Ledipasvir

**Cat. No.:** HY-15602  
**CAS No.:** 1256388-51-8  
**Molecular Formula:** C₄₉H₅₄F₂N₈O₆  
**Molecular Weight:** 889  
**Target:** HCV  
**Pathway:** Anti-infection  
**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 (56.24 mM; Need ultrasonic)  
- **H₂O:** < 0.1 mg/mL (insoluble)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.1249 mL</td>
<td>5.6243 mL</td>
<td>11.2486 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.2250 mL</td>
<td>1.1249 mL</td>
<td>2.2497 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1125 mL</td>
<td>0.5624 mL</td>
<td>1.1249 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: ≥ 2.5 mg/mL (2.81 mM); Clear solution

2. Add each solvent one by one:  
   - 10% DMSO >> 90% corn oil  
   - Solubility: ≥ 2.5 mg/mL (2.81 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**  
Ledipasvir is an inhibitor of the hepatitis C virus NS5A, with EC₅₀ values of 34 pM and 4 pM against genotype 1a and 1b replicon, respectively.

**IC₅₀ & Target**  
EC₅₀: 34 pM (GT1a), 4 pM (GT1b)[¹]

**In Vitro**  
Ledipasvir has GT1a and 1b EC₅₀ values of 31 and 4 pM, respectively, and protein-adjusted EC₅₀ values of 210 pM (GT1a) and 27 pM (GT1b) and the intrinsic EC₅₀ of 39 is 310 fM for GT1a and 40 fM for GT1b. Ledipasvir is highly protein-bound both in human serum and in the cell-culture medium (containing 10% BSA) of the replicon assay[¹].

[¹] Reference: Further details and experiments can be found in the original research papers and MedChemExpress.com.
Ledipasvir exhibits an EC\textsubscript{50} value of 141 nM against the JFH/3a-NS5A replicon\textsuperscript{[2]}. 

**In Vivo**

Ledipasvir is remarkable not only on the basis of its high replicon potency but also on the basis of its low clearance, good bioavailability, and long half-lives in rat, dog, and monkey and low predicted clearance in human. The pharmacokinetics of Ledipasvir is measured in rats and dogs. Ledipasvir shows good half-lives (rat 1.83 ± 0.22 hr, dog 2.63 ± 0.18 hr) in plasma, low systemic clearance (CL), and moderate volumes of distribution (Vss) that are greater than total body water volume\textsuperscript{[1]}.

**PROTOCOL**

**Animal Administration** \textsuperscript{[1]}

Rats, Dogs and Monkeys\textsuperscript{[1]}

Pharmacokinetic studies are performed in male naïve Sprague-Dawley(SD) rats, non-naïve beagle dogs, and cynomolgus monkeys (three animals per dosing route). Intravenous (IV) administration is dosed via infusion over 30 min in a vehicle containing 5% ethanol, 20% PEG400, and 75% water (pH adjusted to 3.0 with HCl). Oral dosing is administered by gavage in a vehicle containing 5% ethanol, 45% PEG 400, and 50% of 50 mM citrate buffer, pH 3. Blood samples are collected over a 24 h period postdose into Vacutainer tubes containing EDTA-K2. Plasma was isolated, and the concentration of the test compound in plasma was determined with LC/MS/MS after protein precipitation with acetonitrile.

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

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**REFERENCES**
