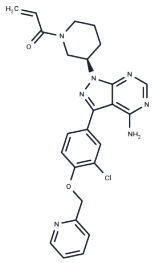
Data Sheet (Cat.No.T10802)

TargetMol

CHMFL-EGFR-202

Chemical Properties



CAS No. : 2089381-40-6

Formula: C25H24ClN7O2

Molecular Weight: 489.96

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-EGFR-202 is a potent, irreversible inhibitor of EGFR mutant kinase with IC50 values of 5.3 nM for drug-resistant mutant EGFR T790M and 8.3 nM for WT EGFR kinases.
Targets(IC50)	EGFR,MEK,BTK
In vitro	CHMFL-EGFR-202 exhibits 10-fold selectivity for EGFR L858R/T790M against the EGFR wild-type in cells. CHMFL-EGFR-202 potently inhibits EGFR primary mutants (L858R, del19) and drug-resistant mutant L858R/T790M. CHMFL-EGFR-202 displays strong binding affinities against wild-type, L861Q, G719C/S, L858R/T790M, L858R, and T790M among EGFR wild-type and mutant kinases. CHMFL-EGFR-202 also exhibits strong binding affinities against BLK, BMX, BTK, ERBB2, ERBB4, LOK, MEK1, and MEK5 kinases (percent of control score less than 1% at 1 μM). CHMFL-EGFR-202 strongly inhibits BLK, BTK, ERBB2 and ERBB4 (IC50s: of 8.1 nM, 24.5 nM, 8.1 nM and 3.2 nM). CHMFL-EGFR-202 moderately inhibits BMX and MEK1 kinases (IC50s: 111.0 nM and 161.0 nM)[1].

Solubility Information

Solubility	DMSO: 45 mg/mL (91.84 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: 2 mg/mL (4.08 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	2.041 mL	10.2049 mL	20.4098 mL
5 mM	0.4082 mL	2.041 mL	4.082 mL
10 mM	0.2041 mL	1.0205 mL	2.041 mL
50 mM	0.0408 mL	0.2041 mL	0.4082 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 A, et al. Discovery of (R)-1-(3-(4-Amino-3-(3-chloro-4-(pyridin-2-ylmethoxy)phenyl)-1H-pyrazolo[3,4-d] pyrimidin-1-yl)piperidin-1-yl)prop-2-en-1-one (CHMFL-EGFR-202) as a Novel Irreversible EGFR Mutant Kinase Inhibitor with a Distinct Binding Mode.