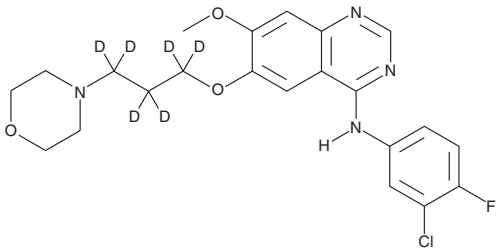
PRODUCT INFORMATION

Gefitinib-d_6

Item No. 22364

CAS Registry No.: 1228664-49-0
Formal Name: N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy-1,1,2,2,3,3-d_6]-4-quinazolinamine
MF: $C_{22}H_{18}ClD_6FN_4O_3$
FW: 452.9
Chemical Purity: ≥95% (Gefitinib)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_6); ≤1% d_0
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

Gefitinib-d_6 is intended for use as an internal standard for the quantification of gefitinib (Item No. 13166) 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).

Gefitinib-d_6 is supplied as a solid. A stock solution may be made by dissolving the gefitinib-d_6 in the solvent of choice, which should be purged with an inert gas. Gefitinib-d_6 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of gefitinib-d_6 in ethanol is approximately 0.3 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Gefitinib-d_6 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, gefitinib-d_6 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Gefitinib-d_6 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

Gefitinib is an EGFR inhibitor (IC_{50}s = 0.023-0.079 µM).[1] It inhibits colony formation of GEO colon, ZR-75-1 and MCF-10A breast, and OVCAR-3 ovarian cancer cell lines in soft agar assays (IC_{50}s = 0.2-0.4 µM).[2] Gefitinib (0.1 to 1 µM) induces apoptosis and inhibits EGFR autophosphorylation in the same cells. *In vivo*, gefitinib (1.25, 2.5, and 5 mg/kg) reduces tumor volume and increases survival in a GEO mouse xenograft model. Formulations containing gefitinib have been used in the treatment of non-small cell lung cancer (NSCLC).

References

1. Mendelsohn, J. and Baselga, J. The EGF receptor family as targets for cancer therapy. *Oncogene* **19(56)**, 6550-6565 (2000).
2. Ciardiello, F., Caputo, R., Bianco, R., *et al*. Antitumor effect and potentiation of cytotoxic drugs activity in human cancer cells by ZD-1839 (Iressa™), an epidermal growth factor receptor-selective tyrosine kinase inhibitor. *Clin. Cancer Res.* **6(5)**, 2053-2063 (2000).

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