Lapatinib ditosylate

Cat. No.: HY-50898A

CAS No.: 388082-77-7

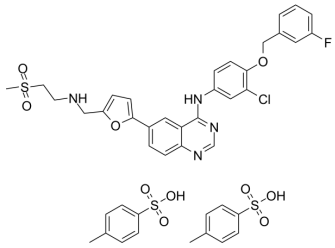
Molecular Formula: $C_{43}H_{42}ClFN_4O_{10}S_3$

Molecular Weight: 925.46

Target: EGFR; Autophagy; Ferroptosis

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

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (135.07 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0805 mL	5.4027 mL	10.8054 mL
	5 mM	0.2161 mL	1.0805 mL	2.1611 mL
	10 mM	0.1081 mL	0.5403 mL	1.0805 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.33 mg/mL (2.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.33 mg/mL (2.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lapatinib ditosylate (GW572016 ditosylate) 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}, Cell Free Assay)	9.2 nM (IC_{50}, Cell Free Assay)

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