Cat No. 21-Q15

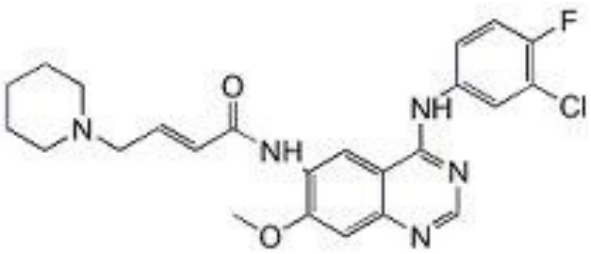
Dacomitinib

5mg

For research purposes only

Dacomitinib, also known as PF-00299804, is a second-generation irreversible pan-erbB (HER) receptor tyrosine kinase inhibitor. It is believed to irreversibly inhibit erbB tyrosine kinase activity through binding at the ATP site and covalent modification of nucleophilic cysteine residues in the catalytic domains of erbB family members. The HER family of tyrosine kinases included receptors HER-1 (EGFR), HER-2,3 and 4. The HER signaling pathway plays a role in the normal regulation of cell growth and proliferation, differentiation, and apoptosis. Activation of HER receptors drives signal transduction pathways; dysregulated signaling through the HER receptors may lead to malignant transformation and grow

TECHNICAL INFORMATION



Other Names: (E)-N-[4-(3-chloro-4-fluoroanilino)-7-methoxyquinazolin-6-yl]-4-piperidin-1-ylbut-2-enamide

Chemical Formula: $C_{24}H_{25}ClFN_5O_2$

CAS Number: 1110813-31-4

PubChem Substance ID: 11511120

Molecular Weight: 469.94

Purity: >98%

Appearance: White Powder

Solubility: DMSO

IC_{50} : HER-1: 6nM, HER-2: 45.7nM, HER-4: 73.7nM

STORAGE AND HANDLING

Storage: Store at 4°C and protected from light. Following reconstitution, store aliquots at -20°C.

Stability: Stock solutions stable at -20°C for up to 2 years.

Shipping Conditions: Shipped at room temperature.

PRODUCT USE

Soluble in 21 mg/mL of DMSO.

REFERENCES

1. Bello, C.L., et al (2012). The effect of Dacomitinib (PF-00299804) on CYP2D6 activity in healthy volunteers who are extensive or intermediate metabolizers. Cancer Chemother Pharmacol. 69:991-7.
2. Takahashi, T., et al (2012). Phase 1 and pharmacokinetic study of Dacomitinib (PH-00299804), an oral irreversible, small molecule inhibitor of human epidermal growth factor receptor –1, -2 and –4 tyrosine kinases, in Japanese patients with advanced solid tumors. Invest new Drugs.
3. Ramalingam, S.S., et al (2012). Randomized phase II study of Dacomitinib (PF-00299804), an irreversible pan-human epidermal growth factor receptor inhibitor, versus erlotinib in patients with advanced non-small-cell lung cancer. J. Din. Oncol.