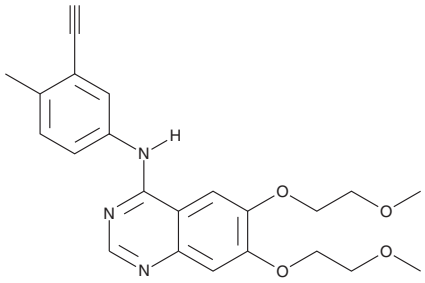
PRODUCT INFORMATION

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:	$C_{23}H_{25}N_3O_4$
FW:	407.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 226, 248, 336 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

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 (IC_{50} = 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).

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