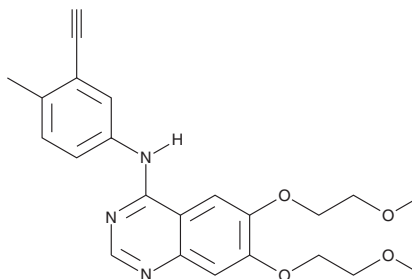


PRODUCT INFORMATION

4-methyl Erlotinib

Item No. 9001510

CAS Registry No.: 1346601-52-2
Formal Name: N-(3-ethynyl-4-methylphenyl)-6,7-bis(2-methoxyethoxy)-4-quinazolinamine
MF: C₂₃H₂₅N₃O₄
FW: 407.5
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 226, 248, 336 nm



Laboratory Procedures

For long term storage, we suggest that 4-methyl erlotinib be stored as supplied at -20°C. It should be stable for at least two years.

4-methyl Erlotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the 4-methyl erlotinib in the solvent of choice. 4-methyl Erlotinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of 4-methyl erlotinib in these solvents is approximately 0.25, 25, and 50 mg/ml, respectively.

4-methyl Erlotinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 4-methyl erlotinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 4-methyl 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 (Item No. 10483) is a tyrosine kinase inhibitor that acts on the epidermal growth factor receptor (EGFR), inhibiting EGFR-associated kinase activity (IC₅₀ = 2.5 μM).^{1,2} It binds to the EGF-activated receptor, with the phenyl group at one end sequestered in a hydrophobic pocket of the kinase domain and the ether linkages at the opposite end projecting into solvent.^{3,4} 4-methyl Erlotinib is an analog of erlotinib characterized by the addition of a methyl group at the four position of the phenyl group. The biochemical and physiological properties of this compound are not known.

References

1. Pollack, V.A., Savage, D.M., Baker, D.A., *et al.* Inhibition of epidermal growth factor receptor-associated tyrosine phosphorylation in human carcinomas with CP-358,774: Dynamics of receptor inhibition in situ and antitumor effects in athymic mice. *J. Pharmacol. Exp. Ther.* **291**, 739-748 (1999).
2. Greulich, H., Chen, T.-H., Feng, W., *et al.* Oncogenic transformation by inhibitor-sensitive and -resistant EGFR mutants. *PLoS Med.* **2(11)**, (2005).
3. Stamos, J., Sliwkowski, M.X., and Eigenbrot, C. Structure of the epidermal growth factor receptor kinase domain alone and in complex with a 4-anilinoquinazoline inhibitor. *J. Biol. Chem.* **277(48)**, 46265-46272 (2002).
4. Park, J.H. and Lemmon, M.A. Occupy EGFR. *Cancer Discov.* **2(5)**, 398-400 (2012).

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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