

## **Data Sheet**

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 HKI-357

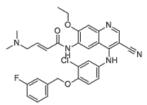
 Cat. No.
 :
 PC-38733

 CAS No.
 :
 848133-17-5

 Molecular Formula
 :
 C<sub>31</sub>H<sub>29</sub>CIFN<sub>5</sub>O<sub>3</sub>

**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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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