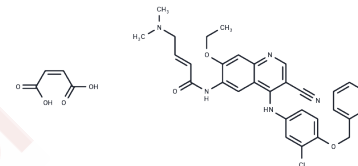


Neratinib maleate

Chemical Properties

CAS No. :	915942-22-2
Formula:	C ₃₄ H ₃₃ ClN ₆ O ₇
Molecular Weight:	673.11
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Neratinib maleate (HKI-272 maleate) is an irreversible, orally active and highly selective inhibitor of HER2 and EGFR with IC ₅₀ values of 59 nM and 92 nM, respectively. Neratinib maleate has antitumor activity and can be used to treat gastrointestinal, respiratory, genitourinary, and cutaneous and musculoskeletal diseases, and can be used to study breast and prostate cancer. used in the study of breast and prostate cancer.
Targets(IC ₅₀)	EGFR,HER
In vitro	Neratinib maleate (0.5 ng/mL-5 µg/mL; 2 days) inhibits the proliferation of cell lines that show high levels of HER-2 (3T3/neu, SK-Br-3, and BT474 (7 days)) and is much less active in cell lines that express neither HER-2 nor EGFR (3T3, MDA-MB-435, and SW620). [1] Neratinib maleate (0-2 nM; 12-16 h) arrests BT474 cell cycle at the G1-S phase.[1] Neratinib maleate results in the inhibition of MAPK and Akt phosphorylation, down-regulation of cyclin D1 levels, and induction of p27.[1]
In vivo	Neratinib maleate (HKI-272) (10, 20, 40, 60 or 80 mg/kg/day; i.g.; 42 days; Female athymic (nude) mice, tumor xenograft) reduced tumor growth in a dose-dependent manner in 3T3/neu, BT474, SK-OV-3, and A431 xenografts, but was inactive in xenografts of MX-1 and MCF-7. Inhibited phosphorylation of HER-2 in BT474 xenografts. It shows anticancer activities against cancer cells that express high levels of HER-2 or EGFR.[1]

Solubility Information

Solubility	DMSO: 225 mg/mL (334.27 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4856 mL	7.4282 mL	14.8564 mL
5 mM	0.2971 mL	1.4856 mL	2.9713 mL
10 mM	0.1486 mL	0.7428 mL	1.4856 mL
50 mM	0.0297 mL	0.1486 mL	0.2971 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Rabindran SK, et al. Antitumor activity of HKI-272, an orally active, irreversible inhibitor of the HER-2 tyrosine kinase. *Cancer Res.* 2004;64(11):3958-3965.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481