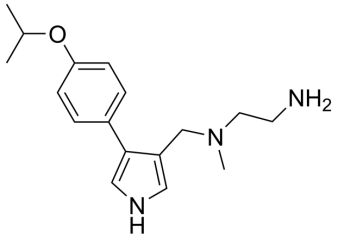
MS023

Cat. No.:	HY-19615
CAS No.:	1831110-54-3
Molecular Formula:	$C_{17}H_{25}N_3O$
Molecular Weight:	287.40
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

DMSO : 100 mg/mL (347.95 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / 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.

In Vivo

1. Add each solvent one by one: Saline
   Solubility: 53.33 mg/mL (185.56 mM); Clear solution; Need ultrasonic and adjust pH to 8 with 1M HCl
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.70 mM); Clear solution

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	PRMT1	PRMT3	PRMT6	PRMT8

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.

CUSTOMER VALIDATION

- Nat Commun. 2025 Jan 22;16(1):949.
- Nat Commun. 2025 Jan 2;16(1):87.
- Acta Pharm Sin B. 22 October 2021.
- Cell Rep. 2024 Jul 24;43(8):114537.
- Cell Rep. 2021 Sep 21;36(12):109731.

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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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