Lapatinib tosylate

Cat. No.: HY-50898C

CAS No.: 1187538-35-7

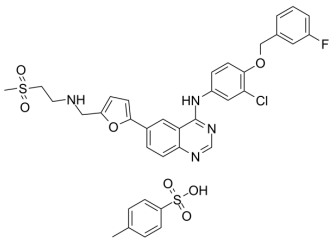
Molecular Formula: $C_{36}H_{34}ClFN_4O_7S_2$

Molecular Weight: 753.26

Target: EGFR; Ferroptosis; Autophagy

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Lapatinib (GW572016) tosylate is a potent, orally active 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})	9.8 nM (IC_{50})

In Vitro

Lapatinib (GW2016; 0.03-10 μM; 6 hours; BT474 and HN5 cells) tosylate 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) tosylate 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.

Cell Proliferation Assay[1]

Cell Line:	HN5, A-43, BT474, N87, and CaLu-3 cells
Concentration:	1 nM-100 μM
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.

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.

In Vivo

Lapatinib (GW2016; 30-100 mg/kg; oral administration; twice daily; for 21 days; CD-1 nude female mice) tosylate 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

- Nature. 2017 Aug 24;548(7668):471-475.
- Nat Med. 2016 Jul;22(7):723-6.
- Nat Immunol. 2018 Mar;19(3):233-245.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cell Syst. 2020 Nov 18;11(5):478-494.e9.

See more customer validations on www.MedChemExpress.com

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

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