

Product Specification Sheet

Product Name: CP-724714

Catalog Number: C2772

Technical information:

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

CAS #: 383432-38-0

Molecular Weight: 469.53

Purity: > 99%

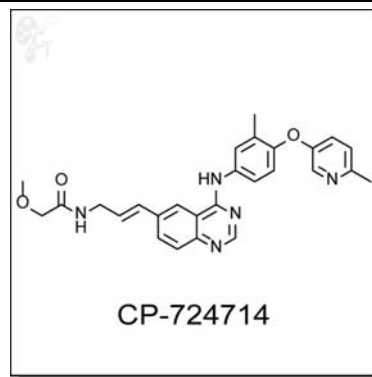
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: (E)-2-methoxy-N-(3-(4-(3-methyl-4-(6-methylpyridin-3-yloxy)phenylamino)quinazolin-6-yl)allyl)acetamide

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.213mL of DMSO for each mg of CP-724714.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: CP-724714 is a quinazoline-based, orally-available, ATP-competitive inhibitor of erbB2 at an IC50 of 10 nM, with exquisite selectivity over EGFR kinase (6.4 μ M) and >1000-fold selectivity over IR, IGFR, PDGFR β , VEGFR2, Abl, Src, cMet, JNK, CDK2, and CDK5. [1] CP-724714 is a potent inhibitor of erbB2 autophosphorylation (EC50 = 1 μ g/mL) and induces G1 cell cycle arrest with concomitant reduction in S-phase cells. [1]

CP-724714 exhibits linear single-dose and multiple-dose pharmacokinetics. [2], but was discontinued from clinical development due to unexpected hepatotoxicity. [3]

- Reference:**
1. Jani et al., Discovery and Pharmacologic Characterization of CP-724714, a Selective ErbB2 Tyrosine Kinase Inhibitor. *Cancer Res.* 2007, 67, 9887-9893. Pubmed ID: 17942920
 2. Guo et al., Pharmacokinetics of a HER2 tyrosine kinase inhibitor CP-724,714 in patients with advanced malignant HER2 positive solid tumors: correlations with clinical characteristics and safety. *Cancer Chemother. Pharmacol.*, 2008, 62, 97-109. Pubmed ID: 17805538
 3. Feng 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.

To reorder: <http://www.cellagentech.com/CP-724714/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.