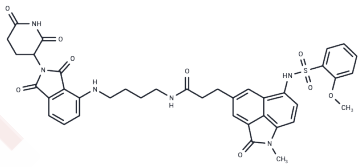
Data Sheet (Cat.No.T18598)

TargetMol

PROTAC BRD2/BRD4 degrader-1

Chemical Properties



CAS No. :

Formula: C39H38N6O9S

Molecular Weight: 766.82

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	PROTAC BRD2/BRD4 degrader-1 (compound 15) is a potent, selective degrader of BET proteins BRD4 and BRD2, achieving rapid, reversible, and unexpectedly selective elimination compared to BRD3. It effectively suppresses solid tumors with minimal cytotoxic effects and comprises a BET inhibitor, a connecting linker, and thalidomide as the ligand for cereblon (CRBN)/cullin 4A[1].
Targets(IC50)	Others,Epigenetic Reader Domain,PROTACs
In vitro	PROTAC BRD2/BRD4 degrader-1 (100 nM; ≥8 hours) demonstrates significant anti-proliferative activity with an IC50 value of 12.25 nM and effectively reduces BRD4 protein levels in MV4-11 cells at treatment times of ≥8 hours. Additionally, it induces apoptosis in MV4-11 cells at concentrations of (1 nM, 3 nM, 0.1 μM, 0.3 μM; 24-48 hours). This compound shows excellent anti-proliferative activity across six leukemia cell lines from NCI, with GI50 values below 50 nM in three of these lines, aligning with its activity in MV4-11 cells. It also exhibits considerable anti-proliferative effects in prostate cancer (22RV1 IC50: 0.081 μM), colon cancer (colo-205 IC50: 0.1557 μM), and thyroid cancer (TT IC50: 0.037451 μM) cells[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3041 mL	6.5204 mL	13.0409 mL
5 mM	0.2608 mL	1.3041 mL	2.6082 mL
10 mM	0.1304 mL	0.652 mL	1.3041 mL
50 mM	0.0261 mL	0.1304 mL	0.2608 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

Jiang F, et al. Discovery of novel small molecule induced selective degradation of the bromodomain and extra-terminal (BET) bromodomain protein BRD4 and BRD2 with cellular potencies. Bioorg Med Chem. 2019 Nov 11: 115181.