MS023 trihydrochloride

Cat. No.: HY-19615A

CAS No.: 2108631-19-0

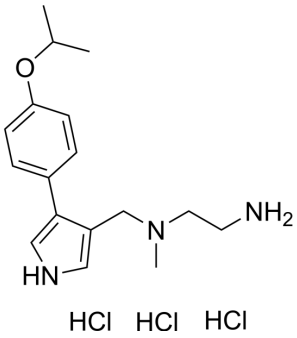
Molecular Formula: $C_{17}H_{28}Cl_3N_3O$

Molecular Weight: 396.78

Target: Histone Methyltransferase

Pathway: Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

MS023 trihydrochloride 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].

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 nM).Treatment concentration-dependently reduced the H3R2me2a mark (IC_{50}=56 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

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

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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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