SB939 (Pracinostat) Datasheet

Technical Data

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>358.48</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C_{20}H_{30}N_{4}O_{2}</td>
</tr>
<tr>
<td>CAS No.</td>
<td>929016-96-6, 929016-98-8 (2HCl), 929016-97-7 (TFA)</td>
</tr>
<tr>
<td>Synonyms</td>
<td>N/A</td>
</tr>
</tbody>
</table>

Solubility (25°C)

- DMISO 72 mg/mL
- Water <1 mg/mL
- Ethanol 27 mg/mL

Storage

- 2 years -20°C Powder
- 2 weeks 4°C in DMISO
- 6 months -80°C in DMISO

Biological Activity

SB939 is a potent HDAC inhibitor with IC50 of 40-140 nM. It has a 100-fold greater selectivity for HDACs than for Zn-binding non-HDAC enzymes, receptors, and ion channels. SB939 inhibits HDAC class II isoenzymes, HDAC4, HDAC5, HDAC7, HDAC9 and HDAC10 significantly with the IC50 values ranging from 40 nM to 137 nM with the exception of HDAC6 which shows IC50 of 1008 nM. It markedly inhibits HDAC11 of the HDAC class IV enzymes with IC50 of 93 nM, but shows no inhibitory activity against SIRT1. SB939 has a significant antiproliferative activity against a wide variety of tumor cell lines, especially Leukemia cells and cutaneous T-cell Lymphoma cells with IC50 values ranging from 50 nM (H9 cells) to 170 nM (HEL92.1.7 cells).

In vitro

SB939 is a potent inhibitor of HDAC4, HDAC5, HDAC7, HDAC9 and HDAC10 significantly with the IC50 values ranging from 40 nM to 137 nM with the exception of HDAC6 which shows IC50 of 1008 nM. It markedly inhibits HDAC11 of the HDAC class IV enzymes with IC50 of 93 nM, but shows no inhibitory activity against SIRT1. SB939 has a 100-fold greater selectivity for HDACs than for Zn-binding non-HDAC enzymes, receptors, and ion channels. SB939 inhibits HDAC class II isoenzymes, HDAC4, HDAC5, HDAC7, HDAC9 and HDAC10 significantly with the IC50 values ranging from 40 nM to 137 nM with the exception of HDAC6 which shows IC50 of 1008 nM. It markedly inhibits HDAC11 of the HDAC class IV enzymes with IC50 of 93 nM, but shows no inhibitory activity against SIRT1. SB939 has a significant antiproliferative activity against a wide variety of tumor cell lines, especially Leukemia cells and cutaneous T-cell Lymphoma cells with IC50 values ranging from 50 nM (H9 cells) to 170 nM (HEL92.1.7 cells).

In vivo

Administration of SB939 (25 mg/kg to 100 mg/kg) displays a dose-dependent antitumor efficacy in a xenograft mouse model of human colorectal cancer (HCT-116). This is approximately twice as efficacious as SAHA: SB939 causing a tumor growth inhibition of 94% versus 48% by SAHA with both at the maximum tolerated dose. Oral administration of SB939 at a dose of 50 mg/kg or 75 mg/kg in the APCmin mutant genetic mice model of early-stage colon cancer markedly reduces the number of tumors, decreases cumulative hemocyt count and increases hemocyt counts more effectively than 5-fluorouracil.

Clinical Trials

A Phase I clinical and pharmacokinetic study of SB939 in patients with advanced cancer has been completed.

Features

SB939 is a new inhibitor of histone deacetylase based on hydroxamic acid, with improved physicochemical, pharmaceutical, and pharmacokinetic properties.

Protocol (Only for Reference)

Kinase Assay [1]

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 mM MgCl₂), different concentrations of SB939, and the fluorogenic deacetylase substrate Fluor de LysTM in a total reaction volume of 33 μL is incubated at room temperature for 2 hours. 16 μL of Fluor 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 Assay [1]

Cell Lines

- Dissolved in DMISO (stock concentration, 10 mM); final concentrations 1.5 nM to 100 μM
- Incubation Time: 96 hours

Methods

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.

Animal Study [1]

Animal Models

- BALB/c nude mice bearing HCT-116 human colon cancer xenografts, Male and APCmin mice
- Formulation: Dissolved in DMISO and prepared in 0.5% methylcellulose (w/v) and 0.1% Tween-80 in water
- Doses: 25, 50, 75, or 100 mg/kg
- Administration: Oral gavage once daily

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References

Customer Reviews

Data independently produced by Dr. Zhang of Tianjin Medical University.
SB939 (Pracinostat) purchased from Selleck
Breast cancer cells were treated with the indicated concentrations of SB939.

PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.

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