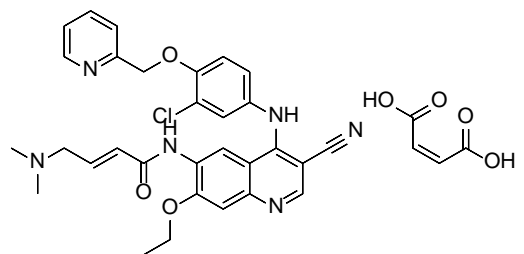


# Product data sheet



MedKoo Cat#: 3330233 Name: Neratinib maleate CAS: 915942-22-2 (maleate) Chemical Formula: C <sub>34</sub> H <sub>33</sub> ClN <sub>6</sub> O <sub>7</sub> Molecular Weight: 673.123		
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	
Shipping conditions	Ambient temperature	
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

Neratinib, also known as HKI-272 or PB272, is an orally available, irreversible inhibitor of the HER-2 receptor tyrosine kinase with potential antineoplastic activity. Neratinib binds to the HER-2 receptor irreversibly, thereby reducing autophosphorylation in cells, apparently by targeting a cysteine residue in the ATP-binding pocket of the receptor.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
TBD	TBD	TBD

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.49 mL	7.43 mL	14.86 mL
5 mM	0.30 mL	1.49 mL	2.97 mL
10 mM	0.15 mL	0.74 mL	1.49 mL
50 mM	0.03 mL	0.15 mL	0.30 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Ma H, Liu Y, Miao Z, Cheng S, Zhu Y, Wu Y, Fan X, Yang J, Li X, Guo L. Neratinib inhibits proliferation and promotes apoptosis of acute myeloid leukemia cells by activating autophagy-dependent ferroptosis. *Drug Dev Res.* 2022 Nov;83(7):1641-1653. doi: 10.1002/ddr.21983. Epub 2022 Aug 28. PMID: 36031759.

2. Qiu J, Jiang T, Yang G, Gong Y, Zhang W, Zheng X, Hong Z, Chen H. Neratinib exerts dual effects on cartilage degradation and osteoclast production in Osteoarthritis by inhibiting the activation of the MAPK/NF-κB signaling pathways. *Biochem Pharmacol.* 2022 Nov;205:115155. doi: 10.1016/j.bcp.2022.115155. Epub 2022 Jul 9. PMID: 35820500.

### In vivo study

1. Park YJ, An HT, Park JS, Park O, Duh AJ, Kim K, Chung KH, Lee KC, Oh Y, Lee S. Tyrosine kinase inhibitor neratinib attenuates liver fibrosis by targeting activated hepatic stellate cells. *Sci Rep.* 2020 Sep 8;10(1):14756. doi: 10.1038/s41598-020-71688-2. PMID: 32901093; PMCID: PMC7479613.

2. Ardestani A, Li S, Annamalai K, Lupse B, Geravandi S, Dobrowolski A, Yu S, Zhu S, Baguley TD, Surakattula M, Oetjen J, Hauberg-Lotte L, Herranz R, Awal S, Altenhofen D, Nguyen-Tran V, Joseph S, Schultz PG, Chatterjee AK, Rogers N, Tremblay MS, Shen W, Maedler K. Neratinib protects pancreatic beta cells in diabetes. *Nat Commun.* 2019 Nov 1;10(1):5015. doi: 10.1038/s41467-019-12880-5. PMID: 31676778; PMCID: PMC6825211.

# Product data sheet



## 7. Bioactivity

### Biological target:

Neratinib (HKI-272) maleate is an orally available, irreversible, highly selective HER2 and EGFR inhibitor with IC<sub>50</sub>s of 59 nM and 92 nM.

### In vitro activity

It was noted that Neratinib notably mitigated cell viability and proliferation, cut down Ki67 and proliferating cell nuclear antigen expression. Moreover, Neratinib hindered cell cycle at G0/G1 phase whereas exacerbated apoptosis. ROS, MDA and Fe<sup>2+</sup> activities were elevated by Neratinib, coupled with the reduced glutathione peroxidase 4, ferritin heavy chain 1 expression and enhanced acyl-CoA synthetase long-chain family member 4 expression.

Reference: Drug Dev Res. 2022 Nov;83(7):1641-1653. <https://pubmed.ncbi.nlm.nih.gov/36031759/>

### In vivo activity

This study elucidated the anti-fibrotic effects of neratinib in hepatic stellate cells (HSCs) and in vivo mouse models of CCl<sub>4</sub>-induced liver fibrosis. In vivo study results indicated that neratinib inhibited the inflammatory response, HSC differentiation, and collagen accumulation induced by CCl<sub>4</sub>. Moreover, the anti-fibrotic effects of neratinib were not associated with the HER2 signaling pathways.

Reference: Sci Rep. 2020 Sep 8;10(1):14756. <https://pubmed.ncbi.nlm.nih.gov/32901093/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*