Revision 1

Store at -20C

#12121

Lapatinib

10 mg

Cell Signaling TECHNOLOGY®

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Background

Lapatinib is a dual inhibitor of EGFR and HER2 tyrosine kinases (1-4). Researchers have shown that lapatinib inhibits purified EGFR and HER2 tyrosine kinase domains in cell-free kinase assays with IC_{50} values of 10.8 nM and 9.2 nM, respectively, and HER4 with an IC_{50} of 367 nM. Lapatinib was greater than 300-fold more selective for HER2 and EGFR than many other kinases, including c-src, MEK, Erk, and p38 in these assays (1). Studies have shown that lapatinib effectively inhibits both EGFR and HER2 autophosphorylation in cell types over expressing these kinases, and cell growth inhibition is correlated with HER2 overexpression (2-4).

Molecular Formula

$C_{29}H_{26}ClFN_4O_4S \cdot 2C_7H_8O_3S$

Molecular Weight

925.46 g/mol

Purity

>99%

CAS

388082-77-7

Solubility

Soluble in DMSO at 200mg/ml.

Storage

Store lyophilized or in solution at -20ºC, desiccated. Protect from light. 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

Lapatinib is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 10 mg in 1.08 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but is typically used at 0.1-10 µM either as a pretreatment for 0.5-2 hr prior to treating with a stimulator or used alone with varying treatment times lasting up to 72 hr.

Background References

1. Rusnak, D.W. et al. (2001) *Mol Cancer Ther* 1, 85-94.
2. Konecny, G.E. et al. (2006) *Cancer Res* 66, 1630-9.
3. Zhang, D. et al. (2008) *Mol Cancer Ther* 7, 1846-50.
4. Hegde, P.S. et al. (2007) *Mol Cancer Ther* 6, 1629-40.

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