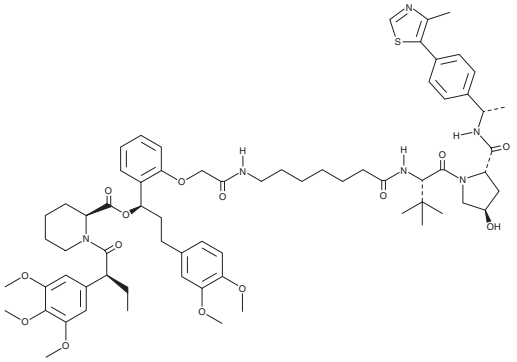
PRODUCT INFORMATION

dTAGV-1

Item No. 46117



CAS Registry No.: 2451573-86-5
Formal Name: (R)-3-(3,4-dimethoxyphenyl)-1-(2-(2-((7-(((S)-1-((2S,4R)-4-hydroxy-2-(((S)-1-(4-(4-methylthiazol-5-yl)phenyl)ethyl)carbamoyl)pyrrolidin-1-yl)-3,3-dimethyl-1-oxobutan-2-yl)amino)-7-oxoheptyl)amino)-2-oxoethoxy)phenyl)propyl (S)-1-((S)-2-(3,4,5-trimethoxyphenyl) butanoyl)piperidine-2-carboxylate
MF: $C_{68}H_{90}N_6O_{14}S$
FW: 1,247.6
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

dTAGV-1 is supplied as a solid. A stock solution may be made by dissolving the dTAGV-1 in the solvent of choice, which should be purged with an inert gas. dTAGV-1 is soluble (≥10 mg/ml) in ethanol and DMSO.

Description

dTAGV-1 is a proteolysis-targeting chimera (PROTAC) that drives the degradation of fusion proteins that contain the FK-506 binding protein 12 (FKBP12) harboring the F36V mutation.[1] It contains an $FKBP12^{F36V}$-targeting molecule conjugated to the von Hippel-Lindau (VHL) E3 ligase ligand VH 032 (Item No. 39982) *via* an alkyl linker. It selectively induces the degradation of an $FKBP12^{F36V}$-containing fusion protein over wild-type FKBP12 in HEK293-FT cells and reduces the proliferation of *KRAS*$^{-/-}$ PaTu 8902 pancreatic adenocarcinoma cells expressing the $KRAS^{G12V}$-$FKBP12^{F36V}$ fusion protein. dTAGV-1 (35 mg/kg, i.p.) induces the degradation of a luciferase-$FKBP12^{F36V}$ fusion protein in an MV4-11 myeloid leukemia mouse xenograft model.

Reference

1. Nabet, B., Ferguson, F.M., Seong, B.K.A., *et al.* Rapid and direct control of target protein levels with VHL-recruiting dTAG molecules. *Nat. Commun.* **11(1)**, 4687 (2020).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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