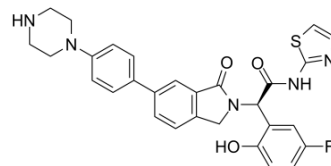


JBJ-04-125-02

Cat. No.:	HY-135805
CAS No.:	2060610-53-7
Molecular Formula:	C ₂₉ H ₂₆ FN ₅ O ₃ S
Molecular Weight:	543.61
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	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. JBJ-04-125-02 can inhibit cancer cell proliferation and EGFR ^{L858R/T790M/C797S} signaling. JBJ-04-125-02 has anti-tumor activities ^[1] .									
IC₅₀ & Target	EGFR (L858R/T790M) 0.26 nM (IC ₅₀)									
In Vitro	<p>JBJ-04-125-02 (0-1000 nM; 72 hours; H1975 cells) treatment could inhibit cell proliferation of H1975 cells at low nanomolar concentrations^[1].</p> <p>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^[1].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>H1975 cells</td> </tr> <tr> <td>Concentration:</td> <td>0 nM, 0.1 nM, 1 nM, 10 nM, 100 nM, 1000 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited cell proliferation of H1975 cells at low nanomolar concentrations.</td> </tr> </table>		Cell Line:	H1975 cells	Concentration:	0 nM, 0.1 nM, 1 nM, 10 nM, 100 nM, 1000 nM	Incubation Time:	72 hours	Result:	Inhibited cell proliferation of H1975 cells at low nanomolar concentrations.
Cell Line:	H1975 cells									
Concentration:	0 nM, 0.1 nM, 1 nM, 10 nM, 100 nM, 1000 nM									
Incubation Time:	72 hours									
Result:	Inhibited cell proliferation of H1975 cells at low nanomolar concentrations.									
In Vivo	<p>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^[1].</p> <p>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 achieves an average maximal plasma concentration of 1.1 μmol/L with an oral bioavailability of 3%^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>									

Animal Model:	EGFR ^{L858R/T790M/C797S} genetically engineered mice (GEM) ^[1]
Dosage:	50 mg/kg
Administration:	Oral gavage; once daily; for 15 weeks
Result:	Led to marked tumor regressions within 4 weeks of treatment.

REFERENCES

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

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

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