CHAMOT

CHIR-99021

CM009-1SM
CM009-5SM

CHAMOT
乔默生物技术(上海)有限公司
CHAMOT BIOTECHNOLOGY CO., LTD.

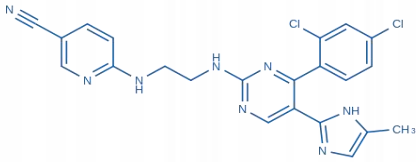
CONTENT

CHIR-99021

编号: CM009-1SM
CM009-5SM

规格: 1 mg
5 mg

类别: 小分子



产品简介

CAS号: 252917-06-9
分子式: $C_{22}H_{18}Cl_2N_8$
分子量: 465.34

储存	Powder	Keep in dark place,Inert atmosphere,Store in freezer, under -20°C		
	In solvent	-20°C:3-6 月	-80°C:12月	
溶解度	DMSO	127.5 mg/mL (274.0 mM)		
	Water	insoluble		
使用配方	IP	2%DMSO+2%Tween80+40% PEG300+water	1 mg/mL	clear
	PO	0.5% CMC-Na	55 mg/mL	suspension

产品使用

原液配制

Volume / Mass / Concentration	5 mg	25 mg	100 mg	1 g
1mM	10.7448 mL	53.724 mL	214.897 mL	2148.97 mL
5mM	2.1490 mL	10.745 mL	42.979 mL	429.79 mL
10mM	1.0745 m	5.3725 mL	21.490 mL	214.90 mL

产品应用数据

Biological Activity

Description	GSK-3 (Glycogen synthase kinase 3) is a serine/threonine protein kinase, consisting of GSK-3α andβ subunit, which plays a key role in many different biological processes including tumorigenesis, cell survival, and developmental patterning. GSK-3 is constitutively active in non-stimulated cells and can negatively regulate canonical Wnt/β-catenin signaling. CHIR 99021 （CT99021）is highly selective aminopyrimidine-derivatived inhibitor of GSK-3 with IC50 of 10nM and 6.7nM for GSK-3α and GSK-3β(measured by kinase assays), respectively, and exhibits >500-fold selectivity for GSK-3 over closely related kinases, such as cdc2Bennett CN, Ross SE, Longo KA, Bajnok L, Hemati N, Johnson KW, Harrison SD, MacDougald OA. Regulation of Wnt signaling during adipogenesis. J Biol Chem. 2002 Aug 23;277(34):30998-1004. doi: 10.1074/jbc.M204527200. Epub 2002 Jun 7. PMID: 12055200. https://pubmed.ncbi.nlm.nih.gov/12055200/. CHIR-99021 can mimic Wnt signaling in preadipocytes. Analysis of cytosolic and membranous fractions show that the free cytosolic β-catenin was evaluated in 3T3-L1 preadipocytes treated with 3uM CHIR-99021 for 4 h [4]. Chir-99021 can activate glycogen synthase in cells. The concentrations of CHIR-99021 causing half-maximal glycogen synthase stimulation (EC50) were 76 nmol/l for CHO-IR cells. A single oral dose of CHIR 99021 (30mg/kg) rapidly lowered plasma glucose in ZDF rats. In combination with different small molecules, CHIR-99021 can facilitate cardiomyocyte differentiation from human embryonic stem cells and iPS cells, reprogramming, like generation of functional astrocytes from mammalian fibroblasts and maintaining undifferentiated mouse ES cells in the absence of LIF.
Mechanism	CHIR 99021 can inhibit GSK3 by competing for their ATP-binding sites.

Cell Study

Cell Lines	Concentration	Assay Type	Time	Activity Description	Data Sources
human 769-P cell	-	Growth inhibition assay	-	Inhibition of human 769-P cell growth in a cell viability assay, IC50=584.99 nM.	SANGER
human A172 cell	-	Growth inhibition assay	-	Inhibition of human A172 cell growth in a cell viability assay, IC50=3.75498 μM.	SANGER
human A498 cell	-	Growth inhibition assay	-	Inhibition of human A498 cell growth in a cell viability assay, IC50=1.3 μM.	SANGER

Animal Study

Dose	Rat[3] (p.o.): 16 mg/kg - 48 mg/kg
Administration	p.o.

Pharmacokinetics	Animal	Mice
	C_{max}	15.09 μM
	$T_{1/2}$	0.87 h
	AUC	10.93 μM/kg·h