

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

Alternative Names: CT99021

Observed Molecular Weight: 465.34

References:

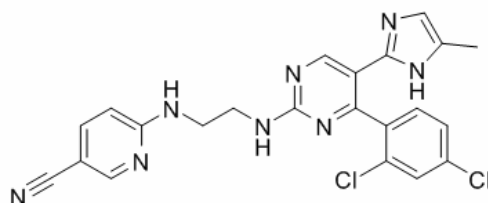
- [1]. Ring DB, et al. Selective glycogen synthase kinase 3 inhibitors potentiate activation of glucose transport and utilization in vitro and in vivo. *Diabetes*. 2003 Mar;52(3):588-95.
- [2]. Bennett CN, et al. Regulation of Wnt signaling during adipogenesis. *J Biol Chem*. 2002 Aug 23;277(34):30998-1004.
- [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 α/β inhibitor with an IC₅₀ 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 α/β)[1] In Vitro: CHIR 99021 inhibits human GSK-3 β with K_i values of 9.8 nM[1]. CHIR 99021 is a small organic molecule that inhibits GSK3 α and GSK3 β by competing for their ATP-binding sites. In vitro kinase assays reveal that CHIR 99021 specifically inhibits GSK3 β (IC₅₀ ~5 nM) and GSK3 α (IC₅₀ ~10 nM), with little effect on other kinases[2]. In the presence of CHIR-99021 the viability of the ES-D3 cells is reduced by 24.7% at 2.5 μ M, 56.3% at 5 μ M, 61.9% at 7.5 μ M and 69.2% at 10 μ M CHIR-99021 with an IC₅₀ of 4.9 μ M[3]. 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[1]. 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+ cells, and improves crypt regeneration and villus height. CHIR99021 treatment increases Lgr5+ cell survival by blocking apoptosis, and effectively prevents



Protocol

Preparing Stock Solution	Volume	Mass	1 mg	5 mg	10 mg
	Concentration				
	1mM		2.1490 mL	10.7448 mL	21.4897 mL
	5mM		0.4298 mL	2.1490 mL	4.2979 mL
	10mM		0.2149 mL	1.0745 mL	2.1490 mL

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