Aileron Therapeutics Announces Completion of $35.9 Million Registered Direct Offering with Participation by New Fundamental Healthcare Investors Acorn Bioventures, BVF Partners, L.P. and Maven Investment Partners

January 11, 2021

-- Several existing Aileron investors, including Satter Medical Technology Partners and Lincoln Park Capital Fund, LLC, also participated in the offering --

– Aileron plans to use net proceeds to undertake Phase 1b chemoprotection trial of ALRN-6924 in patients with p53-mutated advanced non-small cell lung cancer (NSCLC) --

-- Company plans to initiate the randomized, placebo-controlled Phase 1b NSCLC trial in second quarter 2021, marking expansion of ALRN-6924 clinical development into large cancer indication --

WATERTOWN, Mass., Jan. 11, 2021 (GLOBE NEWSWIRE) -- Aileron Therapeutics, Inc. (Nasdaq: ALRN) today announced the completion of its previously announced registered direct offering of 32,630,983 of its shares of common stock at a purchase price of $1.10 per share, for gross proceeds of $35.9 million, before deducting placement agent fees and other offering expenses payable by Aileron. Aileron is developing ALRN-6924 as a novel medicine to selectively protect healthy cells in patients with cancers that harbor p53 mutations to reduce or eliminate chemotherapy-induced side effects while preserving chemotherapy's effects against cancer cells, a concept known as chemoprotection.

New fundamental investors, including Acorn Bioventures, BVF Partners, L.P., Maven Investment Partners and Grand Oaks Capital, participated in the offering, in addition to several existing Aileron investors, including Satter Medical Technology Partners and Lincoln Park Capital Fund, LLC.

JonesTrading Institutional Services LLC ("JonesTrading") acted as the placement agent for the offering.

In addition to the $35.9 million registered direct offering, between November 12, 2020 and January 5, 2021, Aileron sold an aggregate of 9,894,519 shares of its common stock in "at the market" offerings under the Capital on Demand ^{TM} Sales Agreement with JonesTrading resulting in aggregate gross proceeds of approximately $12.7 million. Gross proceeds combined from both offerings were $48.6 million before deducting commissions and fees.

With the proceeds from these transactions, Aileron believes that its cash, cash equivalents and investments will enable it to fund its current strategic plan into the second half of 2023, including the planned clinical trial of ALRN-6924 in patients with advanced non-small cell lung cancer (NSCLC).

"We are thrilled to welcome Acorn Bioventures, BVF Partners and Maven Investment Partners as fundamental healthcare investors in Aileron. We believe that the participation of these funds, in addition to the continued support of key existing Aileron investors, is further validation of the potential of ALRN-6924 as an important medicine in the emerging chemoprotection field," said Manuel Aivado, M.D., Ph.D., President and Chief Executive Officer of Aileron. "With the completed offering, we are well positioned to continue advancing toward our vision to bring chemoprotection to all patients with p53-mutant cancer regardless of cancer type or chemotherapy."

Dr. Aivado continued, "For decades, the medical community has largely been resigned to the sad reality that chemotherapy destroys healthy cells while destroying cancer cells. 2021 holds the promise to begin a shift in this long-held mindset with the imminent PDUFA date and potential approval of the industry's first chemoprotective agent [1] that, similar to ALRN-6924, aims to protect healthy cells from chemotherapy's side effects. Given the increasing interest in chemoprotection and the significant unmet medical need, we believe ALRN-6924 has the potential to have an important and broad role in proactively preventing chemotherapy's harmful effects on cancer patients."

Aileron plans to begin enrollment in a Phase 1b randomized, double-blind, placebo-controlled clinical trial of ALRN-6924 in patients with advanced p53-mutated NSCLC undergoing treatment with first-line carboplatin doublet chemotherapy (with or without immune checkpoint inhibitors), in the second quarter of 2021. The planned Phase 1b NSCLC trial follows Aileron's presentation in October 2020 of clinical data from its ongoing Phase 1b clinical trial of ALRN-6924 in small cell lung cancer (SCLC) demonstrating clinical proof-of-concept that treatment with ALRN-6924 resulted in a protective effect against severe anemia, thrombocytopenia and neutropenia in patients with p53-mutated SCLC treated with topotecan. Aileron anticipates reporting initial results from the trial late in the fourth quarter of 2021 and full results in mid-2022.

This press release shall not constitute an offer to sell or the solicitation of an offer to buy these securities, nor shall there be any sale of these securities

in any state or other jurisdiction in which such offer, solicitation or sale would be unlawful prior to the registration or qualification under the securities laws of any such state or other jurisdiction.

