Product Data Sheet

Product Name: JBJ-04-125-02
Cat. No.: GC62632

Chemical Properties

Cas. No.	2060610-53-7		
Formula	$C_{29}H_{26}FN_5O_3S$	M.Wt	543.61
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	JBJ-04-125-02		

Background

JBJ-04-125-02 is a potent, mutant-selective, allosteric and orally active EGFR inhibitor with an IC50 of 0.26 nM for EGFRL858R/T790M. JBJ-04-125-02 can inhibit cancer cell proliferation and EGFRL858R/T790M/C797S signaling. JBJ-04-125-02 has anti-tumor activities[1].

JBJ-04-125-02 (0-1000 nM; 72 hours; H1975 cells) treatment could inhibit cell proliferation of H1975 cells at low nanomolar concentrations[1].JBJ-04-125-02 treatment also inhibits cell proliferation in Ba/F3 cells stably transfected with EGFRL858R, EGFRL858R/T790M, or EGFRL858R/T790M/C797S mutations[1].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. JBJ-04-125-02 demonstrates mutant selectivity by inhibiting mutant EGFR and downstream AKT and ERK1/2 phosphorylation[1].

JBJ-04-125-02 (50 mg/kg; oral gavage; once daily; for 15 weeks; EGFRL858R/T790M/C797S genetically engineered mice) treatment leads to marked tumor regressions within 4 weeks of treatment[1].JBJ-04-125-02 exhibits a moderate half-life of 3 hours and a high area under curve of 728,577 min•ng/mL (AUClast) following 3 mg/kg intravenous (i.v.) dose. A 20 mg/kg oral dose of JBJ-04-125-02

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achieves an average maximal plasma concentration of 1.1 μmol/L with an oral bioavailability of 3%[1].

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