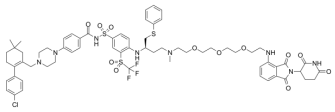
XZ739

Cat. No.:	HY-133557
CAS No.:	2365172-19-4
Molecular Formula:	$C_{65}H_{76}ClF_3N_8O_{12}S_3$
Molecular Weight:	1349.99
Target:	PROTACs; Bcl-2 Family; Apoptosis
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 : 20 mg/mL (14.81 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.7407 mL	3.7037 mL	7.4075 mL
	5 mM	0.1481 mL	0.7407 mL	1.4815 mL
	10 mM	0.0741 mL	0.3704 mL	0.7407 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 mg/mL (1.48 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

XZ739, a Cereblon-dependent PROTAC BCL-XL (Bcl-2 family member) degrader with a DC_{50} value of 2.5 nM in MOLT-4 cells after 16 h treatment. XZ739 also induces cell death through caspase-mediated apoptosis[1].

IC_{50} & Target		
	Bcl-xL 2.5 nM (DC50)	Cereblon

In Vitro

XZ739 (0.001-10 μM; 48 hours) potently reduces the viability of T-ALL MOLT-4, B-ALL RS4; 11, SCLC NCI-H146 cells, and platelets after 48 h treatment with IC_{50}s of 10.1, 41.8, 25.3, and 1217 nM, respectively. XZ739 has >100-fold selectivity for MOLT-4 cells over human platelets[1].

XZ739 (1.2-300 nM; 16 hours) induces BCL-XL degradation in MOLT-4 cells[1].

The BCL-XL degradation induced by XZ739 in MOLT-4 is rapid, starting within 2 h; and 8 h after XZ739 treatment, more than 96% of the BCL-XL is degraded with 100 nM of XZ739[1].

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

Cell Viability Assay[1]

Cell Line:	Human platelets and MOLT-4 cells
Concentration:	0.001, 0.01, 0.1, 1, and 10 μM
Incubation Time:	48 hours
Result:	IC_{50} values were 10.1 nM and 1217 nM for MOLT-4 cells and platelets, respectively.

Western Blot Analysis[1]

Cell Line:	MOLT-4 cells
Concentration:	1.2, 3.7, 11, 33, 100, 300 nM
Incubation Time:	16 hours
Result:	Dose-dependently induced BCL-XL degradation.

REFERENCES

[1]. Xuan Zhang,et al. Discovery of PROTAC BCL-X L Degraders as Potent Anticancer Agents With Low On-Target Platelet Toxicity. Eur J Med Chem. 2020 Apr 15;192:112186.

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