Web: www.taiclone.com
Tel: +886-2-2735-9682
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Neratinib

Catalog No: tcsc0035

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
698387-09-6

Formula:
$C_{30}H_{29}ClN_6O_3$

Pathway:
JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:

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DMSO : 6.4 mg/mL (11.49 mM; Need ultrasonic)

Alternative Names:
HKI-272

Observed Molecular Weight:
557.04

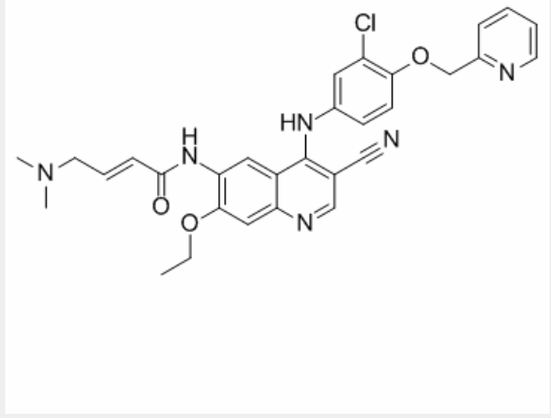
Product Description

Neratinib is an orally available, irreversible **tyrosine kinase** inhibitor with **IC_{50}**s of 59 nM and 92 nM for HER2 and EGFR, respectively.

IC50 & Target: IC50: 59 nM (HER2), 92 nM (EGFR)

In Vitro: Neratinib has inhibition of tyrosine kinases KDR and Src with IC_{50} of 0.8 μM and 1.4 μM, respectively, being 14- and 24-fold less active compared with HER2. Neratinib displays no activity against other serine-threonine kinases such as Akt, cyclin D1/cdk4, cyclin E/cdk2, cyclin B1/cdk1, IKK-2, MK-2, PDK1, c-Raf, and Tpl-2, as well as the tyrosine kinase c-Met. Neratinib selectively inhibits the proliferation of 3T3 cells transfected with the HER2 (3T3/neu), as well as two other HER-2-overexpressing SK-Br-3 and BT474 cells with IC_{50} values of 2-3 nM, displaying > 230-fold potency compared with non-transfected 3T3 cells as well as MDA-MB-435 and SW620 which are EGFR- and HER2-negative. Neratinib also inhibits the proliferation of EGFR-dependent A431 cells with an IC_{50} of 81 nM. Neratinib reduces HER2 receptor autophosphorylation in BT474 cells with an IC_{50} of 5 nM, and EGF-dependent phosphorylation of EGFR in A431 cells with IC_{50} of 3 nM. Blocking of HER-2 by Neratinib results in inhibition of downstream MAPK and Akt pathways with IC_{50} of 2 nM, more potently than Trastuzumab. Neratinib inhibits the cyclin D1 expression and the phosphorylation of the Rb-susceptibility gene production in BT474 cells with IC_{50} of 9 nM, leading to G1-S arrest and ultimately decreased cell proliferation[1].

In Vivo: Orally treated neratinib significantly inhibits the growth of 3T3/neu xenografts, with inhibition of 34%, 53%, 98%, and 98% at dose of 10, 20, 40, and 80 mg/kg/day, respectively. Consistent with the inhibition of HER-2 phosphorylation by 84% within 1 hour of administration at 40 mg/kg/day, Neratinib inhibits the growth of BT474 xenografts by 70-82%, 67%, and 93% at dose of 5, 10, and 40 mg/kg/day, respectively. Neratinib is also effective against SK-OV-3 xenografts with inhibition of 31% and 85% at 5 and 60 mg/kg/day, respectively. Neratinib is less potent against EGFR-dependent A431 xenografts than HER-2-dependent tumors, with 32% and 44% inhibition at 5 and 20 mg/kg/day, respectively. Neratinib displays little activity against MCF-7 and MX-1 xenografts expressing low levels of HER-2 and EGFR, with only 28% inhibition at 80 mg/kg/day, suggesting that Neratinib has selective activity for cells expressing HER-2 or EGFR[1].



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