
**UNITED STATES
SECURITIES AND EXCHANGE COMMISSION
WASHINGTON, D.C. 20549**

**FORM S-1
REGISTRATION STATEMENT
UNDER
THE SECURITIES ACT OF 1933**

AILERON THERAPEUTICS, INC.
(Exact name of registrant as specified in its charter)

Delaware
(State or other jurisdiction of
incorporation or organization)

2834
(Primary Standard Industrial
Classification Code Number)

13-4196017
(I.R.S. Employer
Identification Number)

**490 Arsenal Way, Suite 210
Watertown, Massachusetts 02472
(617) 995-0900**
(Address, including zip code, and telephone number, including area code, of registrant's principal executive offices)

**Manuel C. Alves Aivado, M.D., Ph.D.
President and Chief Executive Officer
Aileron Therapeutics, Inc.
490 Arsenal Way, Suite 210
Watertown, Massachusetts 02472
(617) 995-0900**
(Name, address, including zip code, and telephone number, including area code, of agent for service)

Copies to:

**Stuart M. Falber
Wilmer Cutler Pickering Hale and Dorr LLP
60 State Street
Boston, Massachusetts 02109
(617) 526-6000**

Approximate date of commencement of proposed sale to public: As soon as practicable after this Registration Statement is declared effective.

If any of the securities being registered on this Form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, check the following box.

[Table of Contents](#)

If this Form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this Form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this Form is a post-effective amendment filed pursuant to Rule 462(d) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, a smaller reporting company or an emerging growth company. See the definitions of "large accelerated filer," "accelerated filer," "smaller reporting company" and "emerging growth company" in Rule 12b-2 of the Exchange Act.

Large accelerated filer	<input type="checkbox"/>	Accelerated filer	<input type="checkbox"/>
Non-accelerated filer	<input checked="" type="checkbox"/>	Smaller reporting company	<input checked="" type="checkbox"/>
		Emerging growth company	<input checked="" type="checkbox"/>

If an emerging growth company, indicate by check mark if the registrant has not elected to use the extended transition period for complying with any new or revised financial accounting standards provided in Section 7(a)(2)(B) of the Securities Act.

CALCULATION OF REGISTRATION FEE

Title of Each Class of Securities to Be Registered	Amount to be Registered(1)	Proposed Maximum Offering Price Per Share(2)	Proposed Maximum Aggregate Offering Price(2)	Amount of Registration Fee
Common stock, par value \$0.001 per share	10,551,899	\$1.19	\$12,556,760	\$1,369.94

- (1) Represents 588,235 shares previously issued to the selling stockholder and 9,963,664 shares that are issuable at the option of the registrant pursuant to a purchase agreement with the selling stockholder. The shares will be offered for resale by the selling stockholder. Pursuant to Rule 416 under the Securities Act, this registration statement also covers any additional number of shares of common stock issuable upon stock splits, stock dividends, dividends or other distribution, recapitalization or similar events with respect to the shares of common stock being registered pursuant to this registration statement.
- (3) Estimated solely for purposes of calculating the registration fee pursuant to Rule 457(c) under the Securities Act, based on average of high and low price per share of the common stock as reported on the Nasdaq Capital Market on October 2, 2020.

The Registrant hereby amends this Registration Statement on such date or dates as may be necessary to delay its effective date until the Registrant shall file a further amendment which specifically states that this Registration Statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act of 1933 or until the Registration Statement shall become effective on such date as the Commission, acting pursuant to said Section 8(a), may determine.

The information in this prospectus is not complete and may be changed. The selling stockholder named in this prospectus may not sell these securities until the registration statement filed with the Securities and Exchange Commission is effective. This prospectus is not an offer to sell these securities and the selling stockholder named in this prospectus are not soliciting offers to buy these securities in any jurisdiction where the offer or sale is not permitted.

Subject to completion, dated October 5, 2020

PROSPECTUS



10,551,899 Shares of Common Stock

This prospectus relates to the resale, from time to time, of up to 10,551,899 shares of our common stock, par value \$0.001 per share, by the selling stockholder, Lincoln Park Capital Fund, LLC, which we refer to as Lincoln Park or the selling stockholder. The shares of common stock to which this prospectus relates includes shares that have been or may be issued to Lincoln Park pursuant to a purchase agreement between us and Lincoln Park dated September 21, 2020, which we refer to as the “Purchase Agreement”.

We are not selling any securities under this prospectus and we will not receive any proceeds from the sale of the shares by Lincoln Park. However, we have received gross proceeds of \$500,000 from the sale of certain of the shares under the Purchase Agreement and may receive additional proceeds of up to \$14,500,000 from the sale of additional shares to Lincoln Park under the Purchase Agreement, from time to time in our discretion after the date of the registration statement of which this prospectus is a part is declared effective and after satisfaction of other conditions in the Purchase Agreement.

Lincoln Park is an “underwriter” within the meaning of Section 2(a)(11) of the Securities Act of 1933, as amended, or the Securities Act.

Lincoln Park may sell the shares of common stock described in this prospectus in a number of different ways and at varying prices. See “Plan of Distribution” on page 24 for more information about how Lincoln Park may sell the shares of common stock being registered pursuant to this prospectus.

We have agreed to bear all of the expenses incurred in connection with the registration of the shares to which this prospectus relates. Lincoln Park will pay or assume discounts, commissions, and fees of underwriters, selling brokers or dealer managers, if any, incurred in connection with the sale of shares of our common stock.

Our common stock is listed on the Nasdaq Capital Market, or Nasdaq, under the symbol “ALRN.” On October 2, 2020, the closing sale price of our common stock as reported on Nasdaq was \$1.16. You are urged to obtain current market quotations for the common stock.

We are an “emerging growth company” and a “smaller reporting company” under applicable Securities and Exchange Commission rules and, as such, have elected to comply with certain reduced public company disclosure requirements for this prospectus and future filings. See “Prospectus Summary—Implications of Being an Emerging Growth Company and a Smaller Reporting Company.”

Our business and investment in our common stock involve significant risks. These risks are described in the section titled “[Risk Factors](#)” beginning on page 7 of this prospectus.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or passed upon the accuracy or adequacy of this prospectus. Any representation to the contrary is a criminal offense.

The date of this prospectus is _____, 2020

TABLE OF CONTENTS

ABOUT THIS PROSPECTUS	ii
PROSPECTUS SUMMARY	1
THE OFFERING	6
RISK FACTORS	7
CAUTIONARY NOTE REGARDING FORWARD-LOOKING STATEMENTS AND INDUSTRY DATA	9
USE OF PROCEEDS	11
DILUTION	12
THE LINCOLN PARK TRANSACTION	13
THE SELLING STOCKHOLDER	19
DESCRIPTION OF CAPITAL STOCK	21
PLAN OF DISTRIBUTION	24
LEGAL MATTERS	26
EXPERTS	26
WHERE YOU CAN FIND MORE INFORMATION	27
INCORPORATION OF CERTAIN DOCUMENTS BY REFERENCE	27

ABOUT THIS PROSPECTUS

This prospectus is part of a registration statement that we filed with the Securities and Exchange Commission, or the SEC. Before making your investment decision, we urge you to carefully read this prospectus and all of the information contained in the documents incorporated by reference in this prospectus, as well as the additional information described under the headings “Where You Can Find More Information” and “Incorporation of Certain Documents by Reference.”

To the extent there is a conflict between the information contained in this prospectus, on the one hand, and the information contained in any document incorporated by reference in this prospectus, on the other hand, you should rely on the information in this prospectus, provided that if any statement in one of these documents is inconsistent with a statement in another document having a later date—for example, a document incorporated by reference in this prospectus—the statement in the document having the later date modifies or supersedes the earlier statement.

We further note that the representations, warranties and covenants made by us in any agreement that is filed as an exhibit to any document that is incorporated by reference herein were made solely for the benefit of the parties to such agreement, including, in some cases, for the purpose of allocating risk among the parties to such agreement, and should not be deemed to be a representation, warranty or covenant to you. Moreover, such representations, warranties or covenants were accurate only as of the date when made. Accordingly, such representations, warranties and covenants should not be relied on as accurately representing the current state of our affairs.

We have not, and the selling stockholder has not, authorized anyone to provide you with any information other than that contained in or incorporated by reference in this prospectus, any prospectus supplement or in any related free writing prospectus filed by us with the SEC. This prospectus does not constitute an offer to sell or the solicitation of an offer to buy any securities other than the securities described in this prospectus or an offer to sell or the solicitation of an offer to buy such securities in any circumstances in which such offer or solicitation is unlawful. You should assume that the information appearing in this prospectus, any prospectus supplement, the documents incorporated by reference and any related free writing prospectus is accurate only as of their respective dates. Our business, financial condition, results of operations and prospects may have changed materially since those dates.

PROSPECTUS SUMMARY

This summary highlights, and is qualified in its entirety by, the more detailed information included elsewhere in this prospectus or incorporated by reference. This summary does not contain all of the information that may be important to you. You should read and carefully consider the entire prospectus, especially the “Risk Factors” section of this prospectus, before deciding to invest in our common stock.

Overview

We are 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. We are advancing ALRN-6924, our first-in-class dual MDM2/MDMX inhibitor currently in clinical development, to provide a single medicine to protect multiple healthy cell types throughout the body from chemotherapy while ensuring 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 long-term vision is to provide chemoprotection for patients with p53-mutated cancers, which represents approximately 50% of cancer patients, regardless of cancer type or chemotherapeutic drug.

Lincoln Park Transaction

On September 21, 2020, we entered into the Purchase Agreement and a Registration Rights Agreement, with Lincoln Park. The Purchase Agreement provides that, subject to the terms and conditions set forth therein, we may sell, at our discretion, to Lincoln Park up to \$15 million of shares of common stock from time to time during the 36-month term of the Purchase Agreement. Pursuant to the terms of the Registration Rights Agreement, we have filed the registration statement of which this prospectus is a part to register for resale under the Securities Act the shares that have been or may be issued to Lincoln Park under the Purchase Agreement.

Pursuant to the terms of the Purchase Agreement, on September 21, 2020 we issued and sold 367,647 shares of our common stock to Lincoln Park at a price per share of \$1.36 as the Initial Purchase Shares and we issued an additional 220,588 shares of our common stock to Lincoln Park as the Commitment Shares as consideration for its commitment to purchase shares of our common stock under the Purchase Agreement. The aggregate of 588,235 shares issued as Initial Purchase Shares and Commitment Shares are included in the 10,551,899 shares of common stock being registered under the registration statement of which this prospectus is a part.

Under the terms and subject to the conditions of the Purchase Agreement, we have the right, but not the obligation, to sell to Lincoln Park, and Lincoln Park is obligated to purchase from us up to an additional \$14.5 million of shares of our common stock. Such sales of common stock by us, if any, will be subject to certain limitations, and may occur from time to time, at our sole discretion, over a 36-month period commencing on the date that the registration statement of which this prospectus is a part is declared effective by the SEC and a final prospectus in connection therewith is filed and the other conditions set forth in the Purchase Agreement are satisfied. Lincoln Park has no right to require us to sell any shares of common stock to Lincoln Park, but Lincoln Park is obligated to make purchases as we direct, subject to conditions set forth in the Purchase Agreement.

Under the Purchase Agreement, we may from time to time, at our discretion, direct Lincoln Park to purchase on any single business day, which we refer to as a “Regular Purchase,” up to (i) 250,000 shares of common stock if the closing sale price of our common stock is at least \$1.50 per share on Nasdaq, (ii) 200,000 shares of common stock if

the closing sale price of our common stock is at least \$1.00 per share on Nasdaq or (iii) 150,000 shares of common stock if the closing sale price of our common stock is below \$1.00 per share on Nasdaq. In any case, Lincoln Park's commitment in any single Regular Purchase may not exceed \$1,000,000. The foregoing share amounts and per share prices will be adjusted for any reorganization, recapitalization, non-cash dividend, stock split, reverse stock split or other similar transaction occurring after the date of the Purchase Agreement.

