For Immediate Release

Calithera Biosciences Initiates Three Phase 1 Trials with First-in-Class Glutaminase Inhibitor CB-839 in Patients with Advanced Solid and Hematological Cancers

South San Francisco, California, February 24, 2014 — Calithera Biosciences, Inc., a clinical-stage biopharmaceutical company discovering and developing novel small molecule therapeutics in cancer, today announced the commencement of patient dosing in its first Phase 1 study of CB-839 in patients with advanced solid tumors. CB-839 is a potent, selective, orally bioavailable inhibitor of glutaminase that interferes with tumor metabolism and blocks cancer cell growth and survival.

"We are pleased to be advancing the first glutaminase inhibitor into clinical trials. Glutaminase is a novel target in cancer metabolism, and these studies will allow us to assess the safety, pharmacokinetics and pharmacodynamics of this exciting new agent," said Susan M. Molineaux, Ph.D., founder, Chief Executive Officer and President of Calithera Biosciences. "CB-839 has demonstrated significant anti-tumor activity in both solid and hematological tumor models and we look forward to reporting initial clinical results with this compound."

Two additional Phase 1 studies, one in patients with advanced multiple myeloma and non-Hodgkin's lymphoma and another in patients with acute leukemias, are being conducted in parallel. All three Phase 1 clinical trials are single-arm, open-label dose escalation studies that allow for expansion in specific tumor types once the maximum tolerated dose is reached. The primary objectives of each of these studies are to determine the safety and tolerability of CB-839 and to establish a dose for Phase 2 studies. Secondary endpoints of the Phase 1 clinical studies include pharmacokinetics, pharmacodynamics and evidence of anti-tumor response. Predictive biomarkers are also being evaluated. The trials are being conducted at clinical sites in the United States.

Glutaminase Inhibition: A Novel Mechanistic Approach to Targeting Cancer

While most normal cells rely primarily on glucose as a fuel, many tumor cells rely on the amino acid glutamine to meet the demands of rapid growth under conditions that limit nutrient and oxygen availability. Glutaminase, the first enzyme in the glutamine metabolism pathway, controls the conversion of glutamine to glutamate. In glutamine-requiring cancer cells, inhibition of glutaminase with CB-839 results in depletion of intracellular pools of TCA cycle intermediates, glutathione, and amino acids. This leads to inhibition of cell growth and often leads to induction of apoptosis. In preclinical studies, CB-839 is effective against a significant fraction of tumor cells from a variety of solid and hematologic tumor cell types, including triple-negative breast cancer, non-small cell lung cancer, renal cell carcinoma, mesothelioma, multiple myeloma, diffuse large B-cell lymphoma and acute leukemias. CB-839 suppresses tumor growth in preclinical animal models, but is well tolerated on a continuous daily dosing regimen, highlighting the tumor-specific impact of glutaminase inhibition. Calithera presented some of these preclinical results in December 2013 at the 55th American Society of Hematology (ASH) Annual Meeting and at the 2013 San Antonio Breast Cancer Symposium.

About Calithera Biosciences

Calithera Biosciences, Inc. is a clinical-stage company focused on the discovery, development and commercialization of first-in-class small molecule oncology therapeutics. The company is building a pipeline of targeted anti-cancer compounds that inhibit pathways critical to tumor growth and survival. Calithera's lead product, CB-839, is currently being tested in patients with advanced solid and

hematological cancers. Calithera Biosciences is headquartered in South San Francisco. For more information about Calithera Biosciences, please visit www.calithera.com.

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