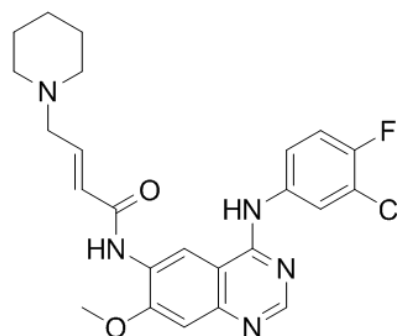


Product Name : Dacomitinib
Cat. No. : PC-43452
CAS No. : 1110813-31-4
Molecular Formula : C₂₄H₂₅ClFN₅O₂
Molecular Weight : 469.94
Target : EGFR
Solubility : DMSO: ≥ 50 mg/mL (Need ultrasonic)



Biological Activity

Dacomitinib (PF-00299804, PF-299804) is a potent, irreversible, orally active **pan-ErbB** receptor tyrosine kinase inhibitor with IC₅₀ of 6, 45.7 and 73.7 for EGFR, ERBB2 and ERBB4, respectively.

Dacomitinib (PF-00299804, PF-299804) irreversibly inhibits 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 inhibits erbB1 autophosphorylation in the A431 human squamous cell carcinoma line with IC₅₀ of 15.1 nM.

Dacomitinib (PF-00299804, PF-299804) causes significant antitumor activity, including marked tumor regressions in a variety of human tumor xenograft models that express and/or overexpress erbB family members or contain the double mutation (L858R/T790M) in EGFR associated with resistance to gefitinib and erlotinib.

References

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 Gonzales AJ, et al. *Mol Cancer Ther.* 2008 Jul;7(7):1880-9.
 Nguyen KS, et al. *Clin Lung Cancer.* 2009 Jul;10(4):281-9.
 Kalous O, et al. *Mol Cancer Ther.* 2012 Sep;11(9):1978-87.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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