The purchase price per share for each such Regular Purchase will be based on prevailing market prices of our common stock immediately preceding the time of sale as computed under the Purchase Agreement. Under the Purchase Agreement, we may not effect any sales of common stock on any purchase date that the closing sale price of our common stock on Nasdaq is less than the floor price of \$0.30 per share.

In addition to Regular Purchases, we may also direct Lincoln Park to purchase other amounts as accelerated purchases or as additional accelerated purchases on the terms and subject to the conditions set forth in the Purchase Agreement.

As of September 30, 2020, there were 39,874,847 shares of our common stock outstanding, of which 31,655,697 shares were held by non-affiliates. Although the Purchase Agreement provides that we may sell up to \$15,000,000 of our common stock to Lincoln Park, only 10,551,899 of the shares of common stock that have been or may be issued to Lincoln Park are being offered under this prospectus. Depending on the market prices of our common stock at the time we elect to issue and sell shares to Lincoln Park under the Purchase Agreement, in accordance with the terms of the Registration Rights Agreement, we may need to register for resale under the Securities Act additional shares of our common stock in order to receive aggregate gross proceeds equal to the \$15.0 million total commitment available to us under the Purchase Agreement.

Under applicable rules of Nasdaq, in no event may we issue or sell to Lincoln Park under the Purchase Agreement shares of our common stock in excess of 7,854,619 shares (including the Initial Purchase Shares and the Commitment Shares), which represents 19.99% of the 39,258,100 shares of our common stock that were outstanding immediately prior to the execution of the Purchase Agreement, or the Exchange Cap, unless (i) we obtain stockholder approval to issue shares of our common stock in excess of the Exchange Cap or (ii) the average price of all applicable sales of our common stock to Lincoln Park under the Purchase Agreement equals or exceeds \$1.3376 per share (which represents the arithmetic average of the closing prices of our common stock for the five consecutive trading days immediately preceding the execution of the Purchase Agreement) plus an incremental amount for the Commitment Shares, such that the issuances and sales of our common stock to Lincoln Park under the Purchase Agreement would be exempt from the Exchange Cap limitation under applicable Nasdaq rules.

The Purchase Agreement also prohibits us from directing Lincoln Park to purchase any shares of our common stock if those shares, when aggregated with all other shares of common stock then beneficially owned by Lincoln Park and its affiliates, would result in Lincoln Park and its affiliates having beneficial ownership, at any single point in time, of more than 9.99% of the then total outstanding shares of common stock.

The Purchase Agreement may be terminated by us at any time, at our sole discretion, without any cost or penalty. There are no limitations on use of proceeds, financial or business covenants, restrictions on future financings (other than restrictions on our ability to enter into additional "equity line" or a substantially similar transaction whereby a specific investor is irrevocably bound pursuant to an agreement with us to purchase securities over a period of time from us at a price based on the market price of our common stock at the time of such purchase), rights of first refusal, participation rights, penalties or liquidated damages in the Purchase Agreement.

The net proceeds under the Purchase Agreement to us will depend on the frequency of sales and the number of shares sold to Lincoln Park and prices at which we sell shares to Lincoln Park.

Risks Related to Our Business

Our business is subject to a number of risks of which you should be aware before making an investment decision. These risks are discussed more fully in the “Risk Factors” section of our Quarterly Report on Form 10-Q for the quarterly period ended June 30, 2020, which is incorporated by reference herein. These risks include the following:

- We will need substantial additional funding to continue our operations. If we are unable to raise capital when needed, we may be forced to delay, reduce and/or eliminate our research and drug development programs, reduce headcount, and future commercialization efforts, or take other actions that could adversely affect our business.
- As of August 5, 2020, after considering various risks and uncertainties as prescribed by accounting standard ASU No. 2014-15 (subtopic 205-40), we concluded that there was substantial doubt about our ability to continue as a going concern.
- We have incurred significant losses since inception. We expect to incur losses for the foreseeable future and may never achieve or maintain profitability.
- We are dependent on the success of our lead product candidate, ALRN-6924. Our clinical trials of ALRN-6924 may not be successful. If our trials prove unsuccessful or if we are unable to obtain approval for and commercialize ALRN-6924 or experience significant delays in doing so, our business will be materially harmed.
- The COVID-19 pandemic may affect our ability to conduct our ongoing clinical trials, disrupt regulatory activities, or have other adverse effects on our business and operations. In addition, this pandemic has caused substantial disruption in the financial markets and may adversely impact economies worldwide, both of which could result in adverse effects on our business and operations.
- The approach we are taking to discover and develop novel drugs is unproven and may never lead to marketable products.
- We are pursuing the development of ALRN-6924 in combination with other approved therapeutics. If the FDA revokes approval of any such therapeutic, or if safety, efficacy, manufacturing or supply issues arise with any therapeutic that we use in combination with ALRN-6924 in the future, we may be unable to further develop and/or market ALRN-6924, or we may experience significant regulatory delays, and our business could be materially harmed.
- The outcome of preclinical testing and early clinical trials may not be predictive of the success of later clinical trials, interim results of a clinical trial do not necessarily predict final results and the results of our clinical trials may not satisfy the requirements of the FDA or comparable foreign regulatory authorities.
- Clinical drug development is a lengthy and expensive process, with an uncertain outcome. If clinical trials of our product candidates fail to demonstrate safety and efficacy to the satisfaction of regulatory authorities or do not otherwise produce positive results, we may incur additional costs, experience delays in completing, or ultimately be unable to complete, the development of our product candidates or be unable to obtain marketing approval.
- The FDA’s acceptance of data from clinical trials outside of the United States is subject to certain conditions, including that the clinical trial must be well designed and conducted and performed by qualified investigators in accordance with good clinical practice; the data must be applicable to the

U.S. population and U.S. medical practice in ways that the FDA deems clinically meaningful; and that the trials are conducted in compliance with all applicable U.S. laws and regulations

- If serious adverse or unacceptable side effects are identified during the development of our product candidates or we observe limited efficacy of our product candidates, we may need to abandon or limit the development of one or more of our product candidates.
- We rely on third parties to conduct our clinical trials and some aspects of our research and preclinical studies, and those third parties may not perform satisfactorily, including failing to meet deadlines for the completion of such trials, research and studies.
- We contract with third parties for the manufacture of our ALRN-6924 for our ongoing clinical trials, and expect to continue to do so for additional clinical trials and ultimately for commercialization. This reliance on third parties increases the risk that we will not have sufficient quantities of our product candidates or drugs or such quantities at an acceptable cost, which could delay, prevent or impair our development or commercialization efforts.
- We may enter into strategic collaborations for the development, marketing and commercialization of ALRN-6924 and our other stabilized cell-permeating peptide product candidates. If those collaborations are not successful, the development, marketing and/or commercialization of our product candidates that are the subject of such collaborations would be harmed.
- If we fail to comply with our obligations under our patent licenses with third parties, we could lose license rights that are important to our business.

Corporate Information

We were incorporated under the laws of the State of Delaware on August 6, 2001 under the name Renegade Therapeutics, Inc. We changed our name to Aileron Therapeutics, Inc. on February 5, 2007. Our principal executive office is located at 490 Arsenal Way, Suite 210, Watertown, MA 02472, and our telephone number is (617) 995-0900. Our website address is <https://www.aileronrx.com/>. The information contained on, or that can be accessed through, our website is not a part of this prospectus. We have included our website address in this prospectus solely as an inactive textual reference.

In this prospectus, unless otherwise stated or the context otherwise requires, references to “Aileron,” “the company,” “we,” “us,” “our” and similar references refer to Aileron Therapeutics, Inc. Aileron and other trademarks or service marks of Aileron appearing in this prospectus are the property of Aileron. The other trademarks, trade names and service marks appearing in this prospectus are the property of their respective owners. Solely for convenience, the trademarks and trade names in this prospectus are referred to without the ® and ™ symbols, but such references should not be construed as any indicator that their respective owners will not assert, to the fullest extent under applicable law, their rights thereto.

Implications of Being an Emerging Growth Company and a Smaller Reporting Company

As a company with less than \$1.07 billion of revenue during our last fiscal year, we qualify as an “emerging growth company” as defined in the Jumpstart Our Business Startups Act of 2012, or the JOBS Act. We may remain an emerging growth company until December 31, 2022 or until such earlier time as we have more than \$1.07 billion in annual revenue, the market value of our stock held by non-affiliates is more than \$700 million as of the last business day of our most recently completed second fiscal quarter or we issue more than \$1 billion of non-convertible debt over a three-year period. For so long as we remain an emerging growth company, we are permitted and intend to rely on exemptions from certain disclosure and other requirements that are applicable to other public companies that are not emerging growth companies.

We are also a “smaller reporting company,” meaning that the market value of our stock held by non-affiliates is less than \$700 million and our annual revenue was less than \$100 million during our most recently completed fiscal year. We may continue to be a smaller reporting company if either (i) the market value of our stock held by non-affiliates is less than \$250 million or (ii) our annual revenue was less than \$100 million during the most recently completed fiscal year and the market value of our stock held by non-affiliates is less than \$700 million. If we are a smaller reporting company at the time we cease to be an emerging growth company, we may continue to rely on exemptions from certain disclosure requirements that are available to smaller reporting companies. For so long as we remain a smaller reporting company, we are permitted and intend to rely on exemptions from certain disclosure and other requirements that are applicable to other public companies that are not smaller reporting companies.

THE OFFERING

Common stock offered by selling stockholder

The shares being sold by this prospectus include:

- 367,647 shares of our common stock previously issued and sold to Lincoln Park on September 21, 2020, or the Initial Purchase Shares, pursuant to the Purchase Agreement, at a purchase price of \$1.36 per share for total gross proceeds of \$500,000;
- 220,588 shares of our common stock being issued to Lincoln Park as consideration for its commitment to purchase shares of our common stock under the Purchase Agreement, or the Commitment Shares; and
- Up to 9,963,664 shares of our common stock we may sell to Lincoln Park from time to time, at our sole discretion, over the next 36 months in accordance with the Purchase Agreement.

Selling stockholder

Lincoln Park Capital Fund, LLC. See “Selling Stockholder” on page 19 of this prospectus.

Use of proceeds

We will not receive any proceeds from the sale of common stock by Lincoln Park pursuant to this prospectus.

We received gross proceeds of \$500,000 from Lincoln Park for the Initial Purchase Shares and we may receive additional gross proceeds of up to \$14.5 million from the sale of shares to Lincoln Park under the Purchase Agreement after the date of this prospectus. Such proceeds will be used for working capital and general corporate purposes. See “Use of Proceeds” on page 11 of this prospectus.

Risk factors

You should read the “Risk Factors” section included or incorporated by reference in this prospectus for a discussion of factors to consider carefully before deciding to invest in shares of our common stock.

Nasdaq Capital Market symbol

“ALRN”

RISK FACTORS

Investing in our common stock involves a high degree of risk. Before you decide to invest in our common stock, you should carefully consider the risks described in the section captioned "Risk Factors" in our most recent Annual Report on Form 10-K, our most recent Quarterly Report on Form 10-Q and other filings we make with the Securities and Exchange Commission, or SEC, from time to time, which are incorporated by reference herein in their entirety, together with the other information in this prospectus and the information and documents incorporated by reference in this prospectus. If any of the risks described in our most recent Annual Report on Form 10-K, our most recent Quarterly Report on Form 10-Q and the other filings incorporated by reference herein occur, our business, financial condition, results of operations and future growth prospects could be harmed. In these circumstances, the market price of our common stock could decline, and you may lose all or part of your investment.

Risks Related to the Offering

The sale or issuance of shares of our common stock to Lincoln Park may cause dilution, and the sale of shares of common stock acquired by Lincoln Park, or the perception that such sales may occur, could cause the price of our common stock to fall.

