Inc. (Nasdaq: FMTX) today announced that they have entered into an exclusive, worldwide license agreement to develop, manufacture and commercialize olutasidenib, an oral, small molecule inhibitor of mIDH1 being investigated for the treatment of relapsed/refractory acute myeloid leukemia (R/R AML) and other malignancies.

In a Phase 2 registrational study of olutasidenib in patients with mIDH1 R/R AML, olutasidenib demonstrated a robust composite complete remission rate and duration of response and was well-tolerated. 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 a potential market-leading treatment that we believe, based on the registrational Phase 2 data, can improve outcomes in patients with mIDH1+ relapsed or refractory acute myeloid leukemia, and is a strategic fit for our business," said Raul Rodriguez, Rigel's president and CEO. "This transaction expands our hematology-oncology portfolio and enables us to leverage our strong commercial capabilities to provide a potential new therapy for these patients who remain underserved despite currently available therapies."

"The compelling efficacy and safety data generated to date highlight the potential for olutasidenib to transform the treatment of mIDH1+ R/R AML. The development and approval of olutasidenib, pending a favorable FDA decision, would represent an important milestone for Forma that highlights our R&D capabilities," said Frank Lee, Forma's president and CEO. "Given Rigel's focus on hematologic diseases and cancers and the strength of their commercial infrastructure, we believe they are well-positioned to execute on our shared objective of delivering olutasidenib to patients in need."

The registrational cohort of the open-label Phase 2 study evaluated olutasidenib as monotherapy in 153 mIDH1+ R/R AML patients. The primary efficacy-evaluable population of the cohort was comprised of 123 R/R AML patients, who received olutasidenib 150 mg twice daily at least six months prior to the interim analysis cutoff date of June 18, 2020 and had a centrally confirmed IDH1 mutation. The primary endpoint was a composite of a complete remission (CR) plus a complete remission with partial hematological recovery (CRh), defined as less than 5% blasts in the bone marrow, no evidence of disease, and partial recovery of peripheral blood counts (platelets >50,000/microliter and ANC >500/microliter).

Results from the interim analysis of the trial[1] demonstrated a 33% CR+CRh in mIDH1+ R/R AML patients. Among those with CR+CRh, the estimated 18-month survival was 87% and the median duration of CR+CRh was not yet reached, with a more conservative sensitivity analysis indicating a median duration of 13.8 months. Importantly, these data provide compelling evidence of clinical efficacy with a durable response and a favorable tolerability profile, both of which we believe differentiates olutasidenib from other currently available treatment options for mIDH1+ R/R AML patients.

Olutasidenib was well-tolerated, with adverse events (AEs) being consistent with the late stage of disease and the heavily pre-treated population. A safety analysis for all 153 patients enrolled in the registrational Phase 2 study found the most common grade 3/4 (≥ 10%) treatment-emergent adverse events (TEAEs) were febrile neutropenia (20%), anemia (19%), thrombocytopenia (16%), and neutropenia (13%).

Updated data from the registrational study will be presented at an upcoming medical congress.

"The data from the Phase 2 registrational trial of olutasidenib demonstrated encouraging results, particularly on durability and survival, with median duration of response that appears to be longer than currently available treatment options and an 18-month survival rate among those with CR+CRh of 87%," said Jorge E. Cortes, M.D., Director, Georgia Cancer Center, Cecil F. Whitaker Jr., GRA Eminent Scholar Chair in Cancer, and Phase 2 trial investigator. "Given the trial's compelling efficacy data in duration of response, the favorable tolerability profile, and the still limited treatment options of patients with mIDH1+ R/R AML, olutasidenib has the potential to be an important new treatment option for patients."

Under the terms of the agreement, Forma will receive an upfront payment of $2.0 million, and is eligible to receive an additional $17.5 million upon the achievement of certain near-term regulatory, approval, and first commercial sale milestones. In addition, Forma is eligible to receive a total of up to an additional $215.5 million in connection with the achievement of certain development and commercial milestones. Forma is also eligible to receive

tiered royalties in the low-teens to mid-thirties. Moving forward, Rigel will be responsible for the potential launch and commercialization of olutasidenib in the U.S., and intends to work with potential partners to further develop and commercialize olutasidenib outside the U.S.

Conference Call and Webcast Today at 4:30 p.m. Eastern Time, with KOL and Olutasidenib Phase 2 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, provide an update on the business, including the licensing agreement for olutasidenib. 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, 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 Olutasidenib and AML

Olutasidenib is an oral, small molecule investigational agent designed to selectively bind to and inhibit mutated IDH1 enzymes. This targeted treatment has the potential to provide therapeutic benefit by reducing 2-HG levels and restoring normal cellular differentiation. IDH1 is a natural enzyme that is part of the normal metabolism of all cells. When mutated, IDH1 activity can promote blood malignancies and solid tumors. IDH1 mutations are present in 6 to 9 percent of patients with AML[2]. AML is a rapidly progressing cancer of the bone marrow and blood[3]. AML occurs primarily in adults and accounts for about 1 percent of all adult cancers. The American Cancer Society estimates that about 20,940 new cases, most in adults, arose in 2021 in the United States alone.[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 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 (TAVLESSE), 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)[5]; a Phase 3 clinical trial (NCT04629703) for the treatment of hospitalized high-risk patients with COVID-19[5] 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.

