Small Molecules

Lapatinib

Tyrosine kinase inhibitor; Inhibits EGFR and HER2

Catalog #	73242	10 mg
	73244	100 mg

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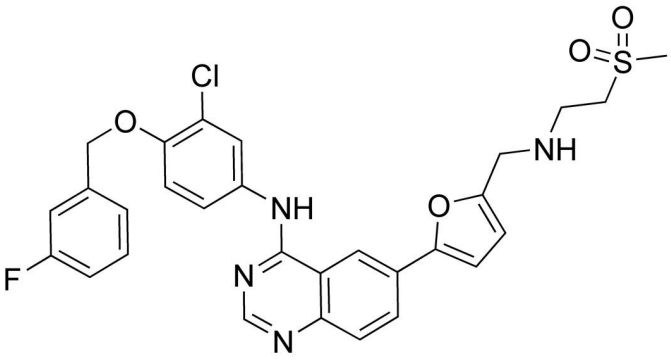
Product Description

Lapatinib is a selective dual inhibitor of epidermal growth factor receptor (EGFR, ErbB1) and human epidermal growth factor receptor 2 (HER2, ErbB2), each with an IC_{50} of about 10 nM (Rusnak et al.). It binds reversibly, but with a very slow off-rate, to the ATP binding pocket in an inactive-like conformation, thus preventing autophosphorylation. Binding is very potent, with Ki values of 3 and 13 nM to EGFR and HER2, respectively (Wood et al.).

Molecular Name:	Lapatinib
Alternative Names:	GSK 572016; GW 572016; GW2016; Tyverb
CAS Number:	231277-92-2
Chemical Formula:	$C_{29}H_{26}ClFN_4O_4S$
Molecular Weight:	581.1 g/mol
Purity:	≥ 98%
Chemical Name:	N-[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]-6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-4-quinazolinamine
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 30 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 1.72 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Induces apoptosis in cells overexpressing EGFR and/or HER2, and inhibits tumor growth in a mouse xenograft model (Xia et al.).

References

Rusnak DW et al. (2001) 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 1(2): 85–94.
Wood ER et al. (2004) A unique structure for epidermal growth factor receptor bound to GW572016 (Lapatinib): relationships among protein conformation, inhibitor off-rate, and receptor activity in tumor cells. Cancer Res 64(18): 6652–9.
Xia W et al. (2002) Anti-tumor activity of GW572016: a dual tyrosine kinase inhibitor blocks EGF activation of EGFR/erbB2 and downstream Erk1/2 and AKT pathways. Oncogene 21(41): 6255–63.

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