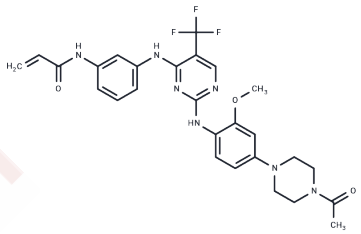
Data Sheet (Cat.No.T2369)

TargetMol

Rociletinib

Chemical Properties



CAS No. : 1374640-70-6

Formula: C27H28F3N7O3

Molecular Weight: 555.55

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	Rociletinib (CNX-419) is an orally available small molecule, irreversible inhibitor of epidermal growth factor receptor (EGFR) with potential antineoplastic activity.
Targets(IC50)	EGFR
In vitro	CO-1686 demonstrates significant dose-dependent tumor growth inhibition across all models of EGFR mutations, including transgenic mice expressing EGFRL858R- and EGFRL858R/T790M.
In vivo	CO-1686 selectively inhibits the growth of NSCLC (non-small cell lung cancer) cells expressing mutant EGFR, with a GI50 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 IC50 range of 62 to 187 nM, while also inhibiting EGFR phosphorylation in three types of cells expressing WT (wild type) EGFR, with an IC50 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: 27.78 mg/mL (50 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (5.94 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	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.

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

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.