Product Data Sheet

Product Name: MS177
Cat. No.: GC67710

Chemical Properties

Cas. No.	2225938-86-1		
Formula	$C_{48}H_{55}N_{11}O_8$	M.Wt	914.02
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MS177		

Background

MS177 is an effective and fast-acting **EZH2** degrader. MS177 is a **PROTAC** that consists of a CRBN ligand, linker, and a potent enzymatic EZH2 inhibitor C24 (C24 **IC_{50}**): 12 nM). MS177 effectively depletes both canonical EZH2-PRC2 and noncanonical EZH2-cMyc complexes. MS177 induces leukaemia cell growth inhibition, apoptosis and cell cycle progression arrest[1].

MS177 inhibits the enzymatic activities of EZH2-PRC2 (IC_{50}: 7 nM)[1].

MS177 (5 μM, 24 h) decreases H3K27me3 and increases H3K27activity in HeLa cells[1].
MS177 (0.1-5 μM, 16 h) effectively degrades cellular EZH2-PRC2 and suppresses global H3K27me3 in EOL-1 cells[1].

MS177 (0.1-5 μM, 16 h) induces Myc degradation in EOL-1 and MV4 cells[1].
MS177 (4 days) shows antiproliferation effects in a panel of MLL-r leukaemia cells and samples from patients with AML, with IC_{50}s below 2 μM[1].

MS177 (0.5-2.5 μM, 24 h) decreases colony-forming capabilities in MV4;11 cells[1].
MS177 (0.5-2.5 μM, 24 h) slows cell cycle progression and induces MOLM-13 cell apoptosis[1].

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Cell Viability Assay[1]

Cell Line:	AML cell line: MV4;11, MOML-13, RS4;11, KOPN-8 THP-1, EOL-1 (MLL-r cells)Control cell line: K562 (CML cells)Patient sample: AML cells
Concentration:	0-100 μM approximately
Incubation Time:	4 days
Result:	Inhibited cell proliferation with IC_{50}s of 0.1-0.57 μM for MLL-r cells, 0.09-1.35 μM for Patient sample, >100 μM for K562 cell.

Western Blot Analysis[1]

Cell Line:	EOL-1 cell
Concentration:	0.1, 0.5, 1, 2.5, 5 μM
Incubation Time:	16 h
Result:	Depleted EZH2, EED and SUZ12 in a concentration-dependent manner and suppressed global H3K27me3.

MS177 (100 mg/kg, i.p., BID for 6 days) represses tumor growth in PDX animal model of MLL-r AML, and in subcutaneously xenografted MLL-r leukaemia models[1].
MS177 (50 mg/kg, i.p.) achieves intraplasma concentrations about 1 μM in male Swiss Albino mice[1].
MS177 (100 mg/kg, i.p., BID for 6 days per week; and 200 mg/ kg, i.p. BID 3 days per week) is well tolerated and lacks apparent toxicity in mice[1].

Animal Model:	PDX animal model of MLL-r AML[1]
Dosage:	100 mg/kg
Administration:	Intraperitoneal injection (i.p.), BID for 6 days.

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Result:	Inhibited tumor growth and prolonged survival.

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