

PRODUCT INFORMATION



GSK4112

Item No. 11931

CAS Registry No.: 1216744-19-2

Formal Name: N-[(4-chlorophenyl)methyl]-N-[(5-nitro-2-thienyl)methyl]-glycine, 1,1-dimethylethyl ester

MF: $C_{18}H_{21}ClN_2O_4S$

FW: 396.9

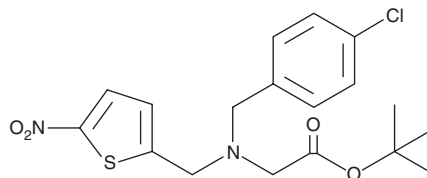
Purity: $\geq 98\%$

UV/Vis.: λ_{max} : 219, 325 nm

Supplied as: A crystalline solid

Storage: $-20^{\circ}C$

Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GSK4112 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK4112 in the solvent of choice, which should be purged with an inert gas. GSK4112 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GSK4112 in these solvents is approximately 1, 10, and 3 mg/ml, respectively.

Description

REV-ERB α is a nuclear hormone receptor with roles in circadian rhythm, adipogenesis, lipid metabolism, and inflammation. It binds porphyrin heme and in response to fluctuations in heme levels in cells it recruits corepressors such as NCoR and HDAC3 to repress the transcription of key genes involved in metabolic and circadian pathways.^{1,2} GSK4112 is a synthetic agonist for REV-ERB α (EC_{50} = 0.4 μ M) that was designed to mimic the action of heme.^{3,4} At 10 μ M, GSK4112 can reset the circadian rhythm in a phasic manner by inhibiting expression of the circadian target gene *bmal1* and reduce glucose output by 30% in mouse primary hepatocytes by repressing the expression of several gluconeogenic genes.³ GSK4112 has been used to investigate the function of REV-ERB α in the coordination of circadian rhythm and metabolism in rat ovaries.⁵

References

1. Lin, J.D. Minireview: The PGC-1 coactivator networks: Chromatin-remodeling and mitochondrial energy metabolism. *Mol. Endocrinol.* **23**(1), 2-10 (2009).
2. Lin, J.D., Liu, C., and Li, S. Integration of energy metabolism and the mammalian clock. *Cell Cycle* **7**(4), 453-457 (2008).
3. 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-erba. *ACS Chem. Biol.* **5**(10), 925-932 (2010).
4. Kojetin, D., Wang, Y., Kameneck, T.M., *et al.* Identification of SR8278, a synthetic antagonist of the nuclear heme receptor REV-ERB. *ACS Chem. Biol.* **6**(2), 131-134 (2011).
5. Chen, H., Chu, G., Zhao, L., *et al.* Rev-erba regulates circadian rhythms and StAR expression in rat granulosa cells as identified by the agonist GSK4112. *Biochem. Biophys. Res. Commun.* **420**(2), 374-379 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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