

Rociletinib

Chemical Properties

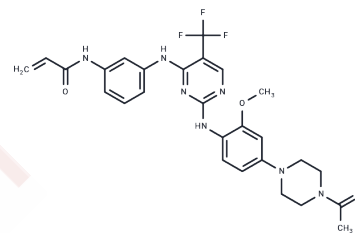
CAS No. : 1374640-70-6

Formula: C₂₇H₂₈F₃N₇O₃

Molecular Weight: 555.55

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Rociletinib (CNX-419) is an orally available small molecule, irreversible inhibitor of epidermal growth factor receptor (EGFR) with potential antineoplastic activity.
Targets(IC ₅₀)	EGFR
In vitro	CO-1686 demonstrates significant dose-dependent tumor growth inhibition across all models of EGFR mutations, including transgenic mice expressing EGFR ^{L858R} - and EGFR ^{L858R/T790M} .
In vivo	CO-1686 selectively inhibits the growth of NSCLC (non-small cell lung cancer) cells expressing mutant EGFR, with a GI ₅₀ range of 7 to 32 nM, and induces apoptosis. NSCLC cell lines resistant to CO-1686 show signs of epithelial-mesenchymal transition and increased sensitivity to AKT inhibitors. In cells expressing mutant EGFR, CO-1686 inhibits p-EGFR with an IC ₅₀ range of 62 to 187 nM, while also inhibiting EGFR phosphorylation in three types of cells expressing WT (wild type) EGFR, with an IC ₅₀ of >2,000 nM.
Kinase Assay	Inhibition Kinetics Studies: Recombinant human wild-type and T790M/L858R double mutant EGFR, both Nterminal GST-tagged, are used in the assay. The Omnia continuous read assay is performed as described by the vendor.
Cell Research	Cells are seeded at 3,000 cells per well in growth media supplemented with 5% FBS, 2 mmol/L, L-glutamine, and 1% penicillin-streptomycin, allowed to adhere overnight, and treated with a dilution series of test compounds for 72 hours. Cell viability is determined by CellTiter-Glo, and results are represented as background-subtracted relative light units normalized to a dimethyl sulfoxide (DMSO)-treated control. Growth inhibition (GI ₅₀) values are determined by GraphPad Prism 5.04. MK-2206 and XL-880 compounds are obtained from Selleck Chemical. CI data are generated using CalcuSyn.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (167.4 mM), Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.800 mL	9.0001 mL	18.0002 mL
5 mM	0.360 mL	1.800 mL	3.600 mL
10 mM	0.180 mL	0.900 mL	1.800 mL
50 mM	0.036 mL	0.180 mL	0.360 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.

Reference

Walter AO, et al. Cancer Discov. 2013. doi:10.1158/2159-8290.CD-13-0314

Jin X, Yang Y, Liu D, et al. Identification of a covalent NEK7 inhibitor to alleviate NLRP3 inflammasome-driven metainflammation. Cell Communication and Signaling. 2024, 22(1): 565.

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