On September 21, 2020, we entered into the Purchase Agreement with Lincoln Park, pursuant to which Lincoln Park has committed to purchase up from us to \$15.0 million of shares of our common stock at our discretion. As consideration for the execution of the Purchase Agreement, we issued 220,599 Commitment Shares to Lincoln Park for its commitment to purchase shares of our Common stock under the Purchase Agreement. Lincoln Park also made an initial purchase of \$500,000 of our common stock on September 21, 2020.

The 10,551,899 shares of our common stock being registered for resale hereunder represent shares of our common stock that have been or may be issued and sold under the Purchase Agreement to Lincoln Park at our sole discretion from time to time over a 36-month period commencing after the satisfaction of certain conditions set forth in the Purchase Agreement. The purchase price for the shares of our common stock that we may sell to Lincoln Park under the Purchase Agreement will fluctuate based on the public trading price of our common stock. Depending on market liquidity at the time, sales of such shares of our common stock may cause the public trading price of our common stock to decrease.

We generally have the right to control the timing and amount of any future sales of shares of our common stock to Lincoln Park. Sales of shares of our common stock, if any, to Lincoln Park will depend upon market conditions and other factors to be determined by us. We may ultimately decide to sell to Lincoln Park all, some or none of the additional shares of our common stock that may be available for us to sell pursuant to the Purchase Agreement. Therefore, sales to Lincoln Park by us could result in substantial dilution to the interests of other holders of our common stock. Additionally, the sale of a substantial number of shares of our common stock to Lincoln Park, or the anticipation of such sales, could make it more difficult for us to sell equity or equity-related securities in the future at a time and at a price that we might otherwise wish to effect sales. If and when we do sell shares of our common stock to Lincoln Park, after Lincoln Park has acquired the shares of common stock, Lincoln Park may resell all, some or none of those shares of common stock at any time or from time to time in its discretion.

We may not have access to the full amount available under the Purchase Agreement with Lincoln Park.

Although the Purchase Agreement provides that we may sell up to \$15.0 million of shares of common stock to Lincoln Park, only 10,551,899 of the shares of common stock that have been or may be issued to Lincoln Park are being offered under this prospectus, of which an aggregate of 588,235 shares represent the Initial Purchase Shares and the Commitment Shares and of which 9,963,664 shares represent shares that may be issued

[Table of Contents](#)

and sold to Lincoln Park in the future under the Purchase Agreement, if and when we sell shares of our common stock to Lincoln Park under the Purchase Agreement. As a result, depending on the market prices of our common stock, we may not be able to sell the full \$15.0 million commitment amount contemplated by the Purchase Agreement.

Pursuant to the terms of the Purchase Agreement, we may be able to issue and sell more shares of our common stock to Lincoln Park than can be represented by the 10,551,899 shares of common stock registered for resale under the registration statement that includes this prospectus. In such case, before we can sell any additional shares to Lincoln Park, we will need to register for resale under the Securities Act additional shares of common stock, which will require additional time, resources and cost to us. In addition, the issuance and sale of such additional shares of common stock could cause substantial dilution to our stockholders.

In addition, under the rules of the Nasdaq Capital Market and pursuant to the terms of the Purchase Agreement, in no event may we issue more than 19.99% of our shares outstanding under the Purchase Agreement unless we obtain stockholder approval or an exception pursuant to the rules of the Nasdaq Capital Market is obtained to issue more than 19.99%. This limitation will not apply in certain limited circumstances as set out in the Purchase Agreement. We are not permitted to issue any shares of common stock under the Purchase Agreement if such issuance would breach our obligations under the rules or regulations of the Nasdaq Capital Market. In addition, Lincoln Park will not be required to purchase any shares of our common stock if such sale would result in Lincoln Park's beneficial ownership exceeding 9.99% of the then outstanding shares of our common stock. Our inability to access a portion or the full amount available under the Purchase Agreement, in the absence of any other financing sources, could have a material adverse effect on our business.

Lincoln Park will pay less than the then-prevailing market price for shares of common stock, which could cause the price of our common stock to decline.

The purchase price of shares of common stock sold to Lincoln Park under the Purchase Agreement is derived from the market price of our common stock on the Nasdaq Capital Market. The shares of common stock to be sold to Lincoln Park pursuant to the Purchase Agreement will be purchased at a discounted price depending on the type of purchase.

Regular purchases will be purchased at a price equal to the lower of: (i) the lowest sale price of our common stock on the applicable purchase date and (ii) the arithmetic average of the three (3) lowest closing sale prices for our common stock during the ten consecutive business days ending on the business day immediately preceding such purchase date (in each case, to be appropriately adjusted for any reorganization, recapitalization, non-cash dividend, share split or other similar transaction that occurs on or after the date of this Agreement). Accelerated purchases will be purchased at a price equal to 97% of the lower of (i) the closing sale price of our common stock on the applicable purchase date and (ii) the volume weighted average price of our common stock as reported on the Nasdaq on the applicable purchase date.

As a result of this pricing structure, Lincoln Park may sell the shares of our common stock that it receives immediately after receipt of the shares of common stock, which could cause the price of our common stock to decrease.

CAUTIONARY NOTE REGARDING FORWARD-LOOKING STATEMENTS AND INDUSTRY DATA

This prospectus and the information incorporated by reference herein and therein include “forward-looking statements” within the meaning of Section 27A of the Securities Act and Section 21E of the Securities Exchange Act of 1934, as amended. These statements are based on expectations, estimates, forecasts and projections about the industry in which we operate and the beliefs and assumptions of our management. The words “anticipate,” “believe,” “goals,” “seek,” “estimate,” “expect,” “hypothesize,” “intend,” “may,” “might,” “plan,” “predict,” “project,” “target,” “potential,” “will,” “would,” “could,” “should,” “continue” and similar expressions are intended to identify forward-looking statements, although not all forward-looking statements contain these identifying words.

The forward-looking statements in this prospectus and the information incorporated by reference herein and therein include, among other things, statements about:

- our plans to develop and commercialize ALRN-6924 and other product candidates, including the potential benefits thereof;
- our ongoing and future clinical trials for ALRN-6924, whether conducted by us or by any future collaborators, including the timing of initiation of these trials and of the anticipated results;
- our expectations regarding our ability to fund our operating expenses and capital expenditure requirements with our cash, cash equivalents and investments;
- our estimates regarding expenses, future revenue, capital requirements and needs for additional financing;
- the timing of and our ability to obtain and maintain marketing approvals for our product candidates;
- the rate and degree of market acceptance and clinical utility of any products for which we receive marketing approval;
- our commercialization, marketing and manufacturing capabilities and strategy;
- our intellectual property position and strategy;
- our ability to identify additional product candidates with significant commercial potential;
- our plans to enter into collaborations for the development and commercialization of product candidates;
- potential benefits of any future collaboration;
- developments relating to our competitors and our industry;
- the impact of government laws and regulations;
- the impact the coronavirus pandemic will have on the timing of our clinical development and operations;
- our ability to continue as a going concern;
- our ability to maintain our listing on the Nasdaq Capital Market.

We may not actually achieve the plans, intentions or expectations disclosed in our forward-looking statements, and you should not place undue reliance on our forward-looking statements. Actual results or events could differ materially from the plans, intentions and expectations disclosed in the forward-looking statements we make. We have included important factors in the cautionary statements included, or incorporated by reference, in this prospectus, particularly in the “Risk Factors” section, that we believe could cause actual results or events to differ materially from the forward-looking statements that we make. You should also carefully

[Table of Contents](#)

review the risk factors and cautionary statements described in the other documents we file from time to time with the SEC that are incorporated herein by reference, specifically our most recent Annual Report on Form 10-K, our Quarterly Reports on Form 10-Q and our Current Reports on Form 8-K. Our forward-looking statements do not reflect the potential impact of any future acquisitions, mergers, dispositions, collaborations, joint ventures or investments that we may make or enter into.

You should read this prospectus, the documents incorporated by reference in herein and the documents that we have filed as exhibits to the registration statement of which this prospectus is a part completely and with the understanding that our actual future results may be materially different from what we expect. The forward-looking statements contained in this prospectus and incorporated by reference herein are made as of the date hereof, and we do not assume any obligation to update any forward-looking statements except as required by applicable law.

USE OF PROCEEDS

This prospectus relates to the shares of common stock that may be offered and sold from time to time by Lincoln Park. We are not selling any securities under this prospectus and we will not receive any proceeds from the sale of shares by Lincoln Park.

However, we may receive up to an additional \$14.5 million in aggregate gross proceeds under the Purchase Agreement from any sales of common stock we make to Lincoln Park pursuant to the Purchase Agreement after the date of prospectus. Any proceeds we receive from our sale of shares of common stock to Lincoln Park under the Purchase Agreement will be used for general corporate purposes, which may include working capital and capital expenditures, research and development expenses, including clinical trial costs, general and administrative expenses, potential acquisition of, or investment in, companies, technologies, products or assets that complement our business, and repayment and refinancing of debt.

We may temporarily invest the net proceeds in investment-grade, interest-bearing securities until they are used for their stated purpose. We have not determined the amount of net proceeds to be used specifically for such purposes. As a result, management will retain broad discretion over the allocation of any proceeds from the sale of any shares of our common stock under the Purchase Agreement.

DILUTION

The sale of shares of our common stock to Lincoln Park pursuant to the Purchase Agreement will have a dilutive impact on our stockholders. In addition, the lower the price of our common stock is at the time we exercise our right to sell shares to Lincoln Park, the more shares of common stock we will have to issue to Lincoln Park pursuant to the Purchase Agreement and the more dilution our existing stockholders will experience.

Our net tangible book value as of June 30, 2020 was approximately \$16.7 million, or \$0.43 per share. Net tangible book value per share is determined by dividing our total tangible assets, less total liabilities, by the number of shares of common stock outstanding as of June 30, 2020. Dilution with respect to net tangible book value per share represents the difference between the amount per share paid by Lincoln Park to us pursuant to the Purchase Agreement and the net tangible book value per share of common stock immediately after such issuances to Lincoln Park.

After giving effect to the issuance of an aggregate of 588,235 shares of our common stock as the Initial Purchase Shares and the Commitment Shares and assuming the sale of additional 9,963,664 shares of our common stock as Purchase Shares to Lincoln Park pursuant to the Purchase Agreement at an assumed average sale price of \$1.16 per share, the last reported sale price of our common stock on the Nasdaq Capital Market on October 2, 2020, and after deducting estimated offering expenses payable by us, our as adjusted net tangible book value as of June 30, 2020 would have been approximately \$27.1 million, or \$0.53 per share. This represents an immediate increase in net tangible book value of \$0.10 per share to existing stockholders and dilution of \$0.63 per share of as adjusted net tangible book value to investors based on the assumed average sale price of \$1.16 per share.

To the extent that options or warrants outstanding as of June 30, 2020 have been or may be exercised or other shares are issued, investors purchasing shares of our common stock in this offering may experience further dilution. In addition, we may choose to raise additional capital due to market conditions or strategic considerations even if we believe we have sufficient funds for our current or future operating plans. To the extent that additional capital is raised through the sale of equity or convertible debt securities, the issuance of these securities could result in further dilution to our stockholders.

THE LINCOLN PARK TRANSACTION

General

On September 21, 2020, we entered into the Purchase Agreement and a Registration Rights Agreement with Lincoln Park. The Purchase Agreement provides that, subject to the terms and conditions set forth therein, we may sell, at our discretion, to Lincoln Park up to \$15 million of shares of common stock from time to time during the 36-month term of the Purchase Agreement. Pursuant to the terms of the Registration Rights Agreement, we have filed the registration statement of which this prospectus is a part to register for resale under the Securities Act the shares that have been or may be issued to Lincoln Park under the Purchase Agreement.

Pursuant to the terms of the Purchase Agreement, on September 21, 2020 we issued and sold 367,647 shares of our common stock to Lincoln Park at a price per share of \$1.36 as the Initial Purchase Shares and we issued an additional 220,588 shares of our common stock to Lincoln Park as the Commitment Shares as consideration for its commitment to purchase shares of our common stock under the Purchase Agreement. The aggregate of 588,235 shares issued as Initial Purchase Shares and Commitment Shares are included in the 10,551,899 shares of common stock being registered under the registration statement of which this prospectus is a part.

