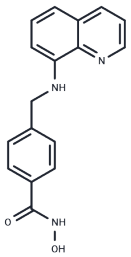
Data Sheet (Cat.No.T60616)

TargetMol

MPT0G211

Chemical Properties



CAS No. : 2151853-97-1

Formula: C17H15N3O2

Molecular Weight: 293.32

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	MPT0G211 is a highly selective and orally active HDAC6 inhibitor (IC50=0.291 nM) that has neuroprotective effects and has shown anti-metastatic activity in human breast cancer cells. MPT0G211 has 1,000 times more affinity for HDAC6 than other HDAC subtypes. MPT0G211 can cross the blood-brain barrier and could be used to improve tau phosphorylation and cognitive deficits in Alzheimer's disease models.
Targets(IC50)	HDAC
In vitro	MPT0G211 (0.1 μM; cells transfected with pCAX APP 695 and pRK5-EGFP-Tau P301L for 24 h) significantly inhibits the phosphorylation of tau Ser396[3]. MPT0G211 inhibits HDAC6/Hsp90 binding, leading to subsequent proteasomal degradation of polyubiquitinated proteins[3]. MPT0G211 significantly decreases the phosphorylation of tau through GSK3β inactivation[3]. MPT0G211 (0.1 μM; 24 hours) significantly attenuates the phosphorylation of tau at Ser396 and Ser404 in both cell lines (SH-SY5Y and Neuro-2a cells transfected for 24 h with pCAX APP 695 and pRK5-EGFP-Tau P301L)[3]. MPT0G211 inhibits the growth of MDA-MB-231 and MCF-7 cells (GI50=16.19 and 5.6 μM, respectively)[2].In AML cells, MPT0G211 potentiates the cytotoxic effects of DOXO by impairing DNA repair machinery and activating Bcl-2-associated X protein (BCL-XL)-dependent cell apoptosis[1].
In vivo	MPT0G211 (50 mg/kg; oral administration; daily for 3 months) significantly ameliorates spatial memory impairment[3]. MPT0G211 (25 mg/kg; intraperitoneal injection; once daily; day 73 post-tumor injection) reduces the numbers of nodules and lung weights[2]. MPT0G211 treatment not only diminishes tau phosphorylation by inhibiting GSK3β activity but also enhances the acetylation of Hsp90. This leads to the downregulation of HDAC6/Hsp90 binding and facilitates proteasomal degradation of polyubiquitinated phosphorylated tau[3].

Solubility Information

Solubility	DMSO: 90 mg/mL (306.83 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Saline: 3.3 mg/mL (11.25 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4092 mL	17.0462 mL	34.0925 mL
5 mM	0.6818 mL	3.4092 mL	6.8185 mL
10 mM	0.3409 mL	1.7046 mL	3.4092 mL
50 mM	0.0682 mL	0.3409 mL	0.6818 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.

Fan SJ, Huang FI, 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.

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