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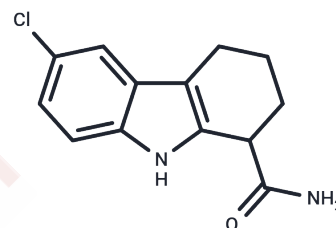
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Selisistat

Chemical Properties

CAS No. :	49843-98-3
Formula:	C ₁₃ H ₁₃ ClN ₂ O
Molecular Weight:	248.71
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Selisistat (EX-527) is a potent and specific inhibitor of the deacetylase SIRT1 (IC ₅₀ =38 nM). Selisistat can be used in the study of neurological disorders such as Huntington's chorea.
Targets(IC ₅₀)	Sirtuin
In vitro	<p>METHODS: Human colorectal cancer cells, HCT116, were cultured in 0.1% serum and Selisistat (1-2 μM) for 7 days and cell numbers were assayed.</p> <p>RESULTS: When HCT116 cells were cultured in 0.1% serum, the addition of Selisistat resulted in a 90% increase in cell number after 7 days. SirT1 is an important regulator of cell proliferation in growth factor-deficient conditions. [1]</p> <p>METHODS: Human lung cancer cells NCI-H460 were treated with etoposide (20 μM) and Selisistat (1 μM) for 6 h, and the expression levels of target proteins were measured by Western Blot.</p> <p>RESULTS: Selisistat produced an increase in acetylated p53 in cells treated with the DNA damaging agent etoposide. [2]</p>
In vivo	<p>METHODS: To investigate the effects on lung injury, Selisistat (10 mg/kg) was administered intraperitoneally to Balb/C mice, and liver injury was induced by injection of LPS 0.5 h later.</p> <p>RESULTS: Selective inhibition of SIRT1 by Selisistat may attenuate endotoxemia-associated acute lung injury partly by inhibiting mTOR. [3]</p> <p>METHODS: To investigate the effects on Huntington's chorea (HD), Selisistat (5-20 mg/kg, 0.5% HPMC) was administered by gavage to R6/2 mice once daily until death.</p> <p>RESULTS: Selisistat treatment resulted in a significant increase in survival and a significant increase in median lifespan of 3 weeks in mice receiving the 20 mg/kg dose, and a significant improvement was also observed when examining voluntary motor activity. [4]</p>
Cell Research	NCI-H460 cells, MCF-7 cells, U-2 OS cells, or HMEC were plated at 2,000 cells per well in opaque-walled 96-well plates for the viability assay and 800 cells per well in 96-well Cytostar-T scintillating microplates for the proliferation assay. Cells were incubated for 1 day (NCI-H460) or 2 days (MCF-7, U-2 OS, and HMEC) prior to exposure to DNA-damaging agents and deacetylase inhibitors. All experiments were performed in triplicate. For viability assays, cells were treated with the indicated compounds for 48 h. Cell viability was then determined using the Cell Titer-Glo luminescent assay, which measures total ATP levels as an index of cell number. Luminescence was measured on a

Luminoskan Ascent. For the proliferation assay, 0.5 μ Ci/ml of [14 C]thymidine was added to the medium immediately after the genotoxins and deacetylase inhibitors. Plates were counted at 48 h (HMEC) or 72 h (NCI-H460, MCF-7, and U-2 OS cells) in a Microbeta liquid scintillation counter. Thymidine incorporated by the cells was detected by proximity to the scintillant in the base of the Cytostar-T tissue culture plate [1].

Animal Research
Mice were injected with RSV (RSV) 30mg/kg (4ml/kg) or equivalent volume of DMSO (Vehicle) (4ml/kg) intraperitoneally 18 hours pre-sepsis. This dose of RSV in mice was as per documented literature. In one group of mice, RSV pre-treated mice received EX-527 (10 mg/kg intraperitoneally; 4ml/kg, Vehicle: DMSO) within 10 minutes of cecal ligation and puncture [5].

Solubility Information

Solubility
DMSO: 60 mg/mL (241.24 mM),
Ethanol: 12.4 mg/mL (50 mM),
(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0804 mL	0.4021 mL	0.8041 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

Kabra N, et al. SirT1 is an inhibitor of proliferation and tumor formation in colon cancer. J Biol Chem. 2009 Jul 3;284(27):18210-7.
Yuan J, Wei Z, Xin G, et al. Vitamin B12 Attenuates Acute Pancreatitis by Suppressing Oxidative

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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