For Research Use Only

Lapatinib Ditosylate

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Catalog Number: CM04454

产品信息

Catalog Number:
CM04454

CAS号:
388082-77-7

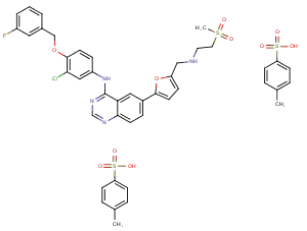
分子式:
$C_{29}H_{26}ClFN_4O_4S \cdot 2C_7H_8O_3S$

主要靶点:
Autophagy|EGFR|Ferroptosis

主要通路:
蛋白酪氨酸激酶|血管生成|JAK/STAT信号通路|凋亡|自噬

分子量:
925.46

溶解度:
Ethanol:<1 mg/mL,DMSO:93 mg/mL (100.5 mM),H2O:<1 mg/mL



靶点活性

ErbB2:9.2 nM (cell free)|EGFR:10.8 nM (cell free)

体外活性

Lapatinib (1 μM) induces apoptosis in NCI-N87 and OD19 cells [2]. Lapatinib inhibits the growth of EGFR-overexpressing A431 skin cancer (IC50: 0.14 μM) and ErbB2-overexpressing SK-BR-3 breast cancer cells (IC50: 0.124 μM). It also inhibits the growth of ErbB2-amplified OD19 esophageal (IC50: 0.09 μM)and NCI-N87 gastric cancer cells (IC50: 0.01 μM) as well as several types of gastric cancer cells in which ErbB2 is not amplified (IC50s: 0.35-8.58 μM) [3] .

体内活性

Lapatinib (30 and 100 mg/kg, p.o., b.i.d) dose-responsive inhibited the growth of BT474 and HN5 human tumor xenografts. Complete inhibition of tumor growth is seen at the 100 mg/kg dose. At this dose, there is <10% weight loss in treated animals over the course of the 21-day treatment. Lapatinib treatment inhibits tumor xenograft growth of the HN5 and BT474 cells in a dose-responsive manner at 30 and 100 mg/kg orally, twice daily, with complete inhibition of tumor growth at the higher dose [1]. Lapatinib (100 mg/kg/day, p.o.) induces severe oxidative damage in the cardiac tissue of rat [4].

动物实验

CD-1 nude female mice are used for HN5 human tumor xenografts, which are initiated by injection of a cell suspension in PBS: Matrigel (1:1). C.B-17 SCID female mice are used for BT474 human tumor xenografts, which are initiated by implantation of tumor fragments (20-100 mg) from established tumors. Tumor cells and fragments are implanted by s.c. injection in the right flank. The s.c. tumors are measured with calipers, and mice are weighed twice weekly. Tumor weight is estimated from tumor volume using this formula: length×width2/2=tumor volume (mm3). Treatment begins when tumors are palpable, 3-5 mm in diameter. Lapatinib (30 and 100 mg/kg) is administered p.o. twice daily for 21 days in a vehicle of sulfo-butyl-ether- β -cyclodextrin 10% aqueous solution (CD10) [1].

细胞实验

Cells are plated in 96-well plates, in the media, at the following densities: HFF and HN5, 1000 cells/well and BT474, 5000 cells/well. After 24 h, the cells are exposed to vehicle (0.3% DMSO) or Lapatinib (1 nM, 10 nM, 100 nM, 1 μM, 10 μM, and 100 μM). Lapatinib is removed from the cells after 72 h and is replaced by either DMEM containing 10% FBS and 50 μg/mL Gentamicin (HFF and HN5) or RPMI containing 10% FBS and 50 μg/mL Gentamicin (BT474). Methylene blue staining is performed at the time points over a total period of 16 days. Relative cell number is estimated using methylene blue staining. The absorbance at 620 nm is read in a Spectra microplate reader. Cell death and cell cycle analysis are assessed by propidium iodide staining and antibody detection of incorporated BrdUrd and staining with propidium iodide [1].

描述

Lapatinib Ditosylate (Tykerb ditosylate) is an effective EGFR and ErbB2 inhibitor (IC50: 10.8/9.2 nM for EGFR/ErbB2).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years

For technical support and original validation data for this product please contact
T: 027-87531629 E: Proteintech-CN@ptglab.com W: ptgcn.com