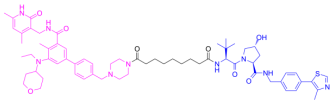
MS8815

Cat. No.:	HY-148334
CAS No.:	2855085-25-3
Molecular Formula:	$C_{65}H_{87}N_9O_8S$
Molecular Weight:	1154.51
Target:	Histone Methyltransferase; PROTACs
Pathway:	Epigenetics; PROTAC
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (103.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8662 mL	4.3308 mL	8.6617 mL
	5 mM	0.1732 mL	0.8662 mL	1.7323 mL
	10 mM	0.0866 mL	0.4331 mL	0.8662 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.25 mg/mL (2.82 mM); Clear solution
2. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 2.5 mg/mL (2.17 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MS8815 is a selective enhancer of zeste homolog 2 (EZH2) PROTAC degrader. MS8815 has inhibition activity for EZH2 with an IC_{50} value of 8.6 nM. MS8815 can be used for the research of triple-negative breast cancer (TNBC)[1].

IC_{50} & Target

IC50: 8.6 nM (EZH2); 62 nM (EZH1)[1].
DC50: 140 nM (EZH2 in MDA-MB-453 cells)[1]

In Vitro

MS8815 shows potency in inhibiting the EZH2 and EZH1 methyltransferase activity with IC_{50} values of 8.6 nM and 62 nM, respectively[1].
MS8815 (0.1-1 μM) degrades EZH2 with a DC_{50} value of 140 nM in MDA-MB-453 cells[1].
MS8815 (1 μM; 48 h) induces robust EZH2 degradation in a concentration-, time-, and proteasome-dependent manner in TNBC cells[1].

MS8815 (0.1-10 μM; 5 days) effectively suppresses the cell growth in multiple TNBC cell lines and primary patient TNBC cells [1].

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

Western Blot Analysis[1]

Cell Line:	MDA-MB-453 cells and BT549 cells
Concentration:	0.3, 3 μM; 1μM
Incubation Time:	48 h; 24 h
Result:	Induced nearly complete degradation of EZH2 and exhibited the most robust degradation at 0.3 μM. Induced EZH2 degradation in a time-and concentration-dependent manner. Induced EZH2 degradation through the UPS.

Cell Proliferation Assay[1]

Cell Line:	BT549, MDA-MB-468, SUM159 and MDA-MB-453 cells
Concentration:	0.1-10 μM
Incubation Time:	5 days
Result:	Showed superior cellular growth inhibition activity in a panel of TNBC cells.

REFERENCES

[1]. Brandon Dale, et al. Targeting Triple-Negative Breast Cancer by a Novel Proteolysis Targeting Chimera Degrader of Enhancer of Zeste Homolog 2. ACS Pharmacol Transl Sci. 2022 Jun 24;5(7):491-507.

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