PROSPECTUS SUPPLEMENT (To Prospectus Supplement dated June 25, 2018 and Prospectus dated April 11, 2018)



AERPIO PHARMACEUTICALS, INC.

Up to \$14,500,000 Common Stock

This prospectus supplement amends and supplements the information in our prospectus, dated April 11, 2018 (File No. 333-223113) (the "Base Prospectus") and the shelf registration statement on Form S-3 of which the Prospectus is a part (the "Registration Statement"), and our Prospectus Supplement, dated June 25, 2018 (together with the Base Prospectus, the "Prospectus"), filed under the Registration Statement for the offer and sale of shares of our common stock having an aggregate offering price of up to \$75,000,000 under the Sales Agreement (as defined below). This prospectus supplement should be read in conjunction with the Prospectus, and is qualified by reference thereto, except to the extent that the information herein amends or supersedes the information contained in the Prospectus. This prospectus supplement is not complete without, and may only be delivered or utilized in connection with, the Prospectus and any future amendments or supplements thereto.

In accordance with the terms of the Controlled Equity OfferingSM sales agreement (the "<u>Sales Agreement</u>"), dated February 21, 2018, we entered into with Cantor Fitzgerald & Co. ("<u>Cantor</u>"), we may offer and sell shares of our common stock from time to time through Cantor Fitzgerald, acting as sales agent.

On March 16, 2020, the date we filed our Annual Report on Form 10-K for the year ended December 31, 2019, our Base Prospectus became subject to the offering limits in General Instruction I.B.6 of Form S-3. As of July 27, 2020, the aggregate market value of our outstanding common stock held by non-affiliates, or our public float, was approximately \$43,688,947, based on 28,554,867 shares of our outstanding common stock that were held by non-affiliates on June 29, 2020 and a price of \$1.53 per share, which was the price at which our common stock was last sold on the Nasdaq Capital Market on such date (a date within 60 days of the date hereof), calculated in accordance with General Instruction I.B.6 of Form S-3. As of the date

hereof, we have not offered and sold any common stock pursuant to General Instruction I.B.6 of Form S-3 during the prior 12 calendar month period that ends on and includes the date hereof.

We are filing this prospectus supplement to amend and supplement the Prospectus to update the amount of shares we are eligible to sell under General Instruction I.B.6. After giving effect to the \$14,500,000 offering limit imposed by General Instruction I.B.6 of Form S-3, and in accordance with the terms of the Sales Agreement, we may offer and sell shares of our common stock having an aggregate offering price of up to \$14,500,000 from time to time through Cantor. If our public float increases such that we may sell additional amounts under the Sales Agreement and the Registration Statement and Prospectus, we will file another prospectus supplement prior to making additional sales.

Our common stock is listed on the Nasdaq Capital Market, or Nasdaq, under the symbol "ARPO". On August 3, 2020, the last reported sales price of our common stock on Nasdaq was \$1.29 per share.

Investing in our common stock involves risks. Before buying any shares, you should read the discussion of material risks of investing in our common stock in "Risk Factors" beginning on page 2 of the Prospectus, and in the risks discussed under similar headings in the documents incorporated by reference in this prospectus supplement and the Prospectus, as they may be amended, updated or modified periodically in our reports filed with the Securities and Exchange Commission.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or determined if this prospectus supplement and the Prospectus is truthful or complete. Any representation to the contrary is a criminal offense.



The date of this prospectus supplement is August 5, 2020.