

Product Name: Afatinib dimaleate

Catalog No.: 6812

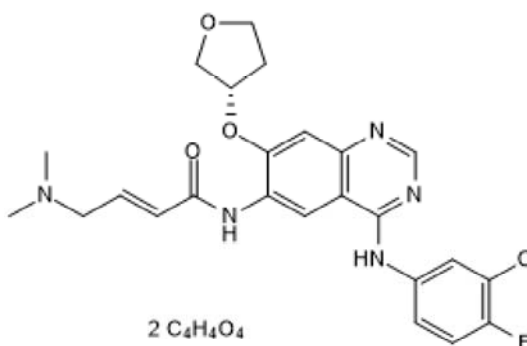
Batch No.: 1

CAS Number: 850140-73-7

IUPAC Name: (2E)-N-[4-[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazoliny]-4-(dimethylamino)-2-butenamide dimaleate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₄H₂₅ClFN₅O₃·2C₄H₄O₄·¼H₂O
Batch Molecular Weight: 722.58
Physical Appearance: White solid
Solubility: water to 20 mM with gentle warming
DMSO to 50 mM
Storage: Store at -20°C
Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.3% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	53.19	4.67	9.69
Found	52.87	4.64	9.68

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

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CAS Number: 850140-73-7

IUPAC Name: (2E)-N-[4-[(3-Chloro-4-fluorophenyl)amino]-7-[[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-(dimethylamino)-2-butenamide dimaleate

Description:

Potent dual specificity EGFR/HER2 inhibitor (IC₅₀ of 0.5, 0.4, 10, 14, 1 nM for EGFR^{wt}, EGFR^{L858R}, EGFR^{L858R/T790M} ErbB2 (HER2) and ErbB4 (HER4), respectively). 100-fold more active against the Gefitinib resistant L858R-T790M EGFR double mutant. Irreversibly binds EGFR and HER2. Suppresses the kinase activity of wild-type and activated EGFR and HER2 mutants, including erlotinib-resistant isoforms. Induces tumor regression in xenograft and transgenic lung cancer models.

Physical and Chemical Properties:

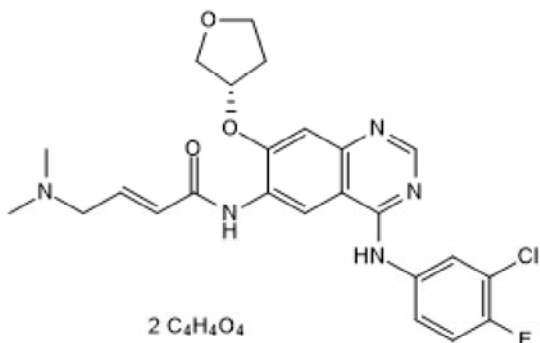
Batch Molecular Formula: C₂₄H₂₅ClFN₅O₃·2C₄H₄O₄·½H₂O

Batch Molecular Weight: 722.58

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

water to 20 mM with gentle warming
DMSO to 50 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.

References:

Li *et al* (2008) BIBW2992, an irreversible EGFR/HER2 inhibitor highly effective in preclinical lung cancer models. *Oncogene* **27** 4702. PMID: 18408761.

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