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Produktinformation

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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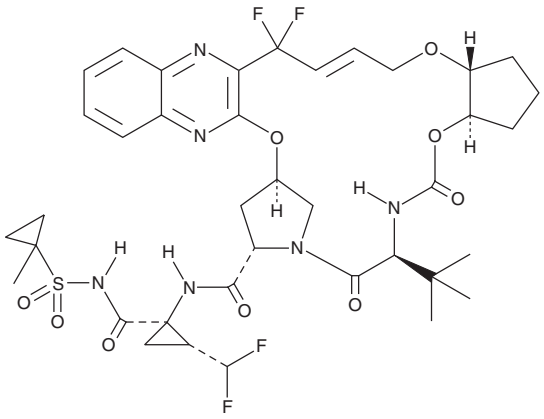
PRODUCT INFORMATION

Glecaprevir

Item No. 27934

CAS Registry No.:	1365970-03-1
Formal Name:	(1R,2R)-N-[[[(1R,2R)-2-[[4,4-difluoro-4-(3-hydroxy-2-quinoxalinyl)-2-buten-1-yl]oxy]cyclopentyl]oxy]carbonyl]-3-methyl-L-valyl-(4R)-4-hydroxy-L-prolyl-1-amino-2-(difluoromethyl)-N-[(1-methylcyclopropyl)sulfonyl]-cyclopropanecarboxamide, cyclic (1→2)-ether
Synonyms:	A-1282576, ABT-493
MF:	$C_{38}H_{46}F_4N_6O_9S$
FW:	838.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 244, 247, 329 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Glecaprevir is supplied as a crystalline solid. A stock solution may be made by dissolving the glecaprevir in the solvent of choice, which should be purged with an inert gas. Glecaprevir is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of glecaprevir in ethanol is approximately 20 mg/ml and approximately 25 mg/ml in DMSO and DMF.

Glecaprevir is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, glecaprevir should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Glecaprevir has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Glecaprevir is an orally bioavailable and direct-acting inhibitor of the hepatitis C virus (HCV) non-structural 3/4A (NS3/4A) serine protease.[1] It inhibits NS3/4A from HCV genotypes 1a, 1b, 2a, 2b, 3a, 4a, 5a, and 6a (IC_{50}s = 4.6, 8.9, 3.5, 3.8, 7.9, 6.1, 8.1, and 11.3 nM, respectively) in cell-free assays but does not inhibit human chymase, chymotrypsin type II, chymotrypsin type VII, elastase, kallikrein, urokinase, or cathepsin B proteases (IC_{50}s = >200,000 nM). Glecaprevir inhibits HCV replication in stable Huh7-derived replicon cells infected with subgenomic genotypes 1a, 1b, 2a, 2b, 3a, 4a, 5a, and 6a (EC_{50}s = 0.85, 0.94, 2.2, 4.6, 1.9, 2.8, 1.4, and 0.86 nM, respectively). It also inhibits replication of clinical isolates of genotypes 1a (EC_{50}s = 0.05-0.12 nM), 1b (EC_{50}s = 0.20-0.68 nM), 2a (EC_{50}s = 0.66-1.9 nM), 2b (EC_{50}s = 1.4-3.2 nM), 3a (EC_{50}s = 0.71-3.8 nM), and 4a (EC_{50}s = 0.31-0.55 nM). Glecaprevir acts synergistically with the HCV NS5A protease inhibitor pibrentasvir (Item No. 27546) to inhibit HCV genotype 1b-Con1 replication in replicon cells. Formulations containing glecaprevir, in combination with pibrentasvir, have been used in the treatment of chronic HCV genotype 1, 2, 3, 4, 5, or 6 infection.

Reference

1. Ng, T.I., Tripathi, R., Reisch, T., *et al. In vitro* antiviral activity and resistance profile of the next-generation hepatitis C virus NS3/4A protease inhibitor glecaprevir. *Antimicrob. Agents Chemother.* **62(1),** e01620-17 (2017).

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