For Research Use Only

# CHIR-99021

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## Catalog Number: CM00839

## 产品信息

**Catalog Number:**
CM00839

**CAS号:**
252917-06-9

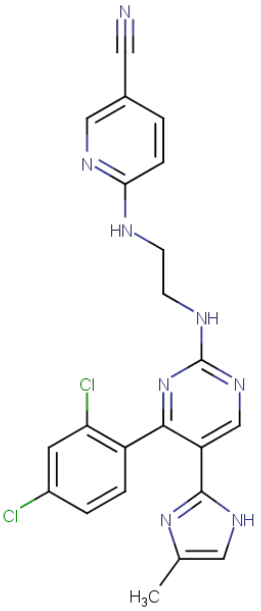
**分子式:**
$C_{22}H_{18}Cl_2N_8$

**主要靶点:**
Wnt/beta-catenin|GSK-3|Autophagy

**主要通路:**
细胞骨架|干细胞|干细胞|PI3K/Akt/mTOR 信号通路|自噬

**分子量:**
465.34

**溶解度:**
10% DMSO+40% PEG300+5% Tween 80+45% Saline:0.93 mg/mL (2 mM);DMSO:50 mg/mL (107.45 mM)




## 靶点活性

GSK-3β:6.7 nM (cell free)|GSK-3α:10 nM (cell free)

## 体外活性

**方法：**小鼠干细胞 ES-D3 用 CHIR-99021 (1-10 μM) 处理 72 h，使用 MTT 方法检测细胞生长抑制情况。**结果：**CHIR-99021 剂量依赖性地抑制 ES-D3 细胞生长，IC50 为 4.9 μM。[1] **方法：**小鼠胚胎干细胞 J1 mESCs 和小鼠胚胎瘤细胞 F9 mEC 用 CHIR-99021 (3? μM) 处理 24 h，使用 immunofluorescence 方法检测靶点蛋白表达水平。**结果：**CHIR-99021 处理后，J1-mESCs 和 F9-mEC 细胞的细胞质和细胞核中的β-连环蛋白增加。[2] **方法：**人 Tenon 成纤维细胞 HTFs 用 CHIR-99021 (5 μM) 处理 48 h，使用 Western Blot 方法检测靶点蛋白表达水平。**结果：**CHIR-99021 处理使活性形式的 GSK-3β (p-GSK-3β (Y216)) 的产生显著减少。[3]

## 体内活性

**方法：**为检测体内抗肿瘤活性，将 CHIR-99021 (37.5 mg/kg/第 0-3、6-10、13-17 和 20 天每天两次) 口服给药和 paclitaxel (10 mg/kg/第 0 天单次给药) 腹腔注射给携带人非小细胞肺癌肿瘤 H1975 的 Balb/c nude 小鼠。**结果：**CHIR-99021 和 paclitaxel 在体内协同作用，抑制 NSCLC 肿瘤的生长。[4] **方法：**为研究 GSK-3 的直接药理学抑制是否会改变酒精在小鼠体内的积极增强作用，将 CHIR-99021 (1-10 mg/kg) 单次腹腔注射给有酒精或蔗糖自给药史的 C57BL/6J 小鼠。**结果：**CHIR-99021 剂量依赖性地增加了酒精强化反应，而对蔗糖自我给药或运动活性没有影响。CHIR-99021 显著降低了 pGSK-3β 在所有测试脑区的表达，仅在 NAcb 中降低了 PICK1 并增加了 GluA2 的总表达。[5]

## 动物实验

Blood was obtained by shallow tail snipping at lidocaine-anesthetized tips. Blood glucose was measured directly or heparinized plasma was collected for measurement of glucose or insulin. Animals were pre-bled and randomized to vehicle control or GSK-3 inhibitor treatment groups. For glucose tolerance tests (GTTs), animals fasted throughout the procedure with food removal early in the morning, 3 h before the first prebleed (db/db mice), or the previous night, 16 h before the bleed (ZDF rats). When the time course of plasma glucose and insulin changes in fasting ZDF rats was measured, food was removed ~16 h before test agent administration. The glucose challenges in the GTT were 1.35 g/kg i.p. (ipGTT) or 2 g/kg via oral gavage (oGTT). CHIR-99021 were formulated as solutions in 20 mmol/l citrate-buffered 15% Captisol or as fine suspensions in 0.5% carboxymethylcellulose [1].

## 细胞实验

The Wnt/beta-catenin reporter assay was performed with the M50 Super 8× TOPFlash and M51 Super 8× FOPFlash vector containing the firefly luciferase gene under the control of TCF/LEF binding sites or mutated bindings sites. 12,500 cells were seeded overnight on gelatine-coated 96-well plates in LIF-containing ES cell medium. On the next day, the cells were transfected using Lipofectamine with one of the aforementioned vectors plus pGL4.75 [hRluc/CMV] encoding the renilla luciferase reporter gene hRluc as a transfection control. Six hours after transfection the medium was changed to medium devoid of LIF, with reduced serum, and supplemented with 5 μM CHIR-99021. The Dual-Luciferase? reporter assay system was employed 48 and 72 h after the medium change to follow the luminescence reaction using a GloMax?-multi detection system [4].

## 储存

store at low temperature | Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.


**For technical support and original validation data for this product please contact**
T: 027-87531629 E: Proteintech-CN@ptglab.com W: ptgcn.com