Product Data Sheet

Product Name: Lapatinib (GW-572016) Ditosylate
Cat. No.: GC25559

Chemical Properties

Cas. No.	388082-77-7		
Formula	C29H26ClFN4O4S.2C7H8O3S	M.Wt	925.46
Solubility	DMSO: 185 mg/mL (199.90 mM);Water: Insoluble;Ethanol: Insoluble	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Background

Lapatinib (GW-572016) Ditosylate is a potent EGFR and ErbB2 inhibitor with IC50 of 10.8 and 9.2 nM in cell-free assays, respectively.

Lapatinib Ditosylate weakly inhibits the activity of ErbB4 with IC50 of 367 nM, and displays >300-fold selectivity for EGFR and ErbB2 over other kinases such as c-Src, c-Raf, MEK, ERK, c-Fms, CDK1, CDK2, p38, Tie-2, and VEGFR2. Lapatinib Ditosylate significantly inhibits receptor autophosphorylation of EGFR and ErbB2 in a dose-dependent manner with IC50 of 170 nM and 80 nM, respectively in HN5 cells; as well as 210 nM and 60 nM, respectively in BT474 cells. Unlike OSI-774 and Iressa (ZD1839) which preferentially inhibit the growth of the EGFR-overexpressing cells, Lapatinib Ditosylate inhibits the growth of both EGFR- and ErbB2-overexpressing cells. Lapatinib Ditosylate displays higher inhibitory activity against EGFR- or ErbB2-overexpressing cells with IC50 of 0.09-0.21 μM, compared with cells expressing low levels of EGFR or ErbB2 with IC50 of 3-12 μM, and exhibits ~100-fold selectivity over the normal fibroblast cells. Lapatinib Ditosylate potently inhibits the outgrowth of EGFR-overexpressing HN5 and A-431 cells, as well as ErbB2-overexpressing BT474 and N87 cells, and significantly induces G1 arrest of HN5 cells and apoptosis of BT474 cells, which are associated with

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inhibition of AKT phosphorylation. [1]

Oral administration of Lapatinib Ditosylate (~100 mg/kg) twice daily significantly inhibits the growth of BT474 and HN5 xenografts in a dose-dependent manner. [1]

[1] Rusnak DW, et al. Mol Cancer Ther, 2001, 1(2), 85-94.

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