Repare Therapeutics Doses First Patient in Phase 1 Clinical Trial of RP-6306, a First-in-Class, Selective, Oral Inhibitor of PKMYT1

May 3, 2021

CAMBRIDGE, Mass. & MONTREAL--(BUSINESS WIRE)--May 3, 2021-- Repare Therapeutics Inc. (“Repare” or the “Company”) (Nasdaq: RPTX), a leading clinical-stage precision oncology company enabled by its proprietary synthetic lethality (SL) approach to the discovery and development of novel therapeutics, today announced the first patient has been dosed in the Company’s Phase 1 clinical trial of RP-6306, a first-in-class small molecule product candidate targeting PKMYT1, which is a novel target that Repare discovered to be synthetic lethal with CCNE1 amplification and other genomic mutations to treat CCNE1-amplified, FBXW7-altered and other PKMYT1 inhibitor-sensitive cancers.

“The dosing of the first patient in this RP-6306 trial marks a key milestone in Repare’s development of targeted cancer therapeutics. We are pleased to have initiated this trial six months ahead of what we projected at our IPO launch last June,” said Lloyd M. Segal, President and Chief Executive Officer of Repare. “Patients with tumors carrying CCNE1, FBXW7 and certain other genetic alterations we have identified as sensitive to PKMYT1 inhibition have few treatment options available, and the incidence of these cancers is rising. This Phase 1 trial will assess the safety and tolerability of RP-6306, as well as dosing schedule, to inform Repare’s planned Phase 2 program.”

The Phase 1 multi-center clinical trial is expected to enroll approximately 70 patients with recurrent tumors characterized by specific genomic alterations predicted by Repare’s SNIPRx platform to render sensitivity to RP-6306. The primary goal of the Phase 1 clinical trial is to assess preliminary safety and tolerability in patients and to establish the recommended Phase 2 dose and dosing schedule for RP-6306 for evaluation in further trials. Subject to completion and review of the Phase 1 clinical trial, the Company expects to advance RP-6306, both as monotherapy and in combination with chemotherapies and other treatment modalities, into proof-of-concept studies in 2022, targeting a variety of patient populations, including those with tumors with CCNE1 amplification, FBXW7 loss or other alterations identified through Repare’s proprietary STEP ^{2} screens.

About RP-6306

RP-6306 is a first-in-class, selective, orally available inhibitor of PKMYT1 that was discovered and developed entirely in-house by Repare. Through Repare’s SNIPRx screen campaign for targets that are SL with CCNE1 amplification, the Company identified and validated this novel SL gene that has the characteristics of a therapeutic target. Repare has developed novel and selective inhibitors against PKMYT1, which demonstrated compelling pre-clinical anti-tumor activity alone and in combination with certain anticancer agents, and subsequently announced the advancement of a clinical candidate to this potential, first-in-class program.

About Repare Therapeutics, Inc.

Repare Therapeutics is a leading clinical-stage precision oncology company enabled by its proprietary synthetic lethality approach to the discovery and development of novel therapeutics. The Company utilizes its genome-wide, CRISPR-enabled SNIPRx® platform to systematically discover and develop highly targeted cancer therapies focused on genomic instability, including DNA damage repair. The Company’s pipeline includes its lead product candidate RP-3500, a potential best-in-class ATR inhibitor currently in Phase 1/2 clinical development, as well as RP-6306, a first-in-class, selective, oral inhibitor of PKMYT1 to treat CCNE1-amplified, FBXW7-altered and other PKMYT1 inhibitor-sensitive cancers, and a Polθ inhibitor program. For more information, please visit reparerx.com.

SNIPRx® is a registered trademark of Repare Therapeutics Inc.

Forward-Looking Statements

This press release contains “forward-looking statements” within the meaning of the Private Securities Litigation Reform Act of 1995. All statements in this press release other than statements of historical facts are “forward-looking statements. These statements may be identified by words such as “aims,” “anticipates,” “believes,” “could,” “estimates,” “expects,” “forecasts,” “goal,” “intends,” “may,” “plans,” “possible,” “potential,” “seeks,” “will” and variations of these words or similar expressions that are intended to identify forward-looking statements, although not all forward-looking statements contain these words. Forward-looking statements in this press release include, but are not limited to, the clinical development of RP-6306 including the initiation, timing, design and results of the Phase 1 clinical trial of RP-6306 and the determination of a recommended Phase 2 dose; the efficacy of RP-6306 as a monotherapy or in combination with other therapies; and the ability of RP-6306 to target patient populations, including those with tumors with CCNE1 amplification, FBXW7 loss or other alterations identified through Repare’s proprietary STEP2 screens. These forward-looking statements are based on the Company’s expectations and assumptions as of the date of this press release. Each of these forward-looking statements involves risks and uncertainties that could cause the Company’s clinical development programs, future results or performance to differ materially from those expressed or implied by the forward-looking statements. Many factors may cause differences between current expectations and actual results, including the impacts of the COVID-19 pandemic on the Company’s business, clinical trials and financial position, unexpected safety or efficacy data observed during preclinical studies or clinical trials, clinical trial site activation or enrollment rates that are lower than expected, changes in expected or existing competition, changes in the regulatory environment, the uncertainties and timing of the regulatory approval process, and unexpected litigation or other disputes. Other factors that may cause the Company’s actual results to differ from those expressed or implied in the forward-looking statements in this press release are identified in the section titled "Risk Factors" in the Company’s Annual Report on Form 10-K for the year ended December 31, 2020 filed with the Securities and Exchange Commission (“SEC”) on March 4, 2021. The Company expressly disclaims any obligation to update any forward-looking statements contained herein, whether as a result of any new information, future events, changed circumstances or otherwise, except as otherwise required by law.

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Repare Contact:
Steve Forte
Chief Financial Officer
Repare Therapeutics Inc.
info@reparerx.com

Investors:
Argot Partners
repare@argotpartners.com
212-600-1902

Media:
David Rosen
Argot Partners
david.rosen@argotpartners.com
212-600-1902

Source: Repare Therapeutics Inc.