For Research Use Only

Lapatinib

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Catalog Number: CM00323

产品信息

Catalog Number:
CM00323

CAS号:
231277-92-2

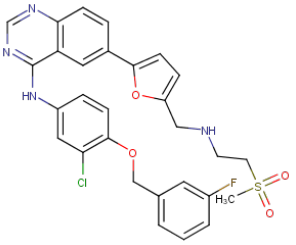
分子式:
$C_{29}H_{26}ClFN_4O_4S$

主要靶点:
Autophagy|EGFR|Ferroptosis

主要通路:
JAK/STAT 信号通路|血管生成|蛋白酪氨酸激酶|凋亡|自噬

分子量:
581.06

溶解度:
DMSO:50 mg/mL (86.05 mM); H2O:< 1 mg/mL (insoluble or slightly soluble); Ethanol:< 1 mg/mL (insoluble or slightly soluble)



靶点活性

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

体外活性

方法： 14 株人胃癌和食管癌细胞系用 Lapatinib (0.3125-10 μmol/L) 处理 6 天，使用 particle counter 检测细胞数。 **结果：** N87、OE19、NUGC4、NUGC3、FU97、SNU16 细胞对 Lapatinib 敏感，IC50 分别为 0.01、0.09、0.35、2.24、4.86、8.58 μmol/L。[1] **方法：** 人乳腺癌细胞 MDA-MB-231 和 SK-BR-3 用 Lapatinib (0.5-2 μM) 处理 48 h，使用 Western Blot 方法检测靶点蛋白表达水平。 **结果：** 与较低浓度相比，用 1.0 μM Lapatinib 处理的 MDA-MB-231 和 SK-BR-3 细胞系中 PKM2 的表达均显著降低。[2]

体内活性

方法： 为检测体内抗肿瘤活性，将 Lapatinib (100 mg/kg) 腹腔注射给携带人胃癌肿瘤 N87 的 CD-1 athymic nude 小鼠，每天一次，持续三周。 **结果：** Lapatinib 导致 N87 异种移植物的肿瘤消退。[1] **方法：** 为检测体内抗肿瘤活性，将 Lapatinib (30-100 mg/kg，灌胃给药，每天两次持续二十一天) 和 Topoteca (6-10 mg/kg，腹腔注射，每四天一次持续三次) 灌胃给药给携带人乳腺癌肿瘤 BT474 的 SCID 小鼠。 **结果：** Lapatinib 和 Topoteca 组合在 ER2+BT474 异种移植物中显示出增强的功效。[3]

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].

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.