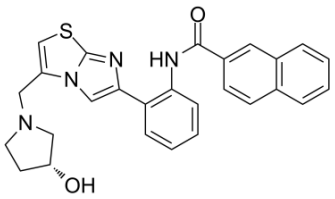
SRT 2183

Cat. No.:	HY-19759
CAS No.:	1001908-89-9
Molecular Formula:	$C_{27}H_{24}N_4O_2S$
Molecular Weight:	468.57
Target:	Sirtuin; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (**533.54 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1342 mL	10.6708 mL	21.3415 mL
	5 mM	0.4268 mL	2.1342 mL	4.2683 mL
	10 mM	0.2134 mL	1.0671 mL	2.1342 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: ≥ 2.08 mg/mL (4.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SRT 2183 is a selective **Sirtuin-1 (SIRT1)** activator with an **$EC_{1.5}$** value of 0.36 μM[1]. SRT 2183 induces growth arrest and apoptosis, concomitant with deacetylation of STAT3 and NF-κB, and reduction of c-Myc protein levels[2].

IC_{50} & Target

SIRT1
0.36 μM (EC1.5)

In Vitro

SRT 2183 (1-10 μM; 24-72 hours) inhibits the growth of Reh and Nalm-6 cells in a time- and dose-dependent manner [2].

SRT 2183 (5-10 μM in Reh cells; 10 μM in Ly3 cells; 24 hours) induces expression of DNA-damage response genes associated with accumulation of phospho-H2A.X levels[2].

SRT2183 inhibits RANKL-induced osteoclast differentiation, fusion and resorptive capacity without affecting

osteoclast survival[3].

Cell Proliferation Assay[2]

Cell Line:	Reh cells, Nalm-6 cells (pre-B acute lymphoblastic leukemia (ALL) cell lines)
Concentration:	1 μM, 5 μM, 10 μM
Incubation Time:	24 hours, 48 hours, 72 hours
Result:	Inhibited the growth of Reh and Nalm-6 cells in a time- and dose-dependent manner. The IC_{50} (median inhibition concentration) values for SRT 2183-mediated inhibition of proliferation at 48 h are approximately 8.7 μM for Reh cells and approximately 3.2 μM for Nalm-6 cells.

Western Blot Analysis[2]

Cell Line:	Reh cells, Ly3 cells
Concentration:	5μM and 10μM (Reh cells); 10μM (Ly3 cells)
Incubation Time:	24 hours
Result:	Induced accumulation of phospho-H2A.X in Reh as well as in Ly3 cells.

REFERENCES

[1]. Milne JC, et al. Small molecule activators of SIRT1 as therapeutics for the treatment of type 2 diabetes. Nature. 2007 Nov 29; 450(7170): 712–716.

[2]. Scuto A, et al. SIRT1 activation enhances HDAC inhibition-mediated upregulation of GADD45G by repressing the binding of NF-κB/STAT3 complex to its promoter in malignant lymphoid cells. Cell Death Dis. 2013 May; 4(5): e635.

[3]. Gurt I, et al. The Sirt1 Activators SRT2183 and SRT3025 Inhibit RANKL-Induced Osteoclastogenesis in Bone Marrow-Derived Macrophages and Down-Regulate Sirt3 in Sirt1 Null Cells. PLoS One. 2015 Jul 30;10(7):e0134391.

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