上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：CCT251545
产品别名：CCT251545

生物活性：

Description	CCT251545 is an orally bioavailable and potent inhibitor of WNT signaling with an IC_{50} of 5 nM in 7dF3 cells[1].
IC_{50} & Target	IC50: 5 nM (WNT, 7dF3 cells)[1]
In Vitro	CCT251545 potently inhibits WNT pathway activity in COLO205-F1756 clone 4 (an APC -mutant human colorectal cancer cell line engineered to express a modified luciferase-based WNT reporter construct) with an IC_{50} of 0.035 μM[1]. CCT251545 has weak inhibition of tankyrase enzymes (TNKS1 IC_{50} > 10 μM, TNKS2 IC_{50} = 15.0)[1]. CCT251545 is a potent and selective chemical probe for the human mediator complex-associated protein kinases CDK8 and CDK19 with >100-fold selectivity over 291 other kinases[2]. CCT251545 alters WNT pathway-regulated gene expression and other on-target effects of modulating CDK8 and CDK19, including expression of genes regulated by STAT1[2]. CCT251545 also reduces phospho-$STAT1^{SER727}$ levels in SW620 cells with an IC_{50} of 9 nM[2]. CCT251545 displays potent cell-based activity[2].
In Vivo	CCT251545 (70mg/kg; p.o.; twice daily) causes an inhibition of tumor growth in NCr athymic mice bearing established SW620 human colorectal cancer xenografts[2].

Animal Model:	6-8 weeks female NCr athymic mice bearing established SW620 xenografts[2]
Dosage:	70mg/kg
Administration:	Oral administration; twice daily; from days 0-7 and days 10-14
Result:	Caused an inhibition of tumor growth with a 70% reduction in final tumor weight relative to control.

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (118.51 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3701 mL	11.8506 mL	23.7012 mL
5 mM	0.4740 mL	2.3701 mL	4.7402 mL
10 mM	0.2370 mL	1.1851 mL	2.3701 mL

*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°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出

	现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 1.67 mg/mL (3.96 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (3.96 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.67 mg/mL (3.96 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (3.96 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 1.67 mg/mL (3.96 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (3.96 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Mallinger A, et al. Discovery of potent, orally bioavailable, small-molecule inhibitors of WNT signaling from a cell-based pathway screen. J Med Chem. 2015 Feb 26;58(4):1717-35. [2]. Dale T, et al. A selective chemical probe for exploring the role of CDK8 and CDK19 in human disease. Nat Chem Biol. 2015 Dec;11(12):973-980.