CP-724714

Cat. No.: HY-14674

CAS No.: 383432-38-0

Molecular Formula: $C_{27}H_{27}N_5O_3$

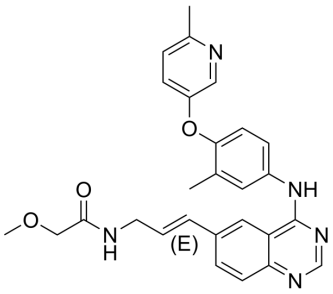
Molecular Weight: 469.53

Target: EGFR; Apoptosis

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (106.49 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1298 mL	10.6489 mL	21.2979 mL
5 mM	0.4260 mL	2.1298 mL	4.2596 mL
10 mM	0.2130 mL	1.0649 mL	2.1298 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.32 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CP-724714 is a potent, selective and orally active ErbB2 (HER2) tyrosine kinase inhibitor, with an IC_{50} of 10 nM. CP-724714 displays a marked selectivity against EGFR kinase (IC_{50}=6400 nM). CP-724714 potently inhibits ErbB2 receptor autophosphorylation in intact cells. Antitumor activities[1][2].

IC_{50} & Target

ErbB2

10 nM (IC_{50})

In Vitro

CP-724714 is >1,000-fold less potent for insulin receptor, insulin-like growth factor-I receptor, platelet-derived growth factor β, vascular endothelial growth factor 2, Abl, Src, c-Met, JNK-2, JNK-3, ZAP-70, Cdk-2, and Cdk-5[1].

CP-724714 potently reduces the EGF-induced autophosphorylation of the chimera containing the erbB2 kinase domain at a concentration as low as 50 nmol/L (IC_{50}=32 nM) but is markedly less potent against EGFR[1].

CP-724714 (1 μM; 24 hours) induces G1 cell cycle block in vitro in erbB2-overexpressing BT-474 human breast carcinoma cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	erbB2-amplified BT-474 breast cancer cells
Concentration:	1 μM
Incubation Time:	24 hours
Result:	Resulted in accumulation of cells in G1 phase and a marked reduction in S-phase cells.

In Vivo

CP-724714 (3.25-100 mg/kg; p.o.; 0.5-8 hours) results in a concentration-dependent reduction of ErbB2 receptor phosphorylation[1].

CP-724714 (6.25-100 mg/kg; p.o.; q.d; for 8 to 40 day) inhibits FRE-erbB2 xenograft growth[1].

CP-724714 (Athymic, female FRE-erbB2 xenograft-bearing mice; 30 or 100 mg/kg; p.o.) treatments results in a time- and dose- dependent induction of apoptosis, which was evident as early as 4 to 8 h after dosing. Approximately 75% more tumor cells exhibited apoptotic changes in the 100 mg/kg treatment group compared with vehicle control group at 8 h after dosing. CP-724714 induces regression of BT-474 tumors and significant inhibition in a number of other human tumor xenografts. Additionally, CP-724714 showed a favorable nonclinical toxicity profile with no apparent effects on cardiac tissue[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female athymic mice (bearing FRE-erbB2 xenografts)[1]
Dosage:	3.25-100 mg/kg
Administration:	P.o.; 0.5-8 hours
Result:	Produced a reduction of erbB2 tyrosine phosphorylation in FRE-erbB2 xenografts.

Animal Model:	Athymic female mice bearing FRE-erbB2 xenografts[1]
Dosage:	6.25- 100 mg/kg
Administration:	P.o.; q.d; for 8 to 40 day
Result:	Resulted in an inhibition of FRE-erbB2 xenografts.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Virol Sin. 2023 Jul 3;S1995-820X(23)00079-2.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. 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.

[2]. 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.

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