



iTeos Therapeutics Presents Data from First-in-Human Study of A_{2A} Receptor Antagonist at AACR Virtual Annual Meeting 2020

- Initial data from the dose escalation portion of the Phase 1/2a trial in 21 cancer patients with advanced solid tumors shows EOS-850 was well tolerated with no dose-limiting toxicities observed –*
- EOS-850 showed preliminary single-agent clinical benefit in seven patients who continue to present with at least stable disease; two partial responses in heavily-pretreated patients are ongoing –*
- Identification of recommended Phase 2 dose showing strong foundation for planned expansion cohorts to evaluate EOS-850 as a monotherapy and in combination for several solid tumor indications –*

Gosselies, Belgium and Cambridge, MA – April 27, 2020. iTeos Therapeutics, a privately-held clinical-stage biotechnology company developing novel cancer immunotherapies, announced today that it will present initial data from the Phase 1 portion of the study of its selective and differentiated A_{2A} receptor antagonist, EOS-850, in a poster presentation at the American Association of Cancer Research (AACR) Virtual Annual Meeting 2020.

“We are excited by these data from the Phase 1 portion of the study, in which our highly selective A_{2A} antagonist, EOS-850, had a favorable tolerability profile, as well as promising clinical responses, in cancer patients with advanced solid tumors,” **said Joanne Jenkins Lager, M.D., Chief Medical Officer of iTeos Therapeutics.** “We have established a recommended Phase 2 dose that was well tolerated and demonstrated favorable target coverage. The single-agent activity, demonstrated by two partial responses in heavily pretreated patients, shows that EOS-850 is an active drug with a good therapeutic index. These data set a strong foundation for moving into the next stage of development in several expansion cohorts, whether as a single agent or in combination with Merck’s KEYTRUDA® or chemotherapy standard of care agents.”

The Phase 1 dose escalation portion of the [EOS-850 trial](#) enrolled 21 advanced cancer patients with solid tumors. The trial was a 3 + 3 design and patients were enrolled in five dose levels, receiving EOS-850 orally either once a day (QD) or twice a day (BID). Additional patients could be enrolled at previously cleared dose levels to better define safety, pharmacokinetics and pharmacodynamics. The dose levels tested were 20 mg and 40 mg QD, and 40 mg, 80 mg and 160 mg BID.

The primary objectives of the Phase 1 portion of the study were to evaluate the safety and tolerability of EOS-850 and to determine a recommended Phase 2 dose. Secondary study objectives include pharmacokinetic and pharmacodynamic assessment of EOS-850 monotherapy and monotherapy efficacy activity of EOS-850.

Summary of the Data Presented

All QD and BID dose levels were well-tolerated with no grade 3 or 4 drug-related adverse events. No dose limiting toxicities were observed. Assessment of the pharmacodynamic



effects of EOS-850 in assays assessing phosphorylation of CREB and cytokine production, performed by taking blood samples from patients at various time points following administration, demonstrated sustained inhibition of the A_{2A} receptor. Pharmacokinetic analysis demonstrated good dose-proportionality through 80mg BID, the recommended Phase 2 dose.

EOS-850 demonstrated preliminary evidence of single-agent activity in seven patients, each of whom had at least stable disease. Ongoing partial responses were seen in a patient with heavily pre-treated checkpoint inhibitor-refractory melanoma and in a patient with metastatic hormone- and chemotherapy-resistant prostate cancer.

The abstract and video presentation details are as follows:

- **Title:** First in human study with EOS100850, a novel potent A_{2A} antagonist, shows excellent tolerance and clinical benefit in immune resistant advanced cancers (CT152)
- **Session:** Phase I Clinical Trials
- **Abstract #:** 10228
- **Authors:** Laurence Buisseret, et al.

The video presentation and full abstract will be available on the [AACR conference website](#) as of 9:00 AM ET on Monday, April 27th.

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

EOS-850 Further Clinical Development Plans

The primary and secondary endpoints of the dose escalation portion of the Phase 1/2a trial have been met at the recommended Phase 2 dose of 80 mg BID. Based on these results, as well as the partial responses observed in two cancer patients with advanced disease, the Company is moving into the expansion cohorts of the study. These cohorts will test EOS-850 as a single agent and in combination with KEYTRUDA® (pembrolizumab) and in combination with chemotherapy. Indications for testing include checkpoint-resistant melanoma, metastatic hormone-resistant prostate cancer, triple-negative breast cancer, endometrial cancer and non-small cell lung cancer. The combination studies will include a safety evaluation of the combinations and then enroll patients in two-stage tumor-specific expansions. Preliminary results of these expansions are expected in the first half of 2021.

About iTeos Therapeutics

iTeos Therapeutics is a privately-held, clinical-stage biopharmaceutical company dedicated to transforming the lives of people living with cancer by designing and developing next generation immunotherapies targeting two key resistance pathways to checkpoint therapy: the adenosine pathway and regulatory T cells (Tregs). The Company's lead program, EOS-850, is a potentially best-in-class adenosine A_{2A} receptor antagonist currently in a Phase 1/2a trial. Its second program, a fully human ADCC-enabling anti-TIGIT antibody (EOS-448), entered the clinic in February 2020. The Company recently 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 more information, please visit www.iteosterapeutics.com.

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