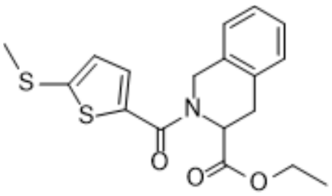
SR8278

Cat. No.:	HY-14415
CAS No.:	1254944-66-5
Molecular Formula:	$C_{18}H_{19}NO_3S_2$
Molecular Weight:	361.48
Target:	REV-ERB
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor
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 : 100 mg/mL (276.64 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7664 mL	13.8320 mL	27.6640 mL
5 mM	0.5533 mL	2.7664 mL	5.5328 mL
10 mM	0.2766 mL	1.3832 mL	2.7664 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: ≥ 2.5 mg/mL (6.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.92 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SR8278 is a REV-ERBα antagonist and inhibits the REV-ERBα transcriptional repression activity with an EC_{50} of 0.47 μM. SR8278 is used to regulate the metabolism in organisms and study biological rhythm. SR8278 also can be used for the research of Duchenne muscular dystrophy and Alzheimer's disease[1][2][3].

IC_{50} & Target

EC50: 0.47 μM (REV-ERBα)[1].

In Vitro

SR8278 has REV-ERBα transcriptional repression inhibitory activity with an EC_{50} of 0.47μM[1].

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

In Vivo

SR8278 (slow microinjection; 20 μg/mouse) exerts antidepressant and anxiolytic effects in a circadian time-dependent manner in 6-OHDA-lesioned mice and restores the circadian rhythm of mood-related behaviors[1].
? ?SR8278 (slow microinjection; 20 μg/mouse) restores the binding activities of REV-ERBα and NURR1 to the tyrosine hydroxylase promoter and the induction of enrichment of the R/N motif, recognized by REV-ERBα and NURR1[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-OHDA-lesioned mice[1]
Dosage:	20 μg/mouse
Administration:	slow microinjection; 20 μg/mouse
Result:	Recovered mood-related behavioral deficits shown in 6-OHDA-lesioned mice. Altered remaining DAergic neuron specific transcription levels of REV-ERBα and Nurr1 in the VTA. Restored antagonistic crosstalk of REV-ERBα and NURR1 binding activity to TH promoter and TH protein levels in VTA. Induced enrichments of REV-ERBα and NURR1 binding motifs at dawn.

CUSTOMER VALIDATION

- Nat Commun. 2021 Sep 7;12(1):5323.
- J Neuroinflammation. 2022 Jun 6;19(1):133.
- Br J Pharmacol. 2021 Jan;178(2):328-345.
- Int J Mol Med. 2020 Dec 16.
- J Cell Mol Med. 2022 Jun 21.

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REFERENCES

[1]. Jeongah Kim, et al. Pharmacological Rescue with SR8278, a Circadian Nuclear Receptor REV-ERBα Antagonist as a Therapy for Mood Disorders in Parkinson's Disease. Neurotherapeutics. 2022 Mar;19(2):592-607.

[2]. Kojetin , et al. Identification of SR8278, a synthetic antagonist of the nuclear heme receptor REV-ERB. ACS Chem Biol. 2011 Feb 18;6(2):131-4.

[3]. Dong D, et al. A validated ultra-performance liquid chromatography-tandem mass spectrometry method to identify the pharmacokinetics of SR8278 in normal and streptozotocin-induced diabetic rats. J Chromatogr B Analyt Technol Biomed Life Sci. 2016 May 1;1020:142-7.

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