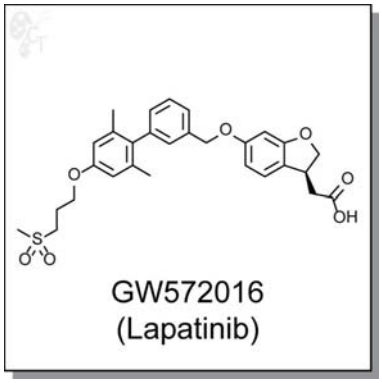
Product Specification Sheet

Product Name: GW572016 (Lapatinib)

Catalog Number: C4920



Technical information:

Chemical Formula:	$C_{29}H_{26}ClFN_4O_4S$
CAS #:	231277-92-2
Molecular Weight:	581.06
Purity:	> 98%
Appearance:	Light Yellow solid
Solubility:	Soluble in DMSO up to 100mM
Chemical Name:	N-(4-(3-fluorobenzyloxy)-3-chlorophenyl)-6-(5-((2-(methylsulfonyl)ethylamino)methyl)furan-2-yl)quinazolin-4-amine,di4-methylbenzenesulfonate
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.172mL of DMSO for each mg of GW572016 (Lapatinib)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Lapatinib (GW2016) is a potent inhibitor of the ErbB-2 and EGFR kinases at IC50 values of 10.2 and 9.8 nM. [1] In EGFR- and ErbB-2-overexpressing tumor cell lines such asA-431, HN5, BT474, N87, and CaLu-3, Lapatinib's growth inhbiition IC50 values were <160 nM. Autophosphorylation of EGFR and ErbB-2 by Lapatinib were measured to be approximately 170-210 nM and 60-80 nM, respectively. Consequent inhibition of phosphorylation of downstream AKT was confirmed by Western blotting experiments. [1] Additionally, 12 h exposure of 1.0 uL Lapatinib downregulates MAPK9, HSPCA, IRAK1, and CCND1, 7- to 25-fold in responsive BT474 and SKBr3 cells. [3]

Lapatinib treatment results in differential expression of genes associated with cell cycle regulation. These genes are mostly involved with the G1S phase transitions. [3]

Reference:

1. Rusnak et al., The effects of the novel, reversible epidermal growth factor receptor/ErbB-2 tyrosine kinase inhibitor, GW2016, on the growth of human normal and tumor-derived cell lines in vitro and in vivo. Mol. Cancer Ther. 2001, 1, 85-94. Pubmed ID: 12467226
2. Hegde et al., Delineation of molecular mechanisms of sensitivity to lapatinib in breast cancer cell lines using global gene expression profiles. Mol. Cancer Ther. 2007, 6 1629-1640. Pubmed ID: 17513611

To reorder: http://www.cellagentech.com/GW572016-Lapatinib/
For Technical Support: technical@cellagentech.com

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