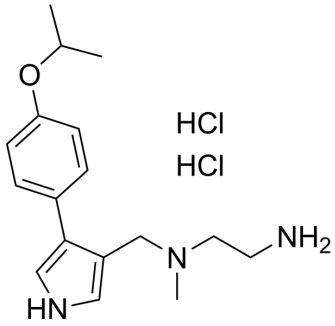
MS023 dihydrochloride

Cat. No.:	HY-19615B
CAS No.:	1992047-64-9
Molecular Formula:	$C_{17}H_{27}Cl_2N_3O$
Molecular Weight:	360.32
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 150 mg/mL (416.30 mM)

H_2O : 33.33 mg/mL (92.50 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7753 mL	13.8766 mL	27.7531 mL
5 mM	0.5551 mL	2.7753 mL	5.5506 mL
10 mM	0.2775 mL	1.3877 mL	2.7753 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (69.38 mM); Clear solution; Need ultrasonic and warming

BIOLOGICAL ACTIVITY

Description

MS023 dihydrochloride 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

- Cell Rep. 2021 Sep 21;36(12):109731.
- Acta Pharm Sin B. 22 October 2021.
- Oncogenesis. 2022 Aug 8;11(1):45.
- Acta Pharmacol Sin. 2021 Apr 13.

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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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