Splitomicin

Cat. No.: HY-100585

CAS No.: 5690-03-9

Molecular Formula: $C_{13}H_{10}O_2$

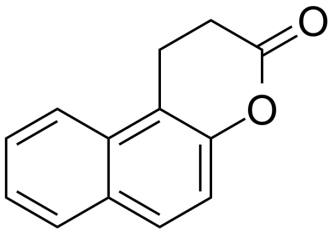
Molecular Weight: 198.22

Target: HDAC

Pathway: Cell Cycle/DNA Damage; Epigenetics

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 : 100 mg/mL (504.49 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	5.0449 mL	25.2245 mL	50.4490 mL
5 mM	1.0090 mL	5.0449 mL	10.0898 mL
10 mM	0.5045 mL	2.5224 mL	5.0449 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 (10.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (10.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (10.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Splitomicin (Splitomycin) is a selective Sir2p inhibitor. Splitomicin inhibits NAD^+-dependent HDAC activity of Sir2 protein. Splitomicin induces dose-dependent inhibition of HDAC in the yeast extract with an IC_{50} of 60 μM[1].

IC_{50} & Target

Sir2p
60 μM (IC_{50})

In Vitro

Splitomicin (10-333 μM; 24 hours) elicits antiproliferative effects in MCF-7 and H1299 cells in a dose-dependent manner in

colony formation assay. Splitomicin (33 μM) fails to decrease the number of colonies, but Splitomicin (100 and 333 μM) effectively inhibits colony formation in MCF-7 and H1299 cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	Human breast cancer MCF-7 and lung cancer H1299 cells
Concentration:	10, 33, 100, and 333 μM
Incubation Time:	24 hours
Result:	Inhibited colony formation in a dose-dependent manner.

In Vivo

Splitomicin (80 mg/kg with an intraperitoneal injection every 24 h for 5 days, in mice) enhances tissue factor (TF) activity in the arterial vessel wall and accelerates carotid artery thrombus formation in a photochemical injury model[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice aged 12-14 weeks weighing on average 27 g[3]
Dosage:	80 mg/kg
Administration:	Intraperitoneal injection every 24 h for 5 days
Result:	Increased TF activity in mouse carotid artery as compared with the controls.

REFERENCES

[1]. Bedalov A, et al. Identification of a small molecule inhibitor of Sir2p. Proc Natl Acad Sci U S A. 2001 Dec 18;98(26):15113-8.

[2]. Breitenstein A, et al. Sirt1 inhibition promotes in vivo arterial thrombosis and tissue factor expression in stimulated cells. Cardiovasc Res. 2011 Feb 1;89(2):464-72.

[3]. Ota H, et al. Sirt1 inhibitor, Sirtinol, induces senescence-like growth arrest with attenuated Ras-MAPK signaling in human cancer cells. Oncogene. 2006 Jan 12;25(2):176-85.

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