Cilofexor

Cat. No.: HY-109083
CAS No.: 1418274-28-8
Molecular Formula: C₂₈Η₂₂Cl₃N₃O₅
Molecular Weight: 586.85
Target: FXR; Autophagy
Pathway: Metabolic Enzyme/Protease; Autophagy
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 (85.20 mM; Need ultrasonic)
H₂O: < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</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>1.7040 mL</td>
<td>8.5201 mL</td>
<td>17.0401 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.3408 mL</td>
<td>1.7040 mL</td>
<td>3.4080 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1704 mL</td>
<td>0.8520 mL</td>
<td>1.7040 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: ≥ 2.08 mg/mL (3.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Cilofexor (GS-9674) is a potent, selective and orally active nonsteroidal FXR agonist with an EC₅₀ of 43 nM. Cilofexor has anti-inflammatory and antifibrotic effects. Cilofexor has the potential for primary sclerosing cholangitis (PSC) and nonalcoholic steatohepatitis (NASH) research.[1][2]

IC₅₀ & Target
EC₅₀: 43 nM (FXR)[1]

In Vivo
Cilofexor (GS-9674; 30 mg/kg; oral gavage; once daily; for 10 weeks; male Wistar rats) treatment significantly increases Fgf15 expression in the ileum and decreased Cyp7a1 in the liver in nonalcoholic steatohepatitis (NASH) rats. Liver fibrosis and hepatic collagen expression are significantly reduced. Cilofexor also significantly reduces hepatic stellate cell (HSC)
activation and significantly decreases portal pressure, without affecting systemic hemodynamics\[3\]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Male Wistar rats received a choline-deficient high fat diet (CDHFD)[3]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>30 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Oral gavage; once daily; for 10 weeks</td>
</tr>
<tr>
<td>Result:</td>
<td>Significantly increased Fgf15 expression in the ileum and decreased Cyp7a1 in the liver. Liver fibrosis and hepatic collagen expression were significantly reduced.</td>
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</tbody>
</table>

REFERENCES

