

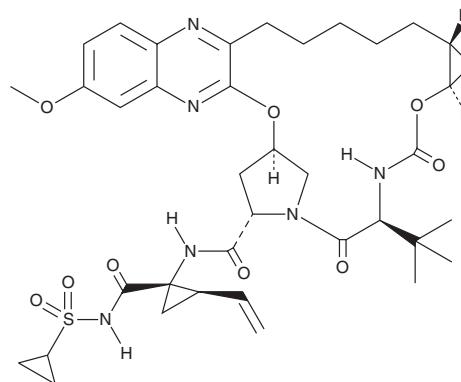
PRODUCT INFORMATION



Grazoprevir

Item No. 21816

CAS Registry No.: 1350514-68-9
Formal Name: (1R,2S)-N-[[[(1R,2R)-2-[5-(3-hydroxy-6-methoxy-2-quinoxaliny)pentyl]cyclopropyl]oxy]carbonyl]-3-methyl-L-valyl-(4R)-4-hydroxy-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-cyclopropanecarboxamide, cyclic (1→2)-ether
Synonym: MK-5172
MF: C₃₈H₅₀N₆O₉S
FW: 766.9
Purity: ≥98%
UV/Vis.: λ_{max}: 215, 253, 342 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

Grazoprevir is supplied as a crystalline solid. A stock solution may be made by dissolving the grazoprevir in the solvent of choice, which should be purged with an inert gas. Grazoprevir is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of grazoprevir in these solvents is approximately 15, 25, and 30 mg/ml, respectively.

Grazoprevir is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, grazoprevir should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Grazoprevir has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Grazoprevir is a pan-genotypic protease inhibitor that binds to hepatitis C virus (HCV) non-structural protein 3/4A (NS3/4A), a serine protease essential for viral replication.¹ Grazoprevir has potent *in vitro* activity against major HCV genotypes (K_s = 0.1, 0.1, 0.08, 0.15, and 0.9 nM for genotypes 1a, 1b, 2a, 2b, and 3a, respectively) and common resistance genotypes (K_s = 0.07, 0.14, and 0.30 nM for genotypes 1b R155K, D165V, and D168Y, respectively). Grazoprevir inhibits the NS3/4A protease in an *in vitro* replicon system with EC₅₀ values of 2 and 8 nM for genotypes 1a and 2a, respectively. Formulations containing grazoprevir are used in combination therapies to treat HCV.²

References

- Summa, V., Ludmerer, S.W., McCauley, J.A., *et al.* MK-5172, a selective inhibitor of hepatitis C virus NS3/4a protease with broad activity across genotypes and resistant variants. *Antimicrob. Agents Chemother.* **56(8)**, 4161-4167 (2012).
- Vallet-Pichard, A. and Pol, S. Grazoprevir/elbasvir combination therapy for HCV infection. *Therap. Adv. Gastroenterol.* **10(1)**, 155-167 (2017).

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.

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