PROTAC Bcl2 degrader-1

Cat. No.: HY-125876

CAS No.: 2378801-85-3

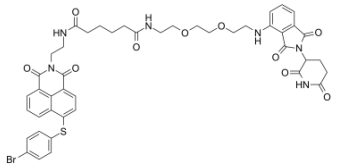
Molecular Formula: $C_{45}H_{45}BrN_6O_{10}S$

Molecular Weight: 941.84

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

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

Preparing Stock Solutions

Please refer to the solubility information to select the appropriate solvent.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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, 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})

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.