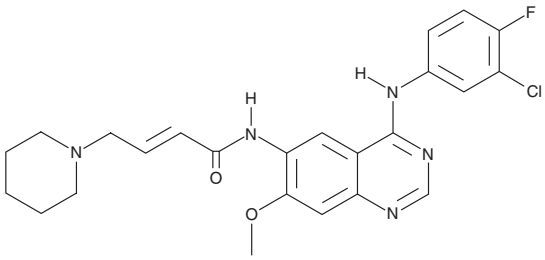
PRODUCT INFORMATION

PF-299804

Item No. 9001879

CAS Registry No.:	1110813-31-4
Formal Name:	N-[4-[(3-chloro-4-fluorophenyl) amino]-7-methoxy-6-quinazolinyl]-4-(1-piperidinyl)-(2E)-butenamide
Synonyms:	Dacomitinib, PF-299
MF:	$C_{24}H_{25}ClFN_5O_2$
FW:	469.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 234, 254, 342 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-299804 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-299804 in the solvent of choice, which should be purged with an inert gas. PF-299804 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PF-299804 in ethanol is approximately 0.3 mg/ml and approximately 20 mg/ml in DMSO and DMF.

PF-299804 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-299804 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PF-299804 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The epidermal growth factor receptors (EGFR), whose members include ErbB1 (HER1), ErbB2 (HER2), ErbB3 (HER3), and ErbB4 (HER4), are receptor tyrosine kinases that are often over-expressed in cancer.[1,2] PF-299804 is a second generation pan-ErbB receptor tyrosine kinase inhibitor (IC_{50}s = 6, 45.7, and 73.7 nM for ErbB1, ErbB2, and ErbB4, respectively) that irreversibly binds to the ATP site in the catalytic domains of ErbB receptors.[3,4] At 15 mg/kg, it demonstrates antitumor activity ranging from delay in tumor growth to complete regression in various tumor xenograft models expressing either wild-type ErbB or mutant ErbB family members that show resistance to first generation ErbB kinase inhibitors.[3,4]

References

1. Gerber, D.E. EGFR inhibition in the treatment of non-small cell lung cancer. *Drug Dev. Res.* **69(6)**, 359-372 (2008).
2. Ciardiello, F. and Tortora, G. A novel approach in the treatment of cancer: Targeting the epidermal growth factor receptor. *Clin. Cancer Res.* **7**, 2958-2970 (2001).
3. Engelman, J.A., Zejnullahu, K., Gale, C.-M., *et al.* PF00299804, an irreversible pan-ERBB inhibitor, is effective in lung cancer models with EGFR and ERBB2 mutations that are resistant to gefitinib. *Cancer Res.* **67(24)**, 11924-11932 (2007).
4. Gonzales, A.J., Hook, K.E., Althaus, I.W., *et al.* Antitumor activity and pharmacokinetic properties of PF-00299804, a second-generation irreversible pan-erbB receptor tyrosine kinase inhibitor. *Mol. Cancer Ther.* **7(7)**, 1880-1889 (2008).

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