Entinostat

货号：E1480

储存条件：粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

The inhibition of class I HDACs increases the acetylation of histone proteins, which affects the tertiary chromatin structure and leads to altered expression of genes involved in cell proliferation, apoptosis and differentiation. Thus it makes a key role for inhibition of HDACs in anti-proliferation of tumor cells. Entinostat (MS-275) is a selective HDAC 1/2/3 inhibitor with IC50 values of 0.163, 0.396 and 0.605 μM, respectively[1]. A significant increased level of acetylated histone H3 can be observed in PBMCs treated with 5 nM entinostat for 24h. This hyperacetylation effect can also be observed in total cell extracts from PBMCs and tumor tissues in the mouse B16F10 melanoma models when treated orally with 50 mg/kg/day entinostat in a time-dependent manner. The anti-tumor efficacy of entinostat in vivo was proved in several experimental human tumor models with dose of 40 - 50 mg/kg/day, p.o., including MCF7, MDA-MB231, OVCAR3, HeLa-MaTu, DU145, H460, A549, LXF, HT29, HCT116, CAPAN1, 786-O and SK-Mel28 tumor bearing mice. A lot of gene-expression regulated through HDAC inhibition by entinostat are involved in this anti-tumor efficacy, including up-regulation of p21 in cell cycle, H2B in chromatin, CAECAM5 in cell communication and alpha-Tubulin in cytoskeleton, as well as down-regulation of TNFSF13 in apoptosis, HIF1-alpha in angiogenesis, and CTPS2 in metabolism. Entinostat is in phase III for hormone receptor-positive advanced breast cancer and is in phase II for lung cancer, breast cancer, and Hodgkin lymphoma.

作用机制

The crystal structure of the HDAC2-benzamide complex reveals that the entinostat coordinates to the catalytic Zn2+ ion through both the carbonyl and amino groups to form an unusual 7-membered ring chelate complex.

产品信息

CAS 号	209783-80-2	
分子式	C21H20N4O3	
分子量	376.41	
溶解度	DMSO	75 mg/ml
	Water	Insoluble
	Ethanol	Insoluble