PROTAC Bcl2 degrader-1

Cat. No.: HY-125876

CAS No.: 2378801-85-3

Molecular Formula: $C_{45}H_{45}BrN_6O_{10}S$

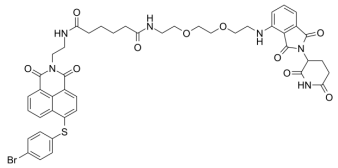
Molecular Weight: 941.84

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 : 25 mg/mL (26.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0618 mL	5.3088 mL	10.6175 mL
	5 mM	0.2124 mL	1.0618 mL	2.1235 mL
	10 mM	0.1062 mL	0.5309 mL	1.0618 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 (2.65 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PROTAC Bcl2 degrader-1 (Compound C5) is a PROTAC based on Cereblon ligand, which potently and selectively induces the degradation of Bcl-2 (IC_{50}, 4.94 μM; DC_{50}, 3.0 μM) and Mcl-1 (IC_{50}, 11.81 μM) by introducing the E3 ligase cereblon (CRBN)-binding ligand pomalidomide to Mcl-1/Bcl-2 dual inhibitor Nap-1[1].

IC_{50} & Target

Bcl-2	Bcl-2	Mcl-1
3 μM (DC50)	4.94 μM (IC_{50})	11.81 μM (IC_{50})

In Vitro

PROTAC Bcl2 degrader-1 (10 μM, 24 h) time-and concentration-dependent selective depletion of Mcl-1 or Bcl-2 proteins in Hela cells[1].

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

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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