Under the terms and subject to the conditions of the Purchase Agreement, we have the right, but not the obligation, to sell to Lincoln Park, and Lincoln Park is obligated to purchase from us up to an additional \$14.5 million of shares of our common stock. Such sales of common stock by us, if any, will be subject to certain limitations, and may occur from time to time, at our sole discretion, over a 36-month period commencing on the date that the registration statement of which this prospectus is a part is declared effective by the SEC and a final prospectus in connection therewith is filed and the other conditions set forth in the Purchase Agreement are satisfied. Lincoln Park has no right to require us to sell any shares of common stock to Lincoln Park, but Lincoln Park is obligated to make purchases as we direct, subject to conditions set forth in the Purchase Agreement.

As of September 30, 2020, there were 39,874,847 shares of our common stock outstanding, of which 31,655,697 shares were held by non-affiliates. Although the Purchase Agreement provides that we may sell up to \$15,000,000 of our common stock to Lincoln Park, only 10,551,899 of the shares of common stock that have been or may be issued to Lincoln Park are being offered under this prospectus. Depending on the market prices of our common stock at the time we elect to issue and sell shares to Lincoln Park under the Purchase Agreement, in accordance with the terms of the Registration Rights Agreement, we may need to register for resale under the Securities Act additional shares of our common stock in order to receive aggregate gross proceeds equal to the \$15.0 million total commitment available to us under the Purchase Agreement. If we elect to issue and sell more than the 10,551,899 shares offered under this prospectus to Lincoln Park, which we have the right, but not the obligation, to do, we must first register for resale under the Securities Act any such additional shares, which could cause additional substantial dilution to our stockholders. The number of shares ultimately offered for resale by Lincoln Park is dependent upon the number of shares we sell to Lincoln Park under the Purchase Agreement.

Under applicable rules of Nasdaq, in no event may we issue or sell to Lincoln Park under the Purchase Agreement shares of our common stock in excess of 7,854,619 shares (including the Initial Purchase Shares and the Commitment Shares), which represents 19.99% of the 39,258,100 shares of our common stock that were outstanding immediately prior to the execution of the Purchase Agreement, or the Exchange Cap, unless (i) we obtain stockholder approval to issue shares of our common stock in excess of the Exchange Cap or (ii) the average price of all applicable sales of our common stock to Lincoln Park under the Purchase Agreement equals or exceeds \$1.3376 per share (which represents the arithmetic average of the closing prices of our common stock for the five consecutive trading days immediately preceding the execution of the Purchase Agreement) plus an incremental amount for the Commitment Shares, such that the issuances and sales of our common stock to Lincoln Park under the Purchase Agreement would be exempt from the Exchange Cap limitation under applicable Nasdaq rules.

[Table of Contents](#)

The Purchase Agreement also prohibits us from directing Lincoln Park to purchase any shares of our common stock if those shares, when aggregated with all other shares of common stock then beneficially owned by Lincoln Park and its affiliates, would result in Lincoln Park and its affiliates having beneficial ownership, at any single point in time, of more than 9.99% of the then total outstanding shares of common stock, which limitation we refer to as the Beneficial Ownership Cap.

Purchase of Shares under the Purchase Agreement

Regular Purchases

Under the Purchase Agreement, we may from time to time, at its discretion, direct Lincoln Park to purchase on any single business day up to (i) 250,000 shares of common stock if the closing sale price of our common stock is at least \$1.50 per share on Nasdaq, (ii) 200,000 shares of common stock if the closing sale price of our common stock is at least \$1.00 per share on Nasdaq or (iii) 150,000 shares of common stock if the closing sale price of our common stock is below \$1.00 per share on Nasdaq. In any case, Lincoln Park's commitment in any single Regular Purchase may not exceed \$1,000,000. We refer to any date on which Regular Purchases are made as a "purchase date." The foregoing share amounts and per share prices will be adjusted for any reorganization, recapitalization, non-cash dividend, stock split, reverse stock split or other similar transaction occurring after the date of the Purchase Agreement.

The purchase price per share for each such Regular Purchase will be equal to the lower of:

- the lowest sale price for our common stock on Nasdaq on the purchase date of such shares; and
- the average of the three lowest closing sale prices for our common stock on Nasdaq during the 10 consecutive business days ending on the business day immediately preceding the purchase date of such shares.

Accelerated Purchases

We may also direct Lincoln Park, on any business day on which we have properly submitted a Regular Purchase notice for the maximum number of shares of our common stock that we are then permitted to include in a single Regular Purchase notice, to purchase an additional amount of our common stock, which we refer to as an Accelerated Purchase, not to exceed the lesser of:

- three times the number of shares purchased pursuant to such corresponding Regular Purchase; and
- 30% of the aggregate shares of our common stock traded on Nasdaq during all or, if certain trading volume or market price thresholds specified in the Purchase Agreement are crossed on the applicable Accelerated Purchase date, which is defined as the next business day following the purchase date for the corresponding Regular Purchase, the portion of the normal trading hours on the applicable Accelerated Purchase date prior to such time that any one of such thresholds is crossed, which period of time on the applicable Accelerated Purchase date we refer to as the "Accelerated Purchase Measurement Period".

The purchase price per share for each such Accelerated Purchase will be equal to 97% of the lower of:

- the volume-weighted average price of our common stock on Nasdaq during the applicable Accelerated Purchase Measurement Period on the applicable Accelerated Purchase date; and
- the closing sale price of our common stock on Nasdaq on the applicable Accelerated Purchase date.

Additional Accelerated Purchases

We may also direct Lincoln Park on any business day on which an Accelerated Purchase has been completed and all of the shares to be purchased thereunder (and under the corresponding Regular Purchase) have been properly delivered to Lincoln Park in accordance with the Purchase Agreement prior to such time on such business day to purchase an additional amount of our common stock, which we refer to as an Additional Accelerated Purchase, of up to the lower of:

- three times the number of shares purchased pursuant to the applicable corresponding Regular Purchase; and
- 30% of the aggregate shares of our common stock traded on Nasdaq during a certain portion of the normal trading hours on the applicable Additional Accelerated Purchase date as determined in accordance with the Purchase Agreement, which period of time on the applicable Additional Accelerated Purchase date we refer to as the Additional Accelerated Purchase Measurement Period.

We may, in our sole discretion, submit multiple Additional Accelerated Purchase notices to Lincoln Park on a single Accelerated Purchase date, provided that all prior Accelerated Purchases and Additional Accelerated Purchases (including those that have occurred earlier on the same day) have been completed and all of the shares to be purchased thereunder (and under the corresponding Regular Purchase) have been properly delivered to Lincoln Park in accordance with the Purchase Agreement.

The purchase price per share for each such Additional Accelerated Purchase will be equal to 97% of the lower of:

- the volume-weighted average price of our common stock on Nasdaq during the applicable Additional Accelerated Purchase Measurement Period on the applicable Additional Accelerated Purchase date; and
- the closing sale price of our common stock on Nasdaq on the applicable Additional Accelerated Purchase date.

In the case of Regular Purchases, Accelerated Purchases and Additional Accelerated Purchases, the purchase price per share will be equitably adjusted for any reorganization, recapitalization, non-cash dividend, stock split, reverse stock split or other similar transaction occurring during the business days used to compute the purchase price.

Other than as described above, there are no trading volume requirements or restrictions under the Purchase Agreement, and we will control the timing and amount of any sales of our common stock to Lincoln Park.

Minimum Share Price

Under the Purchase Agreement, we may not effect any sales of our common stock on any purchase date that the closing sale price of our common stock is less than the floor price of \$0.30 per share of common stock, subject to adjustment.

Events of Default

Events of default under the Purchase Agreement include the following:

- the effectiveness of the registration statement of which this prospectus forms a part lapses for any reason (including, without limitation, the issuance of a stop order), or any required prospectus supplement and accompanying prospectus are unavailable for the resale by Lincoln Park of our

common stock offered hereby, and such lapse or unavailability continues for a period of 10 consecutive business days or for more than an aggregate of 30 business days in any 365-day period, but excluding a lapse or unavailability where (i) we terminate a registration statement after Lincoln Park has confirmed in writing that all of the shares of our common stock covered thereby have been resold or (ii) we supersede one registration statement with another registration statement, including (without limitation) by terminating a prior registration statement when it is effectively replaced with a new registration statement covering the shares of our common stock covered by the Purchase Agreement (provided in the case of this clause (ii) that all of the shares of our common stock covered by the superseded (or terminated) registration statement that have not theretofore been resold are included in the superseding (or new) registration statement);

- suspension by the principal market of our common stock from trading for a period of one business day;
- the delisting of our common stock from the Nasdaq Global Select Market, our principal market, provided that our common stock is not immediately thereafter trading on the Nasdaq Global Market, the Nasdaq Global Select Market, the New York Stock Exchange, the NYSE Arca, the NYSE American, the OTC Bulletin Board or the OTC Markets (or any nationally recognized successor thereto);
- the failure for any reason by our transfer agent to issue shares of our common stock to Lincoln Park within one business day after any Regular Purchase date, Accelerated Purchase date or Additional Accelerated Purchase date, as applicable, on which Lincoln Park is entitled to receive such shares;
- any breach of the representations, warranties, covenants or other term or condition contained in the Purchase Agreement or Registration Rights Agreement that has or could have a material adverse effect on us and, in the case of a breach of a covenant that is reasonably curable, that is not cured within five business days;
- any voluntary or involuntary participation or threatened participation in insolvency or bankruptcy proceedings by or against us;
- if at any time we are not eligible to transfer our common stock electronically via the DWAC system;
- if at any time the Exchange Cap is reached and our stockholders have not approved the transactions contemplated by the Purchase Agreement in accordance with the applicable Nasdaq rules, to the extent applicable; or

Lincoln Park does not have the right to terminate the Purchase Agreement upon any of the events of default set forth above, however, the Purchase Agreement will automatically terminate upon initiation of insolvency or bankruptcy proceedings by or against us. During an event of default, all of which are outside of Lincoln Park's control, we may not direct Lincoln Park to purchase any shares of our common stock under the Purchase Agreement.

Our Termination Rights

We have the unconditional right, at any time, for any reason and without any payment or liability to us, to give notice to Lincoln Park to terminate the Purchase Agreement.

No Short-Selling or Hedging by Lincoln Park

Lincoln Park has agreed that neither it nor any of its affiliates shall engage in any direct or indirect short-selling or hedging of our common stock during any time prior to the termination of the Purchase Agreement.

Prohibitions on Similar Financings

Subject to specified exceptions included in the Purchase Agreement, we are limited in our ability to enter into any new “equity line” or similar transaction whereby an investor is irrevocably bound to purchase securities over a period of time from us at a price based on the market price of our common stock at the time of such purchase.

Effect of Performance of the Purchase Agreement on our Stockholders

All shares registered for resale in this offering that have been or may be issued or sold by us to Lincoln Park under the Purchase Agreement are expected to be freely tradable. The sale by Lincoln Park of a significant amount of shares registered for resale in this offering at any given time could cause the market price of our common stock to decline and to be highly volatile. Sales of our common stock to Lincoln Park, if any, will depend upon market conditions and other factors to be determined by us. We may ultimately decide to sell to Lincoln Park all, some or none of the additional shares of our common stock that may be available for us to sell pursuant to the Purchase Agreement. If and when we do sell shares to Lincoln Park, after Lincoln Park has acquired the shares, Lincoln Park may resell all, some or none of those shares at any time or from time to time in its discretion. Therefore, sales to Lincoln Park by us under the Purchase Agreement may result in substantial dilution to the interests of other holders of our common stock. In addition, if we sell a substantial number of shares to Lincoln Park under the Purchase Agreement, or if investors expect that we will do so, the actual sales of shares or the mere existence of our arrangement with Lincoln Park may make it more difficult for us to sell equity or equity-related securities in the future at a time and at a price that we might otherwise wish to effect such sales. However, we have the right to control the timing and amount of any additional sales of our shares to Lincoln Park and the Purchase Agreement may be terminated by us at any time at our discretion without any cost to us.

