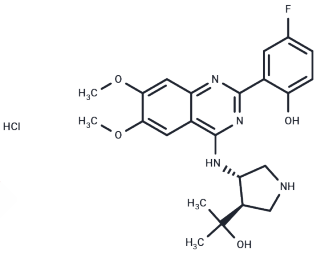
Data Sheet (Cat.No.T10718L)

TargetMol

CCT241533 hydrochloride

Chemical Properties



CAS No. : 1431697-96-9

Formula: C23H28ClFN4O4

Molecular Weight: 478.95

Storage: 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	CCT241533 hydrochloride is an effective and selective ATP competitive inhibitor of CHK2 (Ki: 1.16 nM; IC50: 3 nM).
Targets(IC50)	Chk
In vitro	CCT241533 hydrochloride inhibits CHK2 (IC50: 3 nM) and shows minimal cross-reactivity against a panel of kinases at 1 μM. X-ray crystallography confirms that CCT241533 binds to CHK2 in the ATP pocket. CCT241533 blocks CHK2 activity in human tumor cell lines in response to DNA damage. CCT241533 does not potentiate the cytotoxicity of a selection of genotoxic agents in several cell lines. However, CCT241533 significantly potentiates the cytotoxicity of two structurally distinct PARP inhibitors. Clear induction of the pS516 CHK2 signal is seen with a PARP inhibitor alone and this activation is abolished by CCT241533. In HT-29, HeLa, and MCF-7, the cytotoxicity of CCT241533 (GI50) is 1.7, 2.2, and 5.1 μM, respectively [1]. CCT241533 hydrochloride is a potent CHK2 inhibitor (IC50: 3 nM), with selectivity (63-fold) over CHK1(IC50: 190 nM) and low hERG inhibition (IC50: 22 μM) [2].

Solubility Information

Solubility	DMSO: 100 mg/mL (208.79 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: 4 mg/mL (8.35 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	2.0879 mL	10.4395 mL	20.879 mL
5 mM	0.4176 mL	2.0879 mL	4.1758 mL
10 mM	0.2088 mL	1.044 mL	2.0879 mL
50 mM	0.0418 mL	0.2088 mL	0.4176 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

Anderson VE, et al. CCT241533 is a potent and selective inhibitor of CHK2 that potentiates the cytotoxicity of PARP inhibitors. Cancer Res. 2011 Jan 15;71(2):463-72.
Caldwell JJ, et al. Structure-based design of potent and selective 2-(quinazolin-2-yl)phenol inhibitors of checkpoint kinase 2. J Med Chem. 2011 Jan 27;54(2):580-90.