For Research Use Only

LY294002

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Catalog Number: CM00342

产品信息

Catalog Number:
CM00342

CAS号:
154447-36-6

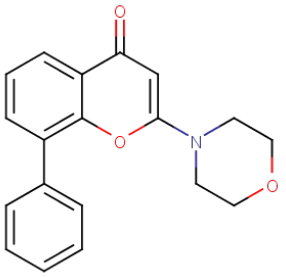
分子式:
$C_{19}H_{17}NO_3$

主要靶点:
Apoptosis|PI3K|Autophagy|DNA-PK|Casein Kinase

主要通路:
代谢|自噬|DNA损伤和修复|PI3K/Akt/mTOR信号通路|干细胞|凋亡

分子量:
307.34

溶解度:
Ethanol:10 mg/mL(32.5 mM),DMSO:34 mg/mL (110.6 mM),H2O:<1 mg/mL



靶点活性

p110α:0.5 μM (cell free)|DNA-PK:1.4 μM (cell free)|p110δ:0.57 μM (cell free)|p110β:0.97 μM (cell free)

体外活性

LY294002 (5 μM) was shown to block insulin-induced phosphorylation of PKB Ser473 in CHO-IR cells [1]. When the cells were incubated in medium containing 20 μM LY294002 for 48 h, cell proliferation was remarkably decreased (>80%) in LoVo and Colo205 cells. LY294002 (10–50 μM), when added for 24 h, significantly decreased the population of DLD-1 cells in a concentration-dependent manner [2]. When the cells were cultured in medium containing different concentrations of LY294002 (0, 10, 25, 50, and 75 μM)for 24 h and 48 h, cell proliferation was remarkably decreased in a dose-dependent fashion [3].

体内活性

The i.v. administration of LY294002 to mice in group A significantly suppressed the growth of s.c. tumors derived from both DLD-1 and LoVo cells, with a mean volume of 72% (range, 48–82%) and 55% (range, 40–61%), respectively [2]. Treatment with LY294002 (50 mg/kg, 75 mg/kg) significantly reduced mean NPC tumor burden as compared with the control group. Treatment with 10 mg/kg or 25 mg/kg LY294002 was less effective in decreasing tumor burden [3].

动物实验

Athymic nude mice were used when they were 6-8 weeks. Mice were randomly divided into free separated into five groups (n = 4 mice). Mice were housed in the same environment with controlled temperature, humidity, and a 12 h light/dark cycle. Mice were inoculated subcutaneously with CNE-2Z cells (1 × 10^6 cells/mouse in 200 μl of RPMI-1640) into the flank. The tumor take rate was 100%. After 1 week, an intraperitoneal injection was performed to the xenograft mice with different dosage of LY294002 (10 mg/kg, 25 mg/kg, 50 mg/kg, and 75 mg/kg twice weekly (n = 4 mice), each group for 4 weeks. Treated mice have monitored any signs. Body weight and tumors size were measured twice a week. Tumor size was measured using calipers and tumor volume was calculated (volume = long axis × short axis^2). At the end of the treatment, all mice were euthanized. One part of tumor tissue was fixed in formalin and embedded in paraffin, and another part was stored at -70°C [3].

细胞实验

The cells were seeded into 96-well plates at 5000 cells/well. Twenty-four hours after cells were seeded, the medium was removed and replaced in the presence of LY294002 (0 μmol/L, 10 μmol/L, 25 μmol/L, 50 μmol/L, and 75 μmol/L) dissolved in DMSO or DMSO only for an additional 24 h and 48 h. To avoid any nonspecific toxic effects of DMSO on cell growth, DMSO concentrations were maintained at 0.5% in all experiments. MTT dye (5 mg/mL) was added to each well. The reaction was stopped by the addition of DMSO, and optical density was measured at 490 nm on a multiwell plate reader. Background absorbance of the medium in the absence of cells was subtracted. All samples were assayed in triplicate, and the mean for each experiment was calculated. Results were expressed as a percentage of control, which was considered to be 100% [3].

描述

LY294002 is an inhibitor of PI3K (IC50s: 0.5/0.57/0.97 μM for PI3Kα / δ / β in cell-free assays). It also blocks autophagosome formation.

储存

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