Verastem Oncology Announces U.S. FDA Fast Track Designation for VS-7375, an Oral and Potential Best-in-Class Investigational KRAS G12D (ON/OFF) Inhibitor for the Treatment of KRAS G12D-Mutated Locally Advanced or Metastatic Non-Small Cell Lung Cancer

June 3, 2026 at 4:01 PM EDT

Phase 1 TARGET-D 101 dose escalation, dose expansion, and combination clinical trial ongoing with early data updates expected in the first half of 2026 and more mature data expected in the second half of 2026

Phase 2 registration directed, open label TARGET-D 202 clinical trial initiated for 2L/3L advanced non-small cell lung cancer (NSCLC)

FDA Fast Track Designation previously granted for VS-7375 for KRAS G12D-mutated advanced or metastatic pancreatic cancer

BOSTON--(BUSINESS WIRE)--Jun. 3, 2026-- Verastem Oncology (Nasdaq: VSTM), a biopharmaceutical company committed to advancing new medicines for patients with RAS/MAPK pathway-driven cancers, today announced that the U.S. Food and Drug Administration (FDA) has granted Fast Track Designation (FTD) to VS-7375, an oral and potential best-in-class investigational selective KRAS G12D (ON/OFF) inhibitor, for the treatment of adult patients with KRAS G12D-mutated unresectable locally advanced or metastatic non-small cell lung cancer (NSCLC) who have received platinum-based chemotherapy and an anti-PD-(L)1 antibody either concurrently or sequentially. FDA Fast Track Designation was previously granted for VS-7375 for the treatment of KRAS G12D-mutated advanced or metastatic pancreatic cancer.

“Non-small cell lung cancer is the most prevalent lung disease with more than 8,000 patients in the U.S. diagnosed each year with KRAS G12D-mutations. Receiving Fast Track designation for VS-7375 reinforces both the significant unmet need and the potential of VS-7375 to improve outcomes for patients with KRAS G12D-mutated lung cancer,” said Michael Kauffman, M.D., Ph.D., president of development at Verastem Oncology. “We are continuing to accelerate the development of VS-7375 across multiple KRAS G12D-driven tumor types, including pancreatic, non-small cell lung, and colorectal cancers to bring a highly targeted, oral treatment option to patients as quickly as possible.”

Non-small cell lung cancer (NSCLC) is the most prevalent type of lung cancer, accounting for approximately 80% to 85% of all cases. The majority of patients with NSCLC are diagnosed with advanced-stage disease, either locally advanced (30% to 35%) or metastatic (50% to 55%), which is inoperable. The KRAS G12D mutation occurs in five percent of NSCLC cases and patients with these mutations are known to have reduced responses to standard therapies and poor prognosis. Currently, no therapies are approved by the FDA specifically targeting KRAS G12D mutations in cancer.

TARGET-D 202 is a Phase 2, open-label, multi-center study to evaluate VS-7375 900 mg oral dose once daily (QD) in patients with advanced NSCLC who have received one to two prior lines of therapy. Based on positive data in pre-clinical intracranial tumor models, the study is also evaluating VS-7375 in NSCLC patients with asymptomatic untreated brain metastases.

In June 2025, Verastem initiated TARGET-D 101, its Phase 1/2 dose escalation, dose expansion and combination clinical trial evaluating VS-7375 in patients with advanced KRAS G12D-mutated solid tumors, including pancreatic, non-small cell lung, and colorectal cancers. Enrollment is ongoing with monotherapy dose escalation progressing from 400 mg through 900 mg once daily (QD) doses without dose-limiting toxicities or major safety concerns observed to date. The study is currently evaluating a 1200 mg QD monotherapy dose and a 900 mg QD cetuximab combination cohort.

In the ongoing TARGET-D 101 study, data reported in March 2026 from 23 patients treated across the 400 mg, 600 mg and 900 mg QD dose levels, with a mean treatment duration of 1.6 months (range 0.7–5.6), showed VS-7375 was generally well tolerated, with no drug-related liver function test abnormalities or Grade >2 neutropenia observed as of the January 30, 2026 data cutoff, and lower reported rates of nausea, vomiting and diarrhea compared with data previously reported in China. Following feedback from the FDA, Verastem has further expanded the VS-7375 clinical program with the initiation of three Phase 2 registration-directed trials: TARGET-D 201 in metastatic pancreatic ductal adenocarcinoma, TARGET-D 202 in advanced non-small cell lung cancer, and TARGET-D 203 in metastatic colorectal cancer.

About KRAS G12D

KRAS G12D represents 26% of all KRAS mutations, making it the most prevalent KRAS mutation in human cancers. The KRAS G12D mutation occurs most commonly in pancreatic (37%), colorectal (12.5%), endometrial (8%), biliary tract (7-15%), and non-small cell lung (5%) cancers. Currently, no therapies are approved by the U.S. Food and Drug Administration (FDA) specifically targeting KRAS G12D mutations in cancer.

About VS-7375, an Oral KRAS G12D (ON/OFF) Inhibitor

VS-7375 is a potential best-in-class, potent, and selective oral KRAS G12D dual ON/OFF inhibitor. The mechanism of action of VS-7375 is unique in that it binds the active (ON) and inactive (OFF) states of KRAS G12D, with the potential to inhibit KRAS G12D signaling and tumor growth more completely than compounds that block KRAS G12D only in the OFF state or only in the ON state.

