Aleglitazar

Cat. No.: HY-14728
CAS No.: 475479-34-6
Molecular Formula: C_{24}H_{23}NO_{5}S
Molecular Weight: 437.51
Target: PPAR
Pathway: Cell Cycle/DNA Damage
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 : ≥ 50 mg/mL (114.28 mM)
H_{2}O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2857 mL</td>
<td>11.4283 mL</td>
<td>22.8566 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4571 mL</td>
<td>2.2857 mL</td>
<td>4.5713 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2286 mL</td>
<td>1.1428 mL</td>
<td>2.2857 mL</td>
<td></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 (5.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description:
Aleglitazar (R1439; RO-0728804) is a new dual PPAR-α/γ agonist with IC50 of 2.8 nM/4.6 nM. IC50 Value: 2.8 nM(PPAR-α); 4.6 nM(PPAR-γ)
Target: PPARα/γ
Aleglitazar is a dual peroxisome proliferator-activated receptor (PPAR) agonist, with affinity to PPARα and PPARγ. Aleglitazar is being developed for the treatment of type II diabetes; it is currently in phase III clinical trials. In preliminary clinical studies, Aleglitazar has been demonstrated to improve hyperglycemia and dyslipidemia in patients with type 2 diabetes mellitus. Aleglitazar has beneficial effects on both lipid and glucose parameters and may have a therapeutic role in modifying cardiovascular risk factors and improving glycemic control in patients with T2DM. Aleglitazar combines the lipid benefits of fibrates and the insulin-sensitizing
benefits of thiazolidinediones.

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>PPARγ</th>
<th>PPARα</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>19 nM (IC₅₀)</td>
<td>38 nM (IC₅₀)</td>
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</tbody>
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REFERENCES


