

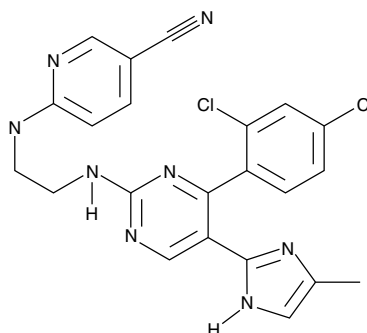
Product Information



CHIR99021

Item No. 13122

CAS Registry No.: 252917-06-9
Formal Name: 6-[[2-[[4-(2,4-dichlorophenyl)-5-(5-methyl-1H-imidazol-2-yl)-2-pyrimidinyl]amino]ethyl]amino]-3-pyridinecarbonitrile
Synonym: CT 99021
MF: C₂₂H₁₈Cl₂N₈
FW: 465.3
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 279 nm



Laboratory Procedures

For long term storage, we suggest that CHIR99021 be stored as supplied at -20°C. It should be stable for at least two years.

CHIR99021 is supplied as a crystalline solid. For biological experiments, we suggest that organic solvent-free aqueous solutions of CHIR99021 be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of CHIR99021 in PBS, pH 7.2, is approximately 1.4 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Glycogen synthase kinase 3 (GSK3) is a serine/threonine kinase that plays a key inhibitory role in both the insulin and Wnt signaling pathways.^{1,2} CHIR99021 is an aminopyrimidine derivative that inhibits GSK3α and GSK3β with IC₅₀ values of 10 and 6.7 nM, respectively. When tested against twenty different protein kinases, this inhibitor shows greater than 500-fold selectivity for GSK3. CHIR99021 activates glycogen synthesis in CHO-IR cells (EC₅₀ = 0.8 μM) and in isolated type 1 diabetic rat skeletal muscle. A single oral dose (30 mg/kg) of CHIR99021 enhances *in vivo* glucose metabolism in a rodent model of type 2 diabetes.³ CHIR99021 has also been shown to induce the reprogramming of murine and human somatic cells into stem cells.^{4,5}

References

1. Sutherland, C., Leighton, I.A., and Cohen, P. Inactivation of glycogen synthase kinase 3β by phosphorylation: New kinase connections in insulin and growth-factor signaling. *Biochem. J.* **296**(Pt. 1), 15-19 (1993).
2. Papkoff, J. and Aikawa, M. WNT-1 and HGF regulate GSK3β activity and β-catenin signaling in mammary epithelial cells. *Biochem. Biophys. Res. Commun.* **247**(3), 851-858 (1998).
3. Ring, D.B., Johnson, K.W., Henriksen, E.J., *et al.* Selective glycogen synthase kinase 3 inhibitors potentiate insulin activation of glucose transport and utilization *in vitro* and *in vivo*. *Diabetes* **52**(3), 588-95 (2003).
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5. Ying, Q.-L., Wray, J., Nichols, J., *et al.* The ground state of embryonic stem cell self-renewal. *Nature* **453**, 519-524 (2008).

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