In June 2025, Verastem initiated TARGET-D 101, a Phase 1/2 dose escalation, dose expansion, and combination clinical trial evaluating the safety and efficacy of VS-7375 in patients with advanced KRAS G12D mutant solid tumors. Verastem has further expanded the VS-7375 clinical program with the initiation of three Phase 2 registration-directed, open-label clinical trials: TARGET-D 201 in second-line advanced or metastatic pancreatic ductal carcinoma, TARGET-D 202 in second/third-line advanced or metastatic non-small cell lung cancer, and TARGET-D 203 in metastatic colorectal

cancer.

In July 2025, U.S. Food and Drug Administration (FDA) granted Fast Track Designation (FTD) to VS-7375 for the first-line treatment of patients with KRAS G12D-mutated locally advanced or metastatic adenocarcinoma of the pancreas and for the treatment of patients with KRAS G12D-mutated locally advanced or metastatic pancreatic ductal carcinoma who have received at least one prior line of standard systemic therapy.

In December 2023, Verastem selected VS-7375 as its lead program from its collaboration with GenFleet Therapeutics, which aims to advance three oncology discovery programs related to RAS/MAPK pathway-driven cancers. The collaboration provides Verastem with an exclusive option to obtain a license for each of the three compounds in the collaboration after the successful completion of pre-determined milestones in a Phase 1 trial. In January 2025, Verastem exercised its license for VS-7375. The licenses would give Verastem development and commercialization rights outside the GenFleet markets of mainland China, Hong Kong, Macau, and Taiwan. GenFleet is developing VS-7375 as GFH375 in China.

About Verastem Oncology

Verastem Oncology (Nasdaq: VSTM) is a biopharmaceutical company committed to developing and commercializing new medicines to improve the lives of patients diagnosed with RAS/MAPK pathway-driven cancers. Verastem markets AVMAPKI® FAKZYNJA® CO-PACK in the U.S. Our pipeline is focused on novel small molecule drugs that inhibit critical signaling pathways in cancer that promote cancer cell survival and tumor growth, including RAF/MEK inhibition, FAK inhibition, and KRAS G12D inhibition. For more information, please visit www.verastem.com and follow us on LinkedIn.

Forward-Looking Statements

This press release includes forward-looking statements. These forward-looking statements generally can be identified by the use of words such as “anticipate,” “expect,” “plan,” “could,” “may,” “believe,” “estimate,” “forecast,” “goal,” “project,” and other words of similar meaning. Such forward-looking statements address various matters about, among other things, Verastem Oncology’s programs and product candidates, strategy, future plans and prospects, including statements related to the potential for and timing of commercialization of product candidates, the expected outcome and benefits of the Company’s collaboration with GenFleet Therapeutics (Shanghai), Inc., the timing of commencing and completing trials and compiling data, the expected timing of the presentation of data by the Company and the potential clinical value of various of the Company’s clinical trials. Each forward-looking statement contained in this press release is subject to risks and uncertainties that could cause actual results to differ materially from those expressed or implied by such statement. Applicable risks and uncertainties include, among others: the uncertainties inherent in research and development, such as the possibility of negative or unexpected results of clinical trials; that we may not see a return on investment on the payments we have and may continue to make pursuant to the collaboration and option agreement with GenFleet, or that GenFleet may fail to fully perform under the agreement; that we may not be successful in our continued commercialization of AVMAPKI FAKZYNJA CO-PACK; that the development and commercialization of our product candidates may take longer or cost more than planned, including as a result of conducting additional studies or our decisions regarding execution of such commercialization; that data may not be available when expected; risks associated with preliminary and interim data, which may not be representative of more mature data; risks associated with the regulatory and policy actions proposed and enacted by the current U.S. presidential administration that may adversely affect our business; risks associated with the current administration’s reductions to the FDA’s workforce and any subsequent reductions that may lead to disruptions and delays in the FDA’s review and oversight of our product candidates and impact the FDA’s ability to provide timely feedback on our development programs; that our product candidates may not receive regulatory approval, become commercially successful products, or result in new treatment options being offered to patients; and the risks identified under the heading "Risk Factors" as detailed in the Company’s Annual Report on Form 10-K for the year ended December 31, 2025, as filed with the Securities and Exchange Commission (SEC) on March 4, 2026, as well as the other information we file with the SEC, are possibly realized. We caution investors not to place considerable reliance on the forward-looking statements contained in this press release. You are encouraged to read our filings with the SEC, available at www.sec.gov, for a discussion of these and other risks and uncertainties. The forward-looking statements in this press release speak only as of the date of this press release, and we undertake no obligation to update or revise any of these statements. Our business is subject to substantial risks and uncertainties, including those referenced above. Investors, potential investors, and others should give careful consideration to these risks and uncertainties.

View source version on businesswire.com: https://www.businesswire.com/news/home/20260603521165/en/

For Investor and Media Inquiries:
Julissa Viana
Senior Vice President, Corporate Communications,
Investor Relations & Patient Advocacy
investors@verastem.com or
media@verastem.com

Source: Verastem Oncology