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: ZD 1839
MF: C_{22}H_{24}ClFN_{4}O_{3}
FW: 446.9
Purity: ≥98%
UV/Vis.: \lambda_{	ext{max}}: 205, 226, 250, 332 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

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

Gefitinib is a selective EGFR-TK inhibitor that blocks the growth of GEO colon, ZR-75-1, and MCF-10A Ha-ras breast, and OVCAR-3 ovarian cancer cell lines with IC_{50} values of 0.2-0.4 µM.\(^1\) By interfering with the intracellular kinase domain, gefitinib prevents EGFR autophosphorylation and prevents downstream signal transduction. Formulations containing gefitinib were previously used to treat advanced (or recurrent) non-small cell lung cancer. However, the FDA retracted its general approval when a phase III trial failed to demonstrate an overall survival benefit.\(^2\) Formulations containing gefitinib appear to be most efficacious in treating certain EGFR gene mutations prevalent in Asian populations.\(^3,4\)

References


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.

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