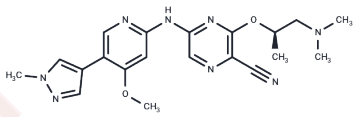
Data Sheet (Cat.No.T14904)

TargetMol

CCT244747

Chemical Properties



CAS No. : 1404095-34-6

Formula: C20H24N8O2

Molecular Weight: 408.46

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CCT244747 is a potent and highly selective CHK1 inhibitor that is orally active, ATP-competitive, and cytotoxic, abrogating drug-induced S and G2 blockade and inducing apoptosis in multiple tumor cell lines.
Targets(IC50)	Chk
In vitro	**Methods**: HT29 and SW620 colon cancer cell lines were treated with CCT244747 (0.01, 0.05, 0.1, 0.5, 1, 2, 5 μM) to characterize its effects on drug-induced changes in CHK1 and cell cycle biomarkers. **Results** CCT244747 inhibited SN38- and gemcitabine-induced CHK1 activity in tumor cells, and this was associated with abrogation of cell cycle arrest, induction of DNA damage, and apoptosis. [4]
In vivo	**Methods**: Athymic mice bearing SW620 human colon cancer xenografts were treated with CCT244747 (100-300 mg/kg, oral) followed by gemcitabine (60 mg/kg, intravenous) 1 hour later to further analyze the pharmacodynamics of CCT244747. **Results**: A single oral dose of CCT244747 (100-300 mg/kg) maintained inhibition of gemcitabine-induced pS296 CHK1 in HT29 colon tumor xenografts for up to 24 hours. [1]

Solubility Information

Solubility	DMSO: 40 mg/mL (97.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.9 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4482 mL	12.2411 mL	24.4822 mL
5 mM	0.4896 mL	2.4482 mL	4.8964 mL
10 mM	0.2448 mL	1.2241 mL	2.4482 mL
50 mM	0.049 mL	0.2448 mL	0.4896 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lainchbury M, et al. Discovery of 3-alkoxyamino-5-(pyridin-2-ylamino)pyrazine-2-carbonitriles as selective, orally bioavailable CHK1 inhibitors. J Med Chem. 2012 Nov 26;55(22):10229-40.
Walton MI, et al. CCT244747 is a novel potent and selective CHK1 inhibitor with oral efficacy alone and in combination with genotoxic anticancer drugs. Clin Cancer Res. 2012 Oct 15;18(20):5650-61.
Patel R, et al. An orally bioavailable Chk1 inhibitor, CCT244747, sensitizes bladder and head and neck cancer cell lines to radiation. Radiother Oncol. 2017 Mar;122(3):470-475.
Walton MI, et al. CCT244747 is a novel potent and selective CHK1 inhibitor with oral efficacy alone and in combination with genotoxic anticancer drugs. Clin Cancer Res. 2012 Oct 15;18(20):5650-61.

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