Desmethyl Erlotinib  
Item No. 23394  

**CAS Registry No.:** 183321-86-0  
**Formal Name:** 2-[[4-[[3-ethynylphenyl]amino]-7-(2-methoxyethoxy)-6-quinazolinyl]oxy]-ethanol  
**Synonyms:** CP-473,420, O-Desmethyl Erlotinib, OSI-420  
**MF:** C21H21N3O4  
**Purity:** ≥98%  
**UV/Vis.:** λ_{max} = 203, 247, 335 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**

Desmethyl erlotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the desmethyl erlotinib in the solvent of choice. Desmethyl 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 desmethyl erlotinib in these solvents is approximately 0.25, 25, and 50 mg/ml, respectively.

Desmethyl erlotinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, desmethyl erlotinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Desmethyl 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**

Desmethyl erlotinib is a metabolite of erlotinib (Item No. 10483). Erlotinib is a tyrosine kinase inhibitor which acts on the epidermal growth factor receptor (EGFR), inhibiting EGFR-associated kinase activity (IC_{50} = 2.5 µM).\(^2\) This inhibits tumor growth in human head and neck carcinoma HNS tumor xenografts in mice with an ED_{50} value of 9 mg/kg.\(^2\) Erlotinib also suppresses cyclin-dependent kinase 2 (Cdk2) activity in breast cancer cells (IC_{50} = 4.6 µM) and JAK2 mutant JAK2^{V617F} positive hematopoietic progenitor cells (IC_{50} = 5 µM), which is associated with polycythemia vera, idiopathic myelofibrosis, and essential thrombocythemia.\(^4,5\) Formulations containing erlotinib have been used to treat certain forms of cancer, including non-small cell lung cancer.\(^6,7\)

**References**