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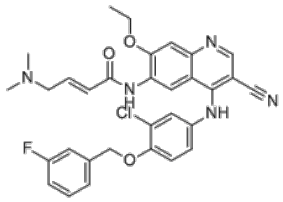
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HKI-357
Cat. No.	:	PC-38733
CAS No.	:	848133-17-5
Molecular Formula	:	$C_{31}H_{29}ClFN_5O_3$
Molecular Weight	:	574.05
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

HKI-357 is a potent, irreversible inhibitor of ErbB2 (HER2) and EGFR with IC50 values of 33 and 34 nM respectively.
HKI-357 inhibits ligand-induced EGFR autophosphorylation and cell proliferation in NCI-H1975 cells containing L858R and T790M mutations.
HKI-357 demonstrate increased killing of NSCLC cells harboring an EGFR mutation, compared with cells expressing wild-type receptor.
HKI-357 is 10-fold more effective than gefitinib in suppressing EGFR autophosphorylation (measured at residue Y1068), and AKT and MAPK phosphorylation in parental NCI-H1650 cells harboring the delE746-A750 EGFR mutation.

References

Eunice L Kwak, et al. Proc Natl Acad Sci U S A. 2005 May 24;102(21):7665-70.

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