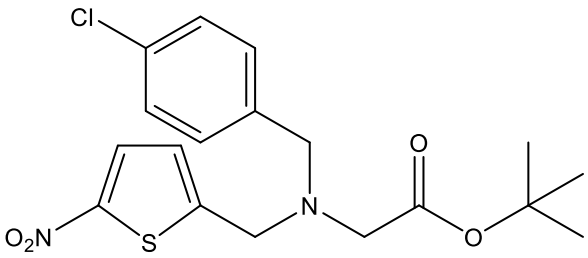
Catalog # 10-5493

GSK4112

CAS# 1216744-19-2

N-[(4-Chlorophenyl)methyl]-N-[(5-nitro-2-thienyl)methyl]glycine, 1,1-dimethyl ester; SR6452

Lot # X109851



GSK4112 is a selective Rev-Erbα agonist (EC_{50}=250 nM).[1,2] It induces circadian clock phase shifts in isolated primary lung fibroblasts as well as in lung slices (10 µM).[1] GSK4112 showed an inhibitory effect on the amplitude of circadian oscillations and caused an arrhythmic expression of canonical clock genes.[3] In murine RAW264 macrophages it suppressed inflammatory functions via repressing Ccl2 expression.[4] It protected RANKL-induced bone loss via inhibition of osteoclast differentiation *in vivo.*[5]

1) Meng *et al*. (2008), *Ligand modulation of REV-ERBalpha function resets the peripheral circadian clock in a phasic manner*; J. Cell. Science, **121** 3629
2) Grant *et al*. (2010), *GSK4112, a small molecule chemical probe for the cell biology of the nuclear heme receptor Rev-erbα*; ACS Chem. Biol., **5** 925
3) Chen *et al*. (2015), *Integration of the nuclear receptor REV-ERBα linked with circadian oscillators in the expressions of Alas1, Ppargc1a, and Il6 genes in rat granulosa cells*; Chronobiol. Int., **32** 739
4) Sato *et al.* (2014), *A circadian clock gene, Rev-erbα, modulates the inflammatory function of macrophages through the negative regulation of Ccl2 expression*; J. Immunol., **192** 407
5) Kim *et al.* (2020), *Rev-erbα Negatively Regulates Osteoclast and Osteoblast Differentiation through p38 MAPK Signaling Pathway*; Mol. Cells, **43** 34

PHYSICAL DATA

Molecular Weight:	396.89
Molecular Formula:	$C_{18}H_{21}ClN_2O_4S$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (50 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase.
	Solutions in DMSO may be stored at -20°C for up to 2 months.

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