Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Mocetinostat

Catalog No: tcsc0502

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
726169-73-9

Formula:
$C_{23}H_{20}N_6O$

Pathway:
Autophagy;Epigenetics;Cell Cycle/DNA Damage

Target:
Autophagy;HDAC;HDAC

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
MGCD0103

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Observed Molecular Weight:
396.44

Product Description

Mocetinostat (MGCD0103) is a potent, orally active and isotype-selective **HDAC (Class I/IV)** inhibitor with **IC_{50}**s of 0.15, 0.29, 1.66 and 0.59 μM for **HDAC1**, **HDAC2**, **HDAC3** and **HDAC11**, respectively. Mocetinostat shows no inhibition on HDAC4, HDAC5, HDAC6, HDAC7, or HDAC8.

IC50 & Target: IC50: 0.15 μM (HDAC1), 0.29 μM (HDAC2), 1.66 μM (HDAC3), 0.59 μM (HDAC11)[1]

In Vitro: Mocetinostat is a potent, orally active and isotype-selective HDAC (Class I/IV) inhibitor with IC_{50}s of 0.15, 0.29, 1.66 and 0.59 μM for HDAC1, HDAC2, HDAC3 and HDAC11, respectively. Mocetinostat shows no inhibition on HDAC4, HDAC5, HDAC6, HDAC7, or HDAC8. Mocetinostat (MGCD0103) exhibits potent and selective antiproliferative activities against a broad spectrum of human cancer cell lines in vitro, and HDAC inhibitory activity is required for these effects. In all cell lines tested, Mocetinostat (MGCD0103) partially inhibits cellular HDAC enzyme activity although the maximal inhibition of activity varies among cell lines from 75% to 85% of total activity. The IC_{50} of Mocetinostat in intact cancer cells is independent of tissue origin. In A549 cells, MGCD0103 shows dose-dependent inhibition of HDAC activity in whole cells. At high concentrations in A549 cells, Mocetinostat inhibits a maximum of 80% of total activity. In HCT116 cells, Mocetinostat induces a significant S-phase depletion and both G_1 and G_2-M accumulation[1].

In Vivo: Mocetinostat (MGCD0103) significantly inhibits growth of human tumor xenografts in nude mice in a dose-dependent manner and the antitumor activity correlated with induction of histone acetylation in tumors. The p.o. administration of Mocetinostat (MGCD0103) (2HBr salt) significantly reduces growth of implanted advanced A549 tumors in nude mice in a dose-dependent manner after 13 days of daily administration. Mocetinostat (170 mg/kg for 2HBr salt, corresponding to 120 mg/kg of free base) significantly blocks growth of tumors compared with vehicle treatment alone (P[1].