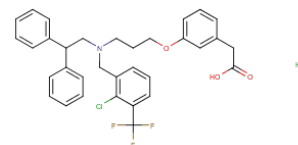


Catalog Number: CM05008

产品信息

Catalog Number:
CM05008CAS号:
405911-17-3分子式:
 $C_{33}H_{31}ClF_3NO_3 \cdot HCl$ 主要靶点:
Liver X Receptor主要通路:
代谢分子量:
618.51溶解度:
DMSO:61.9 mg/mL (100
mM); Ethanol:12.4 mg/mL (20
mM)

靶点活性

LXR β (human):30 nM(EC50)|LXR α (human):190 nM(EC50)

体外活性

GW3965 recruits the steroid receptor coactivator 1 to human LXR α with EC50 of 125 nM in a cell-free ligand-sensing assay. [1] GW3965 shows a potent antagonistic activity against hLXR α and hLXR β in cell-based assays with EC50 of 190 nM and 30 nM, respectively. Besides, GW3965 also shows excellent selectivity over other nuclear receptors. [1] In human islets, GW3965 (1 μ M) reduces expression of selected pro-inflammatory cytokines including IL-8, monocyte chemoattractant protein-1 and tissue factor. [4]

体内活性

In mice, GW3965 at a dose of 10 mg/kg upregulates ABCA1 expression 8-fold and raises circulating levels of HDL by 30% with Cmax of 12.7 μ g/mL and t1/2 of 2 hours. [1] GW3965 (10 mg/kg) induces expression of ABCA1 and ABCG1 and shows potent antiatherogenic activity in both LDLR $^{-/-}$ and apoE $^{-/-}$ mice. [2] In male sprague-dawley rats, GW3965 reduces Ang II-mediated increases in blood pressure and decreases vascular Ang II receptor gene expression. [3] In Glioblastoma mouse model, GW3965 results in inducible degradation of LDLR-mediated LDLR degradation, increased expression of the ABCA1 cholesterol efflux transporter, and thus potentially promotes tumor cell death. [5]

细胞实验

Cells are seeded in 96 wells and are treated after 24 hours with different drugs indicated in each experiment in medium containing 1% FBS or lipoprotein deficient serum. Relative proliferation is determined using Cell Proliferation Assay Kit. Cells are incubated 1.5 hrs after adding tetrazolium salt WST-1 [2-(4-iodophenyl)-3-(4-nitrophenyl)-5-(2,4-disulfo-phenyl)-2H-tetrazolium, monosodium salt] at 5% CO2, 37°C and the absorbance of the treated and untreated cells are measured using a microplate reader at 420 to 480 nm. Cells seeded in 12 well plates are counted using a hemocytometer, and dead cells are assessed using trypan blue exclusion assays.

储存

store at low temperature, keep away from moisture | Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.