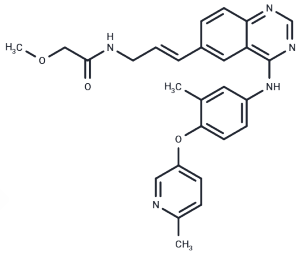
Data Sheet (Cat.No.T4014)

TargetMol

CP-724714

Chemical Properties



CAS No. : 383432-38-0

Formula: C27H27N5O3

Molecular Weight: 469.54

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	CP-724714 (CP724714) is an effective and selective HER2/ErbB2 inhibitor (IC50: 10 nM), >640-fold selectivity against EGFR, Abl, InsR, PDGFR, IRG-1R, Src, VEGFR2, c-Met etc.
Targets(IC50)	Apoptosis,EGFR
In vitro	CP-724714 is obvious selectively against EGFR (IC50: 6.4 μM). CP-724714 is >1,000-fold less potent for IGF-1R, IR, VGFR2, PDGFRβ, Src, ZAP-70, JNK-2/3, and CDK-2/5. CP-724714 markedly reduces the EGF-induced autophosphorylation of the chimera containing the erbB2 kinase domain (IC50: 32 nM). CP-724714 inhibits the proliferation of erbB2-amplified cells including BT-474 (IC50: 0.25 μM) and SKBR3 (IC50: 0.95 μM). CP-724714 (1 μM) induces the accumulation of cells in G1 phase and a marked reduction in S-phase in BT-474 cells. CP-724714 inhibits TC transport in membrane vesicles expressing human bile salt export pump (IC50: 16 μM) and inhibits the major efflux transporter in bile canaliculi, MDR1 (IC50: 28 μM).
In vivo	CP-724714 (25 mg/kg) is rapidly absorbed after p.o. administration and causes reduction of tumor erbB2 receptor phosphorylation after dosing in FRE-erbB2 or BT-474 xenografts. CP-724,714 induces apoptosis in FRE-erbB2 xenograft-bearing (s.c.) mice and shows 50% tumor growth inhibition at 50 mg/kg, without mortality or weight loss. In MDA-MB-453, MDA-MB-231, LoVo (colon), and Colo-205 (colon) xenografts, CP-724714 also has great antitumor activity. In BT-474 xenografts, CP-724714 (30/100 mg/kg) reduces the extracellular signal-regulated kinase and Akt phosphorylation.

Solubility Information

Solubility	DMSO: 55 mg/mL (117.14 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.26 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1297 mL	10.6487 mL	21.2974 mL
5 mM	0.4259 mL	2.1297 mL	4.2595 mL
10 mM	0.213 mL	1.0649 mL	2.1297 mL
50 mM	0.0426 mL	0.213 mL	0.4259 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

Jani JP, et al. Discovery and pharmacologic characterization of CP-724,714, a selective ErbB2 tyrosine kinase inhibitor. Cancer Res, 2007, 67(20), 9887-9893.
Feng B, et al. Role of hepatic transporters in the disposition and hepatotoxicity of a HER2 tyrosine kinase inhibitor CP-724,714. Toxicol Sci, 2009, 108(2), 492-500.