Preclinical Data on Aptose Biosciences FLT3/BTK Inhibitor CG’806 Presented at AACR Hematologic Malignancies Meeting

Data support superiority of CG’806 relative to competitive agents against AML driven by diverse mutated forms of FLT3

SAN DIEGO and TORONTO, May 08, 2017 (GLOBE NEWSWIRE) -- Aptose Biosciences Inc. (NASDAQ: APTO) (TSX: APS) today announced the presentation of preclinical data demonstrating that CG’806, a highly potent pan-FLT3/BTK inhibitor, exerts compelling activity against acute myeloid leukemia (AML) cells harboring mutant forms of FLT3 and eradicates AML tumors in a murine xenograft model. The data were presented in a poster on Sunday, May 7, 2017 at the 2017 American Association for Cancer Research (AACR) Conference Hematologic Malignancies: Translating Discoveries to Novel Therapies, held May 6-9 in Boston, MA.

The poster, entitled CG’806, a first-in-class FLT3/BTK inhibitor, exerts superior potency against AML cells harboring ITD, TKD and gatekeeper mutated FLT3 or wild-type FLT3, demonstrated the superior potency of CG’806, relative to competitive agents, against hematologic malignancy cell lines driven by various WT or mutant forms of FLT3. In addition, once daily oral dosing of CG’806 in a murine model achieved sustained micromolar plasma concentration over a 24hr period, and was accompanied by complete elimination of AML FLT3-ITD tumors in the absence of toxicity.

Results were presented by Weiguo Zhang M.D., Ph.D., Assistant Professor of Leukemia at The University of Texas MD Anderson Cancer Center, for a research team led by Michael Andreeff, M.D., Ph.D., Professor of Leukemia.

"Given the potency of CG’806 against all of the mutant forms of FLT3 AML and the ability to eradicate AML tumors in murine xenograft models, CG’806 has demonstrated the potential to be superior to other FLT3 inhibitors and is beginning to differentiate itself as a targeted treatment for AML," commented William G. Rice, Ph.D., Chairman and Chief Executive Officer of Aptose. "We believe CG’806 has the potential to become the best-in-class FLT3 inhibitor, and our internal efforts now are focused on delivering CG’806 to AML patients as soon as practicable."

CG’806 and competitor FLT3 inhibitors were tested for potency to kill a series of isogenic cells, in which a specific form (WT or mutant) of FLT3 drove survival and proliferation of cells. Compared to second-generation FLT3 inhibitors (quizartinib, gilteritinib, or crenolanib), CG’806 showed more pronounced anti-proliferative effects in leukemia cells with the ITD mutation, D835 mutations, the ITD plus F691Y842D/D835 mutations, or in FLT3 wild-type cells. The IC50s were 0.17, 0.82, 9.49, 0.30, 8.26, 9.72, and 0.43 nM for human FLT3-ITD mutated AML cells MV4-11 (FLT3-ITD) and MOLM13 (FLT3-ITD), murine leukemia Ba/F3 (FLT3-WT), Ba/F3 (FLT3-ITD), Ba/F3 (FLT3-D835Y), Ba/F3 (FLT3-ITD+D835Y), and Ba/F3 (FLT3-ITD+F691L) cells, respectively. CG’806 triggered profound apoptosis in cell lines harboring FLT3-ITD mutations and suppressed FLT3 and its downstream MAPK/AKT signaling. Moreover, CG’806 demonstrated in vivo tumor eradication without toxicity when administered orally, once daily for 14 days as a single agent in the MV4-11 AML murine xenograft model, and demonstrated sustained micromolar plasma drug levels in mice after a single oral administration.

The presentation will be published in the AACR Hematologic Malignancies Conference Proceedings. The poster can also be accessed here or at the Publications & Presentations section of the Aptose website, www.aptose.com.

About CG’806

CG ‘806 is a once daily, oral, first-in-class pan-FLT3/BTK inhibitor. This small molecule demonstrates potent inhibition of mutant forms of FLT3 (including internal tandem duplication, or ITD, and mutations of the receptor tyrosine kinase domain and gatekeeper region), eliminates AML tumors in the absence of toxicity in murine xenograft models, and represents a potential best-in-class therapeutic for patients with FLT3-driven AML. Likewise, CG’806 demonstrates potent, non-covalent inhibition of the Cys481Ser mutant of the BTK enzyme, as well as other oncogenic kinases operative in B cell malignancies, suggesting CG’806 may be developed for CLL and MCL patients that are resistant/refractory/intolerant to covalent BTK inhibitors.
About Aptose

Aptose Biosciences is a clinical-stage biotechnology company committed to developing personalized therapies addressing unmet medical needs in oncology. Aptose is advancing new therapeutics focused on novel cellular targets on the leading edge of cancer. The company’s small molecule cancer therapeutics pipeline includes products designed to provide single agent efficacy and to enhance the efficacy of other anti-cancer therapies and regimens without overlapping toxicities. For further information, please visit www.aptose.com.

Forward Looking Statements

This press release may contain forward-looking statements within the meaning of Canadian and U.S. securities laws, including, but not limited to, statements relating to the therapeutic potential of CG’806 and its clinical development as well as statements relating to the Company’s plans, objectives, expectations and intentions and other statements including words such as "continue", “expect", “intend”, "will", “should”, “would”, “may”, and other similar expressions. Such statements reflect our current views with respect to future events and are subject to risks and uncertainties and are necessarily based upon a number of estimates and assumptions that, while considered reasonable by us are inherently subject to significant business, economic, competitive, political and social uncertainties and contingencies. Many factors could cause our actual results, performance or achievements to be materially different from any future results, performance or achievements described in this press release. Such factors could include, among others: our ability to obtain the capital required for research and operations and to continue as a going concern; the inherent risks in early stage drug development including demonstrating efficacy; development time/cost and the regulatory approval process; the progress of our clinical trials; our ability to find and enter into agreements with potential partners; our ability to attract and retain key personnel; changing market conditions; inability of new manufacturers to produce acceptable batches of GMP in sufficient quantities; unexpected manufacturing defects; and other risks detailed from time-to-time in our ongoing quarterly filings, annual information forms, annual reports and annual filings with Canadian securities regulators and the United States Securities and Exchange Commission.

Should one or more of these risks or uncertainties materialize, or should the assumptions set out in the section entitled "Risk Factors" in our filings with Canadian securities regulators and the United States Securities and Exchange Commission underlying those forward-looking statements prove incorrect, actual results may vary materially from those described herein. These forward-looking statements are made as of the date of this press release and we do not intend, and do not assume any obligation, to update these forward-looking statements, except as required by law. We cannot assure you that such statements will prove to be accurate as actual results and future events could differ materially from those anticipated in such statements. Investors are cautioned that forward-looking statements are not guarantees of future performance and accordingly investors are cautioned not to put undue reliance on forward-looking statements due to the inherent uncertainty therein.

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