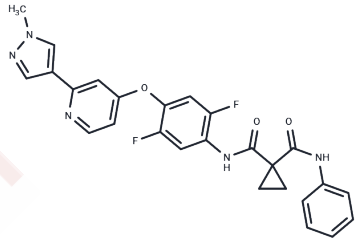
Data Sheet (Cat.No.T4332)

TargetMol

c-Kit-IN-1

Chemical Properties



CAS No. : 1225278-16-9

Formula: C26H21F2N5O3

Molecular Weight: 489.47

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	c-Kit-IN-1 (DCC-2618) is an effective inhibitor of c-Met and c-Kit (IC50s<200 nM).
Targets(IC50)	c-Kit,c-Met/HGFR
In vitro	DCC-2618 also inhibits KDR and PDGFR α/β (IC50s: <2 μM, <10 μM and <10 μM).
Kinase Assay	The activity of c-KIT kinase is determined by following the production of ADP from the kinase reaction through coupling with the pyruvate kinase/lactate dehydrogenase system. In this assay, the oxidation of NADH (thus the decrease at A340 nM) is continuously monitored spectrophotometrically. The reaction mixture (100 μL) contained c-KIT (cKIT residues T544-V976, from ProQinase, 5.4 nM), polyE4Y (1 mg/mL), MgC12 (10 mM), pyruvate kinase (4 units), lactate dehydrogenase (0.7 units), phosphoenol pyruvate (1 mM), and NADH (0.28 mM) in 90 mM Tris buffer containing 0.2 % octyl-glucoside and 1% DMSO, pH 7.5. Test compounds (e.g., DCC-2618) are incubated with c-KIT and other reaction reagents at 22 °C for < 2 min before ATP (200 μM) is added to start the reaction. The absorption at 340 nm is monitored continuously for 0.5 hours at 30 °C on Polarstar Optima plate reader (BMG). The reaction rate is calculated using the 0 to 0.5 h time frame. Percent inhibition is obtained by comparison of reaction rate with that of a control (i.e. with no test compound).
Cell Research	DCC-2618 is prepared in DMSO and stored, and then diluted with the appropriate medium before use.A serial dilution of test compounds (e.g., DCC-2618) is dispensed into a 96-well black clear bottom plate. For each cell line, five thousand cells are added per well in 200 μL complete growth medium. Plates are incubated for 67 hours at 37 degrees Celsius, 5% CO2, 95% humidity. At the end of the incubation period, 40 μL of a 440 μM solution of resazurin in PBS is added to each well and incubated for an additional 5 hours at 37 degrees Celsius, 5% CO2, 95% humidity. Plates are read on a Synergy2 reader using an excitation of 540 nM and an emission of 600 nM.

Solubility Information

Solubility	DMSO: 88 mg/mL (179.79 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: 3.3 mg/mL (6.74 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	2.043 mL	10.2151 mL	20.4303 mL
5 mM	0.4086 mL	2.043 mL	4.0861 mL
10 mM	0.2043 mL	1.0215 mL	2.043 mL
50 mM	0.0409 mL	0.2043 mL	0.4086 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

Daniel L. Flynn, et al. Cyclopropane amides and analogs exhibiting anti-cancer and anti-proliferative activities. WO 2010051373 A1