Web: www.taiclone.com
Tel: +886-2-2735-9682
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HDAC6-IN-1

Catalog No: tcsc0014660

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1815580-06-3

Formula:
$C_{21}H_{24}N_4O_4$

Pathway:
Epigenetics;Cell Cycle/DNA Damage

Target:
HDAC;HDAC

Purity / Grade:
>98%

Solubility:
DMSO : 32 mg/mL (80.72 mM; Need ultrasonic and warming)

Observed Molecular Weight:
396.44

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Product Description

HDAC6-IN-1 is a potent and selective inhibitor for **HDAC6** with an **IC_{50}** of 17 nM and shows 25-fold and 200-fold selectivity relative to **HDAC1** (**IC_{50}**=422 nM) and **HDAC8** (**IC_{50}**=3398 nM), respectively.

IC50 & Target: IC50: 17 nM (HDAC6), 422 nM (HDAC1), 398 nM (HDAC8)[1]

In Vitro: HDAC6-IN-1 (Compound 23bb) presents low nanomolar antiproliferative effects against panel of cancer cell lines. The antiproliferative activity is ton human malignant melanoma A375 cells and cervical cancer HeLa cells, HDAC6-IN-1 shows the most potent activities with IC_{50} values of 50 and 49 nM on A375 and HeLa cells, respectively. The antiproliferative activities against 11 kinds of hematological tumors (myelomaU266, RPMI8226 cells, human leukemia MV4-11, K562 cells, and human B cell lymphoma Ramos cells) or solid tumors (ovarian cancer A2780s, SKOV-3 cells, breast cancer SKBR3 cells, liver cancer HepG2 cells, lung cancer H460, A549 cells, cervical cancer HeLa cells and colon cancer HCT116, HT29 cells) cell lines of the HDAC6-IN-1 are evaluated by MTT, and the SAHA and ACY-1215 are as positive control. HDAC6-IN-1 shows significant antiproliferative potential with the IC_{50} values ranging from 14 to 104 nM in these tumor cell lines[1].

In Vivo: HDAC6-IN-1 (Compound 23bb) reduces the tumor growth in both the hematological tumor MV4-11 xenograft model and solid tumor HCT116 xenograft model. The significant antitumor activities are observed by intravenous administration of HDAC6-IN-1 at 50 mg/kg on MV4-11 and HCT116 xenograft models. The growth of MV4-11 and HCT116 cancer cell xenografts is suppressed by 55.0% and 76.3% (percent of tumor mass change [TGI] values) after iv administration of HDAC6-IN-1 at 50 mg/kg. The HCT116 xenograft model is also established to investigate the antitumor activity of oral administration of HDAC6-IN-1. The TGI value of oral administration of HDAC6-IN-1 (25 mg/kg) on HCT116 xenograft model is 60.4%, which is superior to the SAHA group (100 mg/kg, 59.2%). Additionally, the body weight decrease is acceptable and no other adverse effects are observed upon treatment with HDAC6-IN-1. Low clearance (CL=7.008 L/kg per hour for iv, CL=12.877 L/kg per hour for po) and long terminal half-life ($t_{1/2}$=7.658 h for iv, $t_{1/2}$=9.62 h for po) are observed in HDAC6-IN-1. The oral bioavailability of HDAC6-IN-1 is excellent in rats and the bioavailability is up to 47.0%[1].