

CP-724714

Catalog No: tcsc0262



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

383432-38-0

Formula:

$C_{27}H_{27}N_5O_3$

Pathway:

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:

EGFR;EGFR

Purity / Grade:

>98%

Solubility:

DMSO : \geq 50 mg/mL (106.49 mM)

Observed Molecular Weight:

469.54

Product Description

CP-724,714 is a potent, selective inhibitor of HER2/ErbB2 with IC50 of 10 nM, >640-fold selectivity against EGFR, InsR, IRG-1R,

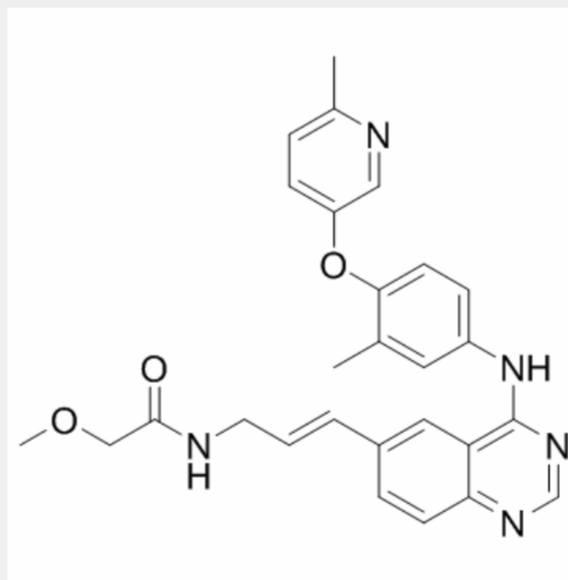
PDGFR, VEGFR2, Abl, Src, c-Met etc. Phase 2.

IC50 value: 10 nM [1]

Target: HER2/ErbB2

in vitro: CP-724,714 is marked selectively against EGFR with IC50 of 6.4 μ M. CP-724,714 is >1,000-fold less potent for IR, IGF-1R, PDGFR β , VEGFR2, abl. Src, c-Met c-jun NH2-terminal kinase (JNK)-2, JNK-3, ZAP-70, cyclin-dependent kinase (CDK)-2, and CDK-5. CP-724,714 potently reduces the EGF-induced autophosphorylation of the chimera containing the erbB2 kinase domain with IC50 of 32 nM, but is markedly less potent against EGFR in transfected NIH3T3 cells. CP-724,714 sensitively inhibits the proliferation of erbB2-amplified cells including BT-474 and SKBR3, with IC50 of 0.25 and 0.95 μ M. CP-724,714 induces the accumulation of cells in G1 phase and a marked reduction in S-phase in BT-474 cells at 1 μ M [1]. CP-724,714 likely exerts its hepatotoxicity via both hepatocellular injury and hepatobiliary cholestatic mechanisms. CP-724,714 displays inhibition of cholyl-lysyl fluorescein and taurocholate (TC) efflux into canaliculi in cryopreserved and fresh cultured human hepatocytes, respectively. CP-724,714 inhibits TC transport in membrane vesicles expressing human bile salt export pump with IC50 of 16 μ M and inhibits the major efflux transporter in bile canaliculi, MDR1, with IC50 of \sim 28 μ M [2].

in vivo: CP-724,714 (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 weight loss or mortality. CP-724,714 also has great antitumor activity in MDA-MB-453, MDA-MB-231, LoVo (colon), and Colo-205 (colon) xenografts. Furthermore, CP-724,714 (30 or 100 mg/kg) reduces the extracellular signal-regulated kinase and Akt phosphorylation in BT-474 xenografts [1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!