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Inhibitors, Screening Libraries, Proteins

# HCV Protease

HCV NS3-4A serine protease is a complex composed of NS3 and its cofactor NS4A. It harbours serine protease as well as NTPase/RNA helicase activities and is essential for viral polyprotein processing, RNA replication and virion formation.

The HCV NS3/4A protease efficiently cleaves and inactivates two important signaling molecules in the sensory pathways that react to HCV pathogen-associated molecular patterns (PAMPs) to induce interferons (IFNs), i.e., mitochondrial antiviral signaling protein (MAVS) and Toll-IL-1 receptor domain-containing adaptor inducing IFN- $\beta$  (TRIF). HCV infection is associated with chronic liver disease, including hepatic steatosis, fibrosis, cirrhosis, and hepatocellular carcinoma. The NS3-4A serine protease of HCV has been one of the most attractive targets for developing specific antiviral agents against HCV.

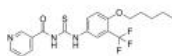
## HCV Protease Inhibitors & Antagonists

### ACH-806

(GS9132)

Cat. No.: HY-19512

ACH-806 is an **NS4A** antagonist which can inhibit Hepatitis C Virus (HCV) replication with an  $EC_{50}$  of 14 nM.

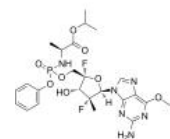


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AL-611

Cat. No.: HY-145374

AL-611 is an **HCV NS5B** polymerase inhibitor ( $EC_{50} = 5$  nM).



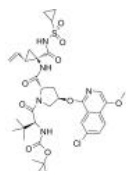
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Asunaprevir

(BMS-650032)

Cat. No.: HY-14434

Asunaprevir (BMS-650032) is a potent and orally bioavailable **hepatitis C virus (HCV) NS3 protease** inhibitor, with  $IC_{50}$  of 0.2 nM-3.5 nM. Asunaprevir inhibits SARS-CoV-2 3CL<sup>pro</sup> activity.

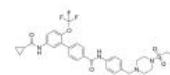


**Purity:** 99.71%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg

### AZD-7295

Cat. No.: HY-111087

AZD-7295 is a **HCV NS5A** protein inhibitor, with an  $EC_{50}$  of 7 nM for GT-1b replicon.

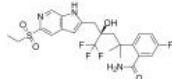


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### BI 653048

Cat. No.: HY-12946

BI 653048 is a selective and orally active nonsteroidal **glucocorticoid (GC)** agonist with an  $IC_{50}$  value of 55 nM. BI 653048 inhibits CP1A2, CYP2D6, CYP2C9, CYP2C19 and CYP3A4 isoforms' activity and reduces affinity for the hERG ion channel ( $IC_{50} > 30$  μM).

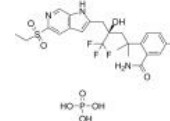


**Purity:** >98%  
**Clinical Data:** Phase 1  
**Size:** 1 mg, 5 mg

### BI 653048 phosphate

Cat. No.: HY-12946A

BI 653048 phosphate is a selective and orally active nonsteroidal **glucocorticoid (GC)** agonist with an  $IC_{50}$  value of 55 nM.

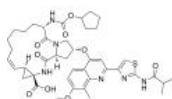


**Purity:** >98%  
**Clinical Data:** Phase 1  
**Size:** 1 mg, 5 mg

### BI-1230

Cat. No.: HY-126973

BI-1230 is potent and digit nanomolar inhibitor of **HCV NS3 protease** and of viral replication. BI-1230 is also highly selective against other serine/cysteine proteases. BI-1230 shows good Pharmacokinetic(PK) activity.

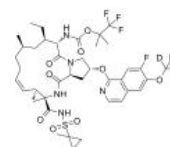


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### BMS-986144

Cat. No.: HY-131905S

BMS-986144 is a third-generation, pan-genotype (GT) **NS3/4A protease** inhibitor. BMS-986144 inhibits HCV replicon with  $EC_{50}$ s of 2.3, 0.7, 1.0, 12, 8.0, and 5.8 nM for GT-1a, GT-1b, GT-2a, GT-3a, 1a R155X, and 1b D168V, respectively.



