

# CHIR-99021

Catalog No: tcsc0181



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg



## Specifications

**CAS No:**

252917-06-9

**Formula:**

$C_{22}H_{18}Cl_2N_8$

**Pathway:**

Stem Cell/Wnt;PI3K/Akt/mTOR;Autophagy

**Target:**

GSK-3;GSK-3;Autophagy

**Form:**

Yellow Solid

**Purity / Grade:**

>99.86

**Solubility:**

DMSO 127.5 mg/mL (274.0 mM) warming

Water : Insoluble.

**Storage Instruction:**

Powder : -20°C for 3 years In solvent : -80°C for 12 months

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**Alternative Names:**

CT99021

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**Observed Molecular Weight:**

465.34

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**References**

[1]. Ring DB, et al. Selective glycogen synthase kinase 3 inhibitors potentiate activation of glucose transport and utilization in vitro and in vivo. *Diabetes*. 2003 Mar;52(3):588-95. [2]. Bennett CN, et al. Regulation of Wnt signaling during adipogenesis. *J Biol Chem*. 2002 Aug 23;277(34):30998-1004. [3]. Naujok O, et al. Cytotoxicity and activation of the Wnt/beta-catenin pathway in mouse embryonic stem cells treated with four GSK3 inhibitors. *BMC Res Notes*. 2014 Apr 29;7:273. [4]. Wang X, et al. Pharmacologically blocking p53-dependent apoptosis protects intestinal stem cells and mice from radiation. *Sci Rep*. 2015 Apr 10;5:8566. [5]. Ye S, et al. Pleiotropy of glycogen synthase kinase-3 inhibition by CHIR99021 promotes self-renewal of embryonic stem cells from refractory mouse strains. *PLoS One*. 2012;7(4):e35892.

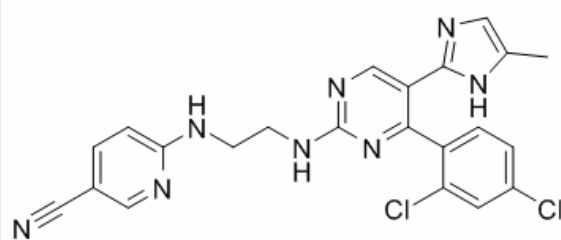
**Product Description**

CHIR-99021 is a **GSK-3 $\alpha$ / $\beta$**  inhibitor with an **IC<sub>50</sub>** of 10 and 6.7 nM, showing 500-fold selectivity over its closest homologs CDC2 and ERK2, as well as other protein kinases.

IC50 & Target: IC50: 10 nM/6.7 nM (GSK-3 $\alpha$ / $\beta$ )<sup>[1]</sup>

**In Vitro:** CHIR 99021 inhibits human GSK-3 $\beta$  with K<sub>i</sub> values of 9.8 nM<sup>[1]</sup>. CHIR 99021 is a small organic molecule that inhibits GSK3 $\alpha$  and GSK3 $\beta$  by competing for their ATP-binding sites. In vitro kinase assays reveal that CHIR 99021 specifically inhibits GSK3 $\beta$  (IC<sub>50</sub> = ~5 nM) and GSK3 $\alpha$  (IC<sub>50</sub> = ~10 nM), with little effect on other kinases<sup>[2]</sup>. In the presence of CHIR-99021 the viability of the ES-D3 cells is reduced by 24.7% at 2.5  $\mu$ M, 56.3% at 5  $\mu$ M, 61.9% at 7.5  $\mu$ M and 69.2% at 10  $\mu$ M CHIR-99021 with an IC<sub>50</sub> of 4.9  $\mu$ M<sup>[3]</sup>.

**In Vivo:** In ZDF rats, a single oral dose of CHIR 99021 (16 mg/kg or 48 mg/kg) rapidly lowers plasma glucose, with a maximal reduction of nearly 150 mg/dl 3-4 h after administration<sup>[1]</sup>. CHIR99021 (2 mg/kg) given once, 4 h before irradiation, significantly improves survival after 14.5 Gy abdominal irradiation (ABI). CHIR99021 treatment significantly blocks crypt apoptosis and accumulation of p-H2AX<sup>+</sup> cells, and improves crypt regeneration and villus height. CHIR99021 treatment increases Lgr5<sup>+</sup> cell survival by blocking apoptosis, and effectively prevents the reduction of Olfm4, Lgr5 and CD44 as early as 4 h<sup>[4]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!