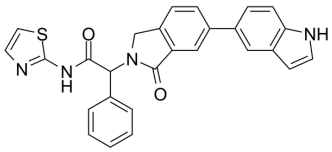
JBJ-02-112-05

Cat. No.:	HY-135914
CAS No.:	2748162-29-8
Molecular Formula:	$C_{27}H_{20}N_4O_2S$
Molecular Weight:	464.54
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-02-112-05 is a potent, mutant-selective, allosteric and orally active EGFR inhibitor with an IC_{50} of 15 nM for EGFR $^{L858R/T790M}$[1].
IC_{50} & Target	$EGFR^{L858R/T790M}$ 15 nM (IC_{50})
In Vitro	In Ba/F3 cells, JBJ-02-112-05 inhibits the activities of wildtype EGFR, $EGFR^{L858R}$, $EGFR^{L858R/T790M}$ and $EGFR^{L858R/T790M/C797S}$ with IC_{50} values of 9.29 μM; 8.35 μM; 8.53 μM and 2.13 μM, respectively[1]. JBJ-02-112-05 demonstrates mutant selectivity by inhibiting mutant EGFR and downstream AKT and ERK1/2 phosphorylation in Ba/F3 cells stably transfected with $EGFR^{L858R}$, $EGFR^{L858R/T790M}$, $EGFR^{L858R/T790M/C797S}$ mutations[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	JBJ-02-112-05 (100 mg/kg; oral gavage; once daily; for 3 days; $EGFR^{L858R/T790M/C797S}$ genetically engineered mice) treatment inhibits phosphorylation of EGFR and downstream signaling pathways[1]. JBJ-02-112-05 exhibits a moderate half-life of 3 hours and a $_{Cmax}$ of 13.7 μM following 3 mg/kg intravenous (i.v.) dose. A 5 mg/kg oral dose of JBJ-02-112-05 achieves a half-life of 16.4 hours and a C_{Cmax} of 1.31 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	$EGFR^{L858R/T790M/C797S}$ genetically engineered mice[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; once daily; for 3 days
Result:	Inhibited phosphorylation of EGFR and downstream signaling pathways.

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA