OSS_128167

Cat. No.: HY-107454

CAS No.: 887686-02-4

Molecular Formula: $C_{19}H_{14}N_2O_6$

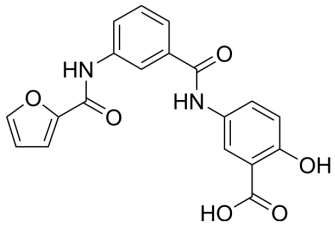
Molecular Weight: 366.32

Target: Sirtuin; HBV

Pathway: Cell Cycle/DNA Damage; Epigenetics; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 103.3 mg/mL (281.99 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7299 mL	13.6493 mL	27.2985 mL
5 mM	0.5460 mL	2.7299 mL	5.4597 mL
10 mM	0.2730 mL	1.3649 mL	2.7299 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 (5.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

OSS_128167 is a potent selective sirtuin 6 (SIRT6) inhibitor with IC_{50}s of 89 μM, 1578 μM and 751 μM for SIRT6, SIRT1 and SIRT2, respectively. OSS_128167 has anti-HBV activity that inhibits HBV transcription and replication. OSS_128167 has anti-cancer, anti-inflammation and anti-viral effects[1][2].

IC_{50} & Target

SIRT6	SIRT2	SIRT1	HBV
89 μM (IC_{50})	751 μM (IC_{50})	1578 μM (IC_{50})	

In Vitro

OSS_128167 (Compound 9; 100 μM; 0-24 hours; BxPC3 cells) treatment increases H3K9 acetylation. And also increases GLUT-1 expression in BxPC-3 cells[1].

?OSS_128167 (Compound 9) effectively blunts phorbol myristate acetate (PMA)-induced TNF-α secretion in cultured BxPC-3

cells. OSS_128167 increases glucose uptake in cells[1].
?OSS_128167 (100 μM; 96 hours; HepG2.2.15 and HepG2-NTCP cells) treatment significantly decreaseS HBV core DNA and 3.5-Kb RNA levels. OSS_128167 treatment also inhibits hepatitis B surface antigen (HBsAg) and hepatitis B envelope antigen (HBeAg) secretions, as well as HBsAg expression in cell lysates[2].
?OSS_128167 (200 μM) induces chemosensitization in primary multiple myeloma (MM) cells (NCI-H929), as well as in melphalan-resistant (LR-5) and doxorubicin-resistant (Dox40) MM cell lines[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	BxPC3 cells
Concentration:	100 μM
Incubation Time:	0 hours, 2 hours, 6 hours, 18 hours, 24 hours
Result:	Increased H3K9 acetylation.

RT-PCR[2]

Cell Line:	HepG2.2.15 and HepG2-sodium taurocholate cotransporting polypeptide (NTCP) cells
Concentration:	100 μM
Incubation Time:	96 hours
Result:	Significantly decreased HBV core DNA and 3.5-Kb RNA levels.

In Vivo

OSS_128167 (50 mg/kg; intraperitoneal injection; every 4 days; for 12 days; male HBV transgenic mice) treatment markedly suppresses the level of HBV DNA and 3.5-Kb RNA in HBV transgenic mice[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male HBV transgenic mice (6-8-week-old)[2]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; every 4 days; for 12 days
Result:	The level of HBV DNA and 3.5-Kb RNA were markedly suppressed in HBV transgenic mice.

CUSTOMER VALIDATION

- Acta Pharmacol Sin. 2020 Dec;41(12):1557-1567.
- Phytomedicine. 2023 Mar;111:154661.
- Phytomedicine. 2022 Jun 13;104:154276.
- Cell Biosci. 2021 Dec 14;11(1):210.
- iScience. 2020 Aug 21;23(8):101431.

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REFERENCES

[1]. Parenti MD, et al. Discovery of novel and selective SIRT6 inhibitors. J Med Chem. 2014 Jun 12;57(11):4796-804.

[2]. Cea M, et al. Evidence for a role of the histone deacetylase SIRT6 in DNA damage response of multiple myeloma cells. Blood. 2016 Mar 3;127(9):1138-50.

[3]. Jiang H, et al. SIRT6 Inhibitor, OSS_128167 Restricts Hepatitis B Virus Transcription and Replication Through Targeting Transcription Factor Peroxisome Proliferator-Activated Receptors α. Front Pharmacol. 2019 Oct 25;10:1270.

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