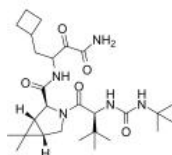
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Boceprevir

(EBP 520; SCH 503034)

Cat. No.: HY-10237

Boceprevir (EBP 520) is a potent, highly selective, orally bioavailable **HCV NS3 protease** inhibitor with a  $K_i$  of 14 nM in both enzyme assay and an  $EC_{90}$  of 350 nM in cell-based replicon assay. Boceprevir inhibits SARS-CoV-2 3CL<sup>pro</sup> activity.



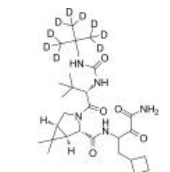
**Purity:** 97.81%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Boceprevir-d9

(EBP 520-d9; SCH 503034-d9)

Cat. No.: HY-10237S

Boceprevir-d9 (EBP 520-d9) is the deuterium labeled Boceprevir. Boceprevir (EBP 520) is a potent, highly selective, orally bioavailable **HCV NS3 protease** inhibitor with a  $K_i$  of 14 nM in both enzyme assay and an  $EC_{90}$  of 350 nM in cell-based replicon assay.



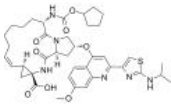
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

**Ciluprevir**  
(BILN 2061; BILN 2061ZW)

Ciluprevir(BILN 2061) is a specific and potent peptidomimetic inhibitor of the HCV NS3 protease with an  $IC_{50}$  of 3.0 nM.

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

Cat. No.: HY-10242

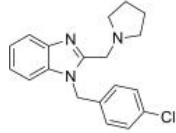


**Clemizole**

Clemizole is an H1 histamine receptor antagonist, is found to substantially inhibit HCV replication. Clemizole is an inhibitor of TRPC5 channel. The  $IC_{50}$  of Clemizole for RNA binding by NS4B is  $24 \pm 1$  nM, whereas its  $EC_{50}$  for viral replication is 8  $\mu$ M.

**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 1 mg, 5 mg

Cat. No.: HY-30234

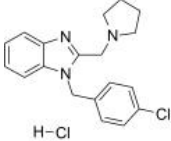


**Clemizole hydrochloride**

Clemizole hydrochloride is an H1 histamine receptor antagonist, is found to substantially inhibit HCV replication. Clemizole hydrochloride is an inhibitor of TRPC5 channel.

**Purity:** 99.99%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Cat. No.: HY-30234A

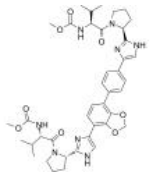


**Coblopassvir**  
(KW-136)

Coblopassvir (KW-136) is a pangenotypic non-structural protein 5A (NS5A) inhibitor. Coblopassvir can be used for research of chronic hepatitis C virus infection.

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cat. No.: HY-117411

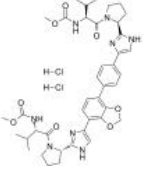


**Coblopassvir dihydrochloride**  
(KW-136 dihydrochloride)

Coblopassvir (KW-136) dihydrochloride is a pangenotypic non-structural protein 5A (NS5A) inhibitor. Coblopassvir dihydrochloride can be used for research of chronic hepatitis C virus infection.

**Purity:** 98.45%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cat. No.: HY-117411A

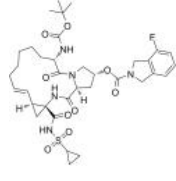


**Danoprevir**  
(ITMN-191; R7227; RO5190591; RG7227)

Danoprevir (ITMN-191) is an orally active NS3/4A protease inhibitor for hepatitis C virus (HCV) with an  $IC_{50}$  of 0.29 nM and is selective for NS3/4A over a panel of 53 proteases ( $IC_{50}$  higher than 10  $\mu$ M).

