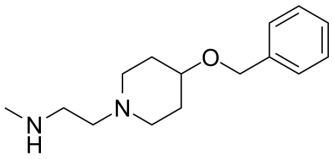
MS049

Cat. No.:	HY-100360
CAS No.:	1502816-23-0
Molecular Formula:	$C_{15}H_{24}N_2O$
Molecular Weight:	248.36
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 100 mg/mL (402.64 mM)
DMSO : ≥ 31 mg/mL (124.82 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0264 mL	20.1321 mL	40.2641 mL
5 mM	0.8053 mL	4.0264 mL	8.0528 mL
10 mM	0.4026 mL	2.0132 mL	4.0264 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (402.64 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.07 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MS049 is a potent, selective, and cell-active dual inhibitor of PRMT4 and PRMT6 with IC_{50}s of 34 nM and 43 nM, respectively. MS049 reduces levels of Med12me2a and H3R2me2a in HEK293 cells. MS049 is not toxic and does not affect the growth of HEK293 cells[1].

IC_{50} & Target	PRMT4	PRMT6	PRMT8
	34 nM	43 nM	1600 nM

In Vitro

MS049 (0.1-10 μM; 20 hours) reduces the H3R2me2a mark in HEK293 cells in a concentration dependent manner (IC_{50} =0.97±0.05 μM)[1].

MS049 (0.1-100 μM; 72 hours) inhibits endogenous PRMT4 methyltransferase activity in a concentration dependent manner resulting in reduced levels of cellular asymmetric arginine dimethylation of Med12 (Med12-Rme2a, IC_{50}=1.4±0.1 μM) in HEK293 cells[1].

MS049 is selective for PRMT4 and PRMT6 over a broad range of epigenetic modifiers, including other PRMTs, PKMTs, DNMTs, KDMs, and methyllysine/methylarginine reader proteins, and non-epigenetic targets[1].

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

Western Blot Analysis[1]

Cell Line:	HEK293 cells
Concentration:	0.1, 1, 10 μM
Incubation Time:	20 hours
Result:	Reduced the H3R2me2a mark in HEK293 cells in a concentration dependent manner (IC_{50} =0.97±0.05 μM).

Western Blot Analysis[1]

Cell Line:	HEK293 cells
Concentration:	0.1, 1, 10, 100 μM
Incubation Time:	72 hours
Result:	Reduced levels of cellular asymmetric arginine dimethylation of Med12 (Med12-Rme2a, IC_{50}=1.4±0.1 μM) in HEK293 cells.

REFERENCES

[1]. Shen Y et al. Discovery of a Potent, Selective, and Cell-Active Dual Inhibitor of Protein Arginine Methyltransferase 4 and Protein Arginine Methyltransferase 6. J Med Chem. 2016 Sep 15.

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