Product Datasheet

JBJ-09-063

Catalog No. **BP-02249** · CAS **2820336-67-0** · Form **free base** · Physical state **other** · Version **1.0** · Revision **2026-09-03**

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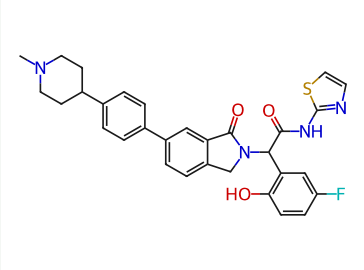
Target	Research area
EGFR	**Cancer**

Molecular formula	$C_{31}H_{29}FN_4O_3S$
Molecular weight	**556.6500**

STRUCTURE



DESCRIPTION

JBJ-09-063 is a mutant-selective allosteric EGFR inhibitor with IC50s 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 EGFRLT/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.

BIOLOGICAL ACTIVITY

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.

JBJ-09-063 is effective in H1975 cells exogenously expressing the osimertinib-resistant mutations.

JBJ-09-063 exhibits IC50s of 50 nM and 6 nM in Ba/F3 cell when use alone or combination with Cetuximab (HY-P9905).

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

SOLUBILITY

Soluble in DMSO

In vitro	DMSO : 120 mg/mL

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.

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com