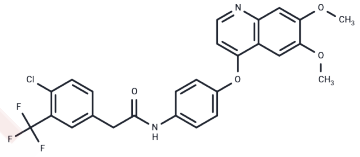
Data Sheet (Cat.No.T10651)

TargetMol

c-Kit-IN-3

Chemical Properties



CAS No. : 2363169-01-9

Formula: C26H20ClF3N2O4

Molecular Weight: 516.9

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-3 is a selective inhibitor of c-KIT kinase with IC50s of 4 nM and 8 nM for c-Kit (wt) and c-Kit (T670I).
Targets(IC50)	c-Kit
In vitro	In primary GIST patient cells, c-Kit-IN-3 (0.1-10 μM) exhibits dose-dependent antiproliferative effects. In GIST-T1, GIST-T1-T670I, and GIST-5R cells, c-Kit-IN-3 (0.01-1 μM) induces dose-dependent cell apoptotic death and arrests the cell cycle into the G0/G1 phase[1].
In vivo	c-Kit-IN-3 (1 mg/kg i.v. for mice, rats, dog; 10 mg/kg p.o. for mice, rats; 5 mg/kg p.o. for dog) has T1/2 of 4.5 h, 6.4 h, 19.4 h for mice, rats and dogs, respectively. c-Kit-IN-3 possesses acceptable bioavailability of 43%, 50% and 81% for mice, rats, and dogs. In female BALB/C-nu mice, c-Kit-IN-3 (20-100 mg/kg; gavage) treatment dose-dependently inhibits the tumor progression[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (193.46 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9346 mL	9.6731 mL	19.3461 mL
5 mM	0.3869 mL	1.9346 mL	3.8692 mL
10 mM	0.1935 mL	0.9673 mL	1.9346 mL
50 mM	0.0387 mL	0.1935 mL	0.3869 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

Wu Y, et al. Discovery of 2-(4-Chloro-3-(trifluoromethyl)phenyl)-N-(4-((6,7-dimethoxyquinolin-4-yl)oxy)phenyl) acetamide (CHMFL-KIT-64) as a Novel Orally Available Potent Inhibitor against Broad-Spectrum Mutants of c-KIT Kinase for Gastrointestinal Stromal Tumors. J Med Chem. 2019 Jul 11;62(13):6083-6101.

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