

Catalog Number: CM00289

产品信息

Catalog Number:
CM00289

CAS号:
22978-25-2

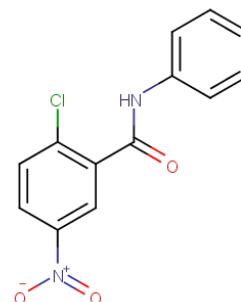
分子式:
C₁₃H₉ClN₂O₃

主要靶点:
PPAR

主要通路:
DNA损伤和修复|代谢

分子量:
276.68

溶解度:
DMSO:27.7 mg/mL (100 mM),Ethanol:6.9 mg/mL (25 mM)),with gentle warming



靶点活性

PPAR γ :3.3 nM

体外活性

大鼠经脂多糖(1 mg/kg.i.p.)预处理,可明显减弱肾损伤和功能障碍引起的所有缺血/再灌注损伤特征.而GW9662(1 mg/kg.i.p.)可阻断脂多糖的保护作用.

体内活性

GW9662抑制PPAR γ 激活,并抑制人乳腺癌肿瘤细胞系(MCF7, MDA-MB-468, MDA-MB-231)生长(IC₅₀: 20-30 μ M)。GW9662结合PPAR γ 上的Cys(285),其是三种PPAR中较保守的。在MDA-MB-231细胞中,Rosiglitazone(50 μ M)与GW9662(10 μ M)联用7天后,其存活细胞数的减少程度具有统计学意义。在原代鼠骨髓和RAW264.7细胞中,PPAR γ 1配体对RANKL诱导的破骨细胞形成有抑制作用,而GW 9662(2 μ M)可浓度依赖性地逆转这些配体的抑制作用。在RAW264.7细胞中,GW 9662(1 μ M)抑制NF- κ B的RANKL激活。在BMs中,GW 9662(2 μ M)阻断IL-4对破骨细胞形成的抑制。在甲状腺眼病患者的原代前脂肪细胞中,GW9662(10 μ M)对激素和激动剂诱导的脂肪细胞分化有抑制作用。

细胞实验

MDA-MB-231 cells are seeded at a density of 1×10^5 cells per 25 cm³ tissue culture flask. After 24 h (day 0), the growth medium is replaced with fresh medium containing rosiglitazone (50 μ M), GW9662 (10 μ M) or both together. Control flasks receives 0.1% DMSO. Cells are harvested on days 0, 3, 5, 7, 10 for each treatment condition by trypsinisation, stained using trypan blue, and the total and viable number of cells per flask calculates using a haemocytometer.(Only for Reference)

描述

GW9662(TIMTEC-BB SBB006523) is a specific PPAR γ antagonist (IC₅₀: 3.3 nM, in a cell-free assay), with 100 to 1000-fold functional selectivity for PPAR γ than PPAR α / δ in cells.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year