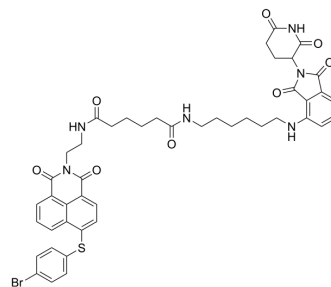


PROTAC Mcl1 degrader-1

Cat. No.:	HY-125877
CAS No.:	2163793-38-0
Molecular Formula:	C ₄₅ H ₄₅ BrN ₆ O ₈ S
Molecular Weight:	909.84
Target:	PROTACs; Bcl-2 Family
Pathway:	PROTAC; Apoptosis
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (54.95 mM; Need ultrasonic)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions		1 mg	5 mg	10 mg
		1 mM	1.0991 mL	5.4955 mL	10.9909 mL
		5 mM	0.2198 mL	1.0991 mL	2.1982 mL
	10 mM	0.1099 mL	0.5495 mL	1.0991 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (2.75 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (2.75 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	PROTAC Mcl1 degrader-1 (compound C3), a proteolysis targeting chimera (PROTAC) based on Cereblon ligand, is a potently and selectively Mcl-1 (Bcl-2 family member) inhibitor with an IC ₅₀ of 0.78 μM. PROTAC Mcl1 degrader-1 inhibits Bcl-2 with an IC ₅₀ of 0.54 μM ^[1] .	
IC ₅₀ & Target	Mcl-1 0.78 μM (IC ₅₀)	Bcl-2 0.54 μM (IC ₅₀)
In Vitro	PROTAC Mcl1 degrader-1 (compound C3) induces the ubiquitination and proteasomal degradation of Mcl-1 by introducing the E3 ligase cereblon (CRBN)-binding ligand Pomalidomide (HY-10984) to Mcl-1 inhibitor S1-6 with μM-range affinity ^[1] . PROTAC Mcl1 degrader-1 (0-10 μM; 0-24 h) induces selective depletion of Mcl-1 or Bcl-2 protein in HeLa cells in a time- and concentration-dependent manner ^[1] .	

PROTAC Mcl1 degrader-1 (0-2 μ M; 24 h) shows cytotoxicity against H23 cells^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	HeLa cells and H23 cells
Concentration:	0.3, 1.0, 3.0 and 10 μ M
Incubation Time:	0-24 h
Result:	Induced time- and concentration-dependent selective depletion of Mcl-1 or Bcl-2 protein in HeLa cells. Induced Mcl-1 depletion and PARP cleavage in H23 cells (0-10 μ M; 12 h).

REFERENCES

[1]. Wang Z, et al. Proteolysis Targeting Chimeras for the Selective Degradation of Mcl-1/Bcl-2 Derived from Nonselective Target Binding Ligands. J Med Chem. 2019 Aug 21.

Caution: Product has not been fully validated for medical applications. For research use only.

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