iTeos Therapeutics Presents Preclinical Data for its Anti-TIGIT antibody, EOS-448, at the AACR II Virtual Annual Meeting 2020

June 22, 2020

– EOS-448 is being investigated in a Phase 1/2a clinical trial in advanced solid tumors and the dose escalation portion of the trial was initiated in February 2020 –

– EOS-448 has shown potent antitumor activity and a favourable tolerability profile in preclinical studies –

Cambridge, MA and Gosselies, Belgium – June 22, 2020. iTeos Therapeutics, a clinical-stage biopharmaceutical company pioneering the discovery and development of a new generation of highly differentiated immuno-oncology therapeutics for patients, is presenting preclinical data for its investigational FcγR-engaging anti-TIGIT antibody, EOS-448, in a virtual poster presentation at the American Association of Cancer Research II (AACR II) Virtual Annual Meeting 2020, taking place June 22-24th. EOS-448 has demonstrated strong functional activity and a clean safety profile in its preclinical studies. iTeos enrolled the first patient in the dose escalation portion of its Phase 1/2a study with EOS-448 in February, 2020.

"We are excited to have started the Phase 1 portion of our first-in-human studies with our FcγR-engaging anti-TIGIT antibody, EOS-448, which has demonstrated strong antitumor activity both as single agent and in combination as well as a clean tolerability profile in preclinical studies," **said Michel Detheux, Chief Executive Officer of iTeos Therapeutics**. "We believe EOS-448 has significant potential to be beneficial to cancer patients in the clinic, on the basis of its potent activity in restoring antitumor immunity in preclinical studies, supported by its multiple mechanisms of action, as well as by the latest clinical data reported for compounds of the same class."

Summary of the Data to be Presented

EOS-448, a novel FcγR-engaging anti-TIGIT antibody, is shown to be highly potent and demonstrates strong anti-tumor activity and a clean safety profile in the preclinical setting. In addition to its ability to reverse immunosuppression of NK and effector T cells, EOS-448 is an IgG1 antibody, and has been able to induce potent and preferential cytotoxicity directed against Tregs as compared to CD4 and CD8 effector cells. Characterization of cell populations show that tumor-infiltrating Tregs exhibit differentially high levels of TIGIT, making these cells preferentially susceptible to ADCC. Furthermore, among tumor-infiltrating lymphocytes, or TILs, CD4 and CD8 T cells expressing high levels of TIGIT typically display an exhausted profile. In preclinical tumor models of pre-established tumors, EOS-448 demonstrated strong tumor growth delay activity as a single agent and when combined with anti-PD-1 antibody, further increased the antitumor activity and resulted in complete responses in seven out of eight mice. The observed antitumor activity correlated with an increase of IFNγ-secreting CD4 and CD8 T cells and preferential Treg depletion within the tumor microenvironment. When the same anti-TIGIT clone was built on an antibody isotype with low FcγR binding capabilities and tested in the same model, or when our anti-TIGIT EOS-448 was dosed in FcγR knockout mice, antitumor activity was lost demonstrating the key role of FcγR engagement for the therapeutic activity of anti-TIGIT therapies.

When tested in NHP, EOS-448 demonstrated a dose-proportional increase in exposure with a classical human IgG1 profile. The increase in exposure correlated with increased target engagement. We observed full occupancy of the TIGIT receptor which was maintained for at least 1 week at the highest tested dose. EOS-448 was shown to have a favorable tolerability profile in these preclinical toxicology studies, and the NOAEL was the highest tested dose of 10mg/kg.

The abstract and video presentation details are as follows:

Title*:* Preparation of a clinical trial with a-TIGIT antagonist antibody EOS-448, which demonstrates potent preclinical activity and safe toxicology profile

Session: Immune Checkpoints 4
Abstract #: 3720

Poster #: 3161
Authors: Thi Lien-Anh Nguyen, et al.

The abstract was posted online at 12:01 a.m. EDT on Friday, May 15 on the AACR conference website.

The e-poster website will be launched today, June 22, the first day of the AACR Virtual Annual Meeting II. All e-posters will be made available for browsing on this date.

EOS-448 Clinical Development (ClinicalTrials.gov Trial Identifier: NCT04335253)

This Phase 1/2a study of EOS-448 is an open-label, dose-escalation study to assess the safety, pharmacokinetic, pharmacodynamic and preliminary clinical activity of EOS-448 in participants with advanced cancers. Participants' tumors will be sampled before treatment and during treatment, to identify and confirm biomarkers to be used in further clinical development. Following dose escalation and determination of the recommended Phase 2 dose, the study design allows for the seamless expansion of patient cohorts to evaluate the anti-tumor activity of EOS-448 in specific tumor types. The trial will be conducted at multiple clinical sites in Europe and is expected to enroll approximately 30 patients with advanced cancer represented in the dose escalation portion.

About iTeos Therapeutics

iTeos Therapeutics is a clinical-stage biopharmaceutical company pioneering the discovery and development of a new generation of highly differentiated immuno-oncology therapeutics for patients. iTeos Therapeutics leverages its deep understanding of the tumor microenvironment and immunosuppressive pathways to design novel product candidates with an aim to improve the clinical benefit of oncology therapies. The innovative pipeline includes two clinical-stage programs targeting novel, validated immuno-oncology pathways designed to build on prior learnings in the field to have differentiated pharmacological and clinical profiles. The most advanced product candidate, EOS-850, is designed as a highly selective small molecule antagonist of the adenosine A2a receptor, in the adenosine triphosphate adenosine pathway, a key driver of immunosuppression in the tumor microenvironment across a broad range of tumors. EOS-850 is being investigated in an open-label Phase 1/2a clinical trial in adult patients with advanced solid tumors and encouraging preliminary single-agent activity were observed in the dose escalation portion of the trial. The lead antibody product candidate, EOS-448, is an antagonist of TIGIT, or T-cell immunoreceptor with Ig and ITIM domains, a checkpoint that has a role in both inhibitory and stimulatory pathways in the immune system. EOS-448 was also designed to engage the Fc gamma receptor, or FcγR, to promote antibody-dependent cellular cytotoxicity, or ADCC, activity, including the elimination of tumor-infiltrating regulatory T cells, or Tregs. An open-label Phase 1/2a clinical trial of EOS-448 was recently initiated in adult patients with advanced solid tumors. In April 2020, the Company closed a $125 million Series B-2 financing from leading biotech investors including RA Capital, Boxer Capital, MPM Capital, Janus Henderson Advisors, RTW Investments, Invus, HBM Partners, Fund+, Vives II, SRIW and SFPI. iTeos Therapeutics is headquartered in Cambridge, MA with a research center in Gosselies, Belgium.

For further information, please contact:

Michel Detheux, CEO

iTeos Therapeutics Inc

info@iteostherapeutics.com

Amber Fennell, Paul Kidwell

Consilium Strategic Communications

+44 203 709 5700

iteos@consilium-comms.com

Sarah McCabe, Zofia Mita

Stern Investor Relations, Inc.

+ 1 212 362 1200

iTeos@sternir.com