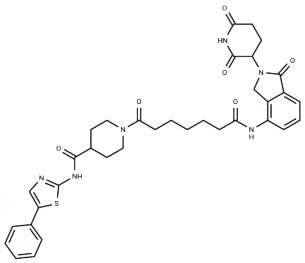
Data Sheet (Cat.No.T207111)

TargetMol

PROTAC MNK1 degrader-1

Chemical Properties



CAS No. :

Formula: C35H38N6O6S

Molecular Weight: 670.78

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	ROTACMNK1degrader-1 is a selective MNK1 PROTAC degrader with a DC50 of 11.92 nM and a Dmax greater than 96% in MV4-11 cells. It significantly reduces p-eIF4E levels with an IC50 of 22.07 nM, induces apoptosis, and causes cell cycle arrest at the G1 phase. This compound exhibits potent antitumor activity, demonstrating strong anti-leukemic effects in MV4-11 xenograft mouse models with acceptable drug safety.
Targets(IC50)	Apoptosis,MNK,PERK,PROTACs
In vitro	PROTAC MNK1 degrader-1 (Compound P11-2) significantly enhances antiproliferative activity across four cancer cell lines (MV4-11, MM.1S, MOLM-13, and MDA-MB-231) at concentrations of 0.001-10 μM over 24 hours, with IC 50 values of 0.045, 0.24, 0.61, and 2.06 μM, respectively. At 300 nM for 1-24 hours, it induces MNK1 degradation in MV4-11 cells through a CRBN and proteasome-dependent mechanism, with a half-life (t 1/2) of 3.64 hours. Using 10-1000 nM over 24 hours, it selectively degrades MNK1 in MV4-11 cells, lowering levels of the downstream factor p-eIF4E with an IC 50 of 22.07 nM, thus effectively inhibiting tumor cell proliferation. PROTAC MNK1 degrader-1 demonstrates excellent binding affinity to the active sites of CRBN and MNK1, with its linker forming a hydrogen bond with H353. At 30-300 nM over 24 hours, it induces apoptosis in MV4-11 cells in a dose-dependent manner, particularly late apoptosis, and arrests the cell cycle at the G1 phase.
In vivo	PROTAC MNK1 degrader-1 (Compound P11-2), administered intraperitoneally at 20 mg/kg daily for 16 days, significantly inhibits tumor growth in the MV4-11 xenograft mouse model by degrading MNK1 and further reduces p-eIF4E levels. At a dosage of 100 mg/kg administered intraperitoneally once daily for 14 days, it demonstrates acceptable drug safety with no evident toxicity to other major organs.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4908 mL	7.454 mL	14.908 mL
5 mM	0.2982 mL	1.4908 mL	2.9816 mL
10 mM	0.1491 mL	0.7454 mL	1.4908 mL
50 mM	0.0298 mL	0.1491 mL	0.2982 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.

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