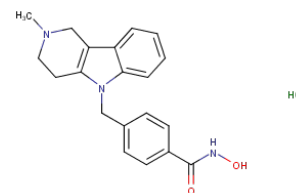


Catalog Number: CM04441

产品信息

Catalog Number:
CM04441CAS号:
1310693-92-5分子式:
 $C_{20}H_{21}N_3O_2 \cdot HCl$ 主要靶点:
Autophagy|Apoptosis|HDAC主要通路:
凋亡|DNA损伤和修复|自噬|表观遗传分子量:
371.86溶解度:
DMSO:3.7 mg/mL (10 mM), with
gentle warming

靶点活性

HDAC6:15 nM

体外活性

Tubastatin A is substantially selective for all 11 HDAC isoforms and maintains over 1000-fold selectivity against all isoforms excluding HDAC8, where it has approximately 57-fold selectivity. In homocysteic acid (HCA) induced neurodegeneration assays, Tubastatin A displays dose-dependent protection against HCA-induced neuronal cell death starting at 5 μ M with near complete protection at 10 μ M. [1] Tubastatin A increases Foxp3+ T-regulatory cells (Tregs) suppression of T cell proliferation at 100 ng/mL in vitro. [2] Tubastatin A treatment in C2C12 cells would lead to myotube formation impairment when alpha-tubulin is hyperacetylated early in the myogenic process; however, myotube elongation occurs when alpha-tubulin is hyperacetylated in myotubes. [3] A recent study indicates that Tubastatin A treatment increases cell elasticity as revealed by atomic force microscopy (AFM) tests without exerting drastic changes to the actin microfilament or microtubule networks in mouse ovarian cancer cell lines, MOSE-E and MOSE-L [4]

体内活性

Daily treatment of 0.5 mg/kg Tubastatin A inhibits HDAC6 to promote Tregs suppressive activity in mouse models of inflammation and autoimmunity, which including multiple forms of experimental colitis and fully major histocompatibility complex (MHC)-incompatible cardiac allograft rejection. [2]

细胞实验

Primary cortical neuron cultures are obtained from the cerebral cortex of fetal Sprague-Dawley rats (embryonic day 17) as described previously. All experiments are initiated 24 hours after plating. Under these conditions, the cells are not susceptible to glutamate-mediated excitotoxicity. For cytotoxicity studies, cells are rinsed with warm PBS and then placed in minimum essential medium (Invitrogen) containing 5.5 g/L glucose, 10% fetal calf serum, 2 mM L-glutamine, and 100 μ M cystine. Oxidative stress is induced by the addition of the glutamate analogue homocysteate (HCA; 5 mM) to the media. HCA is diluted from 100-fold concentrated solutions that are adjusted to pH 7.5. In combination with HCA, neurons are treated with Tubastatin A at the indicated concentrations. Viability is assessed after 24 hours by MTT assay (3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide) method. (Only for Reference)

描述

Tubastatin A HCl is an effective and specific HDAC6 inhibitor (IC₅₀: 15 nM). It has selectivity (>1000-fold) against all other isozymes except HDAC8 (>57-fold).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years