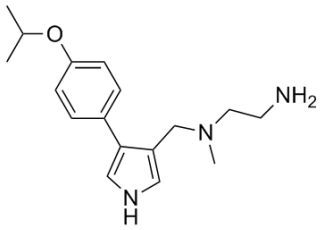
MS023

Cat. No.:	HY-19615
CAS No.:	1831110-54-3
Molecular Formula:	$C_{17}H_{25}N_3O$
Molecular Weight:	287.4
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 66.67 mg/mL (231.98 mM; Need ultrasonic)
DMSO : ≥ 30 mg/mL (104.38 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4795 mL	17.3974 mL	34.7947 mL
	5 mM	0.6959 mL	3.4795 mL	6.9589 mL
	10 mM	0.3479 mL	1.7397 mL	3.4795 mL

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

BIOLOGICAL ACTIVITY

Description

MS023 is a potent, selective, and cell-active inhibitor of human type I protein arginine methyltransferases (PRMTs) inhibitor, with IC_{50}s of 30, 119, 83, 4 and 5 nM for PRMT1, PRMT3, PRMT4, PRMT6, and PRMT8, respectively[1].

IC_{50} & Target

IC50: 30 nM (PRMT1), 119 nM (PRMT3), 83 nM (PRMT4), 4 nM (PRMT6), 5 nM (PRMT8)[1]

In Vitro

MS023 (1-1000 nM; 48 hours) inhibits PRMT1 methyltransferase activity in MCF7 cells[1].
MS023(1-1000 nM; 20 hours) inhibits PRMT6 methyltransferase activity in HEK293 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MCF7 and HEK293 cells
Concentration:	1.4, 4, 12, 37, 111, 333, and 1000 nM

Incubation Time:	48 hours for MCF7 cells; 20 hours for HEK293 cells
Result:	Treatment potently and concentration-dependently reduced cellular levels of H4R3me2a (IC_{50}=9±0.2 nM). Treatment concentration-dependently reduced the H3R2me2a mark (IC_{50}=56±7 nM).

In Vivo

Administration of MS023 (160 mg/kg, i.p) in combination with PKC412 (100 mg/kg, i.g.) blocks MLL-r acute lymphoblastic leukemia (ALL) propagation by inhibiting maintenance of functional MLL-r ALL-initiating cells[2].

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

Animal Model:	NOD-scid IL2Rgnull (NSG) mice bearing primary MLL-r ALL cells[2]
Dosage:	160 mg/kg
Administration:	Intraperitoneal injection; PKC412 (100 mg/kg, i.g.), MS023 (160 mg/kg, i.p), or a combination for 4 weeks
Result:	Combinatorial treatment extended survival of leukemic mice relative to single treatments.

REFERENCES

[1]. Eram MS, et al. A Potent, Selective, and Cell-Active Inhibitor of Human Type I Protein Arginine Methyltransferases. ACS Chem Biol. 2016 Mar 18;11(3):772-81.

[2]. Yinghui Zhu, et al. Targeting PRMT1-mediated FLT3 methylation disrupts maintenance of MLL-rearranged acute lymphoblastic leukemia. Blood. 2019 Oct 10;134(15):1257-1268.

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