iTeos Therapeutics Announces New Preliminary Data Indicating Clinical Activity with its Anti-TIGIT Antibody, EOS-448, at the AACR Annual Meeting 2021

April 10, 2021

- *Initial data from the Phase 1 dose escalation part of the Phase 1/2a trial in adult patients with advanced solid tumors indicated EOS-448 was generally well tolerated with no dose-limiting toxicities observed*
- *EOS-448 showed preliminary signs of clinical activity as a monotherapy, including a partial response in one pembrolizumab-resistant melanoma patient, and stable disease in multiple patients*
- *EOS-448 reduced TIGIT$^+$ suppressive T regulatory cells and CD8 T cells considered to be exhausted at all tested doses, indicating engagement of FcγR, an essential component in many immune system effector functions*
- *Company to advance EOS-448 into combination trials with pembrolizumab and other novel agents in both checkpoint-naïve and resistant patients*
- *Company to host conference call on Monday, April 12th at 8:00 a.m. EDT to discuss results*

CAMBRIDGE, Mass. and GOSSELIES, Belgium, April 10, 2021 (GLOBE NEWSWIRE) -- iTeos Therapeutics, Inc. (Nasdaq: ITOS), clinical-stage biopharmaceutical company pioneering the discovery and development of a new generation of highly differentiated immuno-oncology therapeutics for patients, today announced a presentation featuring preliminary clinical data from 22 adult patients in the ongoing Phase 1/2a trial of its anti-TIGIT antibody, EOS-448, at the American Association of Cancer Research (AACR) Annual Meeting 2021, taking place virtually April 10-15. The presentation highlights initial findings from the completed dose escalation monotherapy portion of the trial, indicating a favorable tolerability profile and early signs of clinical activity in advanced cancers.

“We are pleased to share these data showing promising preliminary signs of clinical activity and a favorable tolerability profile with our anti-TIGIT antibody, EOS-448, in patients with advanced cancers,” said Joanne Jenkins Lager, M.D., chief medical officer of iTeos Therapeutics. “The results support our excitement around TIGIT as a therapeutic target capable of harnessing the immune system to treat patients with advanced, difficult to treat cancers. We believe the depletion of TIGIT$^+$ suppressive and exhausted cells shown at even the lowest tested dose provides evidence of engagement of the FcγR, and therefore the potential of EOS-448 to activate multiple immune mechanisms. Based on these encouraging results, we are enrolling a total of 40 patients in this study to evaluate the effects of EOS-448 within the tumor. We are advancing EOS-448 into the next stage of clinical development as both a monotherapy and in combination for the treatment of multiple indications, with the goal of improving outcomes for people with advanced cancers.”

Summary of the Data Presented

The objective of the dose escalation portion of the ongoing EOS-448 trial, presented at AACR, is to evaluate primary objectives of safety and tolerability, and secondary objectives of pharmacokinetics (PK), pharmacodynamics (PD), and antitumor activity of EOS-448 as a monotherapy in patients with advanced solid tumor cancers. As of the data cut-off (December 31, 2020), the trial had enrolled 22 advanced cancer patients with solid tumors for whom no standard treatment was available. The patients received EOS-448 intravenously (IV) once every two weeks (Q2W) or once every four weeks (Q4W) according to their dose and schedule allocation. Doses of 20, 70, 200, 700 mg Q2W and 1400 mg Q4W were evaluated. Since the data cut-off for the AACR poster, as of March 9, 2021, an additional 11 patients have received single agent EOS-448. In addition to the five dose levels which were described at AACR, patients have also received doses of 400mg Q4w and 700mg Q4w.

EOS-448 was generally well-tolerated at all tested doses in patients with advanced cancer. Preliminary evidence of clinical activity as a monotherapy, including a confirmed partial response in one pembrolizumab-refractory melanoma patient and disease stabilization in nine patients, was also observed. The most common treatment related adverse events were itching, infusion-related reactions, fatigue, rash and fever, and one treatment related serious adverse event, a grade 2 systemic inflammatory response, was observed. As of March 9, 2020, two additional treatment-related serious events have been reported: Grade 2 Systemic Inflammatory Response and Grade 3 infusion-related reaction.

PK assessments indicated a linear and dose-proportional response and PD assessments showed complete target engagement. Biomarker analyses showed evidence of FcγR engagement, as demonstrated by a reduction in suppressive immune cells and immune cells considered to be exhausted in the blood, including TIGIT$^+$ regulatory T cells (Tregs) and TIGIT$^+$ CD8 T cells, with only a slight reduction in the total CD8$^+$ T cell count. A shift towards a more functional immune response was observed, with a two-fold increase in the ratio of CD8$^+$ T cells to Treg and a four-fold increase in the ratio of CD8$^+$ TIGIT$^-$ T cells to CD8$^+$ TIGIT$^+$ T cells.

“I am highly encouraged by these initial results from the EOS-448 trial, particularly the clinically meaningful response to treatment in the pembrolizumab-refractory melanoma patient,” said Mario Sznol, M.D., professor of medicine and leader, Melanoma/RCC Disease-Associated

Research Team, at Yale University. “The treatment of patients who develop resistance to checkpoint inhibitors is challenging in a number of tumor types, and these data give us hope that EOS-448 could provide benefit in adult solid tumor patients who don’t respond to or who progress on current checkpoint inhibitors.”

