**Inhibitors, Agonists, Screening Libraries**

**Data Sheet**

**Product Name:** Ledipasvir  
**Cat. No.:** HY-15602  
**CAS No.:** 1256388-51-8  
**Molecular Formula:** C_{49}H_{54}F_{2}N_{8}O_{6}  
**Molecular Weight:** 889.00  
**Target:** HCV, HCV Protease  
**Pathway:** Anti-infection; Metabolic Enzyme/Protease  
**Solubility:** 10 mM in DMSO

**BIOLOGICAL ACTIVITY:**

Ledipasvir is an inhibitor of the **hepatitis C virus NS5A**, with EC50 values of 34 pM against GT1a and 4 pM against GT1b replicon. 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\[^1\]. Ledipasvir exhibits an EC50 value of 141 nM against the JFH/3a-NS5A replicon\[^2\].

**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\[^1\].

**PROTOCOL (Extracted from published papers and Only for reference)**

**Animal Administration:** 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)\[^1\]. Oral dosing is administered by gavage in a vehicle containing 5% ethanol, 45% PEG 400, and 50% of 50 mM citrate buffer, pH 3\[^1\]. Rat, Dog and Monkey\[^1\]

Pharmacokinetic studies are performed in male Sprague-Dawley(SD) rats, non-Sprague-Dawley 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.

**References:**


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

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