



# 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:

 $\mathsf{C_{29}H_{26}CIFN_4O_4S}$ 

**Pathway:** 

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

**Target:** 

EGFR;EGFR;Autophagy

**Purity / Grade:** 

>98%

**Solubility:** 

DMSO : ≥ 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  $IC_{50}$  of 10.2 and 9.8 nM, respectively.

IC50 & Target: IC50: 10.2 nM (EGFR), 9.8 nM (ErbB2)[1]

In Vitro: The IC $_{50}$  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 $_{50}$ , 367 nM), Lapatinib is >300-fold selective for EGFR and ErbB-2 over other kinases tested<sup>[1]</sup>. IC $_{50}$  values of Lapatinib (GW2016) for BT474, SKBR3, EFM192A, HCC1954, MDAMB453 and MDAMB231 cells is  $36\pm15.1$  nM,  $80\pm17.3$  nM,  $193\pm66.5$  nM,  $416.6\pm180$  nM,  $6.08\pm0.825$   $\mu$ M and  $7.46\pm0.102$   $\mu$ M, respectively. Treatment with Lapatinib results in IC $_{50}$  values of  $\leq 0.16$   $\mu$ M 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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