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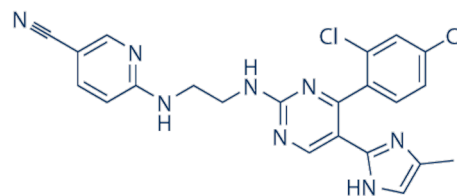
## CHIR-99021 (GSK-3抑制剂)

产品编号	产品名称	包装
SF2708-10mM	CHIR-99021 (GSK-3抑制剂)	10mM×0.2ml
SF2708-5mg	CHIR-99021 (GSK-3抑制剂)	5mg
SF2708-25mg	CHIR-99021 (GSK-3抑制剂)	25mg

### 产品简介:

#### ➤ 化学信息:

化学名	6-[2-[[4-(2,4-dichlorophenyl)-5-(5-methyl-1H-imidazol-2-yl)pyrimidin-2-yl]amino]ethylamino]pyridine-3-carbonitrile
简称	CHIR-99021
别名	Chir 99021, Chir-99021, Chir99021, CT 99021, CT-99021, CT99021
中文名	N/A
化学式	C <sub>22</sub> H <sub>18</sub> Cl <sub>2</sub> N <sub>8</sub>
分子量	465.34
CAS号	252917-06-9
纯度	98%
溶剂/溶解度	Water <1mg/ml; DMSO 78mg/ml; Ethanol <1mg/ml
溶液配制	5mg加入1.07ml DMSO, 或每4.65mg加入1ml DMSO, 配制成10mM溶液。SF2708-10mM用DMSO配制。



#### ➤ 生物信息:

产品描述	CHIR-99021 (CT99021)是一种GSK-3 $\alpha$ 和GSK-3 $\beta$ 抑制剂, IC <sub>50</sub> 分别为10nM和6.7nM。				
信号通路	PI3K/Akt/mTOR; Stem Cells & Wnt				
靶点	GSK-3 $\beta$	GSK-3 $\alpha$	—	—	—
IC <sub>50</sub>	6.7nM	10nM	—	—	—
体外研究	CHIR-99021 shows greater than 500-fold selectivity for GSK-3 versus its closest homologs CDC2 and ERK2, as well as other protein kinases. Furthermore, CHIR-99021 shows only weak binding to a panel of 22 pharmacologically relevant receptors and little inhibitory activity against a panel of 23 nonkinase enzymes. CHIR-99021 induces the activation of glycogen synthase (GS) in insulin receptor-expressing CHO-IR cells with EC <sub>50</sub> of 0.763 $\mu$ M.				
体内研究	Oral administration of CHIR-99021 at 30mg/kg enhances glucose metabolism in a rodent model of type 2 diabetes, with a maximal plasma glucose reduction of nearly 150mg/dl 3-4 hours after administration, while plasma insulin remains at or below control levels. Oral administration of CHIR-99021 at 16 or 48mg/kg 1 hour before oral glucose challenges in ZDF rats significantly improves glucose tolerance with 14% and 33% reduction in plasma glucose at 16mg/kg and 48mg/kg, respectively, and the higher dose of CHIR-99021 also reduces hyperglycemia before the oral glucose challenge.				
临床实验	N/A				
特征	N/A				

#### ➤ 相关实验数据(此数据来自于公开文献, 碧云天并不保证其有效性):

酶活性检测实验	
方法	N/A

细胞实验	
细胞系	Insulin receptor-expressing CHO-IR cells; Primary rat hepatocytes
浓度	0.01-10 $\mu$ M
处理时间	30 min
方法	CHO-IR cells expressing human insulin receptor are grown to 80% confluence in Hamm's F12 medium with

	10% fetal bovine serum and without hypoxanthine. Trypsinized cells are seeded in 6-well plates at $1 \times 10^6$ cells/well in 2ml of medium without fetal bovine serum. After 24h, medium is replaced with 1 ml of serum-free medium containing GSK-3 inhibitor or control (final DMSO concentration <0.1%) for 30 min at 37°C. Cells are lysed and centrifuged 15 min at 4°C/14000g. The activity ratio of GS is calculated as the GS activity in the absence of glucose-6-phosphate divided by the activity in the presence of 5mMol/l glucose-6-phosphate, using the filter paper assay of Thomas et al.
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动物实验	
动物模型	Female db/db mice; Male ZDF rats
配制	HCl salts formulated
剂量	8-48mg/kg
给药方式	oral administration

➤ 参考文献:

1. Ring DB, et al. Diabetes. 2003, 52(3), 588-595.

包装清单:

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SF2708-25mg	CHIR-99021 (GSK-3抑制剂)	25mg
—	说明书	1份

保存条件:

-20°C保存, 至少一年有效。5mg和25mg包装也可以室温保存, 至少6个月有效。如果溶于非DMSO溶剂, 建议分装后-80°C保存, 预计6个月有效。

注意事项:

- 本产品对人体有毒, 操作时请特别小心, 并注意有效防护以避免直接接触人体或吸入体内。
- 本产品仅限于专业人员的科学研究用, 不得用于临床诊断或治疗, 不得用于食品或药品, 不得存放于普通住宅内。
- 为了您的安全和健康, 请穿实验服并戴一次性手套操作。

使用说明:

1. 收到产品后请立即按照说明书推荐的条件保存。使用前可以在2,000-10,000g离心数秒, 以使液体或粉末充分沉淀至管底后再开盖使用。
2. 对于10mM溶液, 可直接稀释使用。对于固体, 请根据本产品的溶解性及k实验目的选择相应溶剂配制高浓度的储备液(母液)后使用。
3. 具体的最佳工作浓度请参考本说明书中的体外、体内研究结果或其他相关文献, 或者根据实验目的, 以及所培养的特定细胞和组织, 通过实验进行摸索和优化。
4. 不同实验动物依据体表面积等效剂量转换表请参考如下网页:  
<http://www.beyotime.com/support/animal-dose.htm>

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