PRODUCT INFORMATION

Gefitinib

Item No. 13166

CAS Registry No.: 184475-35-2
Formal Name: N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinamine
Synonym: ZD1839
MF: C$_{22}$H$_{24}$ClFN$_4$O$_3$
FW: 446.9
Purity: ≥98%
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

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

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

Overactivity of the epidermal growth factor receptor-associated tyrosine kinase (EGFR-TK) has been associated with a number of cancers and thus TK inhibitors could be potential therapeutic agents to prevent malignant growth. Gefitinib is a selective EGFR-TK inhibitor that blocks the growth of GEO colon cancer, ZR-75-1 and MCF-10A Ha-ras breast cancer, and OVCAR-3 ovarian cancer cell lines with IC$_{50}$s ranging between 0.2-0.4 µM. By interfering with the intracellular kinase domain, gefitinib prevents EGFR autophosphorylation and prevents downstream signal transduction. Gefitinib has been used to treat advanced (or recurrent) non-small cell lung cancer (NSCLC). However, the FDA retracted its general approval for this compound (Iressa™) when a phase III trial failed to demonstrate an overall survival benefit. Gefitinib appears to be most efficacious in treating certain EGFR gene mutations that are prevalent in Asian populations.

References