Lapatinib

#12121 Store at -20°C

☑ 10 mg

Cell Signaling TECHNOLOGY®

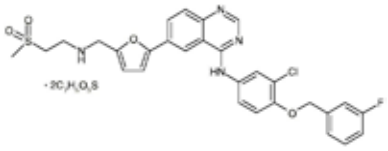
Orders ■ 877-616-CELL (2355)
orders@cellsignal.com
Support ■ 877-678-TECH (8324)
info@cellsignal.com
Web ■ www.cellsignal.com

rev. 07/11/14

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

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: $C_{29}H_{26}ClFN_4O_4S \bullet 2C_7H_8O_3S$

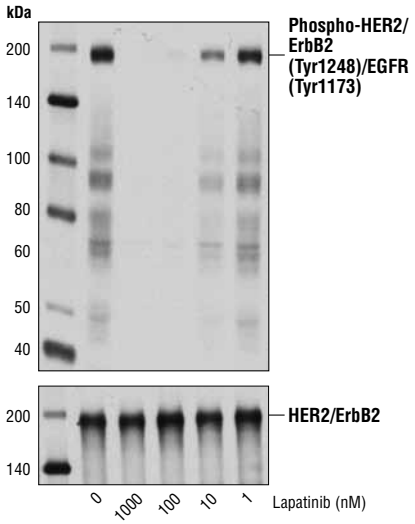


Molecular Weight: 925.46 g/mol

Solubility: Soluble in DMSO at 200 mg/ml; very poorly soluble in ethanol and water with maximum solubility in water ~1-10 µM.

Purity: >99%

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.



Western blot analysis of extracts from SK-BR-3 cells, untreated or treated with Lapatinib (6 hr) at the indicated concentrations, using Phospho-HER2/ErbB2 (Tyr1248)/EGFR (Tyr1173) Antibody #2244 (upper) or HER2/ErbB2 (D8F12) XP® Rabbit mAb #4290 (lower).

Storage: Store lyophilized or in solution at -20°C, 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.

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, 1630–1639.

(3) Zhang, D. et al. (2008) *Mol. Cancer Ther.* 7, 1846–1850.

(4) Hegde, P.S. et al. (2007) *Mol. Cancer The.r* 6, 1629–1640.

© 2014 Cell Signaling Technology, Inc.
XP® and Cell Signaling Technology® are trademarks of Cell Signaling Technology, Inc.

Applications Key: **W**—Western **IP**—Immunoprecipitation **IHC**—Immunohistochemistry **ChIP**—Chromatin Immunoprecipitation **IF**—Immunofluorescence **F**—Flow cytometry **E-P**—ELISA-Peptide
Species Cross-Reactivity Key: **H**—human **M**—mouse **R**—rat **Hm**—hamster **Mk**—monkey **Mi**—mink **C**—chicken **Dm**—D. melanogaster **X**—Xenopus **Z**—zebrafish **B**—bovine **Dg**—dog **Pg**—pig **Sc**—S. cerevisiae **Ce**—C. elegans **Hr**—horse **All**—all species expected Species enclosed in parentheses are predicted to react based on 100% homology.