

# Lapatinib

**Catalog No: tcsc0036**



## Available Sizes

**Size:** 50mg

**Size:** 100mg

**Size:** 500mg

**Size:** 1g

**Size:** 2g

**Size:** 5g



## Specifications

**CAS No:**

231277-92-2

**Formula:**

$C_{29}H_{26}ClFN_4O_4S$

**Pathway:**

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK;Autophagy

**Target:**

EGFR;EGFR;Autophagy

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 39$  mg/mL (67.12 mM)

**Alternative Names:**

GW572016

**Observed Molecular Weight:**

581.06

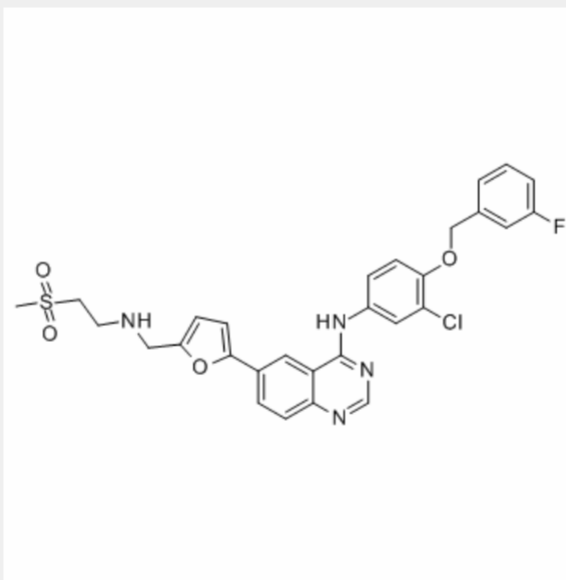
**Product Description**

Lapatinib is a potent **EGFR** and **ErbB2** inhibitor with **IC<sub>50</sub>** of 10.2 and 9.8 nM, respectively.

IC50 & Target: IC50: 10.2 nM (EGFR), 9.8 nM (ErbB2)<sup>[1]</sup>

**In Vitro:** The IC<sub>50</sub> of Lapatinib (GW2016) values for inhibition of enzyme activity are generated by measuring inhibition of phosphorylation of a peptide substrate. With the exception of ErbB-4 (IC<sub>50</sub>, 367 nM), Lapatinib is >300-fold selective for EGFR and ErbB-2 over other kinases tested<sup>[1]</sup>. IC<sub>50</sub> values of Lapatinib (GW2016) for BT474, SKBR3, EFM192A, HCC1954, MDAMB453 and MDAMB231 cells is 36±15.1 nM, 80±17.3 nM, 193±66.5 nM, 416.6±180 nM, 6.08±0.825 μM and 7.46±0.102 μM, respectively. Treatment with Lapatinib results in IC<sub>50</sub> values of ≤ 0.16 μM on the EGFR- and the ErbB-2-overexpressing tumor cell lines<sup>[2]</sup>.

**In Vivo:** Lapatinib (GW2016) is potent at inhibiting the growth of BT474 and HN5 human tumor xenografts. A dose-responsive inhibition of both models occurred on treatment of tumor-bearing mice with 30 and 100 mg/kg Lapatinib orally, twice daily. Complete inhibition of tumor growth is seen at the 100 mg/kg dose. At this dose, there is [1]. Lapatinib (100 mg/kg/day, oral gavage) induces severe oxidative damage in the cardiac tissue of rat<sup>[3]</sup>.



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