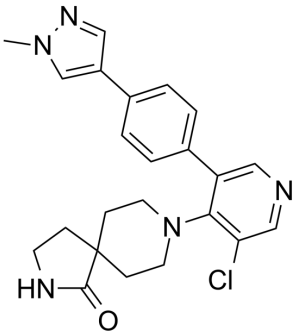
CCT251545

Cat. No.:	HY-12681
CAS No.:	1661839-45-7
Molecular Formula:	$C_{23}H_{24}ClN_5O$
Molecular Weight:	421.92
Target:	Wnt
Pathway:	Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (3.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.96 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCT251545 is an orally bioavailable and potent inhibitor of WNT signaling with an IC_{50} of 5 nM in 7dF3 cells[1]. CCT251545 is a selective chemical probe for exploring the role of CDK8 and CDK19 in human disease[2].

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

CUSTOMER VALIDATION

- Clin Transl Med. 2022 Jul;12(7):e961.
- Br J Cancer. 2023 Mar 23.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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