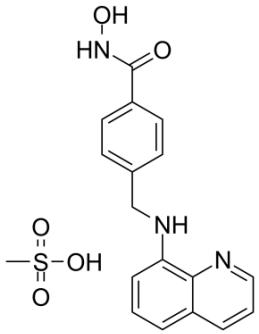
MPT0G211 mesylate

Cat. No.:	HY-123976A
CAS No.:	2151854-33-8
Molecular Formula:	$C_{18}H_{19}N_3O_5S$
Molecular Weight:	389.43
Target:	HDAC
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	MPT0G211 mesylate is a potent, orally active and selective HDAC6 inhibitor (IC_{50}=0.291 nM). MPT0G211 mesylate displays >1000-fold selective for HDAC6 over other HDAC isoforms. MPT0G211 mesylate can penetrate the blood-brain barrier. MPT0G211 mesylate ameliorates tau phosphorylation and cognitive deficits in an Alzheimer's disease model. MPT0G211 mesylate has anti-metastatic and neuroprotective effects. Anticancer activities[1][2][3].
IC_{50} & Target	HDAC6 0.291 μM (IC_{50})
In Vitro	MPT0G211 mesylate (0.1 μM; Cells were transfected with pCAX APP 695 and pRK5-EGFP-Tau P301L for 24 h) significantly inhibited the phosphorylation of tau Ser396[1]. MPT0G211 mesylate inhibits HDAC6/Hsp90 binding and causes subsequent proteasomal degradation of polyubiquitinated proteins[1]. MPT0G211 mesylate significantly decreases the phosphorylation of tau by GSK3β inactivation[1]. MPT0G211 mesylate (0.1 μM; 24 hours) significantly attenuates the phosphorylation of tau Ser396 and Ser404 in both cell lines (SH-SY5Y and Neuro-2a cells were transfected for 24 h with pCAX APP 695 and pRK5-EGFP-Tau P301L)[1]. MPT0G211 mesylate inhibits MDA-MB-231 and MCF-7 cells growth (GI_{50}=16.19 and 5.6 μM, respectively)[2]. In AML cells, MPT0G211 mesylate potentiates the cytotoxic effects of DOXO by impairing DNA repair machinery and activating Bcl-2-associated X protein (BCL-XL)-dependent cell apoptosis[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MPT0G211 mesylate (50 mg/kg; p.o.; daily for 3 months) significantly ameliorates the spatial memory impairment[1]. MPT0G211 mesylate (25 mg/kg; i.p.; qd; day 73 post-tumor injection) reduces numbers of nodules and lung weights[2]. MPT0G211 mesylate treatment not only diminishes tau phosphorylation by inhibition GSK3β activity but also enhances the acetylation of Hsp90, which causes the downregulation of HDAC6/Hsp90 binding and facilitates proteasomal degradation of polyubiquitinated p-tau[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Triple transgenic (3×Tg-AD) mice (harboring APP_{Swe} and tau_{P301L} mutant transgenes[1]
Dosage:	50 mg/kg
Administration:	P.o.; daily for 3 months

Result:	Significantly ameliorated the spatial memory impairment.

Animal Model:	Female SCID mice (bearing MDA-MB-231 cells)[2]
Dosage:	25 mg/kg
Administration:	I.p.; qd; day 73 post-tumor injection
Result:	Significantly reduced numbers of nodules and lung weights.

REFERENCES

[1]. Fan SJ, et al. The novel histone de acetylase 6 inhibitor, MPT0G211, ameliorates tau phosphorylation and cognitive deficits in an Alzheimer's disease model. Cell Death Dis. 2018;9(6):655. Published 2018 May 29.

[2]. Hsieh YL, et al. Anti-metastatic activity of MPT0G211, a novel HDAC6 inhibitor, in human breast cancer cells in vitro and in vivo. Biochim Biophys Acta Mol Cell Res. 2019;1866(6):992-1003.

[3]. Tu HJ, et al. The anticancer effects of MPT0G211, a novel HDAC6 inhibitor, combined with chemotherapeutic agents in human acute leukemia cells. Clin Epigenetics. 2018;10(1):162. Published 2018 Dec 29.

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