

Otaplimastat HCl

Chemical Properties

CAS No. : 1176758-02-3

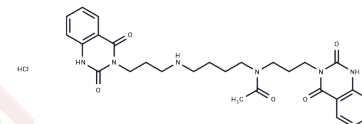
Formula: C₂₈H₃₅ClN₆O₅

Molecular Weight: 571.07

Store at low temperature

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	Otaplimastat HCl is a matrix metalloproteinase (MMP) inhibitor that blocks NMDA receptor-mediated excitotoxicity competitively and exhibits antioxidant activity. In oxygen-glucose-deprived endothelial cells, otaplimastat suppressed the activity rather than protein expression of MMPs by restoring the level of tissue inhibitor of metalloproteinase (TIMP) suppressed in ischemia, and consequently reduced vascular permeation. serving as a tool for research into brain ischemic injury and neuroprotection.
Targets(IC50)	MMP, NMDAR
In vitro	Otaplimastat HCl (87.5-350 μM, 20 min) can protect neuronal cells through competitive action, preventing NMDA-induced cell death [1]. Otaplimastat HCl (350 μM) is capable of inhibiting calcium ion (Ca ²⁺) influx triggered by NMDA receptor activation in primary cultured neurons [1]. When pretreated for 4 hours at concentrations of 2-200 μM, Otaplimastat HCl significantly suppresses hydrogen peroxide (H ₂ O ₂)-induced cell death and reduces reactive oxygen species (ROS) generation [2].
In vivo	In the middle cerebral artery occlusion (MCAO) model, intraperitoneal injection of Otaplimastat HCl (10-20 mg/kg) (administered once 30 minutes before occlusion and once 1 hour after reperfusion) prevented ischemic neuronal death [1]. Daily intraperitoneal injection of Otaplimastat HCl (5-10 mg/kg) for 10 consecutive days alleviated stroke-induced motor function impairment [2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7511 mL	8.7555 mL	17.511 mL
5 mM	0.3502 mL	1.7511 mL	3.5022 mL
10 mM	0.1751 mL	0.8755 mL	1.7511 mL
50 mM	0.035 mL	0.1751 mL	0.3502 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

- Noh SJ, et, al. SP-8203 shows neuroprotective effects and improves cognitive impairment in ischemic brain injury through NMDA receptor. *Pharmacol Biochem Behav.* 2011 Nov;100(1):73-80.
- Noh SJ, et, al. SP-8203 reduces oxidative stress via SOD activity and behavioral deficit in cerebral ischemia. *Pharmacol Biochem Behav.* 2011 Mar;98(1):150-4.
- Kim JS, et, al. Safety and Efficacy of Otaplimastat in Patients with Acute Ischemic Stroke Requiring tPA (SAFE-TPA): A Multicenter, Randomized, Double-Blind, Placebo-Controlled Phase 2 Study. *Ann Neurol.* 2020 Feb;87(2):233-245.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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