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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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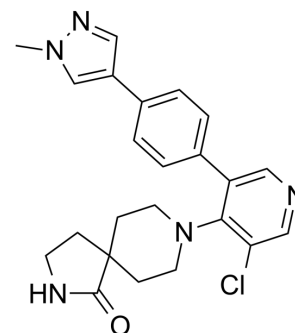
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CCT251545

Cat. No.:	HY-12681
CAS No.:	1661839-45-7
Molecular Formula:	C ₂₃ H ₂₄ ClN ₅ O
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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 IC₅₀ 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].

IC₅₀ & 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 IC₅₀ of 0.035 μM^[1]. CCT251545 has weak inhibition of tankyrase enzymes (TNKS1 IC₅₀ > 10 μM, TNKS2 IC₅₀ = 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 IC₅₀ 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.

See more customer validations on www.MedChemExpress.com

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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