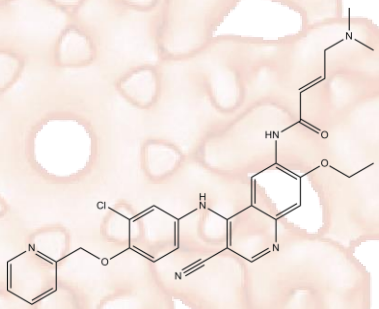
Product Data Sheet

Neratinib (HKI-272)



Cat. No.:	A8322
CAS No.:	698387-09-6
Formula:	C30H29ClN6O3
M.Wt:	557.04
Synonyms:	HKI-272;HKI272;HKI 272
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾13.9mg/mL in DMSO with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7952 mL	8.9760 mL	17.9520 mL
5 mM	0.3590 mL	1.7952 mL	3.5904 mL
10 mM	0.1795 mL	0.8976 mL	1.7952 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	HER2/EGFR inhibitor,potent and irreversible
IC_{50} & Target	59 nM (HER2), 92 nM (EGFR)

In Vitro

Cell Viability Assay

Cell Line:	3T3, 3T3/neu, A431, BT474, SK-Br-3, MDA-MB-435 and SW480 cells
Preparation method:	The solubility of this compound in DMSO is limited. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	0.5 ng/mL ~ 5 μg/mL; 2 or 6 days
Applications:	Neratinib selectively inhibited the proliferation of HER2-overexpressing

		3T3/neu, SK-Br-3 and BT474 cells, with the IC50 values of 2 ~ 3 nM, displaying > 230-fold potency in HER2-overexpressing cells than in non-transfected 3T3 cells as well as EGFR- and HER2-negative MDA-MB-435 and SW620 cells. Neratinib also blocked the proliferation of EGFR-positive A431 cells, with an IC50 value of 81 nM.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice bearing 3T3/neu and BT474 cells
	Dosage form:	5, 10, 20, 40 and 80 mg/kg/day; p.o.
	Applications:	In nude mice bearing 3T3/neu xenografts, Neratinib significantly inhibited tumor growth by 34%, 53%, 98% and 98% at the doses of 10, 20, 40 and 80 mg/kg/day, respectively. Neratinib also exhibited inhibitory effects on the growth of BT474 xenografts by 70 ~ 82%, 67% and 93% at corresponding doses of 5, 10 and 40 mg/kg/day.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Duggan BM, Foley KP, et al."Tyrosine kinase inhibitors of Ripk2 attenuate bacterial cell wall-mediated lipolysis, inflammation and dysglycemia." Sci Rep. 2017 May 8;7(1):1578.PMID:28484277

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References

[1]. Rabindran SK, Discafani CM, Rosfjord EC, Baxter M, Floyd MB, Golas J, Hallett WA, Johnson BD, Nilakantan R, Overbeek E, Reich MF, Shen R, Shi X, Tsou HR, Wang YF, Wissner A. Antitumor activity of HKI-272, an orally active, irreversible inhibitor of the HER-2 tyrosine kinase. Cancer Res. 2004;64(11):3958-65.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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