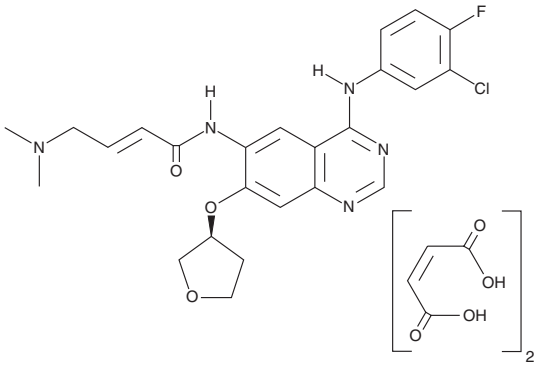
PRODUCT INFORMATION

Afatinib (maleate)

Item No. 21567

CAS Registry No.:	850140-73-7
Formal Name:	N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-(dimethylamino)-2E-butenamide, 2Z-butenedioate (1:2)
Synonym:	BIBW 2992MA2
MF:	$C_{24}H_{25}ClFN_5O_3 \bullet 2C_4H_4O_4$
FW:	718.1
Purity:	≥95%
UV/Vis.:	λ_{max}: 253, 345 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

Afatinib (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the afatinib (maleate) in the solvent of choice, which should be purged with an inert gas. Afatinib (maleate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of afatinib (maleate) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of afatinib (maleate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of afatinib (maleate) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Afatinib is an irreversible inhibitor of epidermal growth factor receptor (EGFR) and ErbB2 (IC_{50}s = 0.5 and 14 nM, respectively).[1] It increases the cytotoxicity of adriamycin in a concentration-dependent manner in multidrug-resistant A549T lung cancer cells overexpressing P-glycoprotein.[2] Afatinib (20 mg/kg) reduces tumor growth in *ErbB2*-amplified NCI-N87 and NUGC4 gastric cancer mouse xenograft models.[3] Formulations containing afatinib have been used in the treatment of non-small cell lung cancer.

References

1. Eskens, F.A.L.M., Mom, C.H., Planting, A.S.T., *et al.* A phase I dose escalation study of BIBW 2992, an irreversible dual inhibitor of epidermal growth factor receptor 1 (EGFR) and 2 (HER2) tyrosine kinase in a 2-week on, 2-week off schedule in patients with advanced solid tumours. *Br. J. Cancer* **98(1)**, 80-85 (2008).
2. Zhang, Y., Wang, C.-Y., Duan, Y.-J., *et al.* Afatinib decreases P-glycoprotein expression to promote adriamycin toxicity of A549T cells. *J. Cell. Biochem.* **119(1)**, 414-423 (2018).
3. Yoshioka, T., Shien, K., Namba, K., *et al.* Antitumor activity of pan-HER inhibitors in HER2-positive gastric cancer. *Cancer Sci.* **109(4)**, 1166-1176 (2018).

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