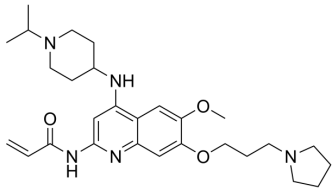
MS8511

Cat. No.:	HY-150510
CAS No.:	2866408-21-9
Molecular Formula:	$C_{28}H_{41}N_5O_3$
Molecular Weight:	495.66
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



BIOLOGICAL ACTIVITY

Description

MS8511 is a selective G9a/GLP covalent irreversible inhibitor by targeting a cysteine residue at the substrate binding site, with IC_{50} values of 100 nM (G9a) and 140 nM (GLP), and K_d values of 44 nM (G9a) and 46 nM (GLP). MS8511 reduces the cellular H3K9me2 level and enhances antiproliferation activity. MS8511 can be used for the research of several types of cancers including brain, breast, ovarian, lung, bladder, melanoma, colorectal cancer, and other disease such as Alzheimer's disease (AD), sickle cell disease, Prader–Willi syndrome (PWS)[1].

IC_{50} & Target			
G9a	G9a	GLP	GLP
100 nM (IC_{50})	44 nM (Kd)	140 nM (IC_{50})	46 nM (Kd)

In Vitro

MS8511 (Compound 8, 0-100 μM, 10 min) selectively inhibits G9a and GLP against other PKMTs and PRMTs, with IC_{50} values of 100 nM (G9a) and 140 nM (GLP)[1].

MS8511 (50 min) prefers covalent modification for G9a over GLP, with K_d values of 44 nM (G9a) and 46 nM (GLP)[1].

MS8511 (0-5 μM, 24-72 h) effectively reduces the H3K9me2 mark in MDA-MB-231 and K562 cellssup>[1].

MS8511 (0.8-25 μM, 3 days) inhibits the growth of MDA-MB-231 cells[1].

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

Western Blot Analysis[1]

Cell Line:	MDA-MB-231, K562 cells.
Concentration:	0.2, 1, 5 μM.
Incubation Time:	24, 48, 72 h.
Result:	Reduced the H3K9me2 mark in a concentration- and time-dependent manner.

Cell Viability Assay[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0.8, 1.6, 3.1 6.3, 12.5, 25 μM.
Incubation Time:	3 days

Result:	Inhibited the growth of MDA-MB-231 cells.

REFERENCES

[1]. Kwang-Su Park, et al. Discovery of the First-in-Class G9a/GLP Covalent Inhibitors. J Med Chem. 2022 Jun 28.

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