

PROTAC BRD3/BRD4-L degrader-2

Chemical Properties

CAS No. :

Formula: C43H44ClN7O3

Molecular Weight: 742.31

Keep away from direct sunlight

Storage: 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 BRD3/BRD4-L degrader-2, a PROTAC molecule, selectively degrades cellular BRD3 and BRD4-L with K_i values of 16.91 and 2.8 nM, respectively, and exhibits robust antitumor activity in mouse xenograft models, serving as a research tool for cancer [1].
Targets(IC50)	Epigenetic Reader Domain,PROTACs
In vitro	PROTAC BRD3/BRD4-L degrader-2 (Compound 28) exhibits binding affinity to BRD3 BD1 and BRD3 BD2 with K_i values of 16.91 nM and 2.8 nM, respectively [1]. It shows cellular activity in MV4-11 and MM.1S cell lines with IC50 values of 7.46 nM and 85.4 nM [1], and selectively degrades BRD3 and BRD4-L in a time-dependent manner at 30 nM over 1, 3, 6, 8, and 24 hours [1]. At concentrations of 1, 3, 10, 30, 100, and 300 nM for 24 hours, it demonstrates antitumor activity in MM.1S cells and induces G1 phase cell cycle arrest in a dose-dependent manner [1].
In vivo	PROTAC BRD3/BRD4-L degrader-2 (compound 28) demonstrates poor oral bioavailability, yet exhibits good systemic exposure when administered intravenously (i.v.; 3 mg/kg) [1]. When given intravenously (i.v.; 3 mg/kg), PROTAC BRD3/BRD4-L degrader-2 shows antitumor efficacy in the MM.1S mouse xenograft model [1]. Furthermore, single doses administered intravenously (i.v.; 1, 5 mg/kg) promote selective degradation of BRD3 and BRD4-L and exhibit potent antitumor activity [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3471 mL	6.7357 mL	13.4715 mL
5 mM	0.2694 mL	1.3471 mL	2.6943 mL
10 mM	0.1347 mL	0.6736 mL	1.3471 mL
50 mM	0.0269 mL	0.1347 mL	0.2694 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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