SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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SKLB-23bb

Cat. No.: HY-18947

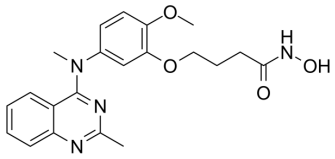
CAS No.: 1815580-06-3

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

Molecular Weight: 396.44

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5224 mL	12.6122 mL	25.2245 mL
	5 mM	0.5045 mL	2.5224 mL	5.0449 mL
	10 mM	0.2522 mL	1.2612 mL	2.5224 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SKLB-23bb 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.

IC_{50} & Target

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

In Vitro

SKLB-23bb (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, SKLB-23bb 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 SKLB-23bb are evaluated by MTT, and the SAHA and ACY-1215 are as positive control. SKLB-23bb shows significant antiproliferative potential with the IC_{50} values ranging from 14 to 104 nM in these tumor cell lines[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SKLB-23bb (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 SKLB-23bb 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 SKLB-23bb at 50 mg/kg. The HCT116 xenograft model is also established to investigate the antitumor activity of oral administration of SKLB-23bb. The TGI value of oral administration of SKLB-23bb (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 SKLB-23bb. 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 SKLB-23bb. The oral bioavailability of SKLB-23bb is excellent in rats and the bioavailability is up to 47.0%[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	A375, A2780s, SKBR3, HepG2, HeLa, HCT116, A549, and SKOV-3 cells are cultured in DMEM. RPMI8226, K562, H460, HT29, and Ramos cells are cultured in RPMI-1640 medium. MV4-11 cells are cultured in IMDM. All media contains 10% fetal bovine serum (FBS), 100 units/mL Penicillin, and 100 μg/mL Streptomycin. Cells are incubated at 37 °C in a humidified atmosphere of 5% CO_2. Cells in logarithmic phase are seeded into 96-well culture plates at densities of 3000-5000 cells per well and subsequently treated with various concentrations of compounds (e.g., SKLB-23bb;10, 100, 1000, and 10000 nM) for 72 h in final volumes of 200 μL. Upon end point, 20 μL of MTT (5 mg/mL) is added to each well, and the cells are incubated for an additional 1-3 h. After carefully removal of the medium, the precipitates are dissolved in 150 μL of DMSO via mechanically shaking, and then absorbance values at a wavelength of 570 nm are taken on a spectrophotometer. IC_{50} values are calculated using percentage of growth versus untreated control[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] For the MV4-11 and Ramos xenograft models, MV4-11 and Ramos cells (107 cells in 100 μL of serum-free IMDM) are injected subcutaneously into the right flanks of 5- to 6-week-old female NOD/SCID mice. For the HCT116 xenograft, HCT116 cells (107 cells in 100 μL of serum-free DMEM) are injected subcutaneously into the right flanks of 5- to 6-week-old female Balb/c nude mice. When the size of the formed xenografts reach 100-150 mm3, the mice are randomly divided (6 mice per group in MV4-11 model, 8 mice per group in Ramos model, and 7 mice per group in HCT116 model) into control group and treated groups. The mice in the experimental groups receive intravenous (iv) injection (50 mg/kg) or oral administration (25 mg/kg) of SKLB-23bb every 2 days. The mice in the vehicle group receive iv injection or oral administration of equal amount of physiological saline containing 5% ethanol and 5% Cremophor EL. Those in the SAHA or LBH-589 or ACY-1215 groups (positive controls) receive ip injection (50 mg/kg for SAHA and 10 mg/kg for LBH-589, dissolved in physiological saline containing 10% DMSO and 45% PEG400 to a concentration of 10 mg/mL) or oral administration (100 mg/kg for SAHA and 40 mg/kg for ACY-1215, dissolved in the same way described above) every 2 days. Tumor burden is measured every 2 days by a caliper. Tumor volume (TV) is calculated. The day that treatment started is defined as day 0. At the end of the experiment, mice are sacrificed and tumors are collected and weighed[1]. Rats[1] SKLB-23bb is administered to SD rats ntravenously (iv) at 12 mg/kg body weight and orally at 12 mg/kg body weight. Blood samples are taken, and the plasma is analyzed for concentration of SKLB-23bb using an LC-MS/MS system[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Caution: Product has not been fully validated for medical applications. For research use only.

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