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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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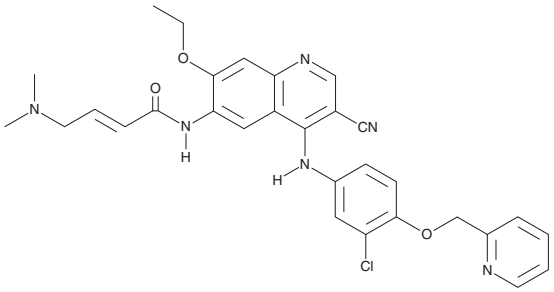
PRODUCT INFORMATION

Neratinib

Item No. 18404

CAS Registry No.:	698387-09-6
Formal Name:	(2E)-N-[4-[[3-chloro-4-(2-pyridinylmethoxy)phenyl]amino]-3-cyano-7-ethoxy-6-quinolinyl]-4-(dimethylamino)-2-butenamide
Synonym:	HKI-272
MF:	$C_{30}H_{29}ClN_6O_3$
FW:	557.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 260 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Neratinib is supplied as a crystalline solid. A stock solution may be made by dissolving the neratinib in the solvent of choice, which should be purged with an inert gas. Neratinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of neratinib in ethanol and DMF is approximately 1 mg/ml and approximately 2 mg/ml in DMSO.

Neratinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, neratinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Neratinib has a solubility of approximately 0.02 mg/ml in a 1:40 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Neratinib is a dual inhibitor of EGFR and HER2 (IC_{50}s = 92 and 59 nM, respectively).[1] It is selective for EGFR and HER2 over a panel of 12 kinases (IC_{50}s = >5,000 nM) but does inhibit VEGFR2 and Src (IC_{50}s = 800 and 1,400 nM, respectively). Neratinib inhibits the proliferation of NCI H508 colorectal cancer cells expressing wild-type or mutant forms of HER2 (IC_{50}s = 0.2-3 nM).[2] It reduces tumor growth in BT474 breast and SKOV3 ovarian cancer mouse xenograft models when administered at a dose of 40 mg/kg.[1] Formulations containing neratinib have been used in the treatment of breast cancer.

References

1. Rabindran, S.K., Discafani, C.M., Rosfjord, E.C., *et al.* Antitumor activity of HKI-272, an orally active, irreversible inhibitor of the HER-2 tyrosine kinase. *Cancer Res.* **64(11)**, 3958-3965 (2004).
2. Kavuri, S.M., Jain, N., Galimi, F., *et al.* HER2 activating mutations are targets for colorectal cancer treatment. *Cancer Discov.* **5(8)**, 832-841 (2015).

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