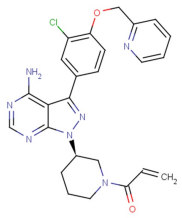
Data Sheet (Cat.No.T10802)

TargetMol
A DRUG SCREENING EXPERT

CHMFL-EGFR-202

Chemical Properties



CAS No.:	2089381-40-6
Formula:	C25H24ClN7O2
Molecular Weight:	489.96
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	CHMFL-EGFR-202 is a potent, irreversible inhibitor of EGFR mutant kinase (IC50s: 5.3 nM and 8.3 nM for drug-resistant mutant EGFR T790M and WT EGFR kinases).
Targets(IC_{50})	EGFRT790M: 5.3 nM EGFR: 8.3 nM ErbB2: 8.1 nM ErbB4: 3.2 nM MEK1: 161 nM Btk: 24.5 nM BLK: 8.1 nM BMX: 111 nM
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).

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.041 mL	10.205 mL	20.41 mL
5 mM	0.408 mL	2.041 mL	4.082 mL
10 mM	0.204 mL	1.02 mL	2.041 mL
50 mM	0.041 mL	0.204 mL	0.408 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. 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.

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