For Research Use Only

SB 202190

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Catalog Number: CM05674

产品信息

Catalog Number:
CM05674

CAS号:
152121-30-7

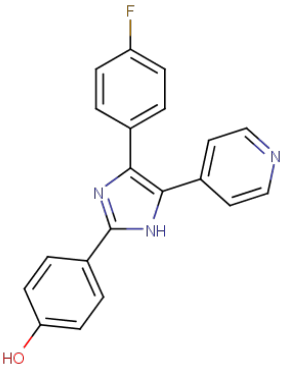
分子式:
$C_{20}H_{14}FN_3O$

主要靶点:
Apoptosis|p38 MAPK|Autophagy

主要通路:
凋亡|MAPK 信号通路|自噬

分子量:
331.34

溶解度:
DMSO:50 mg/mL (150.9 mM);



靶点活性

p38α:50 nM (cell free)|p38β:100 nM (cell free)

体外活性

方法：人 Tenon 成纤维细胞用 SB 202190 (5-50 μM) 处理，使用 MTT assay 检测细胞活力。**结果：**SB 202190 对细胞有毒性，IC50 为 17.2 μM。[1] **方法：**人脐静脉内皮细胞 HUVEC 用 SB 202190 (0.1-10 μM) 处理 6-48 h，使用 Western Blot 方法检测靶点蛋白表达水平。**结果：**SB 202190 孵育 24 小时后，LC3A/B-I 转化为 PE 偶联的 LC3A/B-II 以浓度依赖的方式增加。[2]

体内活性

方法：为研究 p38 MAPK 在急性内毒素血症小鼠中的作用，将 SB 202190 (2 mg/kg) 腹腔注射给 C57BL/6 小鼠，30 min 后注射 LPS (10 mg/kg)。**结果：**SB 202190 预处理可降低 TNF-α 水平，显著逆转 LPS 诱导的左心室抑制，降低 LPS 诱导的死亡率。[3] **方法：**为检测体内抗肿瘤活性，将 SB 202190 (5 mg/kg) 和 OSI-027 (10 mg/kg) 腹腔注射给携带人 CRC 肿瘤 SW620 的 BALB/c 小鼠，每天一次，持续十天。**结果：**单独使用 SB 202190 增强了 SW620 异种移植物的肿瘤增殖和肿瘤负荷。SB 202190 和 OSI-027 的联合显著减弱了异种移植物肿瘤的生长。[4]

动物实验

The pharmacological efficacy of SB-ULS-LZM was evaluated in the unilateral ischemia-reperfusion (I/R) rat model. At 2 h before the ischemia procedure, rats were injected with SB-ULS-LZM (32 mg/kg. conjugate, equivalent to 752 g/kg SB202190), vehicle (5% glucose), or free SB202190 (800 g/kg). SB-ULS-LZM was dissolved in 5% glucose, whereas SB202190 was dissolved in 20% hydroxypropyl-β-cyclodextrin solution with 5% dimethyl sulfoxide as described earlier. Compounds were administered i.v. via the penis vein as described above. Animals were allowed to recover and placed back into the cages until the induction of renal ischemia. Rats were operated, and the renal artery and vein were clamped under microscope to stop renal blood flow. After 45 min, clamps were removed, and reperfusion of the kidney was observed before closing of the wound. Sham-operated animals (n 3) received the same surgical procedure, with the exception of ischemia, and were included as a control group. After 4 days, animals were sacrificed, and blood samples were collected from the abdominal aorta. Kidneys were isolated after gently flushing the organs with saline and preserved in 4% formalin for preparation of paraffin-embedded sections or frozen in ice-cold isopentane for preparation of cryosections [2].

细胞实验

For transfection, A549 cells were seeded in 6-well plates to obtain 30% confluence at the time of transfection. Xtreme siRNA transfection reagent was used to transfect siRNA to a final concentration of 100 nM. Inhibition of gene expression by siRNA was determined after 48 hours by Western analysis. Cells were harvested, and the nuclear extract or total cell lysate was assayed for AP-1 DNA binding or Western blotting, respectively. HEK293T cells were cultured in complete DMEM. phCMV2-HA-MLK3 was transfected into HEK293T cells using genejammer transfection reagent using manufacturer's instructions. After 48 hours, cells were either untreated or treated with 5 or 10 μM SB202190 or SB203580 for 4 hours. Following treatment cell lysates were prepared using lysis buffer (50 mM Tris-HCl at pH 7.5, 5 mM EDTA, 150 mM NaCl, 1% Triton X-100, 50 mM NaF, 10 mM sodium pyrophosphate, 25 mM β-glycerophosphate, 1 mM PMSF, 30 μL/mL aprotinin, and 1 mM Na3VO4). 500 μg of total protein was immunoprecipitated with anti-HA-agarose conjugate. Phospho-MLK3 (Thr277/Ser281) was detected in western blotting using phosphospecific antibodies. The expression vector was transfected into HEK293T cells using Genejammer as stated earlier. After 48 hours, cell lysates was prepared and Flag-MKK7 was immunoprecipitated using anti-Flag-agarose conjugate. The Flag-MKK7 was used as a substrate for MLK3 kinase assay [3].

储存

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