**Purity:** 98.04%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Cat. No.: HY-10238

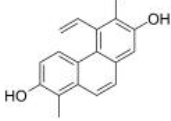


**Dehydrojuncusol**

Dehydrojuncusol, a potent HCV inhibitor, targets HCV NS5A and is able to inhibit RNA replication of replicons harboring resistance mutations to anti-NS5A direct-acting antivirals.

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg

Cat. No.: HY-N8188

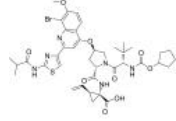


**Faldaprevir**  
(BI 201335)

Faldaprevir (BI 201335) is a potent, orally active and selective noncovalent inhibitor of NS3/4A protease of HCV (hepatitis C virus) genotypes 1a and 1b, with  $K_i$  values of 2.6 and 2.0 nM, respectively.

**Purity:** >98%  
**Clinical Data:** Phase 3  
**Size:** 1 mg, 5 mg

Cat. No.: HY-15256

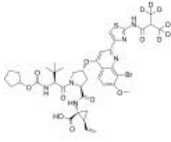


**Faldaprevir-d6**

Faldaprevir-d6 is deuterium labeled Faldaprevir.

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

Cat. No.: HY-15256S

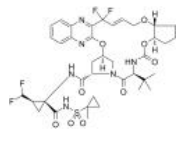


**Glecaprevir**  
(ABT-493)

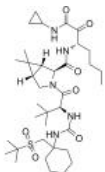
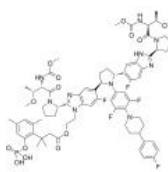
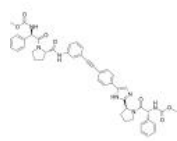
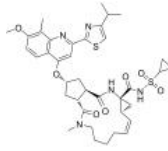
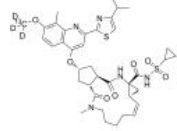
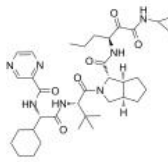
Glecaprevir is a novel HCV NS3/4A protease inhibitor, with  $IC_{50}$  values ranging from 3.5 to 11.3 nM. Glecaprevir is also a SARS-CoV 3CL<sup>pro</sup> inhibitor with an  $IC_{50}$  of 4.09  $\mu$ M.

**Purity:** 99.93%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cat. No.: HY-17634



<p><b>Grazoprevir</b> (MK-5172)</p> <p>Grazoprevir (MK-5172) is a selective inhibitor of <b>Hepatitis C virus NS3/4a</b> protease with broad activity across genotypes and resistant variants, with <math>K_S</math> of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p><b>Purity:</b> 99.98% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>Grazoprevir hydrate</b> (MK-5172 hydrate)</p> <p>Grazoprevir hydrate (MK-5172 hydrate) is a selective inhibitor of <b>Hepatitis C virus NS3/4a</b> protease with broad activity across genotypes and resistant variants, with <math>K_S</math> of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p><b>Purity:</b> 99.10% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Grazoprevir potassium salt</b> (MK-5172 potassium salt)</p> <p>Grazoprevir potassium salt (MK-5172 potassium salt) is a selective inhibitor of <b>Hepatitis C virus NS3/4a</b> protease with broad activity across genotypes and resistant variants, with <math>K_S</math> of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p><b>Purity:</b> 99.40% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>Grazoprevir sodium salt</b> (MK-5172 sodium salt)</p> <p>Grazoprevir sodium salt (MK-5172 sodium salt) is a selective inhibitor of <b>Hepatitis C virus NS3/4a</b> protease with broad activity across genotypes and resistant variants, with <math>K_S</math> of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> Launched <b>Size:</b> 1 mg, 5 mg</p>
<p><b>GSK2818713</b></p> <p>GSK2818713 is a novel Hepatitis C NS5A replication complex inhibitor.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p><b>HCVP-IN-1</b></p> <p>HCVP-IN-1 (compound 1) is a <b>hepatitis C viral polymerase (HCVP)</b> inhibitor.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Hepatitis Virus C NS3 Protease Inhibitor 2</b></p> <p>Hepatitis Virus C NS3 Protease Inhibitor 2 is a product-based peptide inhibitor of <b>hepatitis C virus (HCV) NS3 protease</b>, with a <math>K_i</math> of 41 nM.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p><b>HZ-1157</b></p> <p>HZ-1157 inhibits <b>HCV NS3/4A protease</b> with an <math>IC_{50}</math> of 1.0 <math>\mu</math>mol/L. HZ-1157 (4a) has a high dengue virus inhibitory activity (<math>EC_{50} = 0.15 \mu</math>M) and is a relatively nontoxic (<math>CC_{50} &gt; 10 \mu</math>M) dengue antiviral agent.</p> <p><b>Purity:</b> 98.75% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>IDX184</b></p> <p>IDX184 is a potent and orally bioavailable inhibitor of <b>HCV replication</b>. IDX184 potently inhibits <b>HCV polymerase</b> (<math>IC_{50}=0.31 \mu</math>M, <math>K_i=52.3</math> nM).</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p><b>Isoeuphorbetin</b></p> <p>Isoeuphorbetin, a dimeric coumarin isolated from <i>Viola philippica</i>, is a potent <b>HCV protease</b> inhibitor with an <math>IC_{50}</math> of 3.63 <math>\mu</math>g/mL.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg</p>

