iTeos Therapeutics Announces New Phase 1/2a Data Indicating Antitumor Activity of inupadenant, its Adenosine A2A Receptor Antagonist, at ASCO 2021

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- *Updated results from a dataset of 43 patients showed durable responses and stable disease greater than six months with inupadenant monotherapy in five patients with advanced solid tumors, including previously reported confirmed partial responses in patients with checkpoint-inhibitor resistant melanoma and heavily pretreated castrate-resistant prostate cancer, and a newly reported patient with heavily pretreated non-small cell lung cancer who had stable disease lasting more than 10 months*
- *Preliminary analyses of tumor biopsies indicated that the expression of A_{2A} receptor in pre-treatment tumor samples is associated with clinical outcome in patients with solid tumors treated with single agent inupadenant*
- *Single-agent administration of inupadenant was well tolerated, consistent with the previously reported safety data*
- *Company will continue to evaluate inupadenant and the A_{2A} receptor biomarker in ongoing Phase 1b/2a trial in combination with pembrolizumab and in combination with chemotherapy*

CAMBRIDGE, Mass. and GOSSELIES, Belgium, June 04, 2021 (GLOBE NEWSWIRE) -- iTeos Therapeutics, Inc. (Nasdaq: ITOS), a clinical-stage biopharmaceutical company pioneering the discovery and development of a new generation of highly differentiated immuno-oncology therapeutics for patients, today announced new clinical data from its ongoing Phase 1/2a clinical trial of inupadenant (EOS-850), a next-generation adenosine receptor ($A_{2A}R$) antagonist, at the American Society of Clinical Oncology (ASCO) Annual Meeting 2021. Updated results from the single-agent dose-escalation and expansion portions of the trial provided evidence of durable antitumor activity in patients with advanced solid tumors and indicated safety consistent with previously reported results. Three serious adverse events considered possibly related to treatment with inupadenant had plausible alternate causes and do not represent a new safety concern for the program. Additionally, preliminary analyses of pre-treatment tumor biopsies indicated that the expression of $A_{2A}R$ is associated with clinical outcomes in patients with solid tumors treated with single agent inupadenant.

“We are pleased with the durability of the anti-tumor responses we have observed to date with our highly selective $A_{2A}R$ antagonist, inupadenant, in patients with advanced cancers. These early-stage results support the development for the treatment of cancer of inupadenant, a selective inhibitor of $A_{2A}R$, which is known to play a crucial role in immunosuppression in the tumor microenvironment.” said Joanne Jenkins Lager, M.D., chief medical officer of iTeos Therapeutics. “We have used a proprietary assay to identify $A_{2A}R$ expression as a biomarker that may be predictive of clinical benefit. These new biomarker findings provide insight into the mechanism of action of inupadenant, informing our selection of potential indications, and may allow us to identify patients more likely to benefit from inupadenant. We are continuing to evaluate combinations with pembrolizumab and chemotherapy in our ongoing Phase 1b/2a trial with the goal of improving outcomes for patients.”

Phase 1/2a monotherapy Study Design and Results
The ongoing Phase 1/2a trial is evaluating the safety, tolerability, pharmacokinetics (PK), pharmacodynamics (PD) and antitumor activity of inupadenant monotherapy to define the maximum tolerated dose (MTD) and recommended Phase 2 dose of inupadenant as a single agent and in combination with pembrolizumab and/or chemotherapy in patients with advanced solid tumors. As of the data cut-off (February 26, 2021), 43 patients had enrolled in the single-agent dose-escalation and expansion parts of the study.

Results presented at ASCO 2021 provided an update on 21 patients enrolled in the single-agent dose-escalation and new data on 22 patients enrolled in the dose expansion.

Durable responses and stable disease greater than six months were observed in five patients with advanced solid tumors, including:

- previously reported partial responses: ongoing for more than 12 months in one patient with castrate-resistant prostate cancer, and lasting for more than 8 months in one patient with melanoma resistant to both pembrolizumab and ipilimumab; and
- stable disease in a patient with non-small cell lung cancer enrolled in the expansion, with ongoing treatment for more than 10 months.

The safety of inupadenant monotherapy was consistent with previously presented data. The most frequent adverse events were fatigue, anemia, decreased appetite and constipation. Drug-related serious adverse events (acute myocardial infarction, atrial fibrillation, and pericardial effusion) were reported in three of the 43 enrolled patients.

Evaluation of pre-treatment biopsies indicated that higher expression of $A_{2A}R$ was associated with longer survival and either tumor regression or stable tumor size in patients with solid tumors treated with single agent inupadenant.

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

Title: Phase 1 trial of the adenosine A_{2A} receptor antagonist inupadenant (EOS-850): Update on tolerability, and antitumor activity potentially associated with the expression of the A_{2A} receptor within the tumor.
Session Title: Developmental Therapeutics—Immunotherapy
Abstract #: 2562
Authors: Laurence Buisseret, et al.

Further Clinical Development of Inupadenant
Based on the promising Phase 1/2a data to date, iTeos plans to further evaluate inupadenant in combination with pembrolizumab and in combination with chemotherapy in Phase 1b/2 studies, with an initial focus on patients with castrate-resistant prostate cancer, anti-PD-1-resistant melanoma and triple negative breast cancer. iTeos will continue to evaluate $A_{2A}R$ and other potential predictive biomarkers in the inupadenant clinical development program to ensure optimal therapeutic combinations and identify patients most likely to benefit from treatment.

About Inupadenant
Elevated levels of adenosine found in the tumor microenvironment are known to be immunosuppressive, by inhibiting $A_{2A}R$, the only high-affinity adenosine receptor expressed on different immune cells found in the tumor micro-environment. Inupadenant (EOS-850) is the first insurmountable $A_{2A}R$ antagonist tailored for application in immuno-oncology, currently in clinical development. Inupadenant was designed by iTeos' scientists to remain potent at the high adenosine concentrations found in the tumor micro-environment and maintain continuous target coverage in multiple tumor types. Inupadenant has a very high selectivity for $A_{2A}R$ compared to the other adenosine receptors and is non brain penetrant, two characteristics that should improve its safety. With this profile, we believe that inupadenant has the potential for enhanced antitumor activity as compared to other $A_{2A}R$ antagonists currently in clinical development.

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 the tumor microenvironment 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 A_{2A} receptor antagonist tailored to overcome cancer immunosuppression. iTeos is conducting an open-label, multi-arm Phase 1/2a clinical trial of inupadenant as a single-agent and in combinations 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 Statements
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 Quarterly Report on Form 10-Q filed on May 13, 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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