



CB-1158 (INCB01158) Phase I Solid Tumor Data Presented at the American Society of Clinical Oncology Annual Meeting

June 5, 2017

Oral exposure and effective target inhibition achieved

SOUTH SAN FRANCISCO, Calif., June 05, 2017 (GLOBE NEWSWIRE) -- Calithera Biosciences, Inc. (Nasdaq:CALA), a clinical stage biotechnology company focused on the development of novel cancer therapeutics, announced that clinical data from its product candidate CB-1158, a first-in-class arginase inhibitor, will be presented in an oral presentation at the American Society of Clinical Oncology Annual Meeting (ASCO), in Chicago, Illinois. The data demonstrate the safety, tolerability and target engagement of CB-1158 (INCB01158) in patients with advanced solid tumors.

"Arginase-expressing tumor-infiltrating myeloid cells have been shown to play an important role in suppressing the immune system in the tumor microenvironment. In this first-in-human Phase 1 trial of the oral arginase inhibitor CB-1158, we have demonstrated CB-1158's bioavailability and near complete inhibition of plasma arginase activity," said Susan Molineaux, PhD, President and Chief Executive Officer of Calithera. "We look forward to completing the monotherapy dose expansion in tumor types of interest, and initiating combination cohorts with other immune-modulatory therapies."

As of the data cut off of April 24, 2017, a total of 17 patients with advanced solid tumors had received single agent doses ranging from 50 to 150 mg twice a day (BID) in the ongoing Phase 1 trial. CB-1158 was generally well tolerated with no drug-related serious adverse events. Treatment related adverse events were limited to one case each of Grade 1 anemia, fatigue, increased ALT and myalgia. No Grade 3 treatment related adverse events were reported. Reversible, asymptomatic elevations of urinary orotic acid, a highly sensitive marker of urea cycle inhibition, were observed in two patients at 150 mg bid. Plasma levels of arginase were inhibited >90% in all patients, and in 10 of 11 patients plasma arginine increased 1.5 fold or more. The pharmacokinetics support BID dosing of CB-1158, as currently tested doses continuously maintained targeted levels of arginase inhibition. Preliminary observation of peripheral immune modulation will be further explored.

"CX-1158-101: A first-in-human phase 1 study of CB-1158, a small molecule inhibitor of arginase, as monotherapy and in combination with an anti-PD-1 checkpoint inhibitor in patients with solid tumors," by lead author Kyriakos Papadopoulos from South Texas Accelerated Research Therapeutics is in the developmental therapeutics session at 1:45 p.m. CT Monday, June 5, 2017 (Abstract #3005).

About Arginase

Arginase is an enzyme produced by immunosuppressive myeloid cells, including myeloid-derived suppressor cells (MDSCs) and neutrophils, which prevents T-cell and natural killer (NK) cell activation in tumors. Arginase exerts its immunosuppressive effect by depleting the amino acid arginine in the tumor microenvironment, which subsequently prevents activation and proliferation of the immune system's cytotoxic T-cells and NK-cells. Inhibition of arginase activity reverses this immunosuppressive block and restores T-cell function. In preclinical models, arginase inhibition has been shown to enhance anti-tumor immunity and inhibit tumor growth. CB-1158 (INCB01158) is being developed in a global collaboration with Incyte Corporation.

About Calithera Biosciences

Calithera Biosciences, Inc. is a clinical-stage pharmaceutical company focused on discovering and developing novel small molecule drugs directed against tumor metabolism and tumor immunology targets for the treatment of cancer. Calithera's lead product candidate, CB-839, is an inhibitor of glutaminase. CB-839 takes advantage of the pronounced dependency many cancers have on the nutrient glutamine for growth and survival. It is currently being evaluated in Phase 1/2 clinical trials in combination with standard of care agents. CB-1158 is an investigational immuno-oncology metabolic checkpoint inhibitor designed to target arginase, a critical immunosuppressive enzyme responsible for T-cell suppression by myeloid-derived suppressor cells (MDSCs). Arginase depletes arginine, a nutrient that is critical for the activation, growth and survival of the body's cancer-fighting immune cells, known as cytotoxic T-cells. CB-1158 is being developed in collaboration with Incyte Corporation and is currently in a Phase I clinical trial. Calithera is headquartered in South San Francisco, California. For more information about Calithera, please visit <http://www.calithera.com/>.

Forward Looking Statements

Statements contained in this press release regarding matters that are not historical facts are "forward-looking statements" within the meaning of the Private Securities Litigation Reform Act of 1995. Words such as "may," "will," "expect," "anticipate," "estimate," "intend," "poised" and similar expressions (as well as other words or expressions referencing future events, conditions, or circumstances) are intended to identify forward-looking statements. These statements include those related to the Company's clinical pipeline of novel cancer therapies. Because such statements are subject to risks and uncertainties, actual results may differ materially from those expressed or implied by such forward-looking statements. The potential product candidates that Calithera develops may not progress through clinical development or receive required regulatory approvals within expected timelines or at all. In addition, clinical trials may not confirm any safety, potency or other product characteristics described or assumed in this press release. Such product candidates may not be beneficial to patients or successfully commercialized. The failure to meet expectations with respect to any of the foregoing matters may have a negative effect on Calithera's stock price. Additional information concerning these and other risk factors affecting Calithera's business can be found in Calithera's most recent Quarterly Report on Form 10-Q filed with the Securities and Exchange Commission, and other periodic filings with the Securities and Exchange Commission at www.sec.gov. These forward-looking statements are not guarantees of future performance and speak only as of the date hereof, and, except as required by law, Calithera disclaims any obligation to update these forward-looking statements to reflect future events or circumstances.

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