

# Erlotinib

✓ 10 mg

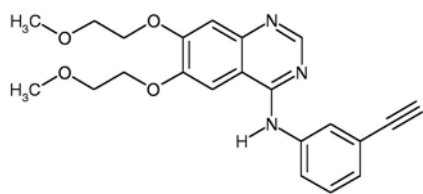
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**For Research Use Only. Not For Use In Diagnostic Procedures.**

**Background:** Erlotinib is a novel and potent ATP-competitive inhibitor of the EGFR kinase pathway. It inhibited EGFR autophosphorylation with an  $IC_{50}$  of 20 nM *in vitro* and inhibit purified EGFR kinase with an  $IC_{50}$  of 2 nM (1). Erlotinib is greater than 1000-fold more selective for EGFR than c-src and v-abl (1), ErbB-2, and ErbB-4 (2). Studies have shown that erlotinib inhibits growth and induces G1 cell cycle arrest in multiple cell types, many of which overexpress EGFR (1,3-5).

**Molecular Formula:**  $C_{22}H_{23}N_3O_4$



**Molecular Weight:** 393.44 g/mol

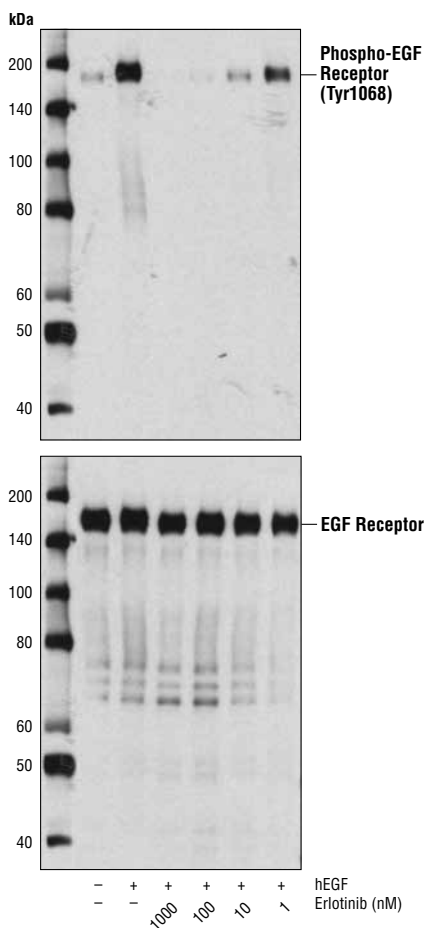
**Solubility:** Soluble in DMSO at 100 mg/ml, soluble in ethanol at 10 mg/ml with warming; very poorly soluble in water with a maximum solubility ~5-20  $\mu$ M.

**Purity:** >99%

**Directions for Use:** Erlotinib is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 10 mg in 2.54 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used as a pretreatment at 0.1-10  $\mu$ M for 0.5-2 hours prior to treating with a stimulator. It can also be used alone, with varying treatment times lasting up to 24 hours.

**Background References:**

- (1) Moyer, J.D. et al. (1997) *Cancer Res* 57, 4838-48.
- (2) Wood, E.R. et al. (2004) *Cancer Res* 64, 6652-9.
- (3) Huether, A. et al. (2005) *J Hepatol* 43, 661-9.
- (4) Ling, Y.H. et al. (2007) *Mol Pharmacol* 72, 248-58.
- (5) Yamasaki, F. et al. (2007) *Mol Cancer Ther* 6, 2168-77.



Western blot analysis of extracts from A-431 cells, serum-starved overnight and untreated or treated with hEGF #8916 (100 ng/ml, 5 min) either with or without Erlotinib pre-treatment (1 hr) at the indicated concentrations, using Phospho-EGF Receptor (Tyr1068) (D7A5) XP® Rabbit mAb #3777 (upper) or EGF Receptor (D38B1) XP® Rabbit mAb #4267 (lower).

**Storage:** Store lyophilized or in solution at -20°C, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.