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Tokai Pharmaceuticals Initiates ARMOR Clinical Development Program for TOK-001; First Ever Multi-Target Investigational Drug for Prostate Cancer

TOK-001 Offers Unique Multi-Target Approach Combining Three Separate Mechanisms of Action in One Compound; Phase 1/2 Trial Begins in Castration Resistant Prostate Cancer

CAMBRIDGE, Mass., November 10, 2009 -- Tokai Pharmaceuticals, Inc., a biopharmaceutical company focused on developing new treatments for prostate cancer, today announced the initiation of a Phase 1/2 clinical trial of its lead candidate TOK-001 for the treatment of patients with castration resistant prostate cancer (CRPC). TOK-001 is the only compound in development that combines three distinct mechanisms of action for the treatment of CRPC. CRPC is an advanced, difficult-to-treat form of prostate cancer that does not respond to prostate cancer therapies. Nearly all men initially diagnosed with prostate cancer eventually advance to CRPC. Prostate cancer is the most frequently diagnosed cancer and the second leading cause of cancer related deaths among men in the U.S.

“TOK-001 delivers a three-pronged attack on CRPC cells, and has shown very promising results in preclinical models of prostate cancer,” said Seth Harrison, M.D., chairman and acting chief executive officer of Tokai and managing general partner of Apple Tree Partners. “This proprietary multi-target mechanism marks a novel and promising approach to both treating CRPC and addressing the clear unmet medical need for a safe and effective CRPC therapy. We are looking forward to building upon our promising preclinical safety and efficacy data to establish clinical safety and proof of concept in this tumor type.”

In preclinical studies, TOK-001 demonstrated a novel mechanism of action acting in three distinct ways to treat prostate cancer: as an androgen receptor antagonist, as a CYP17 lyase inhibitor and by decreasing overall androgen receptor levels in prostate cancer tumors. Androgen receptor antagonists have demonstrated clinical effectiveness in the treatment of prostate cancer, with one currently available to patients and another in

Phase 3 clinical trials. There is also a CYP17 lyase inhibitor currently in Phase 3 clinical trials and the compound has demonstrated reduction in prostate-specific antigen (PSA) levels. Notably, TOK-001 is the first investigational new drug that decreases androgen receptor levels in prostate cancer cells and the only prostate cancer compound in development in which all three of these distinct mechanisms are combined in one drug. In fact, in preclinical models, TOK-001 has demonstrated improved efficacy compared with any individual therapy or investigational agent in development to treat prostate cancer.

"For patients suffering from advanced castration resistant prostate cancer, chemotherapy is the only option at this point that has been shown to prolong survival. There is an urgent need for new treatments for patients with prostate cancer since these chemotherapeutic agents extend survival for only a few months," said Philip Kantoff, M.D., chief clinical research officer, chief, division of solid tumor oncology, and director, Lank Center for Genitourinary Oncology at the Dana-Farber Cancer Institute; and professor of medicine, Harvard Medical School. "We now have a better understanding of the unique mechanisms by which prostate cancer tumors survive. Androgen signaling remains critical to the survival of prostate cancer cells even in the castration resistant state. Preclinical studies suggest that TOK-001 can disrupt these unique mechanisms. We look forward to the results from this trial and learning more about the potential role TOK-001 may play for those patients facing castration resistant prostate cancer."

About ARMOR

ARMOR (Androgen Receptor Modulation Optimized for Response) is Tokai's clinical program for the evaluation of TOK-001. The first clinical trial in that program, ARMOR1, is a Phase 1/2 open-label trial that will assess both safety and efficacy of once-daily treatment with TOK-001 in patients with CRPC. The Phase 1 component of the trial is a dose-finding study to evaluate escalating dose levels of TOK-001 and is expected to enroll nine patients. The Phase 2 portion of the trial is expected to enroll 40 patients, who will receive one of two target dosing regimens as identified by the Phase 1 results. The primary endpoints of the Phase 1/2 trial are safety and reduction in PSA levels from baseline levels measured at first visit. Patients who respond to therapy will have the opportunity to continue treatment with TOK-001 in an extension arm of the trial.

"Patients, their families and physicians dealing with resistant prostate cancer are looking for a treatment that is well-tolerated, safe and effective against this disease," said Bruce Montgomery, M.D., lead investigator of ARMOR1 and associate professor, University of Washington School of Medicine. "The standard therapeutic options for this disease are limited and often toxic, and this clinical trial signals an exciting development for patients battling resistant prostate cancer and potentially other forms of prostate cancer."

The Phase 1/2 trial is being conducted at leading prostate cancer treatment centers in the United States, including the Cancer Centers of the Carolinas/Greenville Hospital System University Medical Center, Comprehensive Cancer Centers of Nevada, Dana-Farber Cancer Institute, Fred Hutchinson/University of Washington Cancer Consortium, Roswell Park Cancer Institute, San Bernardino Urological Associates, Sidney Kimmel

Comprehensive Cancer Center and University of California, Los Angeles. Please refer to www.clinicaltrials.gov for clinical trial details and enrollment information.

About Castration Resistant Prostate Cancer (CRPC)

According to the American Cancer Society, prostate cancer is the most frequently diagnosed cancer among men in the United States and is the second leading cause of cancer related death in this group. Prostate cancer tumors are unique in that their growth is fueled by androgens, or male sex hormones, which are produced mainly in the testes. Current therapies designed to reduce androgens by medical or surgical castration can be effective initially in controlling prostate cancer, but resistance to these therapies usually occurs, rendering them ineffective after several years. CRPC is an advanced form of prostate cancer that does not respond to first-line prostate cancer treatment and continues to thrive after a period of successful castration therapy. It is estimated that there are 60,000 new cases of CRPC in the United States annually. The only therapy currently approved for the treatment of progression of CRPC is the chemotherapeutic docetaxel. New therapies are needed to specifically address the multiple mechanisms that allow CRPC tumors to grow.

About TOK-001

TOK-001 is a small molecule, oral drug that disrupts the growth and survival of cancer cells by attacking three specific targets in the prostate cancer tumor, providing a novel and proprietary triple mechanism of action for the treatment of prostate cancer. In preclinical studies, TOK-001 acts as an androgen receptor antagonist, as a CYP17 lyase inhibitor and decreases androgen receptor levels in prostate tumors – the only drug in development that has been shown to exhibit this property. In TOK-001 these three distinct mechanisms of action are combined in one therapy.

About Tokai Pharmaceuticals

Tokai Pharmaceuticals is a U.S. biopharmaceutical company focused on developing new treatments for prostate cancer. The company's lead drug candidate, TOK-001, is the first investigational new drug that can decrease overall androgen receptor levels in prostate tumors and in which three distinct mechanisms of action are combined in one oncotherapeutic. TOK-001 is currently in clinical development and is being studied in patients with castration resistant prostate cancer. Privately held Tokai is based in Cambridge, Massachusetts and is backed by Apple Tree Partners and Novartis Venture Fund. For more information on the company and TOK-001, please visit www.tokaipharma.com.

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