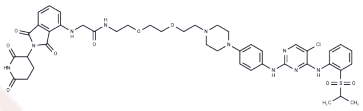
Data Sheet (Cat.No.T34888)

TargetMol

TL12-186

Chemical Properties



CAS No. : 2250025-88-6

Formula: C44H51ClN10O9S

Molecular Weight: 931.46

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	TL12-186 is a Cereblon-dependent kinase degrader that degrades CDK, BTK, FLT3, Aurora and other kinases.TL12-186 inhibits CDK2/cyclin A and CDK9/cyclin T1 with IC50s of 73 and 55 nM, respectively.
Targets(IC50)	CDK,PROTACs
In vitro	TL12-186 demonstrates over 90% inhibition against 193 kinases at a screening concentration of 1 μM[1]. Utilizing AlphaScreen binding assays, it exhibits potent binding to CRBN with an IC50 of 12 nM[1]. Displaying CRBN-dependent pharmacological effects, TL12-186 (1-10000 nM; 2 days) exerts 13 to 15 times stronger inhibition of cell survival in WT cells compared to CRBN-/- cells[1]. Moreover, at concentrations ranging from 10 to 10000 nM for 4 hours, TL12-186 selectively inhibits STAT1 phosphorylation without inducing degradation of JAK1/2[1].

Solubility Information

Solubility	DMSO: 200 mg/mL (214.72 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: 5 mg/mL (5.37 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	1.0736 mL	5.3679 mL	10.7358 mL
5 mM	0.2147 mL	1.0736 mL	2.1472 mL
10 mM	0.1074 mL	0.5368 mL	1.0736 mL
50 mM	0.0215 mL	0.1074 mL	0.2147 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

Hai-Tsang Huang, et al. A Chemoproteomic Approach to Query the Degradable Kinome Using a Multi-kinase Degrader. Cell Chem Biol. 2018 Jan 18;25(1):88-99.e6.