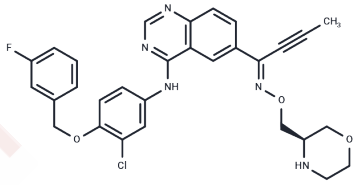
Data Sheet (Cat.No.T11213L)

TargetMol

Epertinib

Chemical Properties



CAS No. : 908305-13-5

Formula: C30H27ClFN5O3

Molecular Weight: 560.02

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	Epertinib is a reversible and selective tyrosine kinase inhibitor of EGFR, HER2, and HER4 (IC50s: 1.48 nM, 7.15 nM, and 2.49 nM). It displays a strong antitumor activity.
Targets(IC50)	EGFR,HER
In vitro	Epertinib displays inhibitory activity against the growth of cancer cell lines expressing EGFR and/or HER2 (IC50s: 8.3 nM (NCI-N87 (stomach)), 9.9 nM (BT-474 (breast)), and 14 nM (SK-BR-3 (breast))) [1]. Epertinib shows no effect on KDR, IGF1R, SRC, KIT, and PDGFRβ (IC50, >10000 nM). Epertinib inhibits relative phosphorylation of EGFR and HER2 in NCI-N87 cells (IC50s: 4.5 and 1.6 nM) and it also inhibits MDA-MB-361 cell growth (IC50: 26.5 nM) [2].
In vivo	Epertinib displays antitumor activity in nude mice bearing NCI-N87 xenograft via oral administration for 21 days (ED50:10.2 mg/kg). Epertinib (50 mg/kg, p.o.) is four times more potent activity than GW572016 and completely inhibits the growth of cancer cells in mice [1] and it also obviously decreases the brain tumor volume in the breast cancer intraventricular injection mouse brain metastasis model [2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7857 mL	8.9283 mL	17.8565 mL
5 mM	0.3571 mL	1.7857 mL	3.5713 mL
10 mM	0.1786 mL	0.8928 mL	1.7857 mL
50 mM	0.0357 mL	0.1786 mL	0.3571 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

Tanaka H, et al. Preclinical antitumor activity of S-222611, an oral reversible tyrosine kinase inhibitor of epidermal growth factor receptor and human epidermal growth factor receptor 2. Cancer Sci. 2014 Aug;105(8):1040-8.
Tanaka Y, et al. Distribution analysis of epertinib in brain metastasis of HER2-positive breast cancer by imaging mass spectrometry and prospect for antitumor activity. Sci Rep. 2018 Jan 10;8(1):343.

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