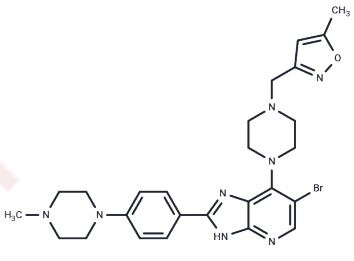
Data Sheet (Cat.No.T2611)

TargetMol

CCT 137690

Chemical Properties



CAS No. : 1095382-05-0

Formula: C26H31BrN8O

Molecular Weight: 551.48

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	CCT 137690 is a highly specific and oral-available aurora kinase inhibitor, for aurora A (IC50=15 nM), B(IC50=25 nM) and C(IC50=19 nM).
Targets(IC50)	Apoptosis,Aurora Kinase
In vitro	In transgenic mouse models of neuroblastoma, CCT137690 significantly inhibits tumor cell growth. Similarly, in SW620 colon carcinoma xenograft models, oral administration of CCT137690 can suppress cell growth.
In vivo	CCT137690 acts as an inhibitor of the hERG ion channels (IC50=3.0 μM) and effectively suppresses cell growth across various human tumor cell lines from different organs. It exhibits potent inhibitory effects on SW620 colon cancer cells (GI50=0.3 μM) and A2780 ovarian cancer cells (GI50=0.14 μM).
Kinase Assay	Flashplate assay for identification and evaluation of Aurora inhibitors: On this assay 384-well Basic Flashplate? as solid assay platform is used. The plates are coated overnight at 4 °C with dithiothreitol (DTT) at 100 μg/mL in PBS buffer and used after being washed twice with PBS. 5 μL of CCT137690 in 2% DMSO is added to each well followed by 15 μL master mix of kinase buffer (50 mM Tris pH 7.5, 10 mM NaCl, 2.5 mM MgCl2, 1 mM myelin basic protein (MBP), 20 μM ATP, and 0.025 μCi/μL 33P-ATP). Finally, 250 ng per well of Aurora-A enzyme is added. The plate is shaken for approximately 2 min on a flat-bed plate shaker and incubated for 2 hours at room temperature. The reaction is stopped by washing the plate twice on a 16-pin wash with 10 mM sodium pyrophosphate. The plate is then read on a TopCount-NXTTM. For the determination of the inhibitory activity against Aurora-B or Aurora-C, the same conditions are followed in the assay using Aurora-B or Aurora-C enzymes.
Cell Research	The effects of CCT137690 on cell proliferation are analyzed with the colorimetric 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) assay. Cells such as SW620 and A2780 are plated in 96-well plates at 2.5 × 103 per well and are treated with a range of 0 to 50 μM of CCT137690 for 72 hours. The absorbance is measured at 570 nm using the Wallac VICTOR2TM 1420 Multilabel Counter.(Only for Reference)

Solubility Information

Solubility	DMSO: 55.2 mg/mL (100.09 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: 1 mg/mL (1.81 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	1.8133 mL	9.0665 mL	18.133 mL
5 mM	0.3627 mL	1.8133 mL	3.6266 mL
10 mM	0.1813 mL	0.9067 mL	1.8133 mL
50 mM	0.0363 mL	0.1813 mL	0.3627 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

Bavetsias V, et al. J Med Chem, 2010, 53(14), 5213-5228.
Faisal A, et al. Mol Cancer Ther, 2011, 10(11), 2115-2123.
Moore AS, et al. ASH Annu Meeting Abstr, 2010, 116, Abstr 3289.