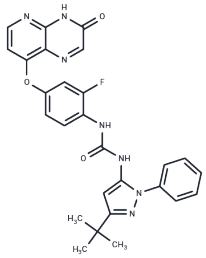
Data Sheet (Cat.No.T4133)

TargetMol

CCT196969

Chemical Properties



CAS No. : 1163719-56-9

Formula: C27H24FN7O3

Molecular Weight: 513.52

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	CCT196969 is a novel orally available, pan-RAF inhibitor with anti-SRC activity. It also inhibits SRC, LCK, and the p38 MAPKs.
Targets(IC50)	Raf,Src
In vitro	CCT196969 induces caspase 3 and PARP cleavage, thus induces apoptosis. CCT196969 does not drive paradoxical pathway activation and inhibit MEK/ERK in BRAF and NRAS mutant melanoma. CCT196969 is active against melanoma and colorectal cancer cell lines that are mutant for BRAF, but not cancer cells that are wild-type for BRAF and NRAS.
In vivo	Oral dosing at 10 mg/kg/day of CCT196969 results in plasma concentrations ~1 μM at 24 hr. It is orally bioavailable at ~55%. CCT196969 is extremely well tolerated at the doses assessed and does not produce any significant adverse effects in vivo.
Cell Research	Cell lines: cell line derived from a vemurafenib-resistant melanoma. Method: The three cell lines derived from tumors displaying resistance to vemurafenib are incubated with DMSO (control),PLX4720,CCT196969,or CCT241161 (1 μM; 4 hr).Protein extracts are prepared in CLB1 lysis buffer,and samples are analyzed by Zeptosens RPPA(reverse phase protein arrays).
Animal Research	Animal Models: CD-1 mice. Formulation: 5% DMSO,95% water. Dosages: 20 mg/kg . Administration: oral gavage

Solubility Information

Solubility	Ethanol: Insoluble, DMSO: 127.5 mg/mL (248.29 mM),Sonication is recommended. H2O: Insoluble, (< 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 (7.79 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	1.9473 mL	9.7367 mL	19.4734 mL
5 mM	0.3895 mL	1.9473 mL	3.8947 mL
10 mM	0.1947 mL	0.9737 mL	1.9473 mL
50 mM	0.0389 mL	0.1947 mL	0.3895 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

Girotti MR,etal.Paradox-breaking RAF inhibitors that also target SRC are effective in drug-resistant BRAF mutant melanoma.Cancer Cell. 2015 Jan 12;27(1):85-96.