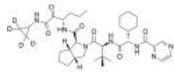
<p><b>Narlaprevir</b> (SCH 900518)</p> <p>Narlaprevir (SCH 900518) is a selective and orally bioavailable <b>NS3 protease</b> inhibitor with a <math>K_i</math> value of 6 nM and an <math>EC_{50}</math> value of 40 nM. Narlaprevir also inhibits the HCV nonstructural protein 3 serine protease.</p> <p><b>Purity:</b> 98.15% <b>Clinical Data:</b> Phase 3 <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p> <p>Cat. No.: HY-10300</p> 	<p><b>NS5A-IN-1</b></p> <p>NS5A-IN-1 is a prodrug of the HCV NS5A inhibitor Pibrentasvir (ABT-530).</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p> <p>Cat. No.: HY-145375</p> 
<p><b>NS5A-IN-2</b></p> <p>NS5A-IN-2 (Compound 33) is a potent inhibitor of NS5A. NS5A-IN-2 has extremely high potency against HCV genotype 1b, improved activity against genotype 3a (GT 3a) and good metabolic stability.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p> <p>Cat. No.: HY-115981</p> 	<p><b>NS5A-IN-3</b></p> <p>NS5A-IN-3 (Compound 15) is a potent inhibitor of NS5A. NS5A-IN-3 has extremely high potency against HCV genotype 1b, improved activity against genotype 3a (GT 3a) and good metabolic stability. NS5A-IN-3 exhibits a higher resistance barrier than daclatasvir against genotype 1b.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p> <p>Cat. No.: HY-115982</p> 
<p><b>NS5A-IN-4</b></p> <p>NS5A-IN-4 (Compound 1.12) is an orally active pan-genotypic hepatitis C virus (HCV) NS5A inhibitor with <math>IC_{50}</math> values of 1.2, 2296, 4.6, 362, 10.3 and 693 pM against gT1b, gT1a, gT2a, gT3a, gT4a and gT5a.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p> <p>Cat. No.: HY-146126</p> 	<p><b>Paritaprevir</b> (ABT-450; Veruprevir)</p> <p>Paritaprevir (ABT-450) is a potent non-structural protein 3/4A (NS3/4A) protease inhibitor with <math>EC_{50}</math>s of 1 and 0.21 nM against HCV 1a and 1b, respectively. Paritaprevir is also a SARS-CoV 3CL<sup>pro</sup> inhibitor with an <math>IC_{50}</math> of 1.31 <math>\mu</math>M.</p> <p><b>Purity:</b> 99.89% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> <p>Cat. No.: HY-12594</p> 
<p><b>Samatasvir</b> (IDX719; IDX18719)</p> <p>Samatasvir (IDX71) is a potent, orally active NS5A inhibitor of HCV replication. Samatasvir is effective and selective against infectious HCV and replicons, with <math>EC_{50}</math>s falling within a tight range of 2 to 24 pM in genotype 1 through 5 replicons.</p> <p><b>Purity:</b> 99.39% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> <p>Cat. No.: HY-16784</p> 	<p><b>Simeprevir</b> (TMC435)</p> <p>Simeprevir (TMC435) is an oral and potent HCV NS3/4A protease inhibitor with a <math>K_i</math> of 0.36 nM. Simeprevir inhibits HCV replication with an <math>EC_{50}</math> of 7.8 nM. Simeprevir inhibits SARS-CoV-2 3CL<sup>pro</sup> activity.</p> <p><b>Purity:</b> 99.46% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> <p>Cat. No.: HY-10241</p> 
<p><b>Simeprevir-13C,d3</b> (TMC435-13C,d3)</p> <p>Simeprevir-13C,d3 (TMC435-13C,d3) is the 13C- and deuterium labeled Simeprevir. Simeprevir (TMC435) is an oral and potent HCV NS3/4A protease inhibitor with a <math>K_i</math> of 0.36 nM. Simeprevir inhibits HCV replication with an <math>EC_{50}</math> of 7.8 nM. Simeprevir inhibits SARS-CoV-2 3CL<sup>pro</sup> activity.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p> <p>Cat. No.: HY-10241S</p> 	<p><b>Telaprevir</b> (VX-950)</p> <p>Telaprevir (VX-950) is a highly selective, reversible, and potent peptidomimetic inhibitor of the HCV NS3-4A protease, the steady-state inhibitory constant (<math>K_i</math>) of Telaprevir is 7 nM against a genotype 1 (H strain) NS3 protease domain plus a NS4A cofactor peptide.</p> <p><b>Purity:</b> 96.80% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p> <p>Cat. No.: HY-10235</p> 

