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South San Francisco, CA and San Diego, CA; April 8, 2014 – Calithera Biosciences, a clinical stage biotechnology company focused on the development of novel cancer therapeutics, today announced that data for its lead clinical candidate, CB-839, were featured in two presentations at the 2014 American Association for Cancer Research (AACR) Annual Meeting. CB-839 is a potent and selective orally bioavailable glutaminase inhibitor that blocks the growth and survival of many different types of cancer cells by interfering with the metabolism of glutamine. CB-839 is currently in Phase 1 clinical trials in patients with advanced solid or hematological cancers.

“The pharmacodynamic assay for CB-839 presented at the AACR Annual Meeting is already being employed in our clinical development of CB-839,” said Susan Molineaux, PhD, President and Chief Executive Officer of Calithera. “This novel assay allows us to directly measure the inhibition of glutaminase in both tumors and in platelets from peripheral blood. In preclinical studies of tumor-bearing mice treated with CB-839, we showed that the extent of inhibition of glutaminase is comparable in platelets and tumors. Therefore, we are able to use the platelet assay in our clinical studies as a surrogate for the extent of glutaminase inhibition in tumors. Having this assay will aid us in determining the recommended dose for the expansion portion of these studies.”

Although CB-839 is a reversible inhibitor of glutaminase, the Calithera team identified assay conditions that stabilized the enzyme-inhibitor complex and enabled direct measurement of inhibition in tissues. Using this assay, dose escalation studies in tumor-bearing mice established that maintaining a 300 nM plasma concentration of CB-839 was sufficient to maximally inhibit glutaminase in tumors. *Ex vivo* treatment of human whole blood with CB-839 gave similar results, showing that a concentration of 300 nM CB-839 was sufficient to achieve > 90% inhibition of glutaminase in platelets. Preliminary data from patients receiving a low dose of CB-839 in one of Calithera’s ongoing Phase 1 dose-escalation trials verified this finding. A 100mg dose of CB-839, which resulted in peak plasma levels of drug at or above 300 nM, generated > 80% inhibition of glutaminase in platelets four hours after dosing.

These data were presented during the Cancer Metabolism: New Pathways and Progress Toward Therapy minisymposium in a talk titled: “Novel pharmacodynamic assays to measure glutaminase inhibition following oral administration of CB-839” (Abstract #966)

Calithera researchers also shared preclinical data in a poster detailing CB-839’s anti proliferative activity in a range of solid and hematologic tumor types. Glutaminase has potent *in vitro* anti-tumor activity across many tumor types, including triple-negative breast cancer, non-small cell lung cancer, mesothelioma, multiple myeloma and other B-cell malignancies. A strong correlation was seen across the tumor cell line panel between the response to CB-839 and the dependence on glutamine for growth and survival. These results were presented in a poster titled, “CB-839, a novel, potent and selective glutaminase inhibitor, has broad antiproliferative activity in cell lines derived from both solid tumors and hematological malignancies” (Abstract # 1416).

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 clinical candidate, CB-839, blocks glutaminase, an enzyme critical to tumor metabolism, and 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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