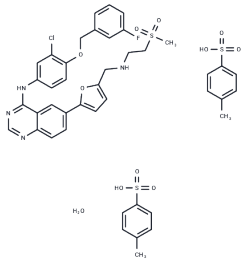
Data Sheet (Cat.No.T0078L)

TargetMol

Lapatinib ditosylate monohydrate

Chemical Properties



CAS No. : 388082-78-8

Formula: C29H26ClFN4O4S·2(C7H8O3S)·H2O

Molecular Weight: 943.47

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lapatinib ditosylate (Lapatinib tosilate) monohydrate is a tyrosine kinase receptor inhibitor used in the therapy of advanced breast cancer and other solid tumors. Lapatinib ditosylate monohydrate therapy is associated with transient elevations in serum aminotransferase levels and rare instances of clinically apparent acute liver injury.
Targets(IC50)	EGFR,Ferroptosis,Autophagy
Kinase Assay	In vitro kinase assays: The IC50 values for inhibition of enzyme activity are generated by measuring inhibition of phosphorylation of a peptide substrate. The intracellular kinase domains of EGFR and ErbB2 are purified from a baculovirus expression system. EGFR and ErbB2 reactions are performed in 96-well polystyrene round-bottomed plates in a final volume of 45 µL. Reaction mixtures contain 50 mM 4-morpholinepropanesulfonic acid (pH 7.5), 2 mM MnCl2, 10 µM ATP, 1 µCi of [γ33P] ATP/reaction, 50 µM Peptide A [Biotin-(amino hexonoic acid)-EEEEYFELVAKKK-CONH2], 1 mM dithiothreitol, and 1 µL of DMSO containing serial dilutions of Lapatinib beginning at 10 µM. The reaction is initiated by adding the indicated purified type-1 receptor intracellular domain. The amount of enzyme added is 1 pmol/reaction (20 nM). Reactions are terminated after 10 minutes at 23°C by adding 45 µL of 0.5% phosphoric acid in water. The terminated reaction mix (75 µL) is transferred to phosphocellulose filter plates. The plates are filtered and washed three times with 200 µL of 0.5% phosphoric acid. Scintillation cocktail (50 µL) is added to each well, and the assay is quantified by counting in a Packard Topcount. IC50 values are generated from 10-point dose-response curves.

Solubility Information

Solubility	DMSO: 250 mg/mL (264.98 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 10 mg/mL (10.6 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0599 mL	5.2996 mL	10.5992 mL
5 mM	0.212 mL	1.0599 mL	2.1198 mL
10 mM	0.106 mL	0.530 mL	1.0599 mL
50 mM	0.0212 mL	0.106 mL	0.212 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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