Pursuant to the terms of the Purchase Agreement and as of the date hereof, we have the right, but not the obligation, to direct Lincoln Park to purchase from us up to \$15.0 million of our common stock. Depending on the price per share at which we sell our common stock to Lincoln Park pursuant to the Purchase Agreement, we may need to sell to Lincoln Park under the Purchase Agreement more shares of our common stock than are offered under this prospectus in order to receive aggregate gross proceeds equal to the \$14.5 million total commitment available to us under the Purchase Agreement. If we choose to do so, we must first register for resale under the Securities Act such additional shares of our common stock, which could cause additional substantial dilution to our stockholders. The number of shares ultimately offered for resale by Lincoln Park under this prospectus is dependent upon the number of shares we direct Lincoln Park to purchase under the Purchase Agreement.

The following table sets forth the amount of gross proceeds we would receive from Lincoln Park from our sale of shares to Lincoln Park under the Purchase Agreement at varying purchase prices:

<u>Assumed Average Purchase Price Per Purchase Share (1)</u>	<u>Number of Shares to be Issued if Full Purchase (1)</u>	<u>Percentage of Outstanding Shares After Giving Effect to the Issuance to Lincoln Park (2)</u>	<u>Gross Proceeds from the Sale Shares to Lincoln Park Under the Purchase Agreement</u>
\$0.50	7,854,619	19.6%	\$ 3,927,395
\$1.00	7,854,619	19.6%	\$ 7,854,618
\$1.29 (3)	7,854,619	19.6%	\$ 10,132,458
\$1.50	9,666,667	23.1%	\$ 14,500,000
\$2.00	7,250,000	18.4%	\$ 14,500,000

- (1) Although the Purchase Agreement provides that we may sell up to \$15,000,000 of our common stock to Lincoln Park, we are only registering 10,551,899 shares under this prospectus which represents: (i) 367,647 shares issued and sold as the Initial Purchase Shares, (ii) 220,588 shares issued as Commitment Shares and

[Table of Contents](#)

(iii) an additional 9,963,664 shares which may be issued to Lincoln Park in the future under the Purchase Agreement, if and when we sell shares to Lincoln Park under the Purchase Agreement. This number of shares of common stock may or may not cover all the shares we ultimately sell to Lincoln Park under the Purchase Agreement, depending on the purchase price per share. As a result, we have included in this column only those shares that we are registering for resale in this offering, while giving effect to the Exchange Cap and without regard for the Beneficial Ownership Cap.

- (2) The denominator is based on 39,874,847 shares outstanding as of September 30, 2020, which includes (i) 367,647 shares of our common stock issued and sold to Lincoln Park as the Initial Purchase Shares, (ii) 220,588 shares of our common stock issued to Lincoln Park as Commitment Shares and (iii) the number of shares set forth in the adjacent column that we would have sold to Lincoln Park, assuming the average purchase price in the first column. The numerator is based on the number of shares issuable under the Purchase Agreement at the corresponding assumed average purchase price set forth in the first column.
- (3) The closing sale price of our common stock on Nasdaq on September 30, 2020.

THE SELLING STOCKHOLDER

This prospectus relates to the possible resale by the selling stockholder, Lincoln Park, of shares of our common stock that have been or may be issued to Lincoln Park pursuant to the Purchase Agreement. We are filing the registration statement of which this prospectus is a part pursuant to the provisions of the Registration Rights Agreement, which we entered into with Lincoln Park on September 21, 2020 concurrently with our execution of the Purchase Agreement, in which we agreed to provide certain registration rights with respect to sales by Lincoln Park of the shares of our common stock that have been or may be issued to Lincoln Park under the Purchase Agreement.

Lincoln Park, as the selling stockholder, may, from time to time, offer and sell pursuant to this prospectus up to 10,551,899 shares of our common stock that we have issued or may issue to Lincoln Park under the Purchase Agreement. The selling stockholder may sell some, all or none of the shares of common stock. We do not know how long the selling stockholder will hold the shares of our common stock before selling them, and we currently have no agreements, arrangements or understandings with the selling stockholder regarding the sale of any of the shares of common stock. See “Plan of Distribution.”

The table below sets forth, to our knowledge, information concerning the beneficial ownership of shares of our common stock by the selling stockholder as of September 30, 2020. The percentages of shares owned before and after the offering are based on 39,874,847 shares of common stock outstanding as of September 30, 2020, which includes the outstanding shares of common stock offered by this prospectus. The information in the table below with respect to the selling stockholder has been obtained from the selling stockholder.

Beneficial ownership is determined in accordance with the rules of the SEC and includes voting or investment power with respect to shares. Unless otherwise indicated below, to our knowledge, all persons named in the table have sole voting and investment power with respect to their shares of common stock. The inclusion of any shares in this table does not constitute an admission of beneficial ownership for the person named below.

Throughout this prospectus, when we refer to the shares of common stock being offered by this prospectus on behalf of the selling stockholder, we are referring to the shares of common stock sold pursuant to the Purchase Agreement unless otherwise indicated.

<u>Name of Selling Stockholder</u>	<u>Shares Beneficially Owned Prior to Offering</u>		<u>Shares to be Sold in this Offering Assuming We Issue the Maximum Number of Shares Under the Purchase Agreement (3)</u>	<u>Shares to be Beneficially Owned After Offering</u>	
	<u>Number</u>	<u>Percentage</u>		<u>Number</u>	<u>Percentage</u>
Lincoln Park Capital Fund, LLC (1)	942,539(2)	2.4%	10,551,899	354,304	*

* Less than one percent.

- (1) Josh Scheinfeld and Jonathan Cope, the Managing Members of Lincoln Park Capital, LLC, are deemed to be beneficial owners of all of the shares of common stock owned by Lincoln Park Capital Fund, LLC. Messrs. Cope and Scheinfeld have shared voting and investment power over the shares being offered under this prospectus. Lincoln Park Capital, LLC is not a licensed broker dealer or an affiliate of a licensed broker dealer. The address of Lincoln Park Capital Fund, LLC is 440 North Wells, Suite 410, Chicago, Illinois 60654.
- (2) Consists of (i) 743,535 shares of common stock held by Lincoln Park on September 30, 2020 and (ii) 199,004 shares of common stock which may be acquired upon the exercise of warrants held by Lincoln Park.

[Table of Contents](#)

- (3) Although the Purchase Agreement provides that we may sell up to \$15,000,000 of our common stock to Lincoln Park, only 10,551,899 of the shares of common stock that have been or may be issued to Lincoln Park are being offered under this prospectus which represents: (i) 367,647 shares issued and sold as the Initial Purchase Shares, (ii) 220,588 shares issued as Commitment Shares and (iii) an aggregate of 9,963,664 shares that may be sold by us to Lincoln Park at our discretion from time to time over a 36-month period commencing after the satisfaction of certain conditions set forth in the Purchase Agreement. Depending on the price per share at which we sell our common stock to Lincoln Park pursuant to the Purchase Agreement, we may need to sell to Lincoln Park under the Purchase Agreement more shares of our common stock than are offered under this prospectus in order to receive aggregate gross proceeds equal to the additional \$14.5 million available to us under the Purchase Agreement. If we choose to do so, we must first register for resale under the Securities Act such additional shares. The number of shares ultimately offered for resale by Lincoln Park is dependent upon the number of shares we sell to Lincoln Park under the Purchase Agreement.

DESCRIPTION OF CAPITAL STOCK

General

The following description of our capital stock is intended as a summary only and therefore is not complete. This description is based upon, and is qualified by reference to, our certificate of incorporation and our by-laws, each as amended from time to time, and by applicable provisions of Delaware corporate law. You should read our certificate of incorporation and by-laws, which are filed as exhibits to the registration statement of which this prospectus forms a part, for the provisions that are important to you.

Our authorized capital stock consists of 150,000,000 shares of common stock, par value \$0.001 per share, and 5,000,000 shares of preferred stock, par value \$0.001 per share. The following description of our capital stock and provisions of our restated certificate of incorporation and amended and restated by-laws are summaries and are qualified by reference to our certificate of incorporation and by-laws. Copies of these documents are filed with the SEC as exhibits to our registration statement of which this prospectus forms a part. As of September 30, 2020, 39,874,847 shares of common stock were outstanding and no shares of preferred stock were outstanding.

Common Stock

Voting Rights. Holders of our common stock are entitled to one vote for each share held on all matters submitted to a vote of stockholders and do not have cumulative voting rights. An election of directors by our stockholders will be determined by a plurality of the votes cast by the stockholders entitled to vote on the election. Any matter other than the election of directors to be voted upon by the stockholders at such meeting will be decided by the affirmative vote of our stockholders having a majority in voting power of the votes cast by the stockholders present or represented and voting on such matter, except when a different vote is required by law, our certificate of incorporation or our by-laws.

Dividends. Holders of our common stock are entitled to receive proportionately any dividends as may be declared and paid on the common stock from funds lawfully available therefor as and when determined by our board of directors, subject to any preferential dividend rights of outstanding preferred stock.

Liquidation and Dissolution. In the event of our liquidation or dissolution, the holders of our common stock are entitled to receive proportionately all assets available for distribution to stockholders after the payment of all debts and other liabilities and subject to the prior rights of any outstanding preferred stock.

Other Rights. Holders of our common stock have no preemptive, subscription, redemption or conversion rights. The rights, preferences and privileges of holders of our common stock are subject to and may be adversely affected by the rights of the holders of shares of any series of preferred stock that we may designate and issue in the future. Outstanding shares of our common stock are non-assessable. Holders of our common stock are not, and will not be, subject to any liability as stockholders.

Preferred Stock

Under the terms of our certificate of incorporation, our board of directors is authorized to issue shares of preferred stock in one or more series without stockholder approval. Our board of directors has the discretion to determine the rights, preferences, privileges and restrictions, including voting rights, dividend rights, conversion rights, redemption privileges and liquidation preferences, of each series of preferred stock. The issuance of preferred stock could impede the completion of a merger, tender offer or other takeover attempt.

Provisions of Our Certificate of Incorporation and By-laws and the DGCL That May Have Anti-Takeover Effects

Delaware law contains, and our certificate of incorporation and by-laws contain, provisions that could have the effect of delaying, deferring or discouraging another party from acquiring control of us. These provisions, which are summarized below, are expected to discourage coercive takeover practices and inadequate takeover bids. These provisions are also designed to encourage persons seeking to acquire control of us to first negotiate with our board of directors.

Staggered Board; Removal of Directors

Our certificate of incorporation and by-laws divide our board of directors into three classes with staggered three-year terms. In addition, a director may be removed only for cause and only by the affirmative vote of the holders of at least 75% of the votes that all of our stockholders would be entitled to cast in an annual election of directors. Any vacancy on our board of directors, including a vacancy resulting from an enlargement of our board of directors, may be filled only by vote of a majority of our directors then in office. The classification of our board of directors and the limitations on the removal of directors and filling of vacancies could make it more difficult for a third party to acquire, or discourage a third party from seeking to acquire, control of our company.

Stockholder Action by Written Consent; Special Meetings

Our certificate of incorporation provides that any action required or permitted to be taken by our stockholders must be effected at a duly called annual or special meeting of such holders and may not be effected by any consent in writing by such holders. Our certificate of incorporation and by-laws also provide that, except as otherwise required by law, special meetings of our stockholders can only be called by the chairman of our board of directors, our chief executive officer or our board of directors.

Advance Notice Requirements for Stockholder Proposals

Our by-laws establish an advance notice procedure for stockholder proposals to be brought before an annual meeting of stockholders, including proposed nominations of persons for election to our board of directors. Stockholders at an annual meeting may consider proposals or nominations specified in the notice of meeting or brought before the meeting by or at the direction of our board of directors or by a stockholder of record on the record date for the meeting who is entitled to vote at the meeting and who has delivered timely written notice in proper form to our secretary of the stockholder's intention to bring such business before the meeting. These provisions could have the effect of delaying until the next stockholder meeting stockholder actions that are favored by the holders of a majority of our outstanding voting securities.

