Fenofibric acid

Cat. No.: HY-B0760
CAS No.: 42017-89-0
Molecular Formula: C₁₇H₁₅ClO₄
Molecular Weight: 318.75
Target: PPAR; COX
Pathway: Cell Cycle/DNA Damage; Immunology/Inflammation
Storage: Powder -20°C 3 years
        4°C 2 years
        In solvent -80°C 6 months
        -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: ≥ 100 mg/mL (313.73 mM)
H₂O: < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (ml)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mg</td>
<td>5 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>3.1373 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6275 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3137 mL</td>
</tr>
</tbody>
</table>

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Fenofibric acid, an active metabolite of fenofibrate, is a PPAR activator, with EC₅₀s of 22.4 µM, 1.47 µM, and 1.06 µM for PPARα, PPARγ and PPARδ, respectively; Fenofibric acid also inhibits COX-2 enzyme activity, with an IC₅₀ of 48 nM.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>Target</th>
<th>IC₅₀ (µM)</th>
</tr>
</thead>
<tbody>
<tr>
<td>PPARδ</td>
<td>1.06 (EC50)</td>
</tr>
<tr>
<td>PPARγ</td>
<td>1.47 (EC50)</td>
</tr>
<tr>
<td>PPARα</td>
<td>22.4 (EC50)</td>
</tr>
<tr>
<td>COX-2</td>
<td>48 (IC50)</td>
</tr>
</tbody>
</table>

In Vitro
Fenofibric acid is a PPAR activator, with EC₅₀s of 22.4 µM, 1.47 µM, and 1.06 µM for PPARα, PPARγ and PPARδ,
Fenofibric acid (10, 25, 50, 75, and 100 nM) dose-dependently inhibits COX-2 enzyme, with IC_{50} of 48 nM\(^2\). Fenofibric acid (500 nM) reduces abundance of AOX1 protein in HepG2 cells\(^3\). Fenofibric acid (100 µM) decreases JNK1/2, c-Jun, and p38 MAPK phosphorylation, and prevents the accumulation of reactive oxygen species, endoplasmic reticulum (ER) stress and disruption of blood retinal barrier (BRB) in response to the combination of high-glucose (HG) and hypoxia in ARPE-19 cells. Fenofibric acid (100 µM) activates IGF-IR/Akt/ERK1/2-mediated survival signaling pathways in ARPE-19 cells under HG conditions and hypoxia\(^4\).

**In Vivo**

Fenofibric acid (1, 5, 10 mg/kg, p.o.) shows anti-inflammatory activity in Wistar rats with acute inflammation induced by carrageenan\(^2\).

**PROTOCOL**

**Cell Assay**\(^4\)

ARPE-19 cells are cultured under normoglycemic (5.5 mM D-glucose) or hyperglycemic (25 mM D-glucose) conditions for 18 days at 37°C under 5% (v/v) CO\(_2\) in medium DMEM/F12 supplemented with 10% (v/v) fetal serum (FS) and penicillin/streptomycin. ARPE-19 cells are used and the media is changed every 3-4 days. The conditions tested are: (1) Control cells which are maintained in 5.5 mM D-glucose (normal glucose) for 18 days. (2) Cells cultured in 5.5 mM D-glucose treated with 100 µM Fenofibric acid for 72 h (days 16, 17, and 18; 1 application/day). (3) Cells cultured as in (1) or (2) and submitted to hypoxia (1% oxygen) for the last 6 or 24 h. (4) Cells maintained in 25 mM D-glucose (HG) for 18 days. (5) Cells cultured in 25 mM D-glucose treated with 100 µM Fenofibric acid for 72 h (days 16, 17, and 18; 1 application/day). (6) Cells cultured as in (4) or (5) and submitted to hypoxia (1% oxygen) for the last 6 or 24 h\(^4\).

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

**Animal Administration**\(^2\)

The anti-inflammatory activity of fenofibrate and its active metabolite fenofibric acid is assessed by injecting 0.1 mL of 1% carrageenan solution prepared in saline (sub-plantar) to the right hind paw of the rats. Rats are divided into 6 groups of six animals each. The first group serves as negative control and receives 1% tween-80 in distilled water, 10 mL/kg body mass. Group 2 and 3 receive a single dose of fenofibrate and standard drug diclofenac at 10 mg/kg body mass, whereas groups 4, 5, and 6 receive 3 doses of Fenofibric acid at 1, 5, and 10 mg/kg body mass, respectively. All the drugs are given orally using gavages 60 min before the injection of 0.1 mL of 1% carrageenan through sub-plantar route. The volume of oedema of test and control groups is measured using plethysmometer at 0, 1, 2, and 3 h after induction of inflammation\(^2\).

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**REFERENCES**


