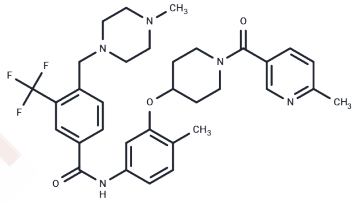
Data Sheet (Cat.No.T10801)

TargetMol

CHMFL-ABL/KIT-155

Chemical Properties



CAS No. : 2081093-21-0

Formula: C33H38F3N5O3

Molecular Weight: 609.68

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	CHMFL-ABL/KIT-155 is a highly potent and orally active type II ABL/c-KIT dual kinase inhibitor (IC50s: 46 nM/75 nM). It arrests cell cycle progression and induces apoptosis.
Targets(IC50)	Apoptosis,Discoidin Domain Receptor (DDR),Bcr-Abl,c-Kit,PDGFR
In vitro	CHMFL-ABL/KIT-155 also presents significant inhibitory activities to BLK, CSF1R, DDR1, DDR2, LCK and PDGFRβ (IC50s: 81 nM, 227 nM, 116 nM, 325 nM,12 nM, and 80 nM) kinases. CHMFL-ABL/KIT-155 exhibits anti-proliferation activities in the BCR-ABL dependent CML cancer cell lines such as MEG-01 (GI50: 0.02 μM), K562 (GI50: 0.027 μM), and KU812 (GI50: 0.056 μM). It also potently inhibits the growth of c-KIT dependent GISTs cancer cell lines including GIST-882 (GI50: 0.095 μM), GIST-T1 (GI50: 0.023 μM) but not c-KIT independent GIST-48B (GI50: 3.96 μM).
In vivo	In female nu/nu mice bearing established K562 tumor xenografts, CHMFL-ABL/KIT-155 (25-100 mg/kg; p.o.; once daily for 28 days) shows dose-dependent tumor progression suppression without apparent toxicity.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6402 mL	8.201 mL	16.402 mL
5 mM	0.328 mL	1.6402 mL	3.2804 mL
10 mM	0.164 mL	0.8201 mL	1.6402 mL
50 mM	0.0328 mL	0.164 mL	0.328 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

Wang Q, et al. Discovery of 4-Methyl-N-(4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)-3-((1-nicotinoylpiperidin-4-yl)oxy)benzamide (CHMFL-ABL/KIT-155) as a Novel Highly Potent Type II ABL/KIT Dual Kinase Inhibitor with a Distinct Hinge Binding. J Med Chem. 2017 Jan 12;60(1):273-289.