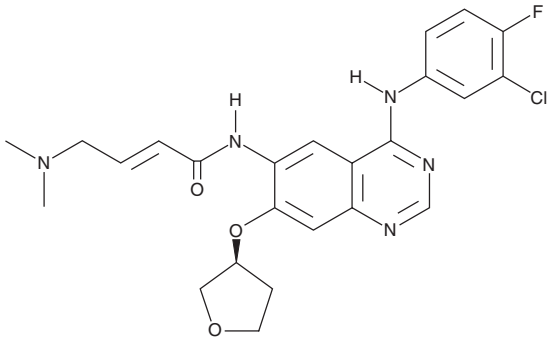
PRODUCT INFORMATION

Afatinib

Item No. 11492

CAS Registry No.:	850140-72-6
Formal Name:	(2E)-N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-(dimethylamino)-2-butenamide
Synonym:	BIBW 2992
MF:	$C_{24}H_{25}ClFN_5O_3$
FW:	485.9
Purity:	≥95%
UV/Vis.:	λ_{max}: 254, 343 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Afatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the afatinib in the solvent of choice, which should be purged with an inert gas. Afatinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of afatinib in ethanol is approximately 11 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Afatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, afatinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Afatinib 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

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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