

Product Data Sheet

Product Name: CHMFL-EGFR-202
 Cat. No.: GC35684

Chemical Properties

Cas. No. 2089381-40-6

SMILES O=C(N(C1)CCC[C@H]1N2C3=NC=NC(N)=C3C(C4=CC(Cl)=C(OCC5=NC=CC=C5)C=C4)=N2)C=C

Formula $C_{25}H_{24}ClN_7O_2$ M.Wt 489.96

Solubility Soluble in DMSO Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice Condition upon request.

Structure

Background

CHMFL-EGFR-202 is a potent, irreversible inhibitor of epidermal growth factor receptor (EGFR) mutant kinase, with IC₅₀s of 5.3 nM and 8.3 nM for drug-resistant mutant EGFR T790M and WT EGFR kinases, respectively. CHMFL-EGFR-202 exhibits ~10-fold selectivity for EGFR L858R/T790M against the EGFR wild-type in cells. CHMFL-EGFR-202 adopts a covalent "DFG-in-C-helix-out" inactive binding conformation with EGFR, with strong antiproliferative effects against EGFR mutant-driven nonsmall-cell lung cancer (NSCLC) cell lines[1]. EGFR T790M|5.3 nM (IC₅₀)|EGFR|8.3 nM (IC₅₀)|ErbB2|8.1 nM (IC₅₀)|ErbB4|3.2 nM (IC₅₀)|MEK1|161 nM (IC₅₀)|Btk|24.5 nM (IC₅₀)|BLK|8.1 nM (IC₅₀)|BMX|111 nM (IC₅₀)

CHMFL-EGFR-202 potently inhibits EGFR primary mutants (L858R, del19) and drug-resistant mutant L858R/T790M[1]. CHMFL-EGFR-202 displays strong binding affinities against wild-type, G719C/S, L858R, L858R/T790M, L861Q, and T790M among EGFR wild-type and mutant kinases[1]. 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)[1]. CHMFL-EGFR-202 strongly inhibits BLK, BTK, ERBB2 and ERBB4 with IC₅₀s of 8.1 nM, 24.5 nM, 8.1 nM and 3.2 nM, respectively[1]. CHMFL-EGFR-202 moderately inhibits BMX and MEK1 kinases with IC₅₀ of 111.0 nM and 161.0 nM[1].

[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.

Caution: Product has not been fully validated for medical applications. For research use only.

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