Entasis Therapeutics Initiates Clinical Studies of the Oral Extended-Spectrum Beta-Lactamase Inhibitor ETX0282

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WALTHAM, Mass. — May 14, 2018 — Entasis Therapeutics, a clinical-stage biopharmaceutical company focused on the discovery and development of novel antibacterial products, today announced initiation of a Phase 1 clinical trial of its novel oral beta-lactamase inhibitor ETX0282. ETX0282CPDP, the combination of ETX0282 with cefpodoxime, is being developed as an oral therapy for infections caused by multidrug-resistant (MDR) Gram-negative pathogens, including MDR and carbapenem-resistant *Enterobacteriaceae* (CRE). The trial will evaluate the safety, tolerability and pharmacokinetics of ETX0282 and ETX0282CPDP in healthy volunteers.

“The initiation of clinical studies for ETX0282, what we believe is the first broad-spectrum oral beta-lactamase inhibitor to enter the clinic, marks an important milestone for Entasis and a meaningful step toward the development of an effective oral therapy for patients suffering from drug-resistant bacterial infections,” said Manos Perros, Ph.D., President and Chief Executive Officer of Entasis. “By providing the option of an effective course of oral antibiotic treatment, EXT0282CPDP has the potential to benefit patients as well as the healthcare systems by reducing the risk of nosocomial infections and avoiding the healthcare costs associated with hospitalizations.”

Robin Isaacs, M.D., Chief Medical Officer of Entasis, said “We are excited to initiate this Phase 1 trial, which we expect will begin to establish the safety, tolerability and pharmacokinetic profile of ETX0282CPDP in humans. We believe that ETX0282CPDP has the potential to provide an effective oral therapy option for patients with complicated urinary tract infections due to MDR *Enterobacteriaceae*.”

About the Phase 1 Clinical Trial
The Phase 1 clinical trial is a randomized, double-blind, placebo-controlled study of ETX0282 in healthy subjects. The trial is designed to evaluate the safety, tolerability and pharmacokinetics of ETX0282 alone and in combination with cefpodoxime. The trial is being conducted in Australia and is expected to be completed in the first half of 2019. More information about this clinical trial is available on www.clinicaltrials.gov (ClinicalTrials.gov Identifier: NCT03491748).

About ETX0282CPDP
ETX0282 is an orally available, broad spectrum inhibitor of Class A and C beta-lactamases. Entasis is developing ETX0282 in combination with cefpodoxime, an orally available cephalosporin approved for treatment of a variety of bacterial infections. Cefpodoxime’s clinical utility is currently limited by beta-lactamase-mediated resistance. In preclinical studies, ETX0282 restored cefpodoxime’s antimicrobial activity against a variety of pathogens, including *Enterobacteriaceae* resistant to fluoroquinolones, cephalosporins and carbapenems. Entasis is initially developing ETX0282CPDP, the combination of ETX0282 and cefpodoxime, for the treatment of infections caused by *Enterobacteriaceae*, including multidrug-resistant and carbapenem-resistant *Enterobacteriaceae* (CRE). ETX0282CPDP is partially supported by an award from the Combating Antibiotic Resistant Bacteria Biopharmaceutical Accelerator program (CARB-X).

About Entasis Therapeutics Inc.
Entasis is a clinical-stage biopharmaceutical company focused on the discovery, development and commercialization of novel antibacterial products to treat serious infections caused by multidrug-resistant Gram-negative bacteria. Entasis’ targeted-design platform has produced a pipeline of product candidates, including ETX2514SUL (targeting A. *baumannii* infections), ETX0282CPDP (targeting *Enterobacteriaceae* infections), and zoliflodacin (targeting *Neisseria gonorrhoeae*). Entasis is also using its platform to develop a novel class of non-?-lactam penicillin-binding protein inhibitors (NBPs) targeting Gram-negative infections. For more information, visit www.entasistx.com.

About CARB-X
CARB-X is the world's largest public-private partnership devoted to early stage antibacterial research and development. Funded by ASPR/BARDA and Wellcome Trust, with in-kind support from NIAID, CARB-X is investing up to $455 million from 2016-2021 to support innovative products from ‘hit-to-lead’ phase through to Phase 1 clinical trials. CARB-X focuses on high priority drug-resistant bacteria, especially Gram-negatives. CARB-X operates through Boston University. Other partners include RTI International, the Broad Institute of Harvard and MIT, MassBio and the California Life Sciences Institute (CLSI). For more information, visit www.carb-x.org.

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