

Product Data Sheet

Product Name: GSK 4112

Cat. No.: GC10715

Chemical Properties

Cas. No. 1216744-19-2

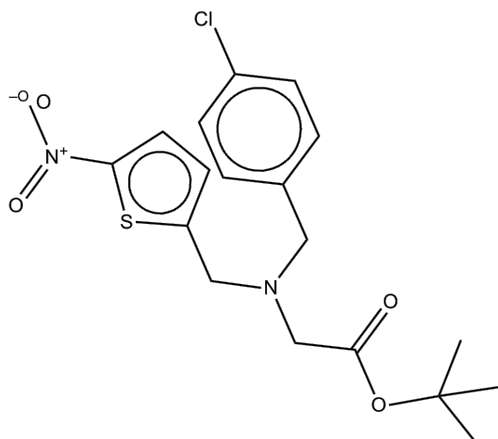
Formula $C_{18}H_{21}ClN_2O_4S$ M.Wt 396.89

Solubility $\geq 11.9\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines

BV2 cells

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

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Preparation Method	BV2 cells were cultured in DMEM medium, supplemented with 10% fetal bovine serum, 1% penicillin-streptomycin at 37°C in an incubator with 5% CO ₂ . BV2 cells were pretreated with different doses of GSK 4112 (2.5, 5, 10, 20, and 40μM) for 4h and then exposed to LPS (100ng/mL) for 12h. Cell lysates were analyzed by Western blot for iNOS and COX-2.
Reaction Conditions	2.5, 5, 10, 20, and 40μM; 4h
Applications	GSK 4112 treatment markedly inhibited LPS-induced iNOS and COX-2 protein levels in BV2 cells in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Male C57BL/6 mice
Preparation Method	Male C57BL/6 mice (6 weeks old; 20-24g) were kept at a standard temperature of 25-27°C and a humidity of 55-65%, in a light/dark cycle of 12/12h, and with ad libitum access to sterilized food and water. After a 1-week acclimatization period, mice were intraperitoneally injected with a 20% CCl ₄ solution in olive oil (5ml/kg), twice weekly, for 6 weeks to induce liver fibrosis. Control mice were treated with equivalent volumes of DMSO. Mice in the GSK 4112 group were administered GSK 4112 (20μg/day; i.p.) for 14 days. Mice were anesthetized with 1% pentobarbital sodium (50mg/kg). Livers were harvested for analysis.
Dosage form	20μg/day; 14 days; i.p.
Applications	GSK 4112 treatment mitigated CCl ₄ -induced liver fibrosis in mice.

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References:[1] Guo D, Zhu Y, Sun H, et al. Pharmacological activation of REV-ERB α represses LPS-induced microglial activation through the NF- κ B pathway[J]. Acta Pharmacologica Sinica, 2019, 40(1): 26-34. [2] Wang J, Wang Y, Lin L, et al. Rev-erb α : The circadian guardian against NLRP3-driven liver fibrosis[J]. Molecular Medicine Reports, 2025, 32(4): 270.

Background

GSK 4112 is a selective REV-ERB α agonist, with an EC₅₀ value of 0.4 μ M^[1]. GSK 4112 inhibits TGF- β 1-induced fibroblast-to-myofibroblast transition (FMT) and extracellular matrix production (decreased expression of Acta2, Fn1, and Col1a1 genes), and reduces the release of the pro-inflammatory cytokine IL-6, thereby suppressing the pro-fibrotic phenotype^[2]. GSK 4112 has been widely used to explore the roles of REV-ERB α in the regulation of circadian clock-associated physiological and pathophysiological events^[3].

In vitro, GSK 4112 treatment (10 μ M) for 24 hours significantly inhibited the proliferation of 3T3-L1 cells and reduced the expression of β -catenin^[4]. 40 μ M of GSK 4112 pretreatment for 16 hours suppressed the activation of BV2 cells induced by 100ng/ml lipopolysaccharide (LPS), reduced the protein levels of iNOS and COX-2, downregulated the mRNA levels of pro-inflammatory cytokines (IL-6 and TNF- α), and restrained the nuclear translocation of p65^[5]. Incubation with 20 μ M GSK 4112 for 6 hours inhibited LPS-induced NALP3 inflammasome activation in RAW 264.7 cells, prevented NF- κ B activation, and decreased the expression of I κ B- α ^[6].

In vivo, GSK 4112 treatment via intraperitoneal injection at a dose of 20 μ g/day for 14 days alleviated liver fibrosis induced by carbon tetrachloride (CCl₄) and decreased collagen accumulation^[7]. Intraperitoneal injection of GSK 4112 (25mg/kg) 0.5h before anti-Fas antibody Jo2 treatment alleviated Jo2-induced liver injury, reduced plasma ALT and AST levels, and improved mouse survival^[8].

References:

[1] Grant D, Yin L, Collins J L, et al. GSK4112, a small molecule chemical probe for the cell biology of the nuclear heme receptor Rev-erb α [J]. ACS chemical biology, 2010, 5(10): 925-932.
[2] Prasad C, Hahn K, Duraisamy S K, et al. Rev-erb α agonists suppresses TGF β 1-induced fibroblast-to-myofibroblast transition and pro-fibrotic phenotype in human lung fibroblasts[J].

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Biochemical and biophysical research communications, 2023, 669: 120-127.

[3] Zhang-Sun Z Y, Xu X Z, Escames G, et al. Targeting NR1D1 in organ injury: challenges and prospects[J]. Military Medical Research, 2023, 10(1): 62.

[4] Chu G, Zhou X, Hu Y, et al. Rev-erb α inhibits proliferation and promotes apoptosis of preadipocytes through the agonist GSK4112[J]. International Journal of Molecular Sciences, 2019, 20(18): 4524.

[5] Guo D, Zhu Y, Sun H, et al. Pharmacological activation of REV-ERB α represses LPS-induced microglial activation through the NF- κ B pathway[J]. Acta Pharmacologica Sinica, 2019, 40(1): 26-34.

[6] Yu D, Fang X, Xu Y, et al. Rev-erb α can regulate the NF- κ B/NALP3 pathway to modulate lipopolysaccharide-induced acute lung injury and inflammation[J]. International Immunopharmacology, 2019, 73: 312-320.

[7] Wang J, Wang Y, Lin L, et al. Rev-erb α : The circadian guardian against NLRP3-driven liver fibrosis[J]. Molecular Medicine Reports, 2025, 32(4): 270.

[8] Shao R, Yang Y, Fan K, et al. REV-ERB α agonist GSK4112 attenuates Fas-induced acute hepatic damage in mice[J]. International Journal of Medical Sciences, 2021, 18(16): 3831.

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