

产品名称:

2-(4-(6-chloro-2-(4-(dimethylamino)phenyl)-3H-imidazo[4,5-b]pyridin-7-yl)piperazin-1-yl)-N-(thiazol-2-yl)acetamide

产品别名: **CCT129202**

生物活性:

Description	CCT129202 is an aurora kinase inhibitor with IC ₅₀ s of 42, 198, and 227 nM for aurora A, B and C, respectively.				
IC ₅₀ & Target	Aurora A	Aurora B	Aurora C		
	42 nM (IC ₅₀)	198 nM (IC ₅₀)	227 nM (IC ₅₀)		
In Vitro	CCT129202 causes the accumulation of human tumor cells with z4N DNA content, leading to apoptosis. CCT129202 is found to induce apoptosis with GI ₅₀ values that ranges between 0.08 and 1.7 μM. CCT120202-treated human tumor cells shows a delay in mitosis, abrogation of nocodazole-induced mitotic arrest, and spindle defects. CCT129202 Causes p21Up-regulation, Rb Hypophosphorylation, and H2F-DependentTK1Down-regulation[1].				
In Vivo	Growth of HCT116 xenografts in nude mice is inhibited after i.p. administration of CCT129202. p21, the cyclin-dependent kinase inhibitor, is induced by CCT129202. Up-regulation of p21 by CCT129202 in HCT116 cells led to Rb hypophosphorylation and E2F inhibition, contributing to a decrease in thymidine kinase 1 transcription[1].				
Solvent&Solubility	In Vitro: DMSO : 1 mg/mL (2.01 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.0120 mL	10.0600 mL	20.1199 mL
		5 mM	---	---	---
		10 mM	---	---	---
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。				
References	[1]. Chan F, et al. Mechanism of action of the Aurora kinase inhibitor CCT129202 and in vivo quantification of biological activity. Mol Cancer Ther. 2007 Dec;6(12 Pt 1):3147-57.				

实验参考:

Cell Assay	The effects of CCT129202 on cell proliferation are analyzed with the MTT assay. Cells are plated in 96-well plates at 2,500 per well and are treated with a range of 0 to 50 μM of CCT129202 for 72 h. The absorbance is measured at 570 nm [1]
Animal Administration	Mice: For efficacy studies, human HCT116 colon carcinoma xenografts are established in female mice. CCT129202 is dissolved in DMSO and injected i.p in vehicle, which comprises 10% DMSO, 5% Tween 20, and 85% sterile saline at 0.1 mL/10 g body weight. Dosing with CCT129202 commenced when tumors are well established (f5 mm mean diameter); control animals receive an equivalent volume of vehicle. Mouse body weights and condition are monitored throughout the study. Tumors are measured thrice weekly[1]

References

- [1]. Chan F, et al. Mechanism of action of the Aurora kinase inhibitor CCT129202 and in vivo quantification of biological activity. *Mol Cancer Ther.* 2007 Dec;6(12 Pt 1):3147-57.



源叶生物