Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 39 mg/mL (67.12 mM)

Alternative Names:
GW572016

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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[1]. IC_{50} 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_{50} values of ≤ 0.16 μM on the EGFR- and the ErbB-2-overexpressing tumor cell lines[2].

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[3].