Revision 1

Store at -20C

#5083

Erlotinib

10 mg

Cell Signaling TECHNOLOGY®

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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). Erlotonib 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).

This product has applications to SARS-CoV-2 research into the mechanisms of the Novel Coronavirus, which has caused the COVID-19 pandemic.

Molecular Formula

$C_{22}H_{23}N_3O_4$

Molecular Weight

393.44 g/mol

Purity

>99%

CAS

183321-74-6

Solubility

Soluble in DMSO at 100mg/ml and EtOH at 10mg/ml.

Storage

Store lyophilized or in solution at -20ºC, 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

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 µM 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. 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 µM.

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.

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