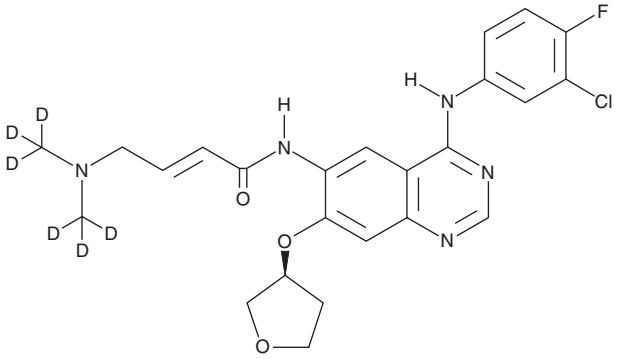
PRODUCT INFORMATION

Afatinib-d_6

Item No. 22552

CAS Registry No.:	1313874-96-2
Formal Name:	(2E)-N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[di(methyl-d_3)amino]-2-butenamide
MF:	$C_{24}H_{19}ClD_6FN_5O_3$
FW:	492.0
Chemical Purity:	≥98% (Afatinib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_6); ≤1% d_0
UV/Vis.:	λ_{max}: 254, 343 nm
Supplied as:	A 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-d_6 is intended for use as an internal standard for the quantification of afatinib (Item Nos. 21567 | 11492) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Afatinib-d_6 is supplied as a solid. A stock solution may be made by dissolving the afatinib-d_6 in the solvent of choice. Afatinib-d_6 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of afatinib-d_6 in these solvents is approximately 30 mg/ml.

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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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