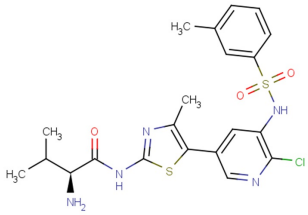
Data Sheet (Cat.No.T10804)

TargetMol
A DRUG SCREENING EXPERT

CHMFL-PI3KD-317

Chemical Properties



CAS No.:	2244992-76-3
Formula:	C21H24ClN5O3S2
Molecular Weight:	494.03
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	CHMFL-PI3KD-317 is a highly potent, selective, and orally active PI3Kδ inhibitor (IC50: 6 nM) with the antiproliferative effects on cancer cells. It inhibits PI3Kδ-mediated Akt T308 phosphorylation in Raji cells (EC50: 4.3 nM).
Targets(IC_{50})	PI3Kδ: 6 nM PI3Kα: 62.6 nM PI3Kγ: 202.7 nM PI3Kβ: 284 nM PIK3C2B: 882.3 nM Vps34: 1801.7 nM PI4KIIIB: 300.2 nM PI4KIIIA: 574.1 nM
In vitro	CHMFL-PI3KD-317 exhibits over 10-1500 fold selectivity over other class I, II and III PIKK family isoforms, such as PI3Kα (IC50, 62.6 nM), PI3Kβ (IC50, 284 nM), PI3Kγ (IC50, 202.7 nM), PIK3C2A (IC50, >10000 nM), PIK3C2B (IC50, 882.3 nM), PI4KIIIA (IC50, 574.1 nM) and PI4KIIIB (IC50, 300.2 nM). CHMFL-PI3KD-317 has antiproliferative effects, with GI50s of 4.0, 3.5, 4.8, 3.0, 3.3 μM against NALM-6, PF382, MV4-11, MOLM-13 cells, and MOLM-14, respectively.
In vivo	CHMFL-PI3KD-317 (Compound 15i; 25, 50, and 100 mg/kg/day, p.o., for 14 days) inhibits the growth of the MOLM14 tumor in mice. In Sprague-Dawley rats, CHMFL-PI3KD-317 shows favorable oral bioavailability and acceptable half-life (T1/2 = 3.28 h).

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.024 mL	10.121 mL	20.242 mL
5 mM	0.405 mL	2.024 mL	4.048 mL
10 mM	0.202 mL	1.012 mL	2.024 mL
50 mM	0.04 mL	0.202 mL	0.405 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Liang X, et al. Discovery of (S)-2-amino-N-(5-(6-chloro-5-(3-methylphenylsulfonamido)pyridin-3-yl)-4-methylthiazol-2-yl)-3-methylbutanamide (CHMFL-PI3KD-317) as a potent and selective phosphoinositide 3-kinase delta (PI3Kδ) inhibitor. Eur J Med Chem. 2018 Aug 5;156:831-846.

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