

# Product data sheet



MedKoo Cat#: 531875 Name: GSK4112 CAS: 1216744-19-2 Chemical Formula: C <sub>18</sub> H <sub>21</sub> ClN <sub>2</sub> O <sub>4</sub> S Exact Mass: 396.0911 Molecular Weight: 396.886		
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:

GSK4112 is a selective Rev-Erba agonist (EC<sub>50</sub> = 250 nM). GSK4112 enhances recruitment of nuclear receptor co-repressor (NCoR) peptide to Rev-Erba and causes acute suppression of Bmal1 gene transcription.

## 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
DMF	3.0	7.56
DMSO	28.23	71.13
Ethanol	2.0	5.04

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.52 mL	12.60 mL	25.20 mL
5 mM	0.50 mL	2.52 mL	5.04 mL
10 mM	0.25 mL	1.26 mL	2.52 mL
50 mM	0.05 mL	0.25 mL	0.50 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. Wang Q, Sundar IK, Lucas JH, Muthumalage T, Rahman I. Molecular clock REV-ERBa regulates cigarette smoke-induced pulmonary inflammation and epithelial-mesenchymal transition. JCI Insight. 2021 Jun 22;6(12):e145200. doi: 10.1172/jci.insight.145200. PMID: 34014841; PMCID: PMC8262497.
2. Tao L, Yu H, Liang R, Jia R, Wang J, Jiang K, Wang Z. Rev-erba inhibits proliferation by reducing glycolytic flux and pentose phosphate pathway in human gastric cancer cells. Oncogenesis. 2019 Oct 7;8(10):57. doi: 10.1038/s41389-019-0168-5. PMID: 31591390; PMCID: PMC6779746.

### In vivo study

1. Shao R, Yang Y, Fan K, Wu X, Jiang R, Tang L, Li L, Shen Y, Liu G, Zhang L. REV-ERBa Agonist GSK4112 attenuates Fas-induced Acute Hepatic Damage in Mice. Int J Med Sci. 2021 Oct 25;18(16):3831-3838. doi: 10.7150/ijms.52011. PMID: 34790059; PMCID: PMC8579287.
2. Kim K, Kim JH, Kim I, Seong S, Kim N. Rev-erba Negatively Regulates Osteoclast and Osteoblast Differentiation through p38 MAPK Signaling Pathway. Mol Cells. 2020 Jan 31;43(1):34-47. doi: 10.14348/molcells.2019.0232. PMID: 31896234; PMCID: PMC6999712.

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## 7. Bioactivity

### Biological target:

GSK4112 (SR6452) is a Rev-erb $\alpha$  agonist with an EC<sub>50</sub> value of 0.4  $\mu$ M.

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### In vitro activity

Human fetal lung fibroblast 1 (HFL-1) cells were treated with TGF- $\beta$  with or without GSK4112 (REV-ERB $\alpha$  agonist) for 3 days (Figure 11A). The TGF- $\beta$ -induced increase in gene expression of ACTA2 ( $\alpha$ SMA) was significantly inhibited by GSK4112 treatment in HFL-1 cells, whereas mRNA levels of COL1A1 and FN1 (fibronectin) were reduced by GSK4112 but not significantly.

Reference: JCI Insight. 2021 Jun 22;6(12):e145200. <https://pubmed.ncbi.nlm.nih.gov/34014841/>

### In vivo activity

Consistent with the histological abnormalities, the plasma ALT and AST increased significantly following Jo2 exposure, whereas treatment with GSK4112 suppressed the increase of ALT and AST in Jo2-exposed mice (Fig. 2). In addition, treatment with GSK4112 markedly improved the survival rate of Jo2-exposed mice (Fig. 3).

Reference: Int J Med Sci. 2021 Oct 25;18(16):3831-3838. <https://pubmed.ncbi.nlm.nih.gov/34790059/>

*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.*