Verastem Oncology Doses First Patient in TARGET-D 201 Phase 2 Registration-Directed Trial of VS-7375 Oral KRAS G12D (ON/OFF) Inhibitor for KRAS G12D-Mutated Metastatic Pancreatic Cancer

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TARGET-D 201 trial to evaluate VS-7375 both as monotherapy and in combination with cetuximab for second-line treatment of metastatic pancreatic cancer

A cohort in TARGET-D 201 will also evaluate the combination of VS-7375 and cetuximab for frontline treatment of metastatic pancreatic cancer

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

KRAS G12D is the most common KRAS mutation in pancreatic cancer, occurring in approximately 40% of patients, and is often associated with poorer prognosis

BOSTON--(BUSINESS WIRE)--Jun. 16, 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 first patient has been dosed in the TARGET-D 201 Phase 2 registration-directed trial (NCT07644559) evaluating VS-7375, an investigational oral KRAS G12D (ON/OFF) inhibitor with best-in-class potential, to treat patients with KRAS G12D-mutated metastatic pancreatic ductal carcinoma (mPDAC).

“Dosing the first patient in the TARGET-D 201 trial marks an important milestone in the development of VS-7375 for patients with KRAS G12D-mutated metastatic pancreatic cancer, where unmet need remains high,” said Michael Kauffman, M.D., Ph.D., president of development at Verastem Oncology. “We believe VS-7375 has a differentiated profile, including potent KRAS G12D target inhibition and sustained target coverage, supporting its potential to play a significant role in treating multiple KRAS G12D-mutated tumor types. With three registration-directed Phase 2 clinical trials now underway across pancreatic, non-small cell lung, and colorectal cancers, and FDA Fast Track designations in pancreatic and non-small cell lung cancers, we are focused on accelerating development of VS-7375 as quickly as possible across multiple tumor types where no approved treatments exist.”

TARGET-D 201 is a Phase 2, open-label, multi-center study to evaluate VS-7375 at 900 mg once daily (QD) both as monotherapy and in combination with full-dose cetuximab in patients with second-line metastatic pancreatic ductal carcinoma. The study is also evaluating VS-7375 900 mg and cetuximab in the first-line mPDAC setting, representing a potential chemotherapy-free frontline regimen. FDA Fast Track Designation was previously granted for VS-7375 for the treatment of KRAS G12D-mutated advanced or metastatic pancreatic cancer in both the first- and second-line treatment settings.

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 pancreatic, non-small cell lung, colorectal, and other solid tumor cancers. Enrollment is ongoing with monotherapy dose escalation progressing from 400 mg through 900 mg 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 dose of VS-7375 in combination with full-dose cetuximab, as well as combinations with either gemcitabine plus nab-paclitaxel or carboplatin/pemetrexed/pembrolizumab.

About Pancreatic Cancer
Pancreatic cancer is the third leading cause of cancer-related death in the U.S. and seventh leading cause of cancer-associated mortality worldwide. Metastatic pancreatic cancer, or stage IV disease, occurs when the cancer spreads beyond the pancreas to distant organs. More than 90% of pancreatic cancers harbor KRAS mutations, underscoring the central role of KRAS in the development and the progression of the disease. Approximately 40% of pancreatic tumors harbor a KRAS G12D mutation, the most prevalent subtype in pancreatic cancer. Each year, more than 30,000 people in the U.S. and over 240,000 people globally are diagnosed with metastatic pancreatic cancer. There has been minimal progress with treatment, and the five-year survival rate remains approximately 3%. Current treatment approaches may include surgery, chemotherapy, radiation therapy, targeted therapies, or a combination of these modalities.

About KRAS G12D
KRAS G12D represents 26% of all KRAS mutations, making it the most prevalent KRAS mutation in human cancers. When the KRAS gene is mutated, it can promote cancer development and growth. Patients with KRAS G12D-mutant tumors often have poorer outcomes, underscoring the need for therapies designed specifically to inhibit this mutation potently and for a long duration. The KRAS G12D mutation occurs most commonly in pancreatic (40%), colorectal (15%), 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 specifically targeting KRAS G12D mutations in cancer.

About VS-7375, an Oral KRAS G12D (ON/OFF) Inhibitor & the TARGET-D Clinical Program
VS-7375 is a potential best-in-class, potent, and selective investigational oral KRAS G12D dual ON/OFF inhibitor. It is designed to uniquely bind to both 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 (NCT07644559) in metastatic pancreatic ductal

carcinoma, TARGET-D 202 in advanced non-small cell lung cancer, and TARGET-D 203 in metastatic colorectal cancer.

In July 2025, the 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 June 2026, the FDA also granted FTD to VS-7375 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.

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 anticipated timing for the IND application for VS-7375/GFH375, 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.

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