



BioLineRx Discloses Positive Correlative Data from Phase 2a AML Study and Mechanism-of-Action Data for BL-8040 Oncology Platform at ASH 2016

November 3, 2016

TEL AVIV, Israel, November 3, 2016 /PRNewswire/ --

BioLineRx Ltd. (NASDAQ/TASE: BLRX), a clinical-stage biopharmaceutical company dedicated to identifying, in-licensing and developing promising therapeutic candidates, disclosed today positive Phase 2a correlative data, as well as detailed mechanism-of-action data, for BL-8040, the Company's leading oncology platform, that will be presented at the 58th American Society of Hematology (ASH) Annual Meeting and Exhibition in San Diego, California, taking place December 3-6, 2016.

In a poster titled, "The Selective Anti Leukemic Effect of BL-8040, a Peptidic CXCR4 Antagonist, is Mediated by Induction of Leukemic Blast Mobilization, Differentiation and Apoptosis: Results of Correlative Studies from a Ph2a Trial in Acute Myeloid Leukemia", BioLineRx reports the final correlative results from its Phase 2a trial in acute myeloid leukemia (AML). The trial consisted of 45 AML patients receiving BL-8040 monotherapy on days 1-2, followed by the same dose of BL-8040 plus chemotherapy (Cytarabine) on days 3-7. All patients had poor-risk disease and had been heavily pretreated, with 19% having relapsed after a short first remission (≤ 12 months), 17% having 2 or more relapses, while 45% were refractory to 1-2 induction treatments.

As previously reported, the composite complete remission rate, including both complete remission (CR) and complete remission with incomplete blood count recovery (CRi), was 38% in subjects receiving BL-8040 dose ≥ 1.0 mg/kg (n=39). In the 1.5 mg/kg dose selected for the expansion phase of the study (n=22), the composite complete remission rate was 41%. These response rates are superior to the historical response rate of approximately 20% reported for high-risk AML patients treated with Cytarabine alone. The ongoing follow-up of responding patients (n=19) showed median Event Free Survival of 9.3 months (range of 4.3-12.8 months).

Results further show that BL-8040 monotherapy had a substantial therapeutic effect. Treatment with BL-8040 as a single agent triggered robust mobilization of AML blasts from the bone marrow to the peripheral blood stream, and the extent of mobilization was correlated with a positive response to treatment. The preferential mobilization of AML blasts over normal cells (4.7-fold vs. 1.4-fold, respectively) was further confirmed by FISH analysis in a subset of patients. In addition, BL-8040 monotherapy resulted in a 40% increase in AML blast apoptosis.

In an oral presentation at ASH, entitled "The High Affinity CXCR4 Inhibitor, BL-8040, Induces Apoptosis of AML Blasts and their Terminal Differentiation by Blocking AKT/ERK Survival Signals and Downregulating BCL-2, MCL-1 and Cyclin-D1 through Regulation of miR-15a/16-1 Expression", delivered by Prof. Amnon Peled from the Hadassah Medical Center and Biokine Therapeutics, BioLineRx reports detailed data on the mechanism-of-action by which BL-8040 directly induces apoptosis of AML cells. The data presented are from *in vitro* studies using human AML cell lines and human primary AML samples, as well as *in vivo* studies using human primary AML cells engrafted in NOD scid gamma (NSG) mice.

The results of the pre-clinical studies show that BL-8040 treatment *in vivo* triggered mobilization of AML blasts from their protective bone marrow microenvironment and induced their terminal differentiation, further supporting the data presented by BioLineRx at the American Association for Cancer Research annual conference earlier this year.

In addition, the studies illustrate how BL-8040 increases the expression and activity of a special class of microRNA precursors termed miR-15a/16-1. These microRNA molecules have been previously linked to cancer, and shown to suppress the activity of several tumor-related pro-survival proteins. Therefore, by increasing the expression of miR-15a/16-1 microRNA molecules, BL-8040 decreases the expression of tumor-survival proteins and promotes tumor cell death. Importantly, in both *in vitro* and *in vivo* experiments, BL-8040 was found to synergize with a selective Bcl-2 inhibitor (Venetoclax) and an FLT3 inhibitor (Quizartinib, also known as AC220) in inducing AML cell death, pointing at potential drug combination treatments.

