Revision 2

Store at -20C

#4765

Gefitinib

10 mg

Cell Signaling TECHNOLOGY®

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Background

Gefitinib is a novel and potent EGFR tyrosine kinase inhibitor that binds to the ATP-binding site of the enzyme, and has been shown to be competitive with ATP and noncompetitive with peptide substrates (1). Gefitinib inhibits *in vitro* EGFR activity (IC_{50} = 33 nM), significantly inhibits EGF-stimulated tumor cell growth (IC_{50} = 54 nM) when compared to the absence of EGF (IC_{50} = 8.8 µM), and effectively blocks EGF-stimulated autophosphorylation in tumor cells. It also selectively inhibits EGF-stimulated growth of HUVE cells compared with FGF- or VEGF-stimulated growth (1). Although studies demonstrate gefitinib to be much more selective for EGFR than HER2 (1,2), it has also shown to inhibit growth and phosphorylation of HER2 in numerous HER2-overexpressing cell lines (3).

Molecular Formula

$C_{22}H_{24}ClFN_4O_3$

Molecular Weight

446.9 g/mol

Purity

>99%

CAS

184475-35-2

Solubility

Soluble in DMSO at 100mg/ml.

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.

Directions for Use

Gefitinib is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 10 mg in 2.24 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 µM for 0.5-2 hr prior to treating with a stimulator. It can also be used alone, with varying treatment times lasting up to 24 hr. Soluble in DMSO at 100 mg/ml; very poorly soluble in ethanol and water.

Background References

1. Wakeling, A.E. et al. (2002) *Cancer Res* 62, 5749-54.
2. Wood, E.R. et al. (2004) *Cancer Res* 64, 6652-9.
3. Moasser, M.M. et al. (2001) *Cancer Res* 61, 7184-8.

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