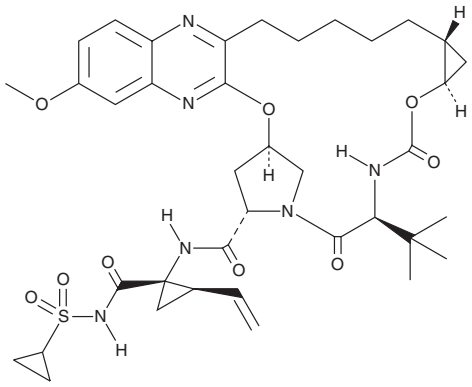
PRODUCT INFORMATION

Grazoprevir

Item No. 21816

CAS Registry No.:	1350514-68-9
Formal Name:	(1R,2S)-N-[[[(1R,2R)-2-[5-(3-hydroxy-6-methoxy-2-quinoxalinyl)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:	$C_{38}H_{50}N_6O_9S$
FW:	766.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 253, 342 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

Grazoprevir is supplied as a crystalline solid. A stock solution may be made by dissolving the grazoprevir in the solvent of choice. Grazoprevir is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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_is = 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_is = 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 EC_{50} 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

1. 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).
2. Vallet-Pichard, A. and Pol, S. Grazoprevir/elbasvir combination therapy for HCV infection. *Therap. Adv. Gastroenterol.* **10(1)**, 155-167 (2017).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM