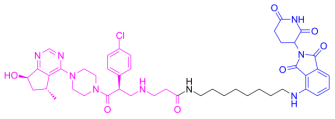
MS170

Cat. No.:	HY-145282
CAS No.:	2376136-61-5
Molecular Formula:	$C_{45}H_{56}ClN_9O_7$
Molecular Weight:	870.44
Target:	PROTACs; Akt
Pathway:	PROTAC; PI3K/Akt/mTOR
Storage:	-20°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (57.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1488 mL	5.7442 mL	11.4884 mL
	5 mM	0.2298 mL	1.1488 mL	2.2977 mL
	10 mM	0.1149 mL	0.5744 mL	1.1488 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: ≥ 1.25 mg/mL (1.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (1.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MS170 is a potent and selective PROTAC AKT degrader. MS170 depletes cellular total AKT (T-AKT) with the DC_{50} value of 32 nM. MS170 binds to AKT1, AKT2, and AKT3 with K_ds of 1.3 nM, 77 nM, and 6.5 nM, respectively[1].

IC_{50} & Target			
Akt1 1.3 nM (Kd)	Akt2 77 nM (Kd)	Akt3 6.5 nM (Kd)	CRBN-DDB1

In Vitro

Cereblon (CRBN)-recruiting degrader MS170 is an effective AKT degrader without a "hook effect". MS170 selectively induces robust AKT protein degradation, inhibits downstream signaling, and suppresses cancer cell proliferation. MS170 concentration- and time-dependently induces AKT degradation through the ubiquitin-proteasome system (UPS)[1].
MS170 (10 nM-10 μM) effectively inhibits the proliferation in multiple cancer cell lines[1].
MS170 (1 nM-10 μM) concentration-dependently depletes cellular total AKT (T-AKT) with the DC_{50} value of 32±18 nM[1].

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

Cell Proliferation Assay[1]

Cell Line:	BT474, PC3, and MDA-MB-468 cells
Concentration:	10 nM, 100 nM, 1 μM, 10 μM
Incubation Time:	5 days
Result:	Inhibited the cell growth with GI_{50}s of 0.7±0.2 μM, 7.4±2.2 μM, and 5.7±2.4 μM for BT474 cells, PC3 cells, and MDA-MB-468 cells, respectively.

Western Blot Analysis[1]

Cell Line:	BT474 cells
Concentration:	1 nM, 3 nM, 10 nM, 30 nM, 100 nM, 300 nM, 1 μM , 3 μM, and 10 μM
Incubation Time:	24 hours
Result:	Potently induced AKT degradation.

In Vivo

MS170 (a single intraperitoneal injection at a dose of 50 mg/kg) is bioavailable in mice via IP injection[1].

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

Animal Model:	Male Swiss albino mice[1]
Dosage:	Single 50 mg/kg(Pharmacokinetic Analysis)
Administration:	IP injection over 8 h
Result:	Bioavailable in mouse PK studies. The C_{max} is1.4 μM at 2 h.

REFERENCES

[1]. Yu X, et al. Design, Synthesis, and Evaluation of Potent, Selective, and Bioavailable AKT Kinase Degraders. J Med Chem. 2021;64(24):18054-18081.

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