Print Date: Aug 19th 2021

Certificate of Analysis

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Product Name: **Neratinib** **Catalog No.: 7371** **Batch No.: 1**

CAS Number: 698387-09-6

IUPAC Name: (2*E*)-*N*-[4-[[3-Chloro-4-(2-pyridinylmethoxy)phenyl]amino]-3-cyano-7-ethoxy-6-quinolinyl]-4-(dimethylamino)-2-butenamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{30}H_{29}ClN_6O_3.H_2O$

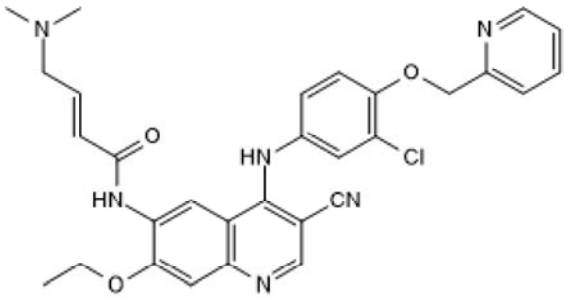
Batch Molecular Weight: 575.07

Physical Appearance: White solid

Solubility: DMSO to 5 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.3% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	62.66	5.43	14.61
Found	62.45	5.36	14.58

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Product Information

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Description:

Neratinib is a potent, irreversible inhibitor of HER-2/ErbB2 receptor tyrosine kinase and EGFR kinase (IC_{50} = 59 nM and 92 nM, respectively). Neratinib reduces receptor autophosphorylation and proliferation of EGFR-dependent cancer cells, blocks cell-cycle progression and inhibits HER-2 signaling pathways. Neratinib suppresses proliferation of gefitinib-resistant non-small cell lung cancer cells expressing mutant EGFR. Also inhibits MST1 (IC_{50} = 37.7 nM). Orally bioavailable.

Physical and Chemical Properties:

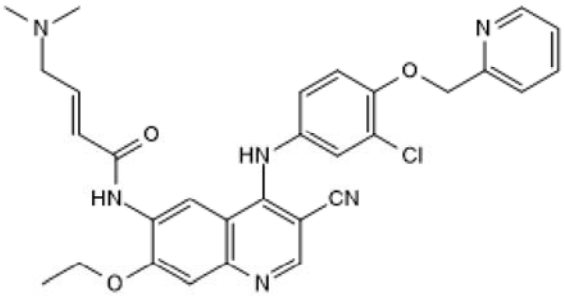
Batch Molecular Formula: $C_{30}H_{29}ClN_6O_3.H_2O$

Batch Molecular Weight: 575.07

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 5 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:

Yun *et al* (2008) The T790M mutation in EGFR kinase causes drug resistance by increasing the affinity for ATP. Proc.Natl.Acad.Sci.U.S.A. ***105*** 2070. PMID: 18227510.

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

Rabindran *et al* (2004) Antitumor activity of HKI-272, an orally active, irreversible inhibitor of the HER-2 tyrosine kinase. Cancer Res. ***64*** 3958. PMID: 15173008.