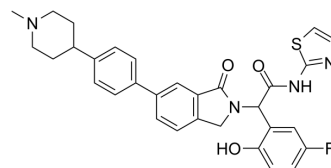


## JBJ-09-063

Cat. No.:	HY-147183
CAS No.:	2820336-67-0
Molecular Formula:	C <sub>31</sub> H <sub>29</sub> FN <sub>4</sub> O <sub>3</sub> S
Molecular Weight:	556.65
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 120 mg/mL (215.58 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.7965 mL	8.9823 mL	17.9646 mL
	5 mM	0.3593 mL	1.7965 mL	3.5929 mL
	10 mM	0.1796 mL	0.8982 mL	1.7965 mL

Please refer to the solubility information to select the appropriate solvent.

### BIOLOGICAL ACTIVITY

#### Description

JBJ-09-063 is a mutant-selective allosteric EGFR inhibitor with IC<sub>50</sub>s of 0.147 nM, 0.063 nM, 0.083 nM and 0.396 nM for EGFR L858R, EGFR L858R/T790M, EGFR L858R/T790M/C797S and EGFR L747S. JBJ-09-063 effectively reduces EGFR, Akt and ERK1/2 phosphorylation. JBJ-09-063 is effective across EGFR tyrosine kinase inhibitor (TKI)-sensitive and resistant models. JBJ-09-063 can be used for researching EGFR-mutant lung cancer<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

EGFR L858R 0.147 nM (IC <sub>50</sub> )	EGFR L858R/T790M 0.063 nM (IC <sub>50</sub> )	EGFR L858R/T790M/C797S 0.083 nM (IC <sub>50</sub> )	EGFR L747S 0.396 nM (IC <sub>50</sub> )
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#### In Vitro

JBJ-09-063 is remarkably effective at inhibiting cell growth and leads to a significant increase in apoptosis, even though H3255GR cells are resistant to gefitinib as a single agent, as they contain an EGFR T790M mutation<sup>[1]</sup>. JBJ-09-063 is effective in H1975 cells exogenously expressing the osimertinib-resistant mutations<sup>[1]</sup>. JBJ-09-063 exhibits IC<sub>50</sub>s of 50 nM and 6 nM in Ba/F3 cell when use alone or combination with [Cetuximab](#) (HY-P9905)<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

JBJ-09-063 (3 mg/kg i.v., 20 mg/kg p.o.) exhibits favorable pharmacokinetics properties and is sufficiently stable to deliver good efficacy upon oral dosing<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice <sup>[2]</sup>				
Dosage:	3 mg/kg for i.v., 20 mg/kg for p.o.				
Administration:	i.v. and p.o.; single dosage				
Result:	Pharmacokinetic Parameters of JBJ-09-063 in mice <sup>[2]</sup> .				
	Cl (mL/min/kg), i.v.	T <sub>1/2</sub> (h)	V <sub>SS</sub> (L/kg)	F (%)	AUC 8h (ng·h/mL)
	15.7	2.3	2.5	15	2398

## REFERENCES

[1]. To C, et al. An allosteric inhibitor against the therapy-resistant mutant forms of EGFR in non-small cell lung cancer. Nat Cancer. 2022 Apr;3(4):402-417.

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

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