Small Molecules

CHIR98014

WNT pathway activator; Inhibits GSK3α and GSK3β

Catalog #	73042	1 mg
	73044	10 mg

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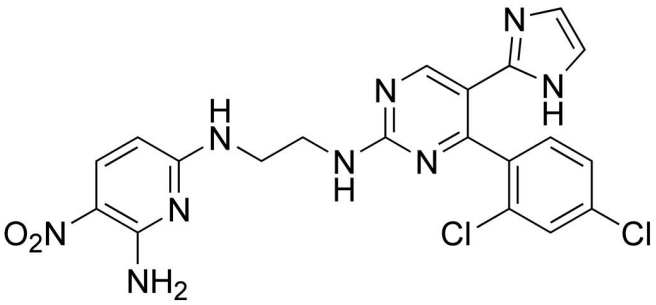
Product Description

CHIR98014 is a reversible, cell-permeable activator of the WNT pathway, through inhibition of both isoforms of glycogen synthase kinase 3 (GSK3α and GSK3β) with IC_{50} values of 0.65 and 0.58 nM, respectively. It shows at least 500-fold selectivity for GSK-3 versus 20 other serine/threonine or tyrosine kinases (Ring et al.).

Molecular Name:	CHIR98014
Alternative Names:	Not applicable
CAS Number:	556813-39-9
Chemical Formula:	$C_{20}H_{17}Cl_2N_9O_2$
Molecular Weight:	486.3 g/mol
Purity:	≥ 98%
Chemical Name:	N6-[2-[[4-(2,4-dichlorophenyl)-5-(1H-imidazol-2-yl)-2-pyrimidinyl]amino]ethyl]-3-nitro-2,6-pyridinediamine
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 2 mM For example, to prepare a 1 mM stock solution in DMSO, resuspend 1 mg in 2.06 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

DIFFERENTIATION
· Induces differentiation of endothelial progenitor cells from human induced pluripotent stem cells in the absence of VEGF (Lian et al.).
· Enhances osteogenic-like differentiation of rat mesenchymal stem cells cultured with high phosphate, including BMP-2 expression, calcium deposition, and alkaline phosphatase activity (Guerrero et al.).
METABOLISM
· Activates glycogen synthase in cells, lowers blood glucose levels, and improves glucose disposal in insulin-resistant rats and diabetic db/db mice (Ring et al.).
DISEASE MODELING
· Reduces tau phosphorylation in the cortex and hippocampus of postnatal rat brains, which is implicated in the formation of neurofibrillary tangles and defects in axonal transport in Alzheimer's disease (Selenica et al.).

References

Guerrero F et al. (2014) TGF-β prevents phosphate-induced osteogenesis through inhibition of BMP and Wnt/β-catenin pathways. PLoS One 9(2): e89179.
Lian X et al. (2014) Efficient differentiation of human pluripotent stem cells to endothelial progenitors via small-molecule activation of WNT signaling. Stem Cell Reports 3(5): 804–16.
Ring DB et al. (2003) Selective glycogen synthase kinase 3 inhibitors potentiate insulin activation of glucose transport and utilization in vitro and in vivo. Diabetes 52(3): 588–95.
Selenica M-L et al. (2007) Efficacy of small-molecule glycogen synthase kinase-3 inhibitors in the postnatal rat model of tau hyperphosphorylation. Br J Pharmacol 152(6): 959–79.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).