

Product Name: Dacomitinib

Catalog No.: 6231

Batch No.: 1

CAS Number: 1110813-31-4

IUPAC Name: (2*E*)-*N*-[4-[(3-Chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazoliny]-4-(1-piperidiny)-2-butenamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₄H₂₅ClFN₅O₂.H₂O

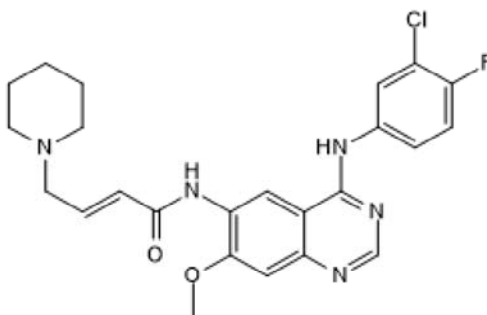
Batch Molecular Weight: 487.96

Physical Appearance: Off White solid

Solubility: 1eq. HCl to 100 mM
DMSO to 100 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.8% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	59.08	5.58	14.35
Found	58.85	5.65	14.5

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Dacomitinib is a potent irreversible pan ErbB inhibitor (IC₅₀ values are 6.0, 45.7 and 73.7 nM for EGFR, ERBB2 and ERBB4, respectively). Inhibits mutant and wild type forms of ErbB, including EGFR T780M, and shows activity in NSCLC cell lines sensitive and resistant to Iressa (Cat. No. 3000). Inhibits tumor growth. Orally bioavailable.

Physical and Chemical Properties:

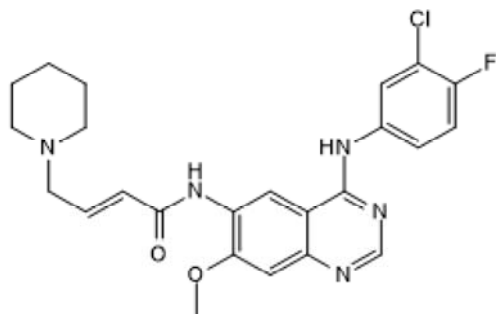
Batch Molecular Formula: C₂₄H₂₅ClFN₅O₂.H₂O

Batch Molecular Weight: 487.96

Physical Appearance: Off White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

1eq. HCl to 100 mM

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold for research purposes under agreement from Pfizer Inc.

References:

Li *et al* (2017) A ROR1-HER3-lncRNA signalling axis modulates the Hippo-YAP pathway to regulate bone metastasis. *Nat.Cell.Biol.* **19** 106. PMID: 28114269.

Gonzales *et al* (2008) Antitumor activity and pharmacokinetic properties of PF-00299804, a second-generation irreversible pan-erbB receptor tyrosine kinase inhibitor. *Mol.Cancer.Ther.* **7** 1880. PMID: 18606718.

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 gefi. *Cancer.Res.* **67** 11924. PMID: 18089823.

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