### Telaprevir-d4

(VX-950-d4)

Cat. No.: HY-10235S

Telaprevir-d4 (VX-950-d4) is the deuterium labeled Telaprevir.



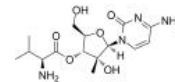
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Valopicitabine

(NM283)

Cat. No.: HY-108060

Valopicitabine (NM283) is a nucleoside analog and the orally bioavailable prodrug of the potent anti-HCV agent 2'-C-methylcytidine (NM107). NM107 competitively inhibits NS5B polymerase, causing chain termination.



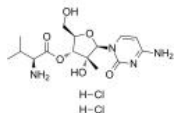
**Purity:** >98%  
**Clinical Data:** Phase 2  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Valopicitabine dihydrochloride

(NM283 dihydrochloride)

Cat. No.: HY-108060A

Valopicitabine (NM283) dihydrochloride is a nucleoside analog and the orally bioavailable prodrug of the potent anti-HCV agent 2'-C-methylcytidine (NM107). NM107 competitively inhibits NS5B polymerase, causing chain termination.



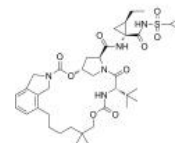
**Purity:** 98.68%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Vaniprevir

(MK-7009)

Cat. No.: HY-10243

Vaniprevir (MK-7009) is a non-covalent competitive inhibitor of the hepatitis C virus (HCV) NS3/4A protease.



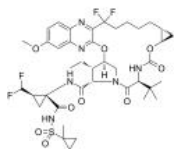
**Purity:** 99.60%  
**Clinical Data:** Launched  
**Size:** 1 mg, 5 mg

### Voxilaprevir

(GS-9857)

Cat. No.: HY-19840

Voxilaprevir (GS-9857) is a noncovalent, reversible inhibitor of HCV NS3/4A protease inhibitor (PI) with pangentotypic antiviral activity. Voxilaprevir inhibits genotype 1b and 3a wild-type NS3 proteases with  $K_i$  values of 0.038 nM and 0.066 nM, respectively.



**Purity:** 99.67%  
**Clinical Data:** Launched  
**Size:** 5 mg, 10 mg