Delaware Business Combination Statute

We are subject to Section 203 of the General Corporation Law of the State of Delaware. Subject to certain exceptions, Section 203 prevents a publicly held Delaware corporation from engaging in a "business combination" with any "interested stockholder" for three years following the date that the person became an interested stockholder, unless the interested stockholder attained such status with the approval of our board of directors or unless the business combination is approved in a prescribed manner. A "business combination" includes, among other things, a merger or consolidation involving us and the "interested stockholder" and the sale of more than 10% of our assets. In general, an "interested stockholder" is any entity or person beneficially owning 15% or more of our outstanding voting stock and any entity or person affiliated with or controlling or controlled by such entity or person.

Amendment of Certificate of Incorporation and By-laws

The General Corporation Law of the State of Delaware provides generally that the affirmative vote of a majority of the shares entitled to vote on any matter is required to amend a corporation's certificate of incorporation or by-laws, unless a corporation's certificate of incorporation or by-laws, as the case may be, requires a greater percentage. Our by-laws may be amended or repealed by a majority vote of our board of directors or by the affirmative vote of the holders of at least 75% of the votes that all of our stockholders would be entitled to cast in any annual election of directors. In addition, the affirmative vote of the holders of at least 75% of the votes that all of our stockholders would be entitled to cast in any annual election of directors is required to amend or repeal or to adopt any provisions inconsistent with any of the provisions of our certificate of incorporation described above under "—Staggered Board; Removal of Directors" and "—Stockholder Action by Written Consent; Special Meetings."

Exclusive Forum Selection

Our certificate of incorporation provides that, unless we consent in writing to the selection of an alternative forum, the Court of Chancery of the State of Delaware (or if the Court of Chancery does not have jurisdiction, the federal district court for the District of Delaware) shall be the sole and exclusive forum for (1) any derivative action or proceeding brought on our behalf, (2) any action asserting a claim of breach of a fiduciary duty owed by any of our directors, officers or employees to our company or stockholders, (3) any action asserting a claim against us arising pursuant to any provision of the General Corporation Law of the State of Delaware or our certificate of incorporation or by-laws, or (4) any action asserting a claim against us governed by the internal affairs doctrine. We do not expect this choice of forum provision will apply to suits brought to enforce a duty or liability created by the Securities Act of 1933, as amended, the Exchange Act of 1934, as amended, or any other claim for which federal courts have exclusive jurisdiction. Although our certificate of incorporation contains the choice of forum provision described above, it is possible that a court could rule that such a provision is inapplicable for a particular claim or action or that such provision is unenforceable.

Authorized but Unissued Shares

Our authorized but unissued shares of common stock and preferred stock are available for future issuance without stockholder approval, subject to any limitations imposed by the listing requirements of the Nasdaq Capital Market. These additional shares may be used for a variety of corporate finance transactions, acquisitions and employee benefit plans. The existence of authorized but unissued and unreserved common stock and preferred stock could make it more difficult or discourage an attempt to obtain control of us by means of a proxy contest, tender offer, merger or otherwise.

Stock Options and Warrants

As of September 30, 2020, we had outstanding warrants to purchase 12,935,323 shares of our common stock at an exercise price of \$2.00 per share.

As of September 30, 2020, we had outstanding options to purchase 4,628,687 shares of our common stock at a weighted average price \$2.41 per share. The stock options expire 10 years after their grant date.

Listing on the Nasdaq Capital Market

Our common stock is listed on the Nasdaq Capital Market under the symbol "ALRN."

Transfer Agent and Registrar

The transfer agent and registrar for our common stock is Computershare Trust Company, N.A.

PLAN OF DISTRIBUTION

The shares of common stock offered by this prospectus are being offered by the selling stockholder, Lincoln Park. The selling stockholder may sell all or a portion of the shares of common stock offered hereby from time to time directly to purchasers or through one or more underwriters, broker-dealers or agents, at market prices prevailing at the time of sale, at prices related to such market prices, at a fixed price or prices subject to change or at negotiated prices, by a variety of methods including the following:

- on any national securities exchange or over-the-counter market on which the common stock may be listed or quoted at the time of sale;
- ordinary brokerage transactions and transactions in which the broker-dealer solicits purchasers;
- block trades in which a broker-dealer may attempt to sell the shares as agent but may position and resell a portion of the block as principal to facilitate the transaction;
- purchases by a broker-dealer, as principal, and a subsequent resale by the broker-dealer for its account;
- in “at the market” offerings to or through market makers into an existing market for the common stock;
- an exchange distribution in accordance with the rules of the applicable exchange;
- privately negotiated transactions;
- in transactions otherwise than on such exchanges or in the over-the-counter market;
- through a combination of any such methods; or
- through any other method permitted under applicable law.

We will pay the expenses incident to the registration and offering of the shares of common stock offered hereby. We have agreed to indemnify Lincoln Park and certain other persons against certain liabilities in connection with the offering of the shares of common stock offered hereby, including liabilities arising under the Securities Act or, if such indemnity is unavailable, to contribute amounts required to be paid in respect of such liabilities. Lincoln Park has agreed to indemnify us against liabilities under the Securities Act that may arise from certain written information furnished to us by Lincoln Park specifically for use in this prospectus or, if such indemnity is unavailable, to contribute amounts required to be paid in respect of such liabilities.

Lincoln Park is an “underwriter” within the meaning of Section 2(a)(11) of the Securities Act.

In effecting sales, brokers-dealers engaged by the selling stockholder may arrange for other brokers-dealers to participate. If the selling stockholder effects such transactions by selling shares of common stock to or through underwriters, broker-dealers or agents, such underwriters, broker-dealers or agents may receive commissions in the form of discounts, concessions or commissions from the selling stockholder or commissions from purchasers of common stock for whom they may act as agent or to whom they may sell as principal. Underwriters may sell securities to or through dealers, and dealers may receive compensation in the form of discounts, concessions or commissions from the underwriters and/or commissions from the purchasers for whom they may act as agent.

Any underwriters, brokers, dealers or agents that participate in such distribution may be deemed to be “underwriters” within the meaning of the Securities Act, and any discounts, commissions or concessions received by any underwriters, brokers, dealers or agents might be deemed to be underwriting discounts and commissions under the Securities Act. Any selling stockholder who is an “underwriter” within the meaning of the Securities Act will be subject to the prospectus delivery requirements of the Securities Act and the provisions of the Exchange Act and the rules thereunder relating to stock manipulation.

[Table of Contents](#)

We know of no existing arrangements between Lincoln Park or any other stockholder, broker, dealer, underwriter or agent relating to the sale or distribution of the shares offered by this prospectus.

Lincoln Park has represented to us that at no time prior to the Purchase Agreement has Lincoln Park or its agents, representatives or affiliates engaged in or effected, in any manner whatsoever, directly or indirectly, any short sale (as such term is defined in Rule 200 of Regulation SHO of the Exchange Act) of our common stock or any hedging transaction, which establishes a net short position with respect to our common stock. Lincoln Park agreed that during the term of the Purchase Agreement, it, its agents, representatives or affiliates will not enter into or effect, directly or indirectly, any of the foregoing transactions.

We have advised Lincoln Park that it is required to comply with Regulation M promulgated under the Exchange Act. With certain exceptions, Regulation M precludes the selling stockholder, any affiliated purchasers, and any broker-dealer or other person who participates in the distribution from bidding for or purchasing, or attempting to induce any person to bid for or purchase any security which is the subject of the distribution until the entire distribution is complete. Regulation M also prohibits any bids or purchases made in order to stabilize the price of a security in connection with the distribution of that security. All of the foregoing may affect the marketability of the securities offered by this prospectus.

In order to comply with the securities laws of some states, shares of our common stock sold in those jurisdictions may only be sold through registered or licensed brokers or dealers. In addition, in some states, shares of our common stock may not be sold unless the shares of common stock have been registered or qualified for sale in that state or an exemption from registration or qualification is available and is complied with.

This offering will terminate (i) on the date that all shares of common stock issued or issuable under the Purchase Agreement offered by this prospectus have been sold by Lincoln Park or (ii) 180 days following the earlier of (a) the maturity date (as set forth in the Purchase Agreement) and (b) the date of termination of the Purchase Agreement.

Our common stock is listed on the Nasdaq Capital Market under the symbol "ALRN".

LEGAL MATTERS

The validity of the shares of our common stock offered hereby is being passed upon for us by Wilmer Cutler Pickering Hale and Dorr LLP.

EXPERTS

The financial statements incorporated in this prospectus by reference to the Annual Report on Form 10-K for the year ended December 31, 2019 have been so incorporated in reliance on the report (which contains an explanatory paragraph relating to Company's ability to continue as a going concern as described in Note 1 to the financial statements) of PricewaterhouseCoopers LLP, an independent registered public accounting firm, given on the authority of said firm as experts in auditing and accounting.

WHERE YOU CAN FIND MORE INFORMATION

We file annual, quarterly and current reports, proxy statements and other documents with the SEC. Our SEC filings are available to the public over the Internet at the SEC's website at <http://www.sec.gov>. Copies of certain information filed by us with the SEC are also available on our website at <http://www.aileronrx.com/>. Our website is not a part of this prospectus and is not incorporated by reference in this prospectus.

This prospectus is part of a registration statement we filed with the SEC. This prospectus omits some information contained in the registration statement in accordance with SEC rules and regulations. You should review the information and exhibits in the registration statement for further information about us and our consolidated subsidiary and the securities we are offering. Statements in this prospectus concerning any document we filed as an exhibit to the registration statement or that we otherwise filed with the SEC are not intended to be comprehensive and are qualified by reference to these filings. You should review the complete document to evaluate these statements.

INCORPORATION OF CERTAIN DOCUMENTS BY REFERENCE

The SEC allows us to incorporate by reference much of the information we file with the SEC, which means that we can disclose important information to you by referring you to those publicly available documents. The information that we incorporate by reference in this prospectus is considered to be part of this prospectus. Any statement contained in a previously filed document incorporated by reference will be deemed to be modified or superseded for purposes of this prospectus to the extent that a statement contained in this prospectus modifies or replaces that statement. This prospectus incorporates by reference the documents listed below (File No. 001-38130) and any future filings we make with the SEC under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act after the date of this prospectus and prior to the sale of all the shares covered by this prospectus, other than the portions of those documents not deemed to be filed.

1. Our Annual Report on [Form 10-K](#) for the year ended December 31, 2019, filed with the SEC on March 30, 2020, including the information specifically incorporated by reference into the Annual Report on Form 10-K from our [definitive proxy statement](#) for the 2020 Annual Meeting of Stockholders filed with the SEC on April 28, 2020;
2. Our Quarterly Reports on Form 10-Q for the quarters ended March 31, 2020 and June 30, 2020, filed with the SEC on [May 11, 2020](#) and [August 5, 2020](#), respectively;
3. Our Current Reports on Form 8-K filed with the SEC on [April 22, 2020](#) (Item 8.01 only), [June 1, 2020](#) (Item 8.01 only), [June 5, 2020](#), [June 11, 2020](#), [June 18, 2020](#), [September 14, 2020](#) and [September 22, 2020](#); and
4. The description of our common stock contained in our Registration Statement on [Form 8-A](#) filed on June 23, 2017, including any amendments or reports filed for the purpose of updating such description.

A statement contained in a document incorporated by reference into this prospectus shall be deemed to be modified or superseded for purposes of this prospectus to the extent that a statement contained in this prospectus, any prospectus supplement or in any other subsequently filed document which is also incorporated in this prospectus modifies or replaces such statement. Any statements so modified or superseded shall not be deemed, except as so modified or superseded, to constitute a part of this prospectus.