Please see www.TAVALISSE.com for full Prescribing Information.

About Forma Therapeutics

Forma Therapeutics is a clinical-stage biopharmaceutical company focused on the research, development, and commercialization of novel therapeutics to transform the lives of patients with rare hematologic diseases and cancers. Our pipeline is led by etavopivat, an investigational, once-daily, selective pyruvate kinase-R (PKR) activator designed to be a disease-modifying therapy with the potential to improve red blood cell (RBC) health and transform the lives of people living with sickle cell disease, thalassemia, and lower risk MDS. Our R&D engine combines deep biology insight, chemistry expertise and clinical development capabilities to create drug candidates with differentiated mechanisms of action focused on indications with high unmet need. Our work has generated a broad proprietary portfolio of programs with the potential to provide profound patient benefit.

For more information, please visit www.FormaTherapeutics.com or follow us on Twitter @FORMAInc and LinkedIn.

1. De Botton, S., et al. *Journal of Clinical Oncology* 39, no. 15_suppl (May 20, 2021) 7006-7006.
2. NCCN Clinical Practice Guidelines in Oncology, Acute Myeloid Leukemia. Version 2.2022 – June 14, 2022. https://www.nccn.org/guidelines/guidelines-detail?category=1&id=1411
3. Leukemia & Lymphoma Society. Accessed July 25, 2022. https://www.lls.org/leukemia/acute-myeloid-leukemia
4. The American Cancer Society. Key statistics for acute myeloid leukemia (AML). Revised January 12, 2021. Accessed Dec. 2, 2021 at https://www.cancer.org/cancer/acute-myeloid-leukemia/about/key-statistics.html.
5. The product for this use or indication is investigational and has not been proven safe or effective by any regulatory authority.

Rigel Forward Looking Statements

This press release contains forward-looking statements relating to, among other things, that olutasidenib may provide a meaningful benefit to people with relapsed or/ refractory acute myeloid leukemia, our ability to commercialize olutasidenib in the U.S. and identify potential partners outside of the U.S., and our expectations related to the potential and market opportunity of olutasidenib as therapeutics for R/R AML and other conditions. 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 regarding the future of our business, future plans and strategies, projections, anticipated events and trends, the economy and other future conditions, 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 that the FDA, EMA or other regulatory authorities may make adverse decisions regarding olutasidenib; risks that clinical trials may not be predictive of real-world results or of results in subsequent clinical trials; risks that 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 March 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.

Forma Forward Looking Statements

This press release contains forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995, as amended, including, without limitation, express or implied statements regarding the company's beliefs and expectations regarding: therapeutic potential, clinical benefits, mechanisms of action, efficacy, and safety of olutasidenib; the potential commercial and collaboration opportunities, including potential future collaborators, as well as the potential value and market for olutasidenib; potential milestone payments; and presentation of additional data at upcoming scientific conferences. The words "may," "will," "could," "would," "should," "expect," "plan," "anticipate," "intend," "believe," "estimate," "predict," "project," "potential," "continue," "target" and similar expressions are intended to identify forward-looking statements, although not all forward-looking statements contain these identifying words.

Any forward-looking statements in this press release are based on management's current expectations and beliefs and are subject to a number of risks, uncertainties and important factors that may cause actual events or results to differ materially from those expressed or implied by any forward-looking statements contained in this press release, including, without limitation, those risks and uncertainties associated with the following: positive results from a clinical study may not necessarily be predictive of the results of future or ongoing clinical studies; adverse regulatory decisions relating to olutasidenib; Rigel's ability to successfully develop and commercialize olutasidenib and achieve milestones, including identifying successful collaboration opportunities as well as those risks and uncertainties set forth more fully under the caption "Risk Factors" in our Quarterly Report on Form 10-Q for the quarter ended May 6, 2022, filed with the United States Securities and Exchange Commission (SEC) and subsequent filings with the SEC. Forma disclaims any obligation to publicly update or revise any such statements to reflect any change in expectations or in events, conditions or circumstances on which any such statements may be based, or that may affect the likelihood that actual results will differ from those set forth in the forward-looking statements. Any forward-looking statements contained in this press release represent Forma's views only as of the date hereof and should not be relied upon as representing its views as of any subsequent date. Forma explicitly disclaims any obligation to update any forward-looking statements.

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