Product Data Sheet

Product Name: Ledipasvir D-tartrate
Cat. No.: GC36437

Chemical Properties

Cas. No.	1502654-87-6		
SMILES	O=C(OC)N[C@H](C(N([C@H](C1=NC=C(C2=CC(C(F)(F)C3=C4C=CC(C5=CC=C6N=C([C@H]7N(C([C@@H](NC(OC)=O)C(C)C)=O)[C@]8([H])CC[C@@]7([H])C8)NC6=C5)=C3)=C4C=C2)N1)C9)CC%109CC%10)=O)C(C)C.O[C@@H]([C@@H](C(O)=O)O)C(O)=O		
Formula	$C_{53}H_{60}F_2N_8O_{12}$	M.Wt	1039.09
Solubility	DMSO: ≥ 28 mg/mL (26.95 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Ledipasvir D-tartrate		

Protocol

Animal experiment:

Rats, Dogs and Monkeys[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.

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References:

[1]. Link JO, et al. Discovery of ledipasvir (GS-5885): a potent, once-daily oral NS5A inhibitor for the treatment of hepatitis C virus infection. J Med Chem. 2014 Mar 13;57(5):2033-46
[2]. Hernandez D, et al. Natural prevalence of NS5A polymorphisms in subjects infected with hepatitis C virus genotype 3 and their effects on the antiviral activity of NS5A inhibitors. J Clin Virol. 2013 May;57(1):13-8.

Background

Ledipasvir is an inhibitor of hepatitis C virus (HCV) non-structural protein 5A (NS5A).[1,2] It inhibits viral replication in genotype 1a and 1b HCV replicon cells (EC_{50}s = 0.031 and 0.004 nM, respectively). It also inhibits viral replication in genotype 2a, 2b, 3a, 4a, 4d, 5a, 6a, and 6e HCV replicon cells (EC_{50}s = 0.11-530 nM).[2] Lepidasvir acts synergistically with IFN-α, ribavirin , or GS-9669 and additively with GS-9451, simeprevir , daclatasvir , or sofosbuvir to inhibit viral replication in genotype 1a HCV replicon cells. Formulations containing ledipasvir have been used in combination therapy for the treatment of chronic HCV infection.

1.Link, J.O., Taylor, J.G., Xu, L., et al.Discovery of ledipasvir (GS-5885): A potent, once-daily oral NS5A inhibitor for the treatment of hepatitis C virus infectionJ. Med. Chem.57(5)2033-2046(2014) 2.Cheng, G., Tian, Y., Doehle, B., et al.In vitro antiviral activity and resistance profile characterization of the hepatitis C virus NS5A inhibitor ledipasvirAntimicrob. Agents Chemother.60(3)1847-1853(2016)

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