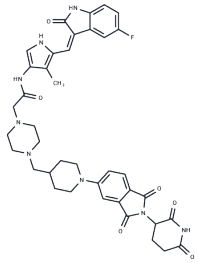
Data Sheet (Cat.No.T87986)

TargetMol

PROTAC FLT-3 degrader 4

Chemical Properties



CAS No. : 2956722-48-6

Formula: C39H41FN8O6

Molecular Weight: 736.79

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	PROTACFLT-3degrader 4 is an orally active CRBN-based FLT3-PROTAC degrader that efficiently induces the degradation of FLT3-ITD via the ubiquitin-proteasome system. It demonstrates high selectivity for FLT3-ITD mutant acute myeloid leukemia (AML) cells. [Blue: CRBN ligand, Black: linker; Pink: FLT3 inhibitor].
Targets(IC50)	Apoptosis,FLT,PROTACs
In vitro	PROTAC FLT-3 degrader 4 (compound A20) exhibits antiproliferative activity against MV4-11 and MOLM-13 cells, with IC50 values of 39.9 nM and 169.9 nM, respectively [1]. At concentrations ranging from 0.25 to 100 nM over 24 hours, it significantly reduces FLT3-ITD protein levels in these cells [1]. Additionally, at 20 nM over 12 hours, it inhibits the phosphorylation of FLT3-ITD and downstream mediators such as STAT5, S6K, and ERK [1]. The compound also induces G1 phase cell cycle arrest in a dose-dependent manner and promotes apoptosis in MV4-11 and MOLM-13 cells [1].
In vivo	PROTAC FLT-3 degrader 4 (compound A20; 1.235-10 mg/kg; oral; daily; for 2 weeks) effectively suppresses tumor growth at a dose of 1.25 mg/kg. At 5 mg/kg, tumor regression is observed with a tumor growth inhibition (TGI) of 97.5%, and complete tumor regression occurs at 10 mg/kg [1]. The pharmacokinetic parameters of PROTAC FLT-3 degrader 4 in Sprague-Dawley rats are as follows: 1.19 parameter iv (1 mg/kg) po (10 mg/kg) with AUC 0-t (h·ng/mL) at 2768.1 and 14705.3, C max (ng/mL) at 1117.5, Tmax (h) at 6.2, T1/2 (h) at 6.5 and 3.8, V ss (L/kg) at 3.5 and 5.7, CL (mL/min/kg) at 5.5 and 11.2, and bioavailability (F%) at 53. Animal Model: Four-week-old male nu/nu mice injected with MV4-11 cells [1]. Dosages administered were 1.25 mg/kg, 2.5 mg/kg, 5 mg/kg, and 10 mg/kg, orally, daily for 2 weeks. Result: Tumor growth was significantly inhibited at a 1.25 mg/kg dose.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3572 mL	6.7862 mL	13.5724 mL
5 mM	0.2714 mL	1.3572 mL	2.7145 mL
10 mM	0.1357 mL	0.6786 mL	1.3572 mL
50 mM	0.0271 mL	0.1357 mL	0.2714 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.