Web: www.taiclone.com
Tel: +886-2-2735-9682
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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.

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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-3α/β** inhibitor with an **IC_{50}** 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-3α/β)[1]

In Vitro: CHIR 99021inhibits human GSK-3β with K_i values of 9.8 nM[1]. CHIR 99021 is a small organic molecule that inhibits GSK3α and GSK3β by competing for their ATP-binding sites.In vitro kinase assays reveal that CHIR 99021 specifically inhibits GSK3β (IC_{50} =~5 nM) and GSK3α (IC_{50}=~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 μM, 56.3% at 5 μM, 61.9% at 7.5 μM and 69.2% at 10 μM CHIR-99021 with an IC_{50} of 4.9 μM[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 the reduction of Olfm4, Lgr5 and CD44 as early as 4 h[4].

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