Product Data Sheet

Product Name: MPT0G211
Cat. No.: GC62308

Chemical Properties

Cas. No.	2151853-97-1		
Formula	$C_{17}H_{15}N_3O_2$	M.Wt	293.32
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MPT0G211		

Background

MPT0G211 is a potent, orally active and selective HDAC6 inhibitor (IC50=0.291 nM). MPT0G211 displays >1000-fold selective for HDAC6 over other HDAC isoforms. MPT0G211 can penetrate the blood-brain barrier. MPT0G211 ameliorates tau phosphorylation and cognitive deficits in an Alzheimer’s disease model. MPT0G211 has anti-metastatic and neuroprotective effects. Anticancer activities[1][2][3].

MPT0G211 (0.1 μM; cells were transfected with pCAX APP 695 and pRK5-EGFP-Tau P301L for 24 h) significantly inhibits the phosphorylation of tau Ser396[1].MPT0G211 inhibits HDAC6/Hsp90 binding and causes subsequent proteasomal degradation of polyubiquitinated proteins[1].MPT0G211 significantly decreases the phosphorylation of tau by GSK3β inactivation[1].MPT0G211 (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 inhibits MDA-MB-231 and MCF-7 cells growth (GI50=16.19 and 5.6 μM, respectively)[2].In AML cells, MPT0G211 potentiated the cytotoxic effects of DOXO by impairing DNA repair machinery and activating Bcl-2-associated X protein (BCL-XL)-dependent cell apoptosis[3].

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MPT0G211 (50 mg/kg; p.o.; daily for 3 months) significantly ameliorates the spatial memory impairment[1].MPT0G211 (25mg/kg; i.p. ; qd; day 73 post-tumor injection) reduces numbers of nodules and lung weights[2].MPT0G211 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].

[1]. 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.
[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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