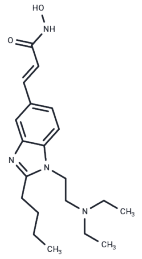
Data Sheet (Cat.No.T1890)

TargetMol

Pracinostat

Chemical Properties



CAS No. : 929016-96-6

Formula: C20H30N4O2

Molecular Weight: 358.48

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Pracinostat (SB939) is a novel HDAC inhibitor with improved in vivo properties compared to other HDAC inhibitors currently in Clinicalal trials, allowing oral dosing. Data demonstrate that Pracinostat is a potent and effective anti-tumor drug with potential as an oral therapy for a variety of human hematological and solid tumors.
Targets(IC50)	Apoptosis,HDAC
In vitro	Administered at a dose of 50 mg/kg, SB939 selectively stimulates the growth of CT-116 xenograft tissues. It exhibits a tumor growth inhibition rate of 94% compared to SAHA. In nude mice, SB939 demonstrates superior pharmacokinetics and oral bioavailability than SAHA. Additionally, it inhibits adenoma formation in APC^min mice and enhances hematocrit levels more effectively than 5-fluorouracil. SB939 exhibits anticancer activity and high efficacy in various tumor models, including HCT-116, PC-3, A2780, MV4-11, and Ramos.
In vivo	SB939 exhibits selectivity for HDAC over non-HDAC enzymes, receptors, and ion channels that bind zinc by a factor of 100. It significantly inhibits Class II HDAC isoforms including HDAC4, HDAC5, HDAC7, HDAC9, and HDAC10, with IC50 values ranging from 40 nM to 137 nM, but does not affect HDAC6, as demonstrated by its IC50 of 1008 nM. Additionally, SB939 effectively inhibits Class IV enzyme HDAC11, with an IC50 of 93 nM, yet it lacks inhibitory activity against Class III HDACs, specifically SIRT1. Demonstrating significant antiproliferative activity against various tumor cell lines, especially leukemia cells and skin T-cell lymphoma cells, SB939's IC50 values range from 50 nM (in H9 cells) to 170 nM (in HEL92.1.7 cells).
Kinase Assay	HDAC enzyme assay: All recombinant HDAC enzymes, with the exception of SIRT1, are cloned and expressed in S*BIO. The reaction mix containing 2.5 or 5 µL of the HDAC isoenzyme, assay buffer (25 mM Tris-HCl, pH 7.5; 137 mM NaCl; 2.7 mM KCl, 1 mM MgCl2 and 1 mg/mL BSA), different concentrations of SB939, and the fluorogenic deacetylase substrate Flour de LysTM in a total reaction volume of 33 µL is incubated at room temperature for 2 hours. 16 µL of Flour de LysTM developer is added and incubated for an additional 10 minutes. The emitted light is measured at 460 nm in a microplate reader. IC50 values are generated using the XLfit software.
Cell Research	Cells are seeded in 96-well plates in the log growth phase at a predetermined optimal density, and rested for 24 hours (adherent cells) or 2 hours (suspension cells), respectively. They are exposed to different concentrations of SB939 for 96 hours. Cell proliferation assays are done using either the CyQUANT cell proliferation assay kit for

adherent cells or the CellTiter96 Aqueous One solution cell proliferation kit for suspension cells.(Only for Reference)

Solubility Information

Solubility	Ethanol: 26 mg/mL (72.53 mM),Sonication is recommended. DMSO: 75 mg/mL (209.22 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7896 mL	13.9478 mL	27.8956 mL
5 mM	0.5579 mL	2.7896 mL	5.5791 mL
10 mM	0.279 mL	1.3948 mL	2.7896 mL
50 mM	0.0558 mL	0.279 mL	0.5579 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Novotny-Diermayr V, et al. Mol Cancer Ther, 2010, 9(3), 642-652.