iTeos Therapeutics Announces Collaboration with Merck Investigating the Combination of its A2A Antagonist with KEYTRUDA®

December 12, 2019

- Study will evaluate the safety and efficacy of the combination of iTeos' EOS100850 and Merck's KEYTRUDA® (pembrolizumab)

Gosselies, Belgium and Cambridge, Mass. – Dec. 12, 2019 – iTeos Therapeutics SA, a privately-held biotechnology company developing novel cancer immunotherapies, announced today that it has entered into an agreement with a subsidiary of Merck, known as MSD outside the United States and Canada, to evaluate the safety and efficacy of EOS100850, iTeos' investigational A_{2A} receptor antagonist, in combination with KEYTRUDA® (pembrolizumab), Merck's anti-PD-1 (programmed death receptor-1) therapy, in patients with solid tumors.

The Phase 1/2 trial will enroll patients with multiple solid tumors, with the initial goal of determining the safety and tolerability of the combination therapy. The trial is expected to begin enrollment in early 2020.

Joanne Jenkins Lager, M.D, Chief Medical Officer of iTeos Therapeutics, commented: "We believe that our differentiated, selective A_{2A} receptor antagonist will be complementary to a range of immuno-oncology approaches and we are excited to initiate our first combination study of EOS100850 with KEYTRUDA®. Unlike other A_{2A} antagonists, EOS100850 was purposely designed to have very high potency in the tumor micro-environment and unique selectivity for the A_{2A} receptor. We look forward to evaluating how these two agents interact and complement each other as they have distinct mechanisms to overcome immunosuppression in the tumor microenvironment."

iTeos' lead therapeutic candidate, EOS100850, is a non-brain penetrant A_{2A} receptor antagonist that retains high potency in the presence of elevated adenosine concentrations such as those measured in the tumor microenvironment. It is currently in a Phase 1/1b study as a monotherapy.

KEYTRUDA® is a registered trademark of Merck Sharp & Dohme Corp., a subsidiary of Merck & Co., Inc., Kenilworth, N.J., USA.

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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.

For information on EOS100850 clinical trials, please visit www.clinicaltrials.gov.

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