

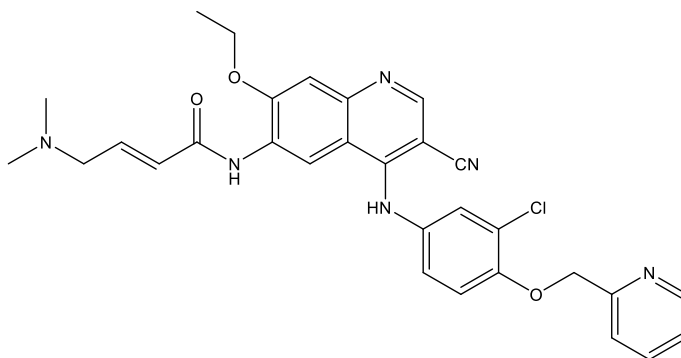
Catalog #10-3658

Neratinib

CAS# 698387-09-6

(2E)-N-[4-[[3-Chloro-4-(2-pyridinylmethoxy)phenyl]amino]-3-cyano-7-ethoxy-6-quinoliny]-4-(dimethylamino)-2-butenamide; HKI-272

Lot # E108322



A potent, irreversible inhibitor of HER2/ErbB2 receptor tyrosine kinase and EGFR kinase (IC₅₀s= 59 nM and 92 nM, respectively). It suppresses receptor autophosphorylation and proliferation, blocks cell-cycle progression and inhibits HER2 signaling in of EGFR-dependent cancer cells and tumor xenograft models.¹ Neratinib inhibits proliferation of gefitinib-resistant non-small cell lung cancer cells expressing mutant EGFR.² Synergizes with dasatinib in HER2-positive cancer cells and xenografts.³ It's been shown to also inhibit MST1 (IC₅₀ = 37.7 nM).⁴

- 1) Rabindran *et al.* (2004), *Antitumor activity of HKI-272, an orally active, irreversible inhibitor of the HER-2 tyrosine kinase*; *Cancer Res.* **64** 3958
- 2) Kwak *et al.* (2005), *Irreversible inhibitors of the EGF receptor may circumvent acquired resistance to gefitinib*; *Proc. Natl. Acad. Sci. USA* **102** 7665
- 3) Conlon *et al.* (2024), *Neratinib plus Dasatinib is highly synergistic in HER2-positive breast cancer in vitro and in vivo*; *Trans. Oncol.* **49** 102073
- 4) Yun *et al.* (2008), *The T790M mutation in EGFR kinase causes drug resistance by increasing the affinity for ATP*; *Proc. Natl. Acad. Sci. USA* **105** 20770

PHYSICAL DATA

Molecular Weight:	557.05
Molecular Formula:	C ₃₀ H ₂₉ ClN ₆ O ₃
Purity:	>98% (HPLC)
	NMR: (Conforms)
Solubility:	DMSO (4 mg/mL with warming)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

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