The e-poster and abstract can be accessed on the AACR conference website. The abstract and presentation details are as follows:

Title: Preliminary data from Phase I first-in-human study of EOS884448, a novel potent anti-TIGIT antibody, monotherapy shows favorable tolerability profile and early signs of clinical activity in immune-resistant advanced cancer
Session: Phase I Clinical Trials
Poster #: CT118
Authors: Tom Van den Mooter, et al.

The Company will host a conference call and webcast to provide an overview of the data on Monday, April 12 at 8:00 a.m. EDT. Details are as follows:

Participant Dial-In: (833) 607-1661
International Dial-In: (914) 987-7874
Conference ID: 2888301
Webcast: https://edge.media-server.com/mmc/p/ke2wtf4w

The abstract was posted online at 12:01 a.m. EDT on Friday, April 9 and the e-poster launched at 8:30 a.m. EDT on Saturday, April 10 on the AACR conference website.

EOS-448 Further Clinical Development Plans
Based on these preliminary results, the Company plans to advance EOS-448 using combination trials in both checkpoint-naïve and resistant patients. These Phase 1b trials will assess the safety of EOS-448 in combination with pembrolizumab and in combination with iTeos novel agent inupadenant in patients with solid tumors, and as a monotherapy and in combination with an Immunomodulatory Drug (IMiD) in patients with multiple myeloma. Subsequent disease-specific Phase 2a trials are planned in patients with non-small cell lung cancer, head and neck cancer, melanoma, and myeloma. The Company is also planning for later-stage trials of EOS-448, including in combination with pembrolizumab.

About iTeos Therapeutics, Inc.
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 cancer immunology and immunosuppressive pathways to design novel product candidates with the potential to fully restore the immune response against cancer. The Company’s innovative pipeline includes two clinical-stage programs targeting novel, validated immuno-oncology pathways designed with optimized pharmacologic properties for improved clinical outcomes. The initial antibody product candidate, EOS-448, is a high affinity, potent, anti-TIGIT antibody with a functional Fc domain, designed to enhance the anti-tumor response through a multifaceted immune modulatory mechanism. An open-label Phase 1/2a clinical trial of EOS-448 is ongoing in adult cancer patients with advanced solid tumors with preliminary data indicating clinical activity as a monotherapy and a favorable tolerability profile. The Company is also advancing inupadenant, a next-generation adenosine A2A receptor antagonist tailored to overcome cancer immunosuppression. iTeos is conducting an open-label multi-arm Phase 1/2a clinical trial of inupadenant in adult cancer patients with advanced solid tumors. Preliminary results indicate encouraging single-agent activity in the dose escalation portion of the trial. iTeos Therapeutics is headquartered in Cambridge, MA with a research center in Gosselies, Belgium.

Forward-Looking Statement
This press release may contain forward-looking statements and information within the meaning of The Private Securities Litigation Reform Act of 1995 and other federal securities laws, including express or implied statements regarding the Company’s future expectations, plans and prospects, including, without limitation, statements regarding expectations and plans for presenting clinical data, projections regarding our long-term growth, the anticipated timing of our clinical trials and regulatory filings, the development of our product candidates and advancement of our clinical programs, as well as other statements containing words such as “may,” “will,” “could”, “should,” “expects,” “intends,” “plans,” “anticipates,” “believes,” “estimates,” “predicts,” “projects,” “seeks,” “endeavor,” “potential,” “continue” or the negative of such words or other similar expressions that can be used to identify forward-looking statements. The express or implied forward-looking statements included in this press release are only predictions and are subject to a number of risks, uncertainties and assumptions, including, without limitation: uncertainties inherent in clinical studies and in the availability and timing of data from ongoing clinical studies; whether interim results from a clinical trial will be predictive of the final results of the trial; whether results from pre-clinical studies or earlier clinical studies will be predictive of the results of future trials; the expected timing of submissions for regulatory approval or review by governmental authorities; whether the Company will receive regulatory approvals to conduct trials or to market products; whether the Company's cash resources will be sufficient to fund its foreseeable and unforeseeable operating expenses and capital expenditure requirements; risks, assumptions and uncertainties regarding the impact of the continuing COVID-19 pandemic on the Company’s business, operations, strategy, goals and anticipated timelines, the Company’s ongoing and planned pre-clinical activities, the Company’s ability to initiate, enroll, conduct or complete ongoing and planned clinical trials, the Company’s timelines for regulatory submissions and the Company’s financial position; and other risks concerning the Company’s programs and operations set forth under the caption “Risk Factors” in the Company’s Annual Report on Form 10-K filed on March 24, 2021, as updated by its other filings with the Securities and Exchange Commission. In light of these risks, uncertainties and assumptions, the forward-looking events and circumstances discussed in this press release may not occur and actual results could differ materially and adversely from those anticipated or implied in the forward-looking statements. You should not rely upon forward-looking statements as predictions of future events. Although the Company believes that the expectations reflected in the forward-looking statements are reasonable, it cannot guarantee that the future results, levels of activity, performance or events and circumstances reflected in the forward-looking statements will be achieved or occur. Moreover, except as required by law, neither the Company nor any other person assumes responsibility for the accuracy and completeness of the forward-looking statements included in this press release. Any forward-looking statement included in this press release speaks only as of the date on which it was made. We undertake no obligation to publicly update or revise any forward-looking statement, whether as a result of new information, future events or otherwise, except as required by law.

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