How ALRN-6924 Is Designed to Protect Healthy Cells from Chemotherapy

ALRN-6924 is being developed by Aileron as a novel chemoprotective medicine to selectively protect healthy cells in patients with cancers that harbor p53 mutations to reduce or eliminate chemotherapy-induced side effects.

Chemotherapy preferentially acts on cells that are cycling or undergoing the process of cell division. In cancer cells, the cell cycle is unchecked, which leads to uncontrolled cell proliferation, a hallmark of cancer. Certain types of healthy cells also naturally need to cycle, such as bone marrow cells, hair follicle cells, skin cells, and cells lining the oral cavity and the gastrointestinal tract. As a result, chemotherapy preferentially targets and kills both cycling healthy cells and cycling cancer cells. This, in turn, can lead to a spectrum of chemotherapy-induced side effects, from unpleasant to life-threatening and fatal.

ALRN-6924, an investigational first-in-class MDM2/MDMX dual inhibitor, is administered prior to chemotherapy to patients with p53-mutant cancers. ALRN-6924 is designed to activate normal p53 protein in patients' healthy cells, temporarily and reversibly pausing cell cycling to selectively shield the patients' healthy cells from chemotherapy. The protection is limited to healthy cells, as ALRN-6924 cannot work in p53-mutated cancer cells given that mutated p53 has lost its function in those cells. Therefore, cancer cells continue to cycle uninterrupted and remain fully susceptible to destruction by chemotherapy.

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[1] The U.S. Food & Drug Administration has assigned a Prescription Drug User Fee Act (PDUFA) date of February 15, 2021 for G1 Therapeutics, Inc.'s investigational therapy trilaciclib.

About Aileron Therapeutics

Aileron is a clinical-stage biopharmaceutical company that is focused on transforming the experience of chemotherapy for cancer patients, enabling them to fight cancer without the fear or burden of chemotherapy-induced side effects. ALRN-6924, the company's first-in-class MDM2/MDMX dual inhibitor activating p53, is the only reported chemoprotective agent in clinical development to employ a biomarker strategy, in which the company exclusively focuses on treating patients with p53-mutated cancers. With this unique, targeted strategy, ALRN-6924 is designed to protect multiple healthy cell types throughout the body from chemotherapy while chemotherapy continues to destroy cancer cells.

In addition to potentially reducing or eliminating multiple side effects, ALRN-6924 may also improve patients' quality of life and help them better tolerate chemotherapy, potentially allowing patients to complete their treatment without dose reductions or delays. Our vision is to bring chemoprotection to patients with p53-mutated cancers – approximately 50% of cancer patients – regardless of cancer type or chemotherapy. Visit us at aileronrx.com to learn more.

Forward-Looking Statements

Statements in this press release about Aileron's future expectations, plans and prospects, as well as any other statements regarding matters that are not historical facts, may constitute forward-looking statements within the meaning of The Private Securities Litigation Reform Act of 1995. These statements include, but are not limited to, statements about the Company's strategy and clinical development plans. The words "anticipate," "believe," "continue," "could," "estimate," "expect," "intend," "may," "plan," "potential," "predict," "project," "should," "target," "would" and similar expressions are intended to identify forward-looking statements, although not all forward-looking statements contain these identifying words. Actual results may differ materially from those indicated by such forward-looking statements as a result of various important factors, including whether Aileron's cash resources will be sufficient to fund its continuing operations for the periods anticipated; whether the Company will obtain sufficient cash resources to conduct its planned clinical trials; whether initial results of clinical trials will be indicative of final results of those trials or results obtained in future clinical trials; whether Aileron's product candidates will advance through the clinical trial process on a timely basis, or at all; whether the results of such trials will be accepted by and warrant submission for approval from the United States Food and Drug Administration or equivalent foreign regulatory agencies; whether Aileron's product candidates will receive approval from regulatory agencies on a timely basis or at all; whether, if product candidates obtain approval, they will be successfully distributed and marketed; what impact the coronavirus pandemic may have on the timing of our clinical development, clinical supply and our operations; and other factors discussed in the "Risk Factors" section of Aileron's quarterly report on Form 10-Q for the period ended September 30, 2020, filed on November 12, 2020, and risks described in other filings that Aileron may make with the Securities and Exchange Commission. Any forward-looking statements contained in this press release speak only as of the date hereof, and Aileron specifically disclaims any obligation to update any forward-looking statement, whether because of new information, future events or otherwise.

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