Lapatinib

Cat. No.: HY-50898

CAS No.: 231277-92-2

Molecular Formula: $C_{29}H_{26}ClFN_4O_4S$

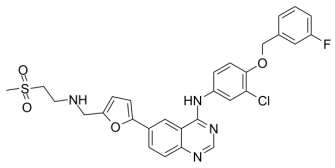
Molecular Weight: 581.06

Target: EGFR; Autophagy; Ferroptosis

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (215.12 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7210 mL	8.6050 mL	17.2099 mL
	5 mM	0.3442 mL	1.7210 mL	3.4420 mL
	10 mM	0.1721 mL	0.8605 mL	1.7210 mL

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

In Vivo

1. Add each solvent one by one: 12% SBE-beta-CD
   Solubility: 5 mg/mL (8.60 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.30 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.58 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.58 mM); Suspended solution; Need ultrasonic
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lapatinib (GW572016) 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
	10.2 nM (IC_{50}, Cell Free Assay)	9.8 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

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