PRMT5-IN-1 hydrochloride

Cat. No.: HY-126256A

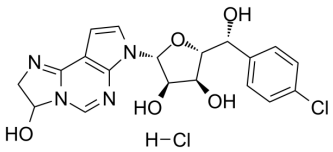
Molecular Formula: $C_{19}H_{20}Cl_2N_4O_5$

Molecular Weight: 455.29

Target: Histone Methyltransferase

Pathway: Epigenetics

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (274.55 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1964 mL	10.9820 mL	21.9640 mL
	5 mM	0.4393 mL	2.1964 mL	4.3928 mL
	10 mM	0.2196 mL	1.0982 mL	2.1964 mL

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

BIOLOGICAL ACTIVITY

Description

PRMT5 IN-1 hydrochloride (compound 9), a hemiaminal, is a potent, selective protein arginine methyltransferase 5 (PRMT5) inhibitor with an IC_{50} of 11 nM for PRMT5/MEP50. PRMT5 IN-1 hydrochloride can be converted to aldehydes and react with C449 to form covalent adducts under physiological conditions[1].

IC_{50} & Target

IC50: 11 nM (PRMT5/MEP50)[1]

In Vitro

PRMT5 IN-1 (compound 9; 0-500 nM) hydrochlorideinhibits the PRMT5/MEP50 complex [K_{inact}/K_I=1.2×10^5 M^{-1} min^{-1}] very rapidly with a K_{inact} of 0.068 min^{-1} and a good binding affinity (K_I=55 nM)[1].

PRMT5 IN-1 (0-1000 nM; 3 d; Granta-519 cells) hydrochloride inhibits the expression of cellular sDMA with an IC_{50} value of 0.012 μM[1].

PRMT5 IN-1 (0-1 μM; 10 d; Granta-519 cells) hydrochloride inhibits cell proliferation in a dose-dependent manner with an IC_{50} value of 0.06 μM[1].

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

Cell Viability Assay[1]

Cell Line:	Granta-519 cells

Concentration:	0, 0.0001524, 0.000457, 0.001372, 0.004115, 0.012346, 0.037037, 0.111111, 0.333333 and 1 μM
Incubation Time:	10 days
Result:	Inhibited cell proliferative, in which cells died on day 10 at high concentrations (0.3 and 1 μM).

Western Blot Analysis[1]

Cell Line:	Granta-519 cells
Concentration:	0, 0.05, 0.15, 0.46, 1.37, 4, 12, 37, 111, 333, 1000 nM
Incubation Time:	3 days
Result:	Inhibited cellular sDMA in Granta-519 cells in a dose-dependent manner.

REFERENCES

[1]. Lin H, et, al. Discovery of Potent and Selective Covalent Protein Arginine Methyltransferase 5 (PRMT5) Inhibitors. ACS Med Chem Lett. 2019 May 22;10(7):1033-1038.

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