Lapatinib ditosylate monohydrate

Cat. No.: HY-50898B

CAS No.: 388082-78-8

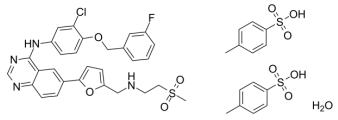
Molecular Formula: $C_{43}H_{44}ClFN_4O_{11}S_3$

Molecular Weight: 943.48

Target: EGFR; Autophagy; Ferroptosis

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Autophagy; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (53.00 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0599 mL	5.2995 mL	10.5991 mL
	5 mM	0.2120 mL	1.0599 mL	2.1198 mL
	10 mM	0.1060 mL	0.5300 mL	1.0599 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.5 mg/mL (2.65 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Lapatinib ditosylate monohydrate (GW572016 ditosylate monohydrate) is a potent inhibitor of the ErbB-2 and EGFR tyrosine kinase domains with IC_{50} values against purified EGFR and ErbB-2 of 10.2 and 9.8 nM, respectively[1].

IC_{50} & Target

EGFR	ErbB2
10.8 nM (IC_{50})	9.2 nM (IC_{50})

In Vitro

Lapatinib (GW2016; 0.03-10 μM; 6 hours; BT474 and HN5 cells) treatment inhibits receptor autophosphorylation of EGFR and ErbB-2 in a dose-responsive manner. Phosphorylation of serine 473 of AKT was inhibited by GW2016 in a dose-dependent manner[1].

Lapatinib (GW2016; 72 hours; HN5, A-43, BT474, N87, and CaLu-3 cells) treatment has a selective inhibition of the proliferation of human tumor cell lines[1].

Lapatinib (GW2016; 1-10 μM; 72 hours; HN5 cells) treatment results in induces G1 arrest[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	BT474 and HN5 cells
Concentration:	0.03 μM, 0.1 μM, 0.3 μM, 1 μM, 3 μM, or 10 μM
Incubation Time:	6 hours
Result:	Inhibited receptor autophosphorylation of EGFR and ErbB-2 in a dose-responsive manner. Phosphorylation of serine 473 of AKT was also inhibited in a dose-dependent manner.

Cell Proliferation Assay[1]

Cell Line:	HN5, A-43, BT474, N87, and CaLu-3 cells
Concentration:	
Incubation Time:	72 hours
Result:	Inhibited the growth of tumor cells overexpressing EGFR or ErbB-2.

Cell Cycle Analysis[1]

Cell Line:	HN5 cells
Concentration:	1 μM, or 10 μM
Incubation Time:	72 hours
Result:	Resulted in induction of G1 arrest.

In Vivo

Lapatinib (GW2016; 30-100 mg/kg; oral administration; twice daily; for 21 days; CD-1 nude female mice) treatment inhibits tumor xenograft growth of the HN5 cells in a dose-responsive manner at 30 and 100 mg/kg, with complete inhibition of tumor growth at the higher dose[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CD-1 nude female mice (4-6 weeks old) with HN5 cells[1]
Dosage:	30 mg/kg, 100 mg/kg
Administration:	Oral administration; twice daily; for 21 days
Result:	Inhibited tumor xenograft growth of the HN5 cells in a dose-responsive manner.

CUSTOMER VALIDATION

- Nat Med. 2016 Jul;22(7):723-6.
- Nature. 2017 Aug 24;548(7668):471-475.
- Nat Immunol. 2018 Mar;19(3):233-245.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Nat Commun. 2023 Jun 15;14(1):3560.

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REFERENCES

[1]. Rusnak DW, et al. 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. 2001 Dec;1(2):85-94.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA