

JBJ-04-125-02

Chemical Properties

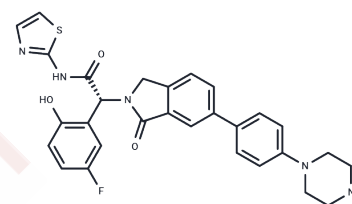
CAS No. : 2060610-53-7

Formula: C₂₉H₂₆FN₅O₃S

Molecular Weight: 543.61

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	JBJ-04-125-02 can inhibit cancer cell proliferation and EGFR L858R/T790M/C797S signaling. JBJ-04-125-02 has anti-tumor activities. JBJ-04-125-02 is a potent, mutant-selective, allosteric and orally active EGFR inhibitor with an IC ₅₀ of 0.26 nM for EGFR L858R/T790M.
Targets(IC ₅₀)	EGFR, JAK
In vitro	JBJ-04-125-02 demonstrates mutant selectivity by inhibiting mutant EGFR and downstream AKT and ERK1/2 phosphorylation. JBJ-04-125-02 (0-1000 nM; 72 hours; H1975 cells) treatment could inhibit cell proliferation of H1975 cells at low nanomolar concentrations. JBJ-04-125-02 treatment also inhibits cell proliferation in Ba/F3 cells stably transfected with EGFR L858R, EGFR L858R/T790M, or EGFR L858R/T790M/C797S mutations. The ability of JBJ-04-125-02 (0.01-10 μM) to inhibit EGFR phosphorylation using Ba/F3, H1975 and NIH-3T3 cells is examined.
In vivo	JBJ-04-125-02 exhibits a moderate half-life of 3 hours and a high area under curve of 728,577 min·ng/mL (AUC _{last}) following 3 mg/kg intravenous (i.v.) dose. A 20 mg/kg oral dose of JBJ-04-125-02 achieves an average maximal plasma concentration of 1.1 μmol/L with an oral bioavailability of 3%. JBJ-04-125-02 (50 mg/kg; oral gavage; once daily; for 15 weeks; EGFR L858R/T790M/C797S genetically engineered mice) treatment leads to marked tumor regressions within 4 weeks of treatment.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8396 mL	9.1978 mL	18.3955 mL
5 mM	0.3679 mL	1.8396 mL	3.6791 mL
10 mM	0.184 mL	0.9198 mL	1.8396 mL
50 mM	0.0368 mL	0.184 mL	0.3679 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

To C, et al. Single and Dual Targeting of Mutant EGFR with an Allosteric Inhibitor. *Cancer Discov.* 2019 Jul;9(7):926-943.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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