Web: www.taiclone.com
Tel: +886-2-2735-9682
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Entinostat

Catalog No: tcsc0511

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
209783-80-2

Formula:
$C_{21}H_{20}N_4O_3$

Pathway:
Autophagy;Epigenetics;Cell Cycle/DNA Damage

Target:
Autophagy;HDAC;HDAC

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 300 mg/mL (797.00 mM)

Alternative Names:
MS-275;SNDX-275

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Observed Molecular Weight:
376.41

Product Description

Entinostat is the class I-selective **HDAC** inhibitor, with **IC_{50}** of 243 nM, 453 nM, and 248 nM for **HDAC1**, **HDAC2**, and **HDAC3**.

IC50 & Target: IC50: 243 nM (HDAC1), 453 nM (HDAC2), 248 nM (HDAC3)[1]

In Vitro: Binding affinity of Entinostat (MS-275) against HDAC1 and HDAC2 is 282 nM and 156 nM, respectively[1]. Effects of the HDAC inhibitor Entinostat (MS-275) have been examined in human leukemia and lymphoma cells (U937, HL-60, K562, and Jurkat) as well as in primary acute myelogenous leukemia blasts in relation to differentiation and apoptosis. MS-275 displays dose-dependent effects in each of the cell lines. When administered at a low concentration (e.g., 1 μM), MS-275 exhibits potent antiproliferative activity, inducing p21CIP1/WAF1-mediated growth arrest and expression of differentiation markers (CD11b) in U937 cells. Entinostat (MS-275) potently induces cell death, triggering apoptosis in ~70% of cells at 48 h[2].

In Vivo: Entinostat (MS-27-275) at 49 mg/kg shows marked antitumor effects against KB-3-1, 4-1St, and St-4 tumor lines, and a moderate effect against Capan-1 tumor. Entinostat at 24.5 mg/kg and 12.3 mg/kg also shows significant effects against these tumors. In addition, oral administration of Entinostat apparently increases the level of histone acetylation in HT-29 tumor xenografts 4-24 h after the administration[3]. MS-275 administration (3.5 mg/kg i.p.) to Experimental autoimmune neuritis (EAN) rats once daily from the appearance of first neurological signs greatly reduces the severity and duration of EAN and attenuated local accumulation of macrophages, T cells and B cells, anddemyelination of sciatic nerves. Further, significant reduction of mRNA levels of pro-inflammatory interleukin-1β, interferon-γ, interleukine-17, inducible nitric oxide synthaseand matrix metalloproteinase-9 is observed in sciatic nerves of MS-275 treated EAN rats. In addition, MS-275 treatment increases proportion of infiltrated $Foxp3^+$ cells and anti-inflammatory M2 macrophages in sciatic nerves of EAN rats[4].