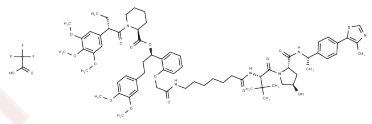
Data Sheet (Cat.No.T40251)

TargetMol

dTAGV-1 TFA

Chemical Properties



CAS No. : 2624313-15-9

Formula: C70H91F3N6O16S

Molecular Weight: 1361.58

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	dTAGV-1 TFA is a highly effective and specific compound that targets mutant FKBP12 F36V fusion proteins for degradation. It possesses potent activity in inducing the degradation of the FKBP12 F36V -Nluc protein in living organisms.
Targets(IC50)	PROTACs
In vitro	dTAGV-1 TFA (0.1 nM-10 μM; 24 h) effectively induces the degradation of FKBP12 F36V-Nluc without impacting FKBP12 WT-Nluc in 293FT cells[1]. Co-treatment with THAL-SNS-032 (125-2000 nM; 24 h) leads to significant degradation of both LACZ-FKBP12 F36V and CDK9[1]. At 500 nM over 1-24 h, dTAGV-1 TFA rapidly degrades KRAS G12V and pERK1/2 [1]. Additionally, dTAGV-1 TFA (50-5000 nM; 24 h) promotes the degradation of EWS/FLI in Ewing sarcoma[1].
In vivo	dTAGV-1, administered intraperitoneally (i.p.) at a dosage of 35 mg/kg once daily over four days, successfully induced the degradation of FKBP12 F36V-Nluc in mice. This effect was initially observed through a significant reduction in bioluminescent signal four hours after the first and subsequent three administrations, with notable degradation evident 28 hours following the final dose. Additionally, dTAGV-1 demonstrated pharmacokinetics and bioavailability at doses of 2-10 mg/kg (i.p.), showing half-lives of 3.64 and 4.4 hours, maximum serum concentrations (Cmax) of 595 and 2123 ng/mL, and extensive exposure (AUCinf) of 3136 and 18517 h ng/mL. When administered intravenously (i.v.) at 2 mg/kg, dTAGV-1 exhibited a half-life of 3.02 hours, a Cmax of 7780 ng/mL, and an exposure of 3329 h ng/mL. The animal model used consisted of 8-week-old immunocompromised female mice, transplanted with MV4;11 luc-FKBP12 F36V cells, to assess the compound's efficacy in inducing targeted protein degradation.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.7344 mL	3.6722 mL	7.3444 mL
5 mM	0.1469 mL	0.7344 mL	1.4689 mL
10 mM	0.0734 mL	0.3672 mL	0.7344 mL
50 mM	0.0147 mL	0.0734 mL	0.1469 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Nabet B, et, al. Rapid and direct control of target protein levels with VHL-recruiting dTAG molecules. Nat Commun. 2020 Sep 18;11(1):4687.