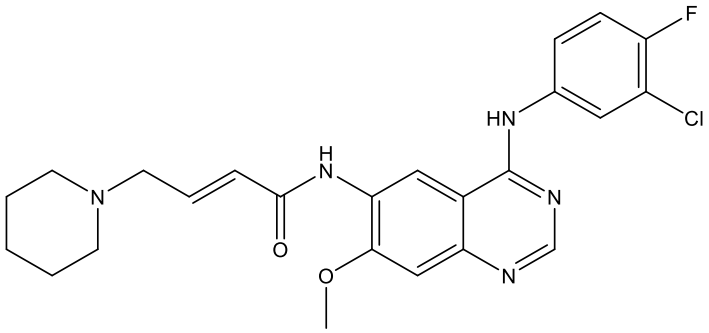
Catalog # 10-5340
Dacomitinib

CAS# 1110813-31-4

N-[4-[(3-Chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]-4-(1-piperidinyl)-(2E)-butenamide; PF299; PF00299804

Lot # E107723



Dacomitinib is a potent, irreversible second generation pan-ErbB inhibitor (IC_{50}s= 6, 45.7 and 73.7 nM for EGFR, ERBB2 and ERBB4 respectively).[1] It inhibits wild-type and mutant forms of ErbB including EGFR T780M and is highly effective for both the wild-type ERBB2 and the gefitinib-resistant oncogenic mutation identified in lung cancers. It is also effective against EGFR L858R[2] and L747P[3] mutations. It was also shown to be an inhibitor of 4-hydroxyphenylpyruvate dioxygenase, a copper-binding protein, (HPD) triggering an interaction between HPD and dihydrolipoamide S-acetyltransferase and consequently inducing cuproptosis in colorectal cancer.[4]

1) Engelman *et al*. (2007), *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** 11924
2) Wang *et al*. (2026), *Dacomitinib for EGFR-L858R-mutated non-small cell lung cancer following acquired resistance to third-generation EGFR-TKIs: a retrospective real-world study*; Transl. Lung Cancer Res. **15** 121
3) Su *et al*. (2026), *Dacomitinib in Combination with chemotherapy is effective in lung adenocarcinoma with rare EGFR L747P mutation and bone metastases: a case report*; Front. Oncol. **16** 1762855
4) Dai *et al*. (2026), *HPD is a copper-binding protein that interacts with DLAT to promote colorectal cancer cuproptosis under copper stress.*; Cell Rep. **45** 117633

PHYSICAL DATA

Molecular Weight:	469.95
Molecular Formula:	$C_{24}H_{25}ClFN_5O_2$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	Soluble in DMSO (50 mg/mL)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied, desiccated at -20°C for up to 2 years from the date of purchase.
	Solutions in DMSO may be stored at -20°C for up to 1 month.

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