



iTeos Therapeutics Initiates Phase 1/1b Trial with Differentiated Adenosine A_{2A} Antagonist in Patients with Advanced Cancer

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First cohort of patients with advanced cancer now dosed with EOS100850 - Target enrollment of 72 patients; initial clinical data expected in Q4 2019

Gosselies, Belgium and Cambridge, MA – April 17, 2019 – [iTeos Therapeutics SA](#), a privately-held biotechnology company developing novel cancer immunotherapies, announced today the first cohort of patients has been dosed in its Phase 1/1b study with EOS100850, the Company's investigational A_{2A}receptor antagonist and lead program candidate.

"I am tremendously excited to announce that patient dosing in our innovative, adaptive Phase 1/1b trial is now underway with EOS100850, our unique and specific A_{2A}receptor antagonist. We believe we have a potential best-in-class compound, which was carefully designed with differentiating features to maximize the therapeutic window," **said Michel Detheux, Ph.D., Co-Founder, President and Chief Executive Officer of iTeos.**

"The A_{2A} pathway has emerged as one of the most exciting targets due to the emerging clinical evidence validating the important role adenosine plays in suppressing the immune response to cancer in the tumor microenvironment. EOS100850 addresses the therapeutic challenges found within this tumor microenvironment by achieving a higher degree of receptor inhibition with its PK/PD and non-brain penetrant profile and its ability to maintain potent efficacy despite increased levels of adenosine concentrations. We are optimistic that EOS100850 can restore the immune response and may control cancer for patients in multiple indications."

About the EOS100850 Phase 1/1b Trial

This state-of-the-art Phase 1/1b study of EOS100850 will include an extended translational medicine strategy with pre- and post-biopsies, which will enable researchers to identify and confirm relevant biomarkers to be used in further clinical development. Key objectives in this flexible, open-label, dose-escalation study include understanding the safety and tolerability of the compound and determining a recommended Phase 2 dose. The pharmacokinetics, pharmacodynamics and preliminary anti-tumor activity will also be evaluated. The trial will be conducted at multiple clinical sites in Belgium, the United Kingdom and the United States and is expected to enroll 72 patients with advanced cancer. The dose escalation and dose expansion cohorts will include a comprehensive effort to evaluate the activity in specific tumor types as well as to confirm the advantageous PK/PD profile of EOS100850. Disease-specific expansion cohorts will be enrolled at the optimal Phase 2 dose.

For more information on this trial, please visit www.clinicaltrials.gov (Identifier: NCT03873883).

About the iTeos Adenosine A_{2A} Receptor Antagonist (EOS100850)

The high level of adenosine in the microenvironment of many tumors plays a significant role in tumor immune evasion. The adenosine A_{2A} receptor is the primary adenosine receptor expressed on immune cells. In the presence of adenosine, this receptor suppresses T cell activity. In preclinical studies, EOS100850, iTeos' A_{2A} receptor antagonist, restores T cell activity and promotes anti-tumor activity in preclinical models, as well as synergizing with several immune-checkpoint inhibitors and chemotherapy. Preliminary evidence of clinical activity has been described in kidney, lung and prostate cancer in clinical studies of A_{2A} receptor antagonists and other agents targeting the adenosine axis. In contrast to other clinical-stage A_{2A} receptor antagonists, EOS100850 retains high potency in the presence of elevated adenosine concentrations measured in the tumor microenvironment and is non-brain penetrant. EOS100850 has been extensively evaluated for safety, efficacy and oral bioavailability in numerous preclinical models.

About iTeos Therapeutics

iTeos Therapeutics is a privately-held, clinical-stage biopharmaceutical company dedicated to transforming the lives of persons living with cancer by designing and developing next generation immunotherapies. The Company's lead program, EOS100850, is an adenosine A_{2A} receptor antagonist currently in a Phase 1/1b study. A second program, a fully human ADCC-enabling anti-TIGIT antibody (EOS884448), is expected to enter the clinic in the second half of 2019. Based in Gosselies, Belgium and Cambridge, MA, iTeos Therapeutics was founded through the Ludwig Institute for Cancer

Research (LICR) and the de Duve Institute (Université Catholique de Louvain). In 2018, the Company completed a \$75 million (€64 million) Series B financing led by MPM Capital, along with new investors HBM Partners, 6 Dimensions Capital and Curative Ventures. Previous investors, including Fund +, VIVES II and SRIW, as well as SFPI, also participated in this funding round. For more information, please visit www.iteostherapeutics.com.

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