Selisistat

Cat. No.: HY-15452

CAS No.: 49843-98-3

Molecular Formula: $C_{13}H_{13}ClN_2O$

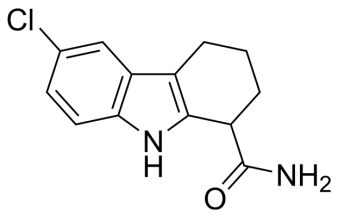
Molecular Weight: 248.71

Target: Sirtuin

Pathway: Cell Cycle/DNA Damage; Epigenetics

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 : ≥ 100 mg/mL (402.07 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	4.0207 mL	20.1037 mL	40.2075 mL
	5 mM	0.8041 mL	4.0207 mL	8.0415 mL
	10 mM	0.4021 mL	2.0104 mL	4.0207 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (40.21 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.05 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Selisistat (EX-527) is a potent and selective SirT1 (Sir2 in Drosophila melanogaster) inhibitor with an IC_{50} of 123 nM for SirT1. Selisistat alleviates pathology in multiple animal and cell models of Huntington's disease[1][2].

IC_{50} & Target

IC50: 123 nM (SirT1)[2]

In Vitro	Selisistat (1-10 μM) inhibits the deacetylation activity of both human SirT1 and Drosophila Sir2 in transfected cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Selisistat (5 and 20 mg/kg, PO, daily; transgenic R6/2 mice beginning at 4.5 weeksof age to death) is protective in the R6/2 mouse model of Huntington's disease (HD)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	The immortal mouse macrophage cell line RAW264.7 are used. Cells are seeded in 96-well dishes at a density of 3×10^3 cells/cm^2 and treated with high glucose at the concentrations of 5.6, 11.1, 25 and 30 mM, alone or with SRT1720 (1 μM) or Selisistat(10 μM) for 24 h. The stock solution of SRT1720 or Selisistat is prepared by dissolving each of them (in powder form) respectively in DMSO yielding a concentration of 100 μM and then stored at -80°C. MTT solution (0.5 mg/mL) is then added to each well and cells are incubated for 4 h at 37°C in a 5% CO_2 incubator. Subsequently, the supernatant is removed, the formation of farmazan is solubilized with DMSO and measured at 540 nm with a Bio-Rad Model 680 Plate Reader[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice[3]Mice are injected with Resveratrol (RSV) 30mg/kg (4 mL/kg) or equivalent volume of DMSO (Vehicle) (4 mL/kg) intraperitoneally 18 hours pre-sepsis. This dose of RSV in mice is as per documented literature. In one group of mice, RSV pre-treated mice receive Selisistat (10 mg/kg intraperitoneally; 4mL/kg, Vehicle: DMSO) within 10 minutes of cecal ligation and puncture. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Immunol. 2022 Aug;23(8):1193-1207.
- Cell Metab. 2024 Jun 18:S1550-4131(24)00189-X.
- Cell Metab. 2021 Jan 5;33(1):110-127.e5.
- Mol Cell. 2020 Jul 16;79(2):304-319.e7.
- Acta Pharm Sin B. 27 August 2022.

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REFERENCES

[1]. Smith MR, et al. A potent and selective Sirtuin 1 inhibitor alleviates pathology in multiple animal and cell models of Huntington's disease. Hum Mol Genet. 2014;23(11):2995-3007.

[2]. Napper AD, et al. Discovery of indoles as potent and selective inhibitors of the deacetylase SIRT1 [published correction appears in J Med Chem. 2007 Mar 8;50(5):1086]. J Med Chem. 2005;48(25):8045-8054.

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