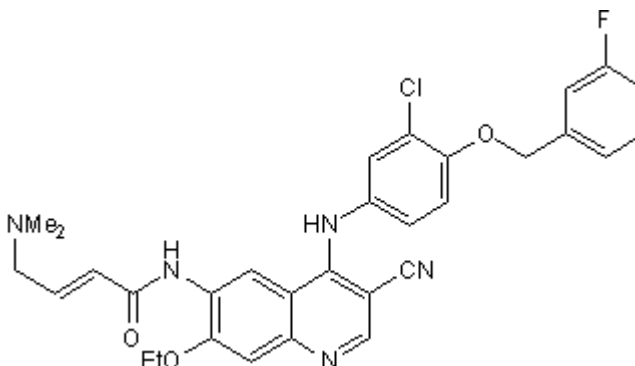


Product Name: HKI 357 **Catalog No.:** 3580 **Batch No.:** 2
CAS Number: 848133-17-5
IUPAC Name: (2E)-N-[[4-[[[3-Chloro-4-[(3-fluorophenyl)methoxy]phenyl]amino]-3-cyano-7-ethoxy-6-quinolinyl]-4-(dimethylamino)-2-butenamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₃₁H₂₉ClFN₅O₃
Batch Molecular Weight: 574.05
Physical Appearance: Off-white solid
Solubility: DMSO to 100 mM
 ethanol to 25 mM
Storage: Store at -20°C
Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.17 (Chloroform:Methanol [9:1])
HPLC: Shows 99% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure
Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	64.86	5.09	12.2
Found	65.1	4.98	12.28

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Potent, irreversible inhibitor of ErbB2 (HER2) and EGFR (IC₅₀ values are 33 and 34 nM respectively). Suppresses ligand-induced EGFR autophosphorylation and cell proliferation in NCI-H1975 cells containing L858R and T790M mutations. Circumvents mechanisms of resistance to Iressa (Cat. No. 3000) in non-small-cell lung cancer cells.

Physical and Chemical Properties:

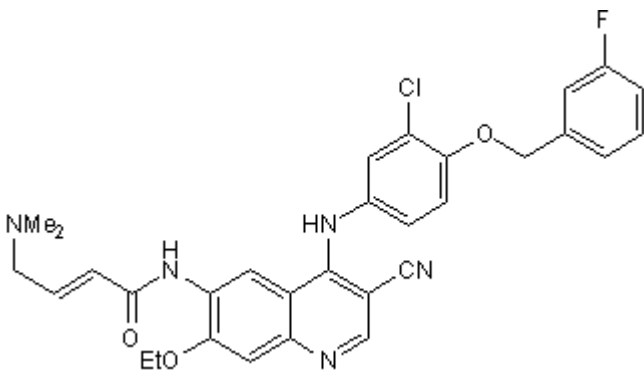
Batch Molecular Formula: C₃₁H₂₉ClFN₅O₃

Batch Molecular Weight: 574.05

Physical Appearance: Off-white solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 25 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Kwak *et al* (2005) Irreversible inhibitors of the EGF receptor may circumvent acquired resistance to gefitinib. *Proc.Natl.Acad.Sci.USA* **102** 7665. PMID: 15897464.

Tsou *et al* (2005) Optimization of 6,7-disubstituted-4-(arylamino)quinoline-3-carbonitriles as orally active, irreversible inhibitors of human epidermal growth factor receptor-2 kinase activity. *J.Med.Chem.* **48** 1107. PMID: 15715478.

Schiffer *et al* (2007) Pharmacology and signaling properties of epidermal growth factor receptor isoforms studied by bioluminescence resonance energy transfer. *Mol.Pharmacol.* **71** 508. PMID: 16968809.

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