You may request a copy of these filings, at no cost, by writing or calling us at the following address or telephone number:

Aileron Therapeutics, Inc.
490 Arsenal Way, Suite 210
Watertown, Massachusetts, 02472
(617) 995-0900

10,551,899 Shares

Common Stock

PROSPECTUS

, 2020

PART II**INFORMATION NOT REQUIRED IN PROSPECTUS****Item 13. Other Expenses of Issuance and Distribution.**

The following table sets forth the various expenses to be incurred in connection with the sale and distribution of the securities being registered hereby, all of which will be borne by us (except any underwriting discounts and commissions and expenses incurred by the selling stockholder for brokerage, accounting, tax or legal services or any other expenses incurred by the selling stockholder in disposing of the shares). All amounts shown are estimates except the SEC registration fee.

	<u>Amount</u>
SEC registration fee	\$ 1,370
Accounting fees and expenses	\$ 50,000
Legal fees and expenses	\$ 50,000
Miscellaneous fees and expenses	\$ 8,630
Total expenses	<u>\$ 110,000</u>

Item 14. Indemnification of Directors and Officers.

Section 102 of the General Corporation Law of the State of Delaware permits a corporation to eliminate the personal liability of its directors for monetary damages for a breach of fiduciary duty as a director, except where the director breached his or her duty of loyalty, failed to act in good faith, engaged in intentional misconduct or knowingly violated a law, authorized the payment of a dividend or approved a stock repurchase in violation of Delaware corporate law or obtained an improper personal benefit. Our certificate of incorporation provides that none of our directors shall be personally liable to us or our stockholders for monetary damages for any breach of fiduciary duty as a director, notwithstanding any provision of law imposing such liability, except to the extent that the General Corporation Law of the State of Delaware prohibits the elimination or limitation of liability of directors for breaches of fiduciary duty.

Section 145 of the General Corporation Law of the State of Delaware provides that a corporation has the power to indemnify a director, officer, employee, or agent of the corporation and certain other persons serving at the request of the corporation in related capacities against expenses (including attorneys' fees), judgments, fines and amounts paid in settlements actually and reasonably incurred by the person in connection with an action, suit or proceeding to which he or she is or is threatened to be made a party by reason of such position, if such person acted in good faith and in a manner he or she reasonably believed to be in or not opposed to the best interests of the corporation, and, in any criminal action or proceeding, had no reasonable cause to believe his or her conduct was unlawful, except that, in the case of actions brought by or in the right of the corporation, no indemnification shall be made with respect to any claim, issue or matter as to which such person shall have been adjudged to be liable to the corporation unless and only to the extent that the Court of Chancery or other adjudicating court determines that, despite the adjudication of liability but in view of all of the circumstances of the case, such person is fairly and reasonably entitled to indemnity for such expenses which the Court of Chancery or such other court shall deem proper.

Our certificate of incorporation provides that we will indemnify each person who was or is a party or is threatened to be made a party or is involved in any threatened, pending or completed action, suit or proceeding, whether civil, criminal, administrative or investigative (other than an action by or in the right of us) by reason of the fact that he or she is or was, or has agreed to become, our director or officer, or is or was serving, or has agreed to serve, at our request as a director, officer, partner, employee or trustee of, or in a similar capacity with, another corporation, partnership, joint venture, trust or other enterprise (all such persons being referred to as an "Indemnitee"), or by reason of any action alleged to have been taken or omitted in such capacity, against all

expenses (including attorneys' fees), judgments, fines and amounts paid in settlement actually and reasonably incurred in connection with such action, suit or proceeding and any appeal therefrom, if such Indemnitee acted in good faith and in a manner he or she reasonably believed to be in, or not opposed to, our best interests, and, with respect to any criminal action or proceeding, he or she had no reasonable cause to believe his or her conduct was unlawful. Our certificate of incorporation also provides that we will indemnify any Indemnitee who was or is a party to an action or suit by or in the right of us to procure a judgment in our favor by reason of the fact that the Indemnitee is or was, or has agreed to become, our director or officer, or is or was serving, or has agreed to serve, at our request as a director, officer, partner, employee or trustee of, or in a similar capacity with, another corporation, partnership, joint venture, trust or other enterprise, or by reason of any action alleged to have been taken or omitted in such capacity, against all expenses (including attorneys' fees) and, to the extent permitted by law, amounts paid in settlement actually and reasonably incurred in connection with such action, suit or proceeding, and any appeal therefrom, if the Indemnitee acted in good faith and in a manner he or she reasonably believed to be in, or not opposed to, our best interests, except that no indemnification shall be made with respect to any claim, issue or matter as to which such person shall have been adjudged to be liable to us, unless a court determines that, despite such adjudication but in view of all of the circumstances, he or she is entitled to indemnification of such expenses. Notwithstanding the foregoing, to the extent that any Indemnitee has been successful, on the merits or otherwise, he or she will be indemnified by us against all expenses (including attorneys' fees) actually and reasonably incurred by him or her or on his or her behalf in connection therewith. If we do not assume the defense, expenses must be advanced to an Indemnitee under certain circumstances.

Our board of directors has approved a form of indemnification agreement that has been executed by each of our directors and executive officers. In general, these agreements provide that we will indemnify the director or executive officer to the fullest extent permitted by law for claims arising in his or her capacity as a director or executive officer of our company or in connection with their service at our request for another corporation or entity. The indemnification agreements also provide for procedures that will apply in the event that a director or executive officer makes a claim for indemnification and establish certain presumptions that are favorable to the director or executive officer.

We maintain a general liability insurance policy that covers certain liabilities of our directors and officers arising out of claims based on acts or omissions in their capacities as directors or officers.

Item 15. Recent Sales of Unregistered Securities.

Set forth below is information regarding shares of our common stock and warrants to purchase common stock issued by us within the past three years that were not registered under the Securities Act. Included is the consideration, if any, we received for such shares and warrants and information relating to the section of the Securities Act, or rule of the SEC, under which exemption from registration was claimed.

On March 28, 2019, we entered into a securities purchase agreement with certain accredited investors pursuant to which we, in a private placement, agreed to issue and sell to the investors an aggregate of (i) 11,838,582 units, consisting of 11,838,582 shares of common stock and associated warrants to purchase an aggregate of 11,838,582 shares of common stock, for a combined price of \$2.01 per unit and (ii) 1,096,741 units, consisting of pre-funded warrants to purchase 1,096,741 shares of the common stock and associated warrants to purchase an aggregate of 1,096,741 shares of common stock, for a combined price of \$2.01 per unit. The aggregate purchase price paid by the investors was approximately \$26.0 million.

On September 21, 2020, we entered into a purchase agreement, or the Purchase Agreement with Lincoln Park Capital Fund, LLC, or Lincoln Park, pursuant to which we have the right to sell to Lincoln Park up to \$15,000,000 in shares of common stock, subject to certain limitations, from time to time over the 36-month period commencing on the date that a registration statement covering the resale of the shares is declared effective by the SEC. On September 21, 2020, we issued 220,588 shares of common stock to Lincoln Park as consideration for its commitment to purchase our shares under the Purchase Agreement.

Table of Contents

On September 21, 2020, we issued and sold 367,647 shares of common stock to Lincoln Park at a price per share of \$1.36, which was the closing sale price of the common stock on the Nasdaq Capital Market, or Nasdaq, immediately prior to the date of the Purchase Agreement. We received a total cash purchase price of \$500,000 for such shares.

No underwriters were involved in the foregoing issuances of securities. The securities described in this section (a) of Item 15 were issued to investors in reliance upon the exemption from the registration requirements of the Securities Act, as set forth in Section 4(a)(2) under the Securities Act and/or Regulation D promulgated thereunder relative to transactions by an issuer not involving any public offering, to the extent an exemption from such registration was required. The recipients of securities in the transactions described above represented that they were accredited investors and were acquiring the securities for their own account for investment purposes only and not with a view to, or for sale in connection with, any distribution thereof and that they could bear the risks of the investment and could hold the securities for an indefinite period of time and appropriate legends were affixed to the instruments representing such securities issued in such transactions.

Item 16. Exhibits and Financial Statement Schedules.

(a) Exhibits.

The exhibits to the registration statement are listed in the Exhibit Index attached hereto and incorporated by reference herein.

(b) Financial Statement Schedules.

No financial statement schedules are provided because the information called for is not required or is shown either in the financial statements or notes.

Item 17. Undertakings.

(a) The undersigned Registrant hereby undertakes:

- (1) To file, during any period in which offers or sales are being made, a post-effective amendment to this Registration Statement:
 - (i) To include any prospectus required by Section 10(a)(3) of the Securities Act of 1933, as amended (the "Securities Act");
 - (ii) To reflect in the prospectus any facts or events arising after the effective date of this Registration Statement (or the most recent post-effective amendment thereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in this Registration Statement. Notwithstanding the foregoing, any increase or decrease in the volume of securities offered (if the total dollar value of securities offered would not exceed that which was registered) and any deviation from the low or high end of the estimated maximum offering range may be reflected in the form of prospectus filed with the Commission pursuant to Rule 424(b) if, in the aggregate, the changes in volume and price represent no more than 20 percent change in the maximum aggregate offering price set forth in the "Calculation of Registration Fee" table in the effective Registration Statement; and
 - (iii) To include any material information with respect to the plan of distribution not previously disclosed in this Registration Statement or any material change to such information in this Registration Statement;

provided, however, that paragraphs (1)(i), (1)(ii) and (1)(iii) do not apply if the information required to be included in a post-effective amendment by those paragraphs is contained in

periodic reports filed with or furnished to the Commission by the Registrant pursuant to Section 13 or Section 15(d) of the Securities Exchange Act of 1934, as amended (the “Exchange Act”), that are incorporated by reference in this Registration Statement.

- (2) That, for the purposes of determining any liability under the Securities Act, each post-effective amendment shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at the time shall be deemed to be the initial *bona fide* offering thereof.
- (3) To remove from registration by means of a post-effective amendment any of the securities being registered which remain unsold at the termination of the offering.
- (4) That, for the purpose of determining liability under the Securities Act of 1933 to any purchaser,
 - (i) each prospectus filed by the Registrant pursuant to Rule 424(b)(3) shall be deemed to be part of the registration statement as of the date the filed prospectus was deemed part of and included in the registration statement; and
 - (ii) each prospectus required to be filed pursuant to Rule 424(b)(2), (b)(5), or (b)(7) as part of a registration statement in reliance on Rule 430B relating to an offering made pursuant to Rule 415(a)(1)(i), (vii) or (x) for the purpose of providing the information required by Section 10(a) of the Securities Act shall be deemed to be part of and included in the registration statement as of the earlier of the date such form of prospectus is first used after effectiveness or the date of the first contract of sale of securities in the offering described in the prospectus. As provided in Rule 430B, for liability purposes of the issuer and any person that is at that date an underwriter, such date shall be deemed to be a new effective date of the registration statement relating to the securities in the registration statement to which that prospectus relates, and the offering of such securities at that time shall be deemed to be the initial *bona fide* offering thereof; provided, however, that no statement made in a registration statement or prospectus that is part of the registration statement or made in a document incorporated or deemed incorporated by reference into the registration statement or prospectus that is part of the registration statement will, as to a purchaser with a time of contract of sale prior to such effective date, supersede or modify any statement that was made in the registration statement or prospectus that was part of the registration statement or made in any such document immediately prior to such effective date.

(b) The Registrant hereby undertakes that, for purposes of determining any liability under the Securities Act, each filing of the Registrant’s annual report pursuant to Section 13(a) or 15(d) of the Exchange Act (and, where applicable, each filing of an employee benefit plan’s annual report pursuant to Section 15(d) of the Exchange Act) that is incorporated by reference in this Registration Statement shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial *bona fide* offering thereof.

(c) Insofar as indemnification for liabilities arising under the Securities Act may be permitted to directors, officers and controlling persons of the Registrant pursuant to the indemnification provisions described herein, or otherwise, the Registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is against public policy as expressed in the Securities Act and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the Registrant of expenses incurred or paid by a director, officer or controlling person of the Registrant in the successful defense of any action, suit or proceeding) is asserted by such director, officer or controlling person in connection with the securities being registered, the Registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Securities Act and will be governed by the final adjudication of such issue.

