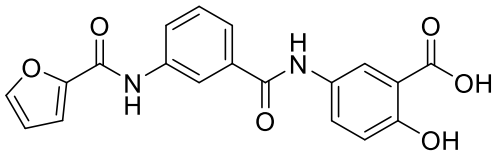
Product data sheet

MedKoo Cat#: 407880 Name: OSS-128167 CAS#: 887686-02-4 Chemical Formula: $C_{19}H_{14}N_2O_6$ Exact Mass: 366.0852 Molecular Weight: 366.33	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

OSS-128167, also known as SIRT6-IN-1, is a potent and selective SIRT 6 inhibitor (SIRT6; IC50 = 89 μM). OSS-128167 Restricts Hepatitis B Virus Transcription and Replication Through Targeting Transcription Factor Peroxisome Proliferator-Activated Receptors α. OSS_128167 exerted excellent anti-lymphoma effects via inhibiting PI3K/Akt/mTOR signaling.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	30.0	81.9

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.73 mL	13.65 mL	27.30 mL
5 mM	0.55 mL	2.73 mL	5.46 mL
10 mM	0.27 mL	1.36 mL	2.73 mL
50 mM	0.05 mL	0.27 mL	0.55 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Jiang H, Cheng ST, Ren JH, Ren F, Yu HB, Wang Q, Huang AL, Chen J. 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. doi: 10.3389/fphar.2019.01270. PMID: 31708789; PMCID: PMC6823301.
2. Huang Y, Zhang J, Xu D, Peng Y, Jin Y, Zhang L. SIRT6-specific inhibitor OSS-128167 exacerbates diabetic cardiomyopathy by aggravating inflammation and oxidative stress. Mol Med Rep. 2021 May;23(5):367. doi: 10.3892/mmr.2021.12006. Epub 2021 Mar 24. PMID: 33760202; PMCID: PMC7986000.

In vivo study

1. Jiang H, Cheng ST, Ren JH, Ren F, Yu HB, Wang Q, Huang AL, Chen J. 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. doi: 10.3389/fphar.2019.01270. PMID: 31708789; PMCID: PMC6823301.
2. Huang Y, Zhang J, Xu D, Peng Y, Jin Y, Zhang L. SIRT6-specific inhibitor OSS-128167 exacerbates diabetic cardiomyopathy by aggravating inflammation and oxidative stress. Mol Med Rep. 2021 May;23(5):367. doi: 10.3892/mmr.2021.12006. Epub 2021 Mar 24. PMID: 33760202; PMCID: PMC7986000.

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7. Bioactivity

Biological target:

OSS_128167 is a potent selective sirtuin 6 (SIRT6) inhibitor with IC50s of 89 μM, 1578 μM and 751 μM for SIRT6, SIRT1 and SIRT2, respectively.

In vitro activity

The potential antiviral effect of OSS-128167 was explored in HBV stable expressing cells HepG2.2.15 or HBV-infected HepG2-NTCP cells.The HepG2.2.15 and HepG2-NTCP cells were treated with a series concentration of OSS_128167 for 3 days to assess the cytotoxicity. MTS assay showed that OSS_128167 had no cytotoxicity on both two cells within 400 μM (Figure 1B) and 100 uM OSS_128167 was chosen for further study. Real-time PCR results revealed that OSS_128167 significantly decreased HBV core DNA level, as confirmed by southern blotting analysis (Figure 1C). The level of 3.5-Kb RNA was also modestly decreased after treated with OSS_128167 (Figure 1D). Similar to its effect on HBV core DNA and 3.5-Kb RNA levels, OSS_128167 treatment also inhibited hepatitis B surface antigen (HBsAg) and hepatitis B envelope antigen (HBeAg) secretions, as well as HBsAg expression in cell lysates (Figures 1E, F). These results above implied that SIRT6 inhibitor OSS_128167 might serve as a potential drug for HBV therapeutics.

Reference: Front Pharmacol. 2019; 10: 1270. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6823301/

In vivo activity

To investigate whether OSS_128167 could inhibit HBV transcription and replication in vivo, HBV transgenic mice were administrated with 50 mg/kg OSS_128167 and the antiviral effect were analyzed. The workflow of mice model was shown in Figure 2A. Firstly, the liver injury marker alanine aminotransferase (ALT) was examined in different groups. Although the serum ALT was slightly increased in OSS_128167 group compared with vehicle or ETV group, there was no statistical significance (Figure 2B). As OSS_128167 showed no obvious hepatotoxicity, serum viral markers were detected during the treatment and ETV, an antiviral drug approved by FDA, was used as a positive control. Encouragingly, treatment with OSS_128167 resulted in a significant reduction of HBV DNA in serum (Figure 2C), as well as serum HBsAg and HBeAg (Figure 2D), suggesting that OSS_128167 showed strong antiviral effect. Moreover, treatment with OSS_128167 resulted in a marked reduction of intrahepatic HBV DNA, total HBV RNAs and 3.5-Kb RNA level at the end of treatment (Figures 2E, F). By contrast, ETV treatment had no effect on HBV RNA level. Immunohistochemical analysis also showed that OSS_128167 suppressed HBV core protein expression in liver tissues (Figure 2G). Taken together, these data showed that OSS_128167 could inhibit HBV transcription and replication in vivo, indicating OSS_128167 might serve as a new therapeutic strategy for HBV treatment.

Reference: Front Pharmacol. 2019; 10: 1270. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6823301/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.