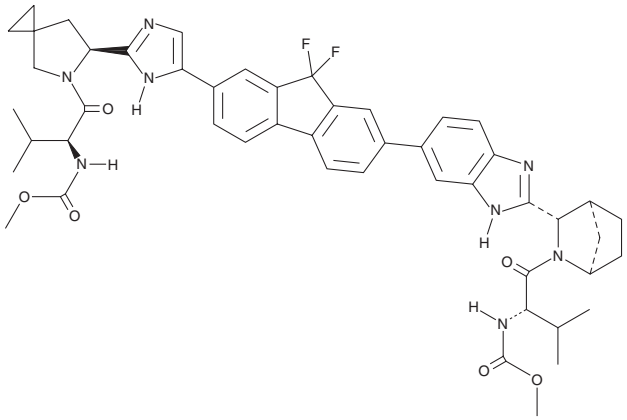
PRODUCT INFORMATION

Ledipasvir

Item No. 18519

CAS Registry No.: 1256388-51-8
Formal Name: N-[(1S)-1-[[(6S)-6-[5-[9,9-difluoro-7-[2-[(1R,3S,4S)-2-[(2S)-2-[(methoxycarbonyl)amino]-3-methyl-1-oxobutyl]-2-azabicyclo[2.2.1]hept-3-yl]-1H-benzimidazol-6-yl]-9H-fluoren-2-yl]-1H-imidazol-2-yl]-5-azaspiro[2.4]hept-5-yl]carbonyl]-2-methylpropyl]-carbamic acid, methyl ester
Synonym: GS-5885
MF: $C_{49}H_{54}F_2N_8O_6$
FW: 889.0
Purity: ≥98%
UV/Vis.: λ_{max}: 219, 334 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ledipasvir is supplied as a crystalline solid. A stock solution may be made by dissolving the ledipasvir in the solvent of choice, which should be purged with an inert gas. Ledipasvir is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ledipasvir in ethanol and DMF is approximately 30 mg/ml and approximately 20 mg/ml in DMSO.

Ledipasvir is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ledipasvir should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Ledipasvir has a solubility of approximately 0.33 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

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 (Item No. 16757), or GS-9669 and additively with GS-9451, simeprevir (Item No. 22144), daclatasvir (Item No. 23730), or sofosbuvir (Item No. 15402) 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.

References

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 infection. *J. 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 ledipasvir. *Antimicrob. Agents Chemother.* **60(3)**, 1847-1853 (2016).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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