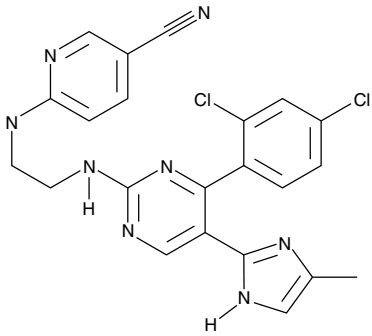
# Product Information

## 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:** $C_{22}H_{18}Cl_2N_8$
**FW:** 465.3
**Purity:** ≥95%
**Stability:** ≥2 years at -20°C
**Supplied as:** A crystalline solid
**UV/Vis.:** $\lambda_{max}$: 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 $IC_{50}$ 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 ($EC_{50}$ = 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).
4. Li, W., Zhou, H., Abujarour, R., *et al.* Generation of human-induced pluripotent stem cells in the absence of exogenous Sox2. *Stem Cells* **27(12)**, 2992-3000 (2009).
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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