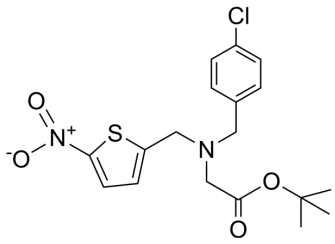
GSK4112

Cat. No.:	HY-14414
CAS No.:	1216744-19-2
Molecular Formula:	$C_{18}H_{21}ClN_2O_4S$
Molecular Weight:	396.89
Target:	Apoptosis; REV-ERB
Pathway:	Apoptosis; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (62.99 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5196 mL	12.5979 mL	25.1959 mL
	5 mM	0.5039 mL	2.5196 mL	5.0392 mL
	10 mM	0.2520 mL	1.2598 mL	2.5196 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 (6.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.30 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK4112 (SR6452) is a Rev-erbα agonist with an EC_{50} value of 0.4 μM. GSK4112 can be used as a chemical tool to probe the function of Rev-erbα in transcriptional repression, regulation of circadian biology, and metabolic pathways[1].

In Vitro

GSK4112 (0-100 μM; 1 h) interacts with Rev-erbα with an EC_{50} value of 0.4 μM[1].
?GSK4112 (10 μM; 6 h) represses expression of bmal1 and the target genes associated with the pathway of gluconeogenesis, recruits HDAC3 and modulates the effect of Rev-erbα on oscillation of hepatic gene expression[1].
?GSK4112 (10 μM; 16 h) reduces glucose output in murine hepatocytes[1].

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

RT-PCR[1]

Cell Line:	HepG2 cell line
Concentration:	10 μM
Incubation Time:	6 hours
Result:	Repressed mRNA levels of bmal1, G6 Pase, PEPCK and PGC1α.

In Vivo

GSK4112 (25 mg/kg; i.p. 0.5 h before Jo2 exposure) attenuates Fas-induced hepatic damage[2].

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

Animal Model:	Male C57BL/6 mice with Fas-induced acute hepatic damage[2]
Dosage:	25 mg/kg
Administration:	Intraperitoneal injection; 25 mg/kg; 0.5 h before Jo2 exposure
Result:	Obviously ameliorated the degree of liver damage, suppressed Jo2-induced ALT and AST increasing, improved the survival rate of mice and suppressed Fas-induced hepatocyte apoptosis.

CUSTOMER VALIDATION

- Pharmacol Res. 2023 Feb 20;189:106704.
- Free Radical Bio Med. 2019 Dec;145:312-320.
- Fish Physiol Biochem. 2020 Jun;46(3):891-907.
- Oncol Lett. 2018 Aug;16(2):1499-1506.
- SSRN. 2023 Oct 10.

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REFERENCES

[1]. Shao R, et al. REV-ERBα Agonist GSK4112 attenuates Fas-induced Acute Hepatic Damage in Mice. Int J Med Sci. 2021 Oct 25;18(16):3831-3838.

[2]. Grant D, et al. GSK4112, a small molecule chemical probe for the cell biology of the nuclear heme receptor Rev-erbα. ACS Chem Biol. 2010 Oct 15;5(10):925-932.

Caution: Product has not been fully validated for medical applications. For research use only.

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