EXHIBIT INDEX

Exhibit No.	Description	Incorporation by Reference			Filed with this Registration Statement
		Form	SEC Filing Date	Exhibit Number	
3.1	Restated Certificate Incorporation of the Registration	8-K	7/5/2017	3.1	
3.2	Amended and Restated By-laws of the Registrant	8-K	7/5/2017	3.2	
4.1	Specimen stock certificate evidencing shares of common stock	S-1^	6/19/2017	4.1	
5.1	Opinion of Wilmer Cutler Pickering Hale and Dorr LLP	S-1			X
10.1*	2006 Stock Incentive Plan, as amended	S-1^	6/2/2017	10.1	
10.2*	Form of Incentive Stock Option Agreement under 2006 Stock Incentive Plan	S-1^	6/2/2017	10.2	
10.3*	Form of Nonstatutory Stock Option Agreement under 2006 Stock Incentive Plan	S-1^	6/2/2017	10.3	
10.4*	2016 Stock Incentive Plan	S-1^	6/2/2017	10.4	
10.5*	Form of Incentive Stock Option Agreement under 2016 Stock Incentive Plan	S-1^	6/2/2017	10.5	
10.6*	Form of Nonstatutory Stock Option Agreement under 2016 Stock Incentive Plan	S-1^	6/2/2017	10.6	
10.7*	2017 Stock Incentive Plan	S-1^	6/19/2017	10.8	
10.8*	Form of Incentive Stock Option Agreement under 2017 Stock Incentive Plan	S-1^	6/19/2017	10.9	
10.9*	Form of Nonstatutory Stock Option Agreement under 2017 Stock Incentive Plan	S-1^	6/19/2017	10.10	
10.10*	2017 Employee Stock Purchase Plan	S-1^	6/19/2017	10.11	
10.11*	Form of Director and Officer Indemnification Agreement	S-1^	6/19/2017	10.12	
10.12+	License Agreement, dated as of December 31, 2006, by and between the Registrant and Materia, Inc. (now Umicore Precious Metals Chemistry USA, LLC)	S-1^	6/2/2017	10.13	
10.13+	Amended and Restated License Agreement, dated as of February 19, 2010, by and among the Registrant, President and Fellows of Harvard College and Dana-Farber Cancer Institute, Inc.	S-1^	6/2/2017	10.14	
10.14	Lease Agreement, effective as of April 4, 2018, between the Registrant and 480 Arsenal Group LLC	10-Q	8/7/2018	10.1	
10.15	Amendment to Lease Agreement, dated as of August 23, 2018, between the Registrant and 480 Arsenal Group LLC	10-Q	8/7/2018	10.1	

Table of Contents

10.16*	Amended and Restated Employment Agreement, dated as of September 6, 2018, between the Registrant and Manuel C. Alves Aivado, M.D., Ph.D.	10-Q	11/7/2018	10.2	
10.17*	Severance Agreement, dated as of September 6, 2018, between the Registrant and Manuel C. Alves Aivado, M.D., Ph.D.	10-Q	11/7/2018	10.3	
10.18*	Offer Letter and Severance Agreement, dated as of November 1, 2018, between the Registrant and Vojislav Vukovic, M.D., Ph.D.	10-K	3/29/2017	10.20	
10.19*	Offer Letter, dated as of November 15, 2007, between the Registrant and D. Allen Annis, Ph.D.	10-K	3/29/2017	10.21	
10.20*	Severance Agreement, dated as of November 5, 2018, between the Registrant and D. Allen Annis, Ph.D.	10-K	3/29/2017	10.22	
10.21*	Offer Letter and Severance Agreement, dated as of February 15, 2019, between the Registrant and Kathryn Gregory	10-K	3/29/2017	10.23	
10.22	Securities Purchase Agreement, dated March 28, 2019, by and among Registrant and the persons party thereto	8-K	3/29/2019	10.1	
10.23	Form of Warrant to Purchase Common Stock	8-K	3/29/2019	10.3	
10.24	Registration Rights Agreement, dated March 28, 2019, by and among the Registrant and the persons party thereto	8-K	3/29/2019	10.4	
10.25*	Offer Letter, dated as of June 7, 2018, between the Registrant and Richard Wanstall.	10-K	3/30/2020	10.26	
10.26*	Severance Agreement, dated as of December 12, 2019, between the Registrant and Richard Wanstall	10-K	3/30/2020	10.27	
10.27	Purchase Agreement, dated as of September 21, 2020, by and between the Registrant and Lincoln Park Capital Fund, LLC.	8-K	9/22/2020	10.1	
10.28	Registration Rights Agreement, dated as of September 21, 2020, by and between the Registrant and Lincoln Park Capital Fund, LLC.	8-K	9/22/2020	10.2	
23.1	Consent of PricewaterhouseCoopers LLP, independent registered public accounting firm				X
23.2	Consent of Wilmer Cutler Pickering Hale and Dorr LLP (included in Exhibit 5.1)				X
24.1	Power of Attorney (included on signature page)				X

* Indicates management contract or compensatory plan.

+ Confidential treatment has been requested and/or granted as to certain portions, which portions have been omitted and filed separately with the U.S. Securities and Exchange Commission.

^ SEC File No. 333-218474.

SIGNATURES

Pursuant to the requirements of the Securities Act of 1933, as amended, the registrant has duly caused this Registration Statement to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of Watertown, Commonwealth of Massachusetts on October 5, 2020.

AILERON THERAPEUTICS, INC.

By: /s/ Richard J. Wanstall

Richard J. Wanstall

Chief Financial Officer

SIGNATURES AND POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below hereby constitutes and appoints Manuel C. Alves Aivado and Richard J. Wanstall, and each of them, as his or her true and lawful attorney-in-fact and agent with full power of substitution, for him or her in any and all capacities, to sign any and all amendments to this registration statement (including post-effective amendments or any abbreviated registration statement and any amendments thereto filed pursuant to Rule 462(b) increasing the number of securities for which registration is sought), and to file the same, with all exhibits thereto and other documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorney-in-fact and agent full power and authority to do and perform each and every act and thing requisite and necessary to be done in connection therewith, as fully for all intents and purposes as he or she might or could do in person, hereby ratifying and confirming all that said attorney-in-fact and agent, or his substitute, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Act, this Registration Statement has been signed below by the following persons in the capacities and on the dates indicated.

<u>Signature</u>	<u>Title</u>	<u>Date</u>
<u>/s/ Manuel C. Alves Aivado</u> Manuel C. Alves Aivado, M.D., Ph.D.	President, Chief Executive Officer and Director (principal executive officer)	October 5, 2020
<u>/s/ Richard J. Wanstall</u> Richard J. Wanstall	Chief Financial Officer (principal financial officer and accounting officer)	October 5, 2020
<u>/s/ Jeffrey A. Bailey</u> Jeffrey A. Bailey	Director	October 5, 2020
<u>/s/ Reinhard J. Ambros</u> Reinhard J. Ambros, Ph.D.	Director	October 5, 2020
<u>/s/ William T. McKee</u> William T. McKee	Director	October 5, 2020
<u>/s/ Jodie P. Morrison</u> Jodie P. Morrison	Director	October 5, 2020
<u>/s/ Josef H. von Rickenbach</u> Josef H. von Rickenbach	Director	October 5, 2020
<u>/s/ Nolan Sigal</u> Nolan Sigal, M.D.	Director	October 5, 2020

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October 5, 2020

Aileron Therapeutics, Inc.
490 Arsenal Way, Suite 210
Watertown, Massachusetts 02472

Re: Registration Statement on Form S-1

Ladies and Gentlemen:

This opinion is furnished to you in connection with a Registration Statement on Form S-1 (the "Registration Statement") filed with the Securities and Exchange Commission (the "Commission") under the Securities Act of 1933, as amended (the "Securities Act"), for the registration of an aggregate of 10,551,899 shares (the "Shares") of common stock, par value \$0.001 per share (the "Common Stock"), of Aileron Therapeutics, Inc., a Delaware corporation (the "Company") that have been or may be issued to Lincoln Park Capital Fund, LLC (the "Selling Stockholder") pursuant to that certain Purchase Agreement, dated as of September 21, 2020, by and between the Company and the Selling Stockholder (the "Purchase Agreement"). All of the Shares are being registered for resale on behalf of the Selling Stockholder. The Shares consist of 588,235 outstanding shares of Common Stock that were issued to the Selling Stockholder on September 21, 2020 (the "Outstanding Shares") and up to 9,963,664 shares of Common Stock (the "Purchase Shares") that may be issued to the Selling Stockholder pursuant to the Purchase Agreement.

We are acting as counsel for the Company in connection with the registration for resale of the Shares. We have examined signed copies of the Registration Statement as filed with the Commission. We have also examined and relied upon the Purchase Agreement, minutes of meetings of the Board of Directors of the Company as provided to us by the Company, stock record books of the Company as provided to us by the Company, the Certificate of Incorporation and By-Laws of the Company, each as restated and/or amended to date, and such other documents as we have deemed necessary for purposes of rendering the opinions hereinafter set forth.

In our examination of the foregoing documents, we have assumed the genuineness of all signatures, the authenticity of all documents submitted to us as originals, the conformity to original documents of all documents submitted to us as copies, the authenticity of the originals of such latter documents and the legal competence of all signatories to such documents.

Our opinion below, insofar as it relates to the Outstanding Shares being fully paid, is based solely on a certificate of the Chief Financial Officer of the Company confirming the Company's receipt of the consideration called for by the Purchase Agreement in respect of the Outstanding Shares.

We assume that the appropriate action will be taken, prior to the offer and sale of the Shares by the Selling Stockholder, to register and qualify the Shares for sale under all applicable state securities or "blue sky" laws.

We express no opinion herein as to the laws of any state or jurisdiction other than the state laws of the Commonwealth of Massachusetts, the General Corporation Law of the State of Delaware and the federal laws of the United States of America.

Based upon and subject to the foregoing, we are of the opinion that the Shares have been duly authorized, the Outstanding Shares are validly issued, fully paid and nonassessable, and the Purchase Shares, when issued and paid for in accordance with the terms of the Purchase Agreement, will be validly issued, fully paid and nonassessable. It is understood that this opinion is to be used only in connection with the offer and sale of the Shares while the Registration Statement is in effect.

Wilmer Cutler Pickering Hale and Dorr LLP, 60 State Street, Boston, Massachusetts 02109

Beijing Berlin Boston Brussels Denver Frankfurt London Los Angeles New York Palo Alto Washington

Please note that we are opining only as to the matters expressly set forth herein, and no opinion should be inferred as to any other matters. This opinion is based upon currently existing statutes, rules, regulations and judicial decisions, and we disclaim any obligation to advise you of any change in any of these sources of law or subsequent legal or factual developments which might affect any matters or opinions set forth herein.

We hereby consent to the filing of this opinion with the Commission as an exhibit to the Registration Statement in accordance with the requirements of Item 601(b)(5) of Regulation S-K under the Securities Act and to the use of our name therein and in the related Prospectus under the caption "Legal Matters." In giving such consent, we do not hereby admit that we are in the category of persons whose consent is required under Section 7 of the Securities Act or the rules and regulations of the Commission.

Very truly yours,

WILMER CUTLER PICKERING HALE AND DORR LLP

By: /s/ Stuart M. Falber

Stuart M. Falber, a Partner

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We hereby consent to the incorporation by reference in this Registration Statement on Form S-1 of Aileron Therapeutics, Inc. of our report dated March 30, 2020 relating to the financial statements, which appears in Aileron Therapeutics, Inc.'s Annual Report on Form 10-K for the year ended December 31, 2019. We also consent to the reference to us under the heading "Experts" in such Registration Statement.

/s/ PricewaterhouseCoopers LLP
Boston, Massachusetts
October 5, 2020