PROTAC Mcl1 degrader-1

Cat. No.: HY-125877

CAS No.: 2163793-38-0

Molecular Formula: $C_{45}H_{45}BrN_6O_8S$

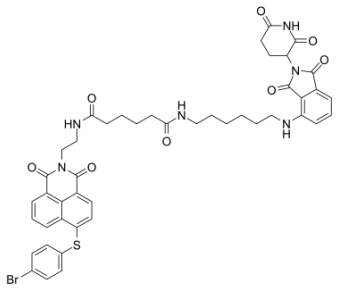
Molecular Weight: 909.84

Target: PROTAC; 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)

Preparing Stock Solutions	Solvent / Mass / Concentration	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), is a potently and selectively Mcl-1 inhibitor with an IC_{50} of 0.78 μM. PROTAC Mcl1 degrader-1 induces the ubiquitination and proteasomal degradation of Mcl-1 by introducing the E3 ligase cereblon (CRBN)-binding ligand pomalidomide to Mcl-1 inhibitor S1-6 with μM-range affinity[1].

IC_{50} & Target

Mcl-1
0.78 μM (IC_{50})

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.

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