

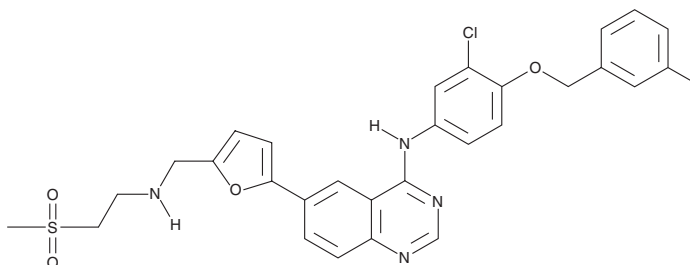
# PRODUCT INFORMATION



## Lapatinib

Item No. 11493

**CAS Registry No.:** 231277-92-2  
**Formal Name:** N-[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]-6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-4-quinazolinamine  
**Synonyms:** GSK 572016, GW 572016  
**MF:** C<sub>29</sub>H<sub>26</sub>ClFN<sub>4</sub>O<sub>4</sub>S  
**FW:** 581.1  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 245, 262, 306, 332, 362 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Lapatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the lapatinib in the solvent of choice, which should be purged with an inert gas. Lapatinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of lapatinib in these solvents is approximately 20 mg/ml.

Lapatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lapatinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lapatinib has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Lapatinib is a dual inhibitor of the EGF receptor (EGFR) and ErbB2 (IC<sub>50</sub>s = 19 and 3 nM, respectively).<sup>1</sup> It inhibits the growth of EGFR-overexpressing A431 skin cancer and ErbB2-overexpressing SK-BR-3 breast cancer cells (IC<sub>50</sub>s = 0.14 and 0.124 μM, respectively). Lapatinib also inhibits the growth of ErbB2-amplified OD19 esophageal and NCI-N87 gastric cancer cells (IC<sub>50</sub>s = 0.09 and 0.01 μM, respectively) as well as several types of gastric cancer cells in which ErbB2 is not amplified (IC<sub>50</sub>s = 0.35-8.58 μM).<sup>2</sup> It induces apoptosis in NCI-N87 and OD19 cells when used at a concentration of 1 μM. Lapatinib (50 mg/kg) reduces tumor growth in a BT474 breast cancer mouse xenograft model.<sup>3</sup> It also reduces tumor growth in an NCI-N87 mouse xenograft model when administered at a dose of 100 mg/kg and induces tumor regression when used in combination with trastuzumab.<sup>2</sup> Formulations containing lapatinib have been used in combination with other therapeutics in the treatment of HER2-overexpressing breast cancer.

### References

1. Cho, T.P., Jun, F., Li, H., *et al.* Synthesis and biological evaluation of pyrrolopyridazine derivatives as novel HER-2 tyrosine kinase inhibitors. *Bioor. Med. Chem. Lett.* **19(22)**, 6437-6440 (2009).
2. Wainberg, Z.A., Anghel, A., Desai, A.J., *et al.* Lapatinib, a dual EGFR and HER2 kinase inhibitor, selectively inhibits HER2-amplified human gastric cancer cells and is synergistic with trastuzumab *in vitro* and *in vivo*. *Clin. Cancer Res.* **16(5)**, 1509-1519 (2010).
3. Chefrour, M., Milano, G., Formento, P., *et al.* Positive interaction between lapatinib and capecitabine in human breast cancer models: Study of molecular determinants. *Fundam. Clin. Pharmacol.* **26(4)**, 530-537 (2012).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 12/06/2022

#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM