

CHIR-99021

货号: C3470

储存条件: 粉末-20°C 可保存 3 年; 液体-80°C 可保存 12 月。

产品描述

GSK-3 (Glycogen synthase kinase 3) is a serine/threonine protein kinase, consisting of GSK-3 α and β subunit, which plays a key role in many different biological processes including tumorigenesis, cell survival, and developmental patterning. GSK-3 is constitutively active in non-stimulated cells and can negatively regulate canonical Wnt/ β -catenin signaling. CHIR 99021 (CT99021) is highly selective aminopyrimidine-derivatived inhibitor of GSK-3 with IC₅₀ of 10nM and 6.7nM for GSK-3 α and GSK-3 β (measured by kinase assays), respectively, and exhibits >500-fold selectivity for GSK-3 over closely related kinases, such as cdc2 Bennett CN, Ross SE, Longo KA, Bajnok L, Hemati N, Johnson KW, Harrison SD, MacDougald OA. Regulation of Wnt signaling during adipogenesis. *J Biol Chem.* 2002 Aug 23;277(34):30998-1004. doi: 10.1074/jbc.M204527200. Epub 2002 Jun 7. PMID: 12055200. <https://pubmed.ncbi.nlm.nih.gov/12055200/>. CHIR-99021 can mimic Wnt signaling in preadipocytes. Analysis of cytosolic and membranous fractions show that the free cytosolic β -catenin was evaluated in 3T3-L1 preadipocytes treated with 3 μ M CHIR-99021 for 4 h. Chir-99021 can activate glycogen synthase in cells. The concentrations of CHIR-99021 causing half-maximal glycogen synthase stimulation (EC₅₀) were 76 nmol/l for CHO-IR cells. A single oral dose of CHIR 99021 (30mg/kg) rapidly lowered plasma glucose in ZDF rats. In combination with different small molecules, CHIR-99021 can facilitate cardiomyocyte differentiation from human embryonic stem cells and iPS cells, reprogramming, like generation of functional astrocytes from mammalian fibroblasts and maintaining undifferentiated mouse ES cells in the absence of LIF.

作用机制

CHIR 99021 can inhibit GSK3 by competing for their ATP-binding sites.

产品信息

CAS号	252917-06-9	
分子式	C ₂₂ H ₁₈ Cl ₂ N ₈	
分子量	465.34	
溶解度	DMSO	127.5 mg/mL (274.0 mM) warming
	Water	insoluble





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