

Product Data Sheet

Product Name: CP-724714

Cat. No.: GC13091

Chemical Properties

Cas. No. 383432-38-0

Chemical Name 2-methoxy-N-[(E)-3-[4-[3-methyl-4-(6-methylpyridin-3-yl)oxyanilino]quinazolin-6-yl]prop-2-enyl]acetamide

SMILES CC1=NC=C(C=C1)OC2=C(C=C(C=C2)NC3=NC=NC4=C3C=C(C=C4)C=CCNC(=O)CO)C

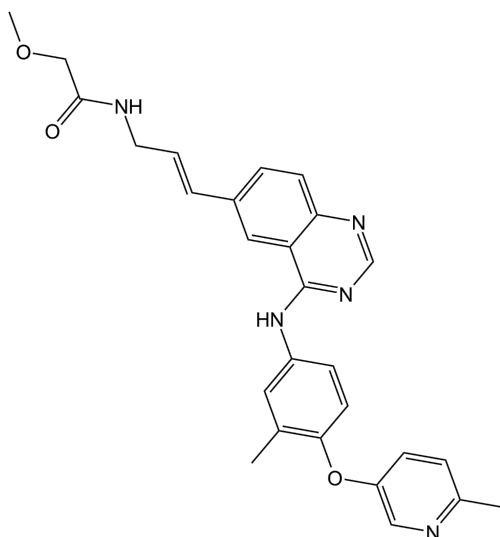
Formula $C_{27}H_{27}N_5O_3$ M.Wt 469.53

Solubility $\geq 23.5\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

CP-724714 is an inhibitor of erbB2 and EGFR kinases with IC_{50} values of 10 ± 3 nmol/L and $6,400 \pm 2,100$ nmol/L, respectively [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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In the in vitro cell cycle assay, CP-724714 cause a G1 block of the Her2-amplified BT-474 breast cancer cells due to its inhibition of erbB2. CP-724714 at 1 μ mol/L can also reduce the level of phospho-erbB2 in these cells. In in vivo assay, CP-724714 cause a concentration-dependent reduction of tumor erbB2 receptor phosphorylation in athymic mice bearing FRE-erbB2

Xenografts. CP-724714 treatments also resulted in a time- and dose-dependent induction of tumor cell apoptosis. In two human breast carcinoma models, BT-474 and MDA-MB-453, which are Her2 amplified and highly overexpress erbB2, CP-724714 is found to produce a dose-dependent inhibition of xenograft growth. In addition, CP-724,714 treatments induce reduction of downstream erbB2 RTK signaling. On the basis of these, CP-724,714 was advanced to phase I clinical trials and is potentially another option for Her2-driven breast cancer [1].

References:

[1] Jitesh P. Jani, Richard S. Finn, Mary Campbell, et al. Discovery and Pharmacologic Characterization of CP-724714, a Selective ErbB2 Tyrosine Kinase Inhibitor. Cancer Research. 2007 (67): 9887-9893.

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