

## GSK3β Inhibitor CHIR99021

**Chemical Name:** 6-((2-((4-(2,4-Dichlorophenyl)-5-(4-methyl-1H-imidazol-2-yl)pyrimidin-2-yl)amino)ethyl)amino)nicotinonitrile

CN Molecular W
Formula:
Purity:
CAS#:
Solubility:
Storage

Molecular Weight:	465.34
Formula:	$C_{22}H_{18}Cl_2N_8$
Purity:	≥98%
CAS#:	252917-06-9
Solubility:	DMSO up to 100mM
Storage	Powder: 4°C 1 year
	DMSO: 4°C 3 month
	-20°C 1 year

## **Biological Activity:**

CHIR99021 is the most widely used, potent and selective inhibitor of glycogen synthase kinase  $3\beta$  (GSK3 $\beta$ ) with an IC<sub>50</sub> ~7 nM. It can effectively activate Wnt signaling pathway in various cellular systems. CHIR99021 has been shown to enhance the reprogramming of murine and human somatic cells into iPSCs, potently promote expansion of embryonic stem cells and tissue-specific adult stem cells (such as hematopoietic stem and progenitor cells, cardiovascular, neural, mammary and intestinal stem cells), and induce differentiation of human ESCs/iPSCs toward neural or mesendoderm lineages under appropriate conditions.

## How to Use:

In vitro: CHIR99021 is typically used at 3 µM final concentration in cell culture.

**In vivo:** CHIR99021 was orally dosed to mice at 30 mg/kg once per day and could enhance in vivo glucose metabolism in a rodent model of type 2 diabetes.

## **Reference:**

- 1. Bennett CN, et al. Regulation of Wnt signaling during adipogenesis. (2002) J Biol Chem. 277(34):30998-1004.
- 2. Ring DB, et al. Selective glycogen synthase kinase 3 inhibitors potentiate insulin activation of glucose transport and utilization in vitro and in vivo. (2003) Diabetes. 52: 3, 588-595.
- 3. Ying Q, et al. The ground state of embryonic stem cell self-renewal. (2008) Nature. 453: 519-523.
- Li W, Generation of human-induced pluripotent stem cells in the absence of exogenous Sox2. (2009) Stem Cells. 27(12):2992-3000.
- 5. Gonzalez R, et al. Stepwise chemically induced cardiomyocyte specification of human embryonic stem cells. (2011) Angew Chem Int Ed Engl. 50(47):11181-5.
- 6. Kunisada Y, et al. Small molecules induce efficient differentiation into insulin-producing cells from human induced pluripotent stem cells. (2012) Stem Cell Res; 8:274-84.

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