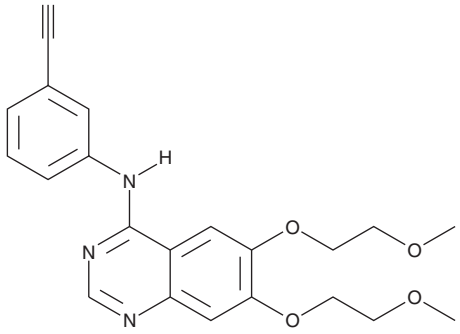
PRODUCT INFORMATION

Erlotinib

Item No. 10483

CAS Registry No.:	183321-74-6
Formal Name:	N-(3-ethynylphenyl)-6,7-*bis* (2-methoxyethoxy)-4-quinazolinamine
Synonym:	NSC 718781
MF:	$C_{22}H_{23}N_3O_4$
FW:	393.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 247, 333 nm
Supplied as:	A crystalline 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

Erlotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the erlotinib in the solvent of choice, which should be purged with an inert gas. Erlotinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of erlotinib in these solvents is approximately 0.25, 25, and 50 mg/ml, respectively.

Erlotinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, erlotinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Erlotinib has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Erlotinib is an EGFR inhibitor (K_i = 2.7 nM).[1] It is greater than 1,000-fold selective for EGFR over Src and Abl at 0.1 µM.[2] Erlotinib induces caspase-3 and -7 activity in NCI H358 non-small cell lung cancer (NSCLC) cells when used at a concentration of 1 µM.[3] Erlotinib (25 mg/kg) reduces EGF-induced EGFR autophosphorylation in an HN-5 head and neck cancer mouse xenograft model.[2] Formulations containing erlotinib have been used in the treatment of various cancers.

References

1. Ciardiello, F. and Tortora, G. A novel approach in the treatment of cancer: Targeting the epidermal growth factor receptor. *Clin. Cancer Res.* **7(10)**, 2958-2970 (2001).
2. Moyer, J.D., Barbacci, E.G., Iwata, K.K., *et al.* Induction of apoptosis and cell cycle arrest by CP-358,774, an inhibitor of epidermal growth factor receptor tyrosine kinase. *Cancer Res.* **57(21)**, 4838-4848 (1997).
3. Herbst, R.S. and Bunn, P.A., Jr. Targeting the epidermal growth factor receptor in non-small cell lung cancer. *Clin. Cancer Res.* **9(16)**, 5813-5824 (2003).

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