Elafibranor

Cat. No.: HY-16737
CAS No.: 923978-27-2
Molecular Formula: \( C_{22}H_{24}O_4S \)
Molecular Weight: 384.49
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: \( \geq 33 \text{ mg/mL (85.83 mM)} \)
H\(_2\)O: \(< 0.1 \text{ mg/mL (insoluble)} \)

* "\( \geq \)" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<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></td>
<td></td>
<td>2.6008 mL</td>
<td>13.0042 mL</td>
<td>26.0085 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td></td>
<td>0.5202 mL</td>
<td>2.6008 mL</td>
<td>5.2017 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td></td>
<td>0.2601 mL</td>
<td>1.3004 mL</td>
<td>2.6008 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: \( \geq 2.17 \text{ mg/mL (5.64 mM)} \); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: \( \geq 2.17 \text{ mg/mL (5.64 mM)} \); Clear solution

BIOLOGICAL ACTIVITY

Description
Elafibranor is a PPAR\(\alpha/\delta\) agonist with \( \text{EC}_{50} \)s of 45 and 175 nM, respectively.

IC\(_{50}\) & Target

<table>
<thead>
<tr>
<th>IC(_{50}) &amp; Target</th>
<th>PPAR-(\alpha)</th>
<th>PPAR-(\delta)</th>
</tr>
</thead>
<tbody>
<tr>
<td>( 45 \text{ nM (EC50)} )</td>
<td>( 175 \text{ nM (EC50)} )</td>
<td></td>
</tr>
</tbody>
</table>

In Vitro
GFT505 is being developed as a dual PPAR-\(\alpha/\)PPAR-\(\delta\) agonist for the treatment of T2DM and non-alcoholic fatty liver disease. GFT505 has an active metabolite, GFT1007, and both have potent agonist activity for PPAR-\(\alpha\) and to a lesser
In Vivo

GFT505 improves insulin sensitivity and early studies indicate it may be useful in non-alcoholic fatty liver disease which is being tested in a Phase IIb study\(^1\). Elafibranor is well tolerated and does not cause weight gain or cardiac events, but does produce a mild, reversible increase in serum creatinine. Elafibranor improves insulin sensitivity, glucose homeostasis, and lipid metabolism and reduces inflammation\(^2\). GFT505 treatment improves glucose control and plasma lipids in diabetic db/db mice. A significant dose-dependent reduction of hepatic expression of the key gluconeogenic enzymes glucose 6-phosphatase (G6Pase), PEPCK, and fructose 1,6-bisphosphatase 1 (FBP1) is observed with GFT505. GFT505 does not induce cardiac adverse effects of PPARγ-activating agonists in monkeys\(^3\).

CUSTOMER VALIDATION


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REFERENCES


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

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