For Research Use Only

LY294002

www.ptgcn.com

Catalog Number: CM00342

产品信息

Catalog Number:
CM00342

CAS号:
154447-36-6

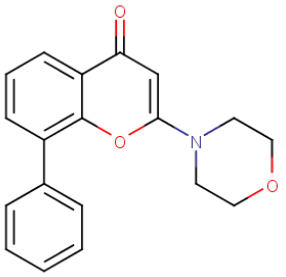
分子式:
$C_{19}H_{17}NO_3$

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

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

分子量:
307.34

溶解度:
DMSO:61.46 mg/mL (200 mM); H2O:< 1 mg/mL (insoluble or slightly soluble); Ethanol:10 mg/mL (32.54 mM)



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

方法：人胰腺癌细胞 AsPC-1、BxPC-3 和 PANC-1 用 LY294002 (5-45 μM) 处理 24 h，使用 MTT 方法检测细胞生长抑制情况。**结果：**LY294002 剂量依赖诱导 AsPC-1、BxPC-3 和 PANC-1 细胞生长，IC50 分别为 40 μM、5 μM 和 35 μM。[1]**方法：**表达人胰岛素受体的中国仓鼠卵巢细胞 CHO-IR 用 LY294002 (5 μM) 处理 5 min，并用 Insulin (1 nM, 10 min) 刺激，使用 Western Blot 方法检测靶点蛋白表达水平。**结果：**LY294002 可阻断 CHO-I R细胞中胰岛素诱导的 PKB Ser473 磷酸化。[2]**方法：**人鼻咽癌细胞 CNE-2Z 用 LY294002 (10-75 μmol/L) 处理 24-48 h，使用 Flow Cytometry 方法检测细胞凋亡情况。**结果：**LY294002 剂量依赖性诱导 CNE-2Z 细胞凋亡。[3]

体内活性

方法：为检测体内抗肿瘤活性，将 LY294002 (25 mg/kg，每周两次) 和 cisplatin (5 mg/kg，每周一次) 腹腔注射给携带人胰腺癌肿瘤 AsPC-1 的 BALB/C nu/nu 小鼠，持续三周。**结果：**对照组小鼠的肿瘤体积增加，而 cisplatin 或 LY294002 治疗组的肿瘤体积分别减少为 77% 和 70%。联合治疗组更有效，肿瘤体积的生长下降到对照组体积的 44%。[4]**方法：**为研究 PI3K 的药物阻断是否能改善 LPS 诱导的小鼠急性肝损伤的发展，将 LY294002 (40 μM; 10 μL) 单次腹腔注射给 LPS 诱导的急性肝损伤 BALB/c 小鼠模型。**结果：**LPS 诱导的肝炎中，LY294002 治疗明显抑制了各种疾病相关促炎细胞因子的肝内合成，包括肿瘤坏死因子-α、IL-6、IL-1β 和 INF-γ。在 LPS 损伤的小鼠肝脏样本中，观察到 LY294002 显著抑制 IκB 磷酸化。因此，LY294002 可能通过抑制活化的 B 细胞依赖性信号通路的 IκB 核因子 κ 轻链增强子来保护肝脏免受 LPS 诱导的损伤。[5]

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].

储存

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