Product Data Sheet

Chemical Properties

Product Name: Lapatinib Ditosylate
Cas No.: 388082-77-7
M.Wt: 925.46
Formula: \( \text{C}_29\text{H}_{26}\text{ClFN}_4\text{O}_4\text{S} \cdot 2\text{C}_7\text{H}_8\text{O}_3\text{S} \)
Synonyms: Tykerb Ditosylate

Chemical Name: 
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\text{N-(3-chloro-4-}-(3\text{-fluorobenzyl})\text{oxy)phenyl)-6-}-(5\text{-((2-(methylsulfonyl)ethyl)}\text{amino)methyl)furan-2-yl}\text{quinazolin}4\text{-amine bis(4-methylbenzenesulfonate)}
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Canonical SMILES:
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\text{O=S(CCNC1=CC=C(C2=CC3=C(NC4=CC=C(OCC5=CC=CC(F)=C5)C(C)(=C4)N=CN=C3C=C2)O1)(O=O=S(C6=CC=C(C)(C=C6)(O=O=S(C7=CC=C(C)(C=C7)(O=O)

Solubility: \( \geq 24.3\text{mg/mL in DMSO} \)

Storage: Store at RT

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: JAK/STAT Signaling
Pathways: EGFR

Description:
Lapatinib Ditosylate is a selective dual inhibitor of ErbB-2 and EGFR with IC50 value against ErbB-2 and EGFR of 9.2 and 10.8 nM in vitro, respectively. [1]
The EGFR and ErbB-2 all are the type I receptor tyrosine kinase that exists on the cell surface and...
have been recognised as potential targets for cancers. A conformational change happens by binding of its specific ligands in the receptor then activates the kinase domain. Whereas, erbB2 is generally thought that it has no known direct activating ligand, and it may become active by heterodimerization with other ligand-bound family members. EGFR dimerization stimulates protein-tyrosine kinase activity and elicits downstream signaling by several other proteins. These proteins initiate several signal transduction pathway. EGFR and ErbB-2 are well known to stimulate cell division through the Ras pathway, and resulting in cell growth through the PI3K pathway. [1] Lapatinib is a selective inhibitor of the ErbB-2 and EGFR. Lapatinib was >300 fold selective for ErbB-2 and EGFR over other kinases, such as MEK, ERK. Lapatinib likely competed intracellular ATP with Erb-2 and EGFR, then inhibit the activation of them. Lapatinib inhibited the growth of human tumor cells in a cell-based proliferation assay. The IC50 values was < 0.16nM in the ErbB-2- and EGFR-overexpressing cell lines: HN5, A-431. In the cell lines expressing low level ErbB-2- and EGFR. The IC50 values were about 25-fold higher than in the overexpressing cell lines. Lapatinib resulted in G1 arrest at 10nM in HN5 cells. Lapatinib inhibited phos phosphorylated Erk1/2 100% at 5 nM in an erbB2 overexpressing cell line. Lapatinib inhibited EGF stimulated p-Erk1/2 at 1 nM and completely inhibited p-AKT.[1, 2] In human breast cancer xenografts, tumor volumes in mice at the dose of 75 mg/kg of lapatinib twice daily were significantly smaller than the vehicle control, at day 21.[3] Lapatinib completely inhibited the growth of HN5 and BT474 human tumor xenografts at the 100 mg/kg dose, twice daily.[1]

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.
NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will
maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.