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:** 453.5

**Target:** PPAR; Autophagy

**Pathway:** Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor; Autophagy

**Storage:** Powder

-20°C 3 years

4°C 2 years

In solvent

-80°C 6 months

-20°C 1 month

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**SOLVENT & SOLUBILITY**

**In Vitro**

DMSO: ≥ 100 mg/mL (220.51 mM)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.2051 mL</td>
<td>11.0254 mL</td>
<td>22.0507 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4410 mL</td>
<td>2.2051 mL</td>
<td>4.4101 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2205 mL</td>
<td>1.1025 mL</td>
<td>2.2051 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.51 mM); Suspended solution; Need ultrasonic

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution

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**BIOLOGICAL ACTIVITY**

**Description**

GW 501516 (GW 1516) is a PPARδ agonist with an EC_{50} of 1.1 nM\(^{[1]}\).

<table>
<thead>
<tr>
<th>IC_{50} &amp; Target</th>
<th>PPARδ</th>
</tr>
</thead>
<tbody>
<tr>
<td>1.1 nM (EC_{50})</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>GW 501516 is shown to be the most potent and selective PPARδ agonists known with an EC_{50} of 1.1 nM against PPARδ and</th>
</tr>
</thead>
</table>
1000-fold selectivity over the other human subtypes, PPARα and-γ\cite{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\cite{3}.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

GW 501516 causes impaired bone formation, leading to decreased BMD and deterioration of bone properties in OVX rats\cite{2}.

GW 501516 attenuates interstitial inflammation and proximal tubular cell damage in a protein-overload mouse nephropathy model\cite{3}.

GW 501516 treatment enhances running endurance and the proportion of succinate dehydrogenase (SDH)-positive muscle fibres in both trained and untrained mice\cite{4}.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**PROTOCOL**

**Cell Assay**\cite{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\cite{3}.

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**Animal Administration**\cite{2,3}

Rats: 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\cite{2}.

Mice: 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)\cite{3}.

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**CUSTOMER VALIDATION**

- Cell Stem Cell. 2022 Sep 1;29(9):1366-1381.e9.

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**REFERENCES**


