Inhibitors, Agonists, Screening Libraries
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Data Sheet

Product Name: GW 501516
Cat. No.: HY-10838
CAS No.: 317318-70-0
Molecular Formula: C_{21}H_{18}F_{3}NO_{3}S_{2}
Molecular Weight: 453.50
Target: Autophagy; PPAR
Pathway: Autophagy; Cell Cycle/DNA Damage
Solubility: 10 mM in DMSO

BIOLOGICAL ACTIVITY:
GW 501516 is a PPARδ agonist with an EC_{50} of 1.1 nM.
IC_{50} & Target: EC_{50}: 1.1 nM (PPARδ)[1]

In Vitro: GW 501516 is shown to be the most potent and selective PPARα agonists known with an EC_{50} of 1.1 nM against PPARα and 1000-fold selectivity over the other human subtypes, PPARα and-γ[1]. GW 501516 exerts anti-inflammatory effects in mouse cultured proximal tubular (mProx) cells. GW 501516 inhibits palmitate- and TNFα-induced increases in MCP-1 mRNA expression in a dose-dependent manner[3].

In Vivo: GW 501516 causes impaired bone formation, leading to decreased BMD and deterioration of bone properties in OVX rats[2]. GW 501516 attenuates interstitial inflammation and proximal tubular cell damage in a protein-overload mouse nephropathy model[3]. GW 501516 treatment enhances running endurance and the proportion of succinate dehydrogenase (SDH)-positive muscle fibres in both trained and untrained mice[4].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [3]GW 501516 is dissolved in DMSO. Cells are starved by incubation in 0.2% FCS DMEM for 9 h, then pre-incubated with GW 501516, at a final concentration of 2.5 and 5 μM, or 0.05% DMSO as control for 3 hours, followed by stimulation with 150 μM palmitate bound to 8.0% BSA for 12 h[3].

Animal Administration: [2][3]Rat: Female Sprague Dawley rats, 12 weeks of age, are allocated to a sham-operated group and 3 OVX groups; high-dose GW 501516 (OVX-GW5), low-dose GW 501516 (OVX-GW1), and a control group (OVX-CTR), respectively. Animals receive GW 501516 or vehicle (methylcellulose) daily for 4 months by gavage. Bone mineral density (BMD) is assessed by dual x-ray absorptiometry at the femur, spine, and whole body[2].

Mouse: Mice are randomly allocated to different groups and receive therapeutic diet and treatment. The GW 501516-containing rodent diet is made by evenly adding GW 501516 to the control diet to a final concentration of 0.04% w/w. In the control diet, 10% of the total calories are from fat (5.5% from soybean oil and 4.5% from lard)[3].

References:

Caution: Product has not been fully validated for medical applications. For research use only.
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