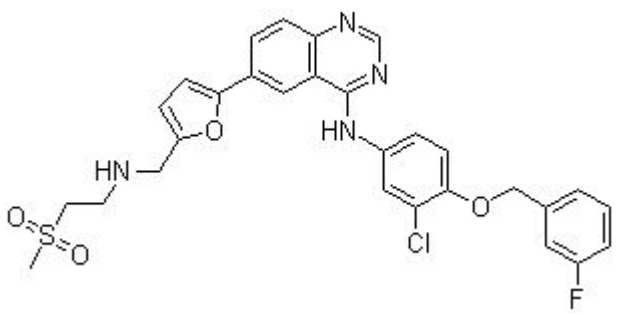
Product Introduction

Lapatinib

Lapatinib, used in the form of Lapatinib Ditosylate, is a potent **EGFR** and **ErbB2** inhibitor with **IC50** of 10.8 and 9.2 nM, respectively.

Technical Data:

Molecular Weight (MW):	581.06	
Formula:	$C_{29}H_{26}ClFN_4O_4S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1mg/mL	
	Ethanol <1mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	231277-92-2	

Biological Activity

Lapatinib 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 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 inhibits the growth of both EGFR- and ErbB2-overexpressing cells. Lapatinib 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 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 inhibition of AKT phosphorylation. [1]

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

References

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