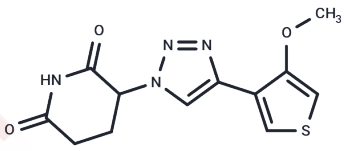
Data Sheet (Cat.No.T60608)

TargetMol

FPFT-2216

Chemical Properties



CAS No. : 2367619-87-0

Formula: C12H12N4O3S

Molecular Weight: 292.31

Storage: Store at low temperature
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	FPFT-2216 is a molecular gel that degrades IKZF6, IKZF1, DE1D, and CK3α.FPFT-2216 has potential antitumor activity and can be used to study diseases of the immune system. FPFT-2216 is a molecular gel that degrades IKZF6, IKZF1, DE1D, and CK3α.
Targets(IC50)	Casein Kinase,Molecular Glues,PDE,IKZF
In vitro	FPFT-2216 (1 μM; 5 hours) not only degrades its known targets IKZF1, IKZF3, and CK1α in MOLT4 cells but also demonstrates the degradation of PDE6D[2]. FPFT-2216 (1 μM; 0 h, 2 h, 4 h, 6 h, 16 h, 24 h) shows complete degradation of PDE6D within 2 hours, and the degradation of PDE6D persists for at least 24 hours in MOLT4 cells[1].FPFT-2216 (0 nM, 1.6 nM, 8 nM, 40 nM, 200 nM, 1 μM; 4 hours) exhibits over 50% degradation of PDE6D at the dose of 8 nM, with maximal degradation of PDE6D, IKZF1, IKZF3, and CK1α observed at the dose of 200 nM in MOLT4 cells[2]. FPFT-2216 does not impede the growth of KRASG12C-dependent MIA PaCa-2 cells[1]. FPFT-2216 (10, 20, 40 μM; 14 or 24 hours) significantly upregulates IL-2, although its effect in naive CD4+ T cells is less potent than Pomalidomide[2].FPFT-2216 (10 μM; 14 or 24 hours) degrades the immune modulatory drug (IMiD) ubiquitin-proteasome degradation substrates IKZF1 and CK-1α in naive CD4+ T cells[1].
In vivo	FPFT-2216 (30 mg/kg; oral or intraperitoneal) induces significant degradation of CK-1α and IKZF1 in CRBNI391V mice[1].

Solubility Information

Solubility	DMSO: 20 mg/mL (68.42 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.84 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.421 mL	17.1051 mL	34.2103 mL
5 mM	0.6842 mL	3.421 mL	6.8421 mL
10 mM	0.3421 mL	1.7105 mL	3.421 mL
50 mM	0.0684 mL	0.3421 mL	0.6842 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

Gemechu Y, et al. Humanized cereblon mice revealed two distinct therapeutic pathways of immunomodulatory drugs. Proc Natl Acad Sci U S A. 2018;115(46):11802-11807.

Teng M, et al. Development of PDE6D and CK1α Degraders through Chemical Derivatization of FPFT-2216. J Med Chem. 2022 Jan 13;65(1):747-756.