Philip Serlin, CEO of BioLineRx, commented, "We are pleased to be presenting additional positive results about BL-8040, our lead oncology platform. In particular, clinical studies show that BL-8040 acts selectively on chemotherapy-resistant cells, which may be beneficial in reduction of residual disease and supports the incorporation of BL-8040 in front-line treatment settings such as AML consolidation. Such studies are currently ongoing. We are also encouraged to see, in pre-clinical models, a synergistic effect between BL-8040 and Venetoclax, and between BL-8040 and Quizartinib, drugs which are also being investigated as AML treatments. Given the high percentage of patients experiencing a relapse or that are refractory to available treatments, we anticipate that BL-8040, in combination with a growing repertoire of drugs, will be able to offer hope to AML patients around the world."

About BL-8040

BL-8040 is a short peptide for the treatment of acute myeloid leukemia, solid tumors, and certain hematological indications. It functions as a high-affinity antagonist for CXCR4, a chemokine receptor that is directly involved in tumor progression, angiogenesis, metastasis and cell survival. CXCR4 is over-expressed in more than 70% of human cancers and its expression often correlates with disease severity. In a number of clinical and pre-clinical studies, BL-8040 has shown robust mobilization of cancer cells from the bone marrow, thereby sensitizing these cells to chemo- and bio-based anti-cancer therapy, as well as a direct anti-cancer effect by inducing apoptosis. In addition, BL-8040 has also demonstrated robust stem-cell mobilization, including the mobilization of colony-forming cells, and T, B and NK cells. Furthermore, scientific findings in the field of immunology suggest that CXCR4 antagonists may be effective in inducing the infiltration of anti-tumor T cells into the tumor. Therefore, when combined with immune checkpoint inhibitors, BL-8040 has the potential to enable activated T cells to better reach tumor cells. BL-8040 was licensed by BioLineRx from Biokine Therapeutics and was previously developed under the name BKT-140.

About BioLineRx

BioLineRx is a clinical-stage biopharmaceutical company dedicated to identifying, in-licensing and developing promising therapeutic candidates. The Company in-licenses novel compounds, primarily from academic institutions and biotech companies based in Israel, develops them through pre-clinical and/or clinical stages, and then partners with pharmaceutical companies for advanced clinical development and/or commercialization.

BioLineRx's leading therapeutic candidates are: BL-8040, a cancer therapy platform, which has successfully completed a Phase 2a study for relapsed/refractory AML, is in the midst of a Phase 2b study as an AML consolidation treatment, and has recently initiated a Phase 2 study in stem cell mobilization for allogeneic transplantation; and BL-7010 for celiac disease and gluten sensitivity, which has successfully completed a Phase 1/2 study. In addition, BioLineRx has a strategic collaboration with Novartis for the co-development of selected Israeli-sourced novel drug candidates; a collaboration agreement with MSD (known as Merck in the US and Canada) to run a Phase 2a study in pancreatic cancer using the combination of BL-8040 and Merck's KEYTRUDA®; and has recently signed a collaboration agreement with Genentech, a member of the Roche Group, to investigate the combination of BL-8040 and Genentech's Atezolizumab in several Phase 1b studies for multiple solid tumor indications and AML.

For additional information on BioLineRx, please visit the Company's website at <http://www.biolinerx.com>, where you can review the Company's SEC filings, press releases, announcements and events. BioLineRx industry updates are also regularly updated on [Facebook](#), [Twitter](#), and [LinkedIn](#).

Various statements in this release concerning BioLineRx's future expectations constitute "forward-looking statements" within the meaning of the Private Securities Litigation Reform Act of 1995. These statements include words such as "may," "expects," "anticipates," "believes," and "intends," and describe opinions about future events. These forward-looking statements involve known and unknown risks and uncertainties that may cause the actual results, performance or achievements of BioLineRx to be materially different from any future results, performance or achievements expressed or implied by such forward-looking statements. Some of these risks are: changes in relationships with collaborators; the impact of competitive products and technological changes; risks relating to the development of new products; and the ability to implement technological improvements. These and other factors are more fully discussed in the "Risk Factors" section of BioLineRx's most recent annual report on Form 20-F filed with the Securities and Exchange Commission on March 10, 2016. In addition, any forward-looking statements represent BioLineRx's views only as of the date of this release and should not be relied upon as representing its views as of any subsequent date. BioLineRx does not assume any obligation to update any forward-looking statements unless required by law.

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