Linerixibat

Cat. No.: HY-16643
CAS No.: 1345982-69-5
Molecular Formula: C₂₈H₃₈N₂O₇S
Molecular Weight: 546.68
Target: Others
Pathway: Others
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 (91.46 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.8292 mL</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3658 mL</td>
<td>1.829 mL</td>
<td>3.658 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1829 mL</td>
<td>0.9146 mL</td>
<td>1.829 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 (4.57 mM); Suspended solution; Need ultrasonic

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Linerixibat (GSK2330672) is a highly potent, nonabsorbable and orally active apical sodium-dependent bile acid transporter (ASBT) inhibitor with an IC₅₀ of 42 nM human ASBT. Linerixibat can be used as lipid-lowering agent. Linerixibat has the potential for type 2 diabetes and Primary Biliary Cholangitis treatment[1][2][3].

IC₅₀ & Target
IC₅₀: 42 nM (Apical sodium-dependent bile acid transporter (ASBT))[1]
**In Vitro**

The zwitterionic, nonhygroscopic, crystalline salt form of Linerixibat (Compound 56) shows good aqueous solubility at pH 7.4 (>7 mg/mL), excellent thermal stability, and did not generate reactive or humanspecific metabolite characteristics.[1]

**In Vivo**

Linerixibat (GSK2330672; 0.05-10 mg/kg; oral gavage; twice daily; for 14 days; male ZDF rat) treatment lowers glucose in an animal model of type 2 diabetes[1].

**Animal Model:** Male Zucker Diabetic Fatty (ZDF) rat[1]

**Dosage:** 0.05 mg/kg, 0.1 mg/kg, 0.5 mg/kg, 1 mg/kg, 5 mg/kg, 10 mg/kg

**Administration:** Oral gavage; twice daily; for 14 days

**Result:** Led to a 1.30-1.64% reduction in hemoglobin A1c (HbA1c), a greater than 50% reduction in nonfasted plasma glucose to below 200 mg/dL, and statistically significant higher plasma insulin.

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**REFERENCES**

