PRODUCT INFORMATION

Lapatinib
Item No. 11493

CAS Registry No.: 231277-92-2
Formal Name: N-[3-chloro-4-[3-fluorophenyl]methoxy]phenyl]-6-[[2-(methylsulfonyl)ethyl]amino][methyl]-2-furanyl]-4-quinazolinamine
Synonyms: GSK 572016, GW 572016
MF: C_{29}H_{26}ClFNO_{4}S
FW: 581.1
Purity: ≥ 98%

UV/Vis.: \lambda_{\text{max}}: 245, 262, 306, 332, 362 nm

Lapatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the lapatinib in the solvent of choice. Lapatinib is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. 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_{50} = 19 and 3 nM, respectively). It inhibits the growth of EGFR-overexpressing A431 skin cancer and ErbB2-overexpressing SK-BR-3 breast cancer cells (IC_{50} = 0.14 and 0.124 μM, respectively). Lapatinib also inhibits the growth of ErbB2-amplified OD19 esophageal and NCI-N87 gastric cancer cells (IC_{50} = 0.09 and 0.01 μM, respectively) as well as several types of gastric cancer cells in which ErbB2 is not amplified (IC_{50} = 0.35-8.58 μM). 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. 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. Formulations containing lapatinib have been used in combination with other therapeutics in the treatment of HER2-overexpressing breast cancer.

References