iTeos Therapeutics to Present New Preclinical Data from A2A Receptor Antagonist and Anti-TIGIT Antibody Programs at Molecular Medicine Tri-Conference

February 9, 2018

Two Phase 1 trials to start in 2018 for A_{2A} receptor antagonist and IDO1 inhibitor

Gosselies, Belgium – February 9, 2018 – iTeos Therapeutics SA, a biotechnology company developing novel cancer immunotherapies, today announces that it will present new data for its A_{2A} antagonist and anti-TIGIT antibody programs in two oral presentations at the upcoming Molecular Medicine Tri-Conference 2018, taking place from February 11-16, 2018 in San Francisco, CA.

"The preclinical data to be presented further demonstrate the potential of our insurmountable and non-brain penetrant A_{2A} receptor antagonist in combination with immune checkpoints. With our anti-TIGIT antibody program, we saw anti-tumor efficacy and reactivation of T-cells in preclinical models which is encouraging for the potential of this product as a monotherapy, combining immune-modulation and antibody dependent, cell-mediated cytotoxicity," said Michel Detheux, Ph.D., Chief Executive Officer of iTeos. "Our team's deep experience, along with the commitment and support of our investors, will allow us to pursue an aggressive development strategy for our best-in-class pipeline in the near-term. With full rights to our IDO1 inhibitor back in-house and the advancement of our A2A receptor antagonist program into the clinic in the third quarter, we will have two leading candidates in clinical trials in 2018."

Adenosine A2A Receptor Inhibitor (EOS100850): Best-in-class A2A receptor antagonist program currently being evaluated for safety and efficacy in preclinical models with a Phase I trial expected to begin in 2018.

Presentation Title: *EOS100850, a Best-in-Class, Insurmountable and Non-Brain Penetrant A_{2A} Receptor Antagonist, Inhibits Adenosine-Mediated T Cell Suppression and Exhibits Anti-Tumor Activity*
Date & Time: Monday, February 12, 2018
Authors: Grégory Driessens
Poster Number: 36

Key Takeaways:

- EOS100850 potently inhibits A_{2A} receptor in T lymphocytes, at single digit nanomolar concentrations, independently of adenosine concentrations
- EOS100850 potently rescues Th1 cytokine production in human whole blood treated by A_{2A} agonists, and increased CD8+ T cell cytotoxicity in a co-culture assay of effector CD8+ T cells and target cancer cells
- EOS100850 does not penetrate the brain
- In a mouse lymphoma model, combined with anti-PD-L1, EOS100850 showed significant enhancement of anti-tumoral activities compared with anti-PD-L1 alone

Human Anti-TIGIT Antibody (EOS884448): Antagonist antibody against human TIGIT that demonstrates a classical human IgG pharmacokinetics profile. It is currently being evaluated for safety and efficacy in preclinical models with a Phase I trial expected to begin in 2019.

Presentation Title: *EOS884448 a novel ADCC-Enabling Antibody Targeting TIGIT Restores T Cell Effector Functions and Displays Anti-Tumor Efficacy*
Date & Time: Wednesday, February 14, 2018
Authors: Grégory Driessens
Poster Number: 35

Key Takeaways:

- EOS884448 binds to human TIGIT and prevents binding of natural ligand at sub-nanomolar concentrations
- EOS884448 rescues activation of T cells from cancer patients PBMCs and TILs
- EOS884448 induces preferential depletion of Treg
- Surrogate mouse a-TIGIT shows potent antitumor efficacy that depends on Ab isotype

IDO1 Inhibitor (EOS200271): Synthetic, small molecule inhibitor of indoleamine 2,3-dioxygenase (IDO1) to trigger immune-modulation in the tumor micro-environment.

IDO1 inhibitors are one of the most promising immuno-oncology drugs currently in development. This asset is one of five IDO1 inhibitors in clinical development worldwide and EOS200271 is the only IDO1 inhibitor with excellent brain penetration confirmed in patients. EOS200271 is a unique asset and iTeos is evaluating its potential in different indications and combinations with the intention of resuming Phase 1 in 2018. A further update will be provided on clinical development plans in due course.

About iTeos' Adenosine A 2A Receptor Inhibitor (EOS100850)

The adenosine A2A receptor is the main adenosine receptor expressed on immune cells, which promotes immune suppression, leading to tumor evasion. iTeos' best-in-class A2A receptor antagonist, EOS100850, restores T-cell activation inhibited by adenosine. EOS100850 promotes anti-tumor efficacy in mouse tumor models in combination with several immune-checkpoint inhibitors, retains high potency in the presence of elevated intra-tumoral adenosine concentrations, and is non-brain penetrant. EOS100850 is currently being evaluated for safety and efficacy in preclinical models.

About iTeos' Human Anti-TIGIT Antibody (EOS884448)

TIGIT is an immunosuppressive receptor expressed on lymphoid cell populations. TIGIT expression increases in cancer patients and marks exhausted T cells. EOS884448 is an antagonist antibody against human TIGIT. Preclinical studies show its potency to restore T cell function and to preferentially deplete Treg cells in cancer patient material. ADCC/ADCP-enabling isotypes of a-TIGIT surrogate Ab show potent monotherapy efficacy in murine tumor models that correlates to increased T cell activation and reduced Treg infiltration of tumors. EOS884448 demonstrates classical human IgG pharmacokinetics profile and a good developability profile. EOS884448 is currently being evaluated for safety and efficacy in preclinical models.

About iTeos' IDO1 Inhibitor (EOS200271)

EOS200271 is currently in Phase 1 clinical trials, which will be expanded in 2018. The drug candidate is a synthetic, small molecule inhibitor of indoleamine 2,3-dioxygenase (IDO1) that also inhibits IDO1 in the tumor micro-environment to trigger immune-modulation. EOS200271 is distinguished through its high level of brain penetration confirmed in clinical trials. EOS200271 has demonstrated anti-tumor activity in multiple preclinical tumor models in monotherapy and in combination with immune checkpoint inhibitors. EOS200271 was originally licensed from iTeos to Pfizer in December 2014, and was returned to iTeos in 2017.

About iTeos Therapeutics

Starting operations in 2012, iTeos Therapeutics is a clinical-stage biopharmaceutical company dedicated to extending and improving the lives of cancer patients by developing immunotherapies by design. iTeos is developing EOS200271, a clinical-stage potent and selective IDO1 inhibitor with exceptional brain penetration. The company is also advancing EOS100850, an insurmountable and non-brain penetrant adenosine A_{2A} receptor antagonist, into a Phase 1 trial in the second half of 2018; and a human ADCC-enabling anti-TIGIT antibody (EOS884448), representing an additional, third clinical entry in 2019. Based in Gosselies, Belgium, iTeos Therapeutics was founded and supported in part by investments from the Ludwig Institute Cancer Research (LICR), the Walloon Region of Belgium and the European Fund for Economic and Regional Development (FEDER). For more information, please visit www.iteostherapeutics.com.

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