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Inhibitors, Agonists, Screening Libraries

# HCV Protease

HCV NS3-4A serine protease is a non-covalent heterodimer consisting of a catalytic subunit (the N-terminal one-third of NS3 protein) and an activating cofactor (NS4A protein), and is responsible for cleavage at four sites of the HCV polyprotein. HCV NS3-4A protease is essential for viral replication in cell culture and in chimpanzees, and has been considered as one of the most attractive targets for developing novel anti-HCV therapies. However, discovery of small-molecule, selective inhibitors against HCV NS3-4A protease as oral drug candidates has been hampered by its shallow substrate-binding groove and the lack of robust, reproducible viral replication models in cell culture or in small animals.

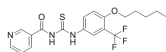
## HCV Protease Inhibitors & Antagonists

### 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.



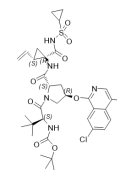
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg

### Asunaprevir

(BMS-650032)

Cat. No.: HY-14434

Asunaprevir is a potent hepatitis C virus (HCV) NS3 protease inhibitor, with  $IC_{50}$  of 0.2 nM-3.5 nM.



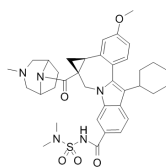
**Purity:** 99.27%  
**Clinical Data:** Phase 4  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg

### Beclabuvir

(BMS-791325)

Cat. No.: HY-12429

Beclabuvir is an allosteric inhibitor that binds to thumb site 1 of the hepatitis C virus (HCV) NS5B RNA-dependent RNA polymerase, and inhibits recombinant NS5B proteins from HCV genotypes 1, 3, 4, and 5 with  $IC_{50}$  of < 28 nM.



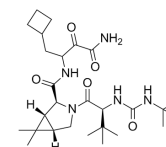
**Purity:** 99.81%  
**Clinical Data:** Phase 3  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Boceprevir

(EBP 520; SCH 503034)

Cat. No.: HY-10237

Boceprevir is a novel, potent, highly selective, orally bioavailable HCV NS3 protease inhibitor with  $K_i$  of 14 nM in both enzyme assay and  $EC_{50}$  of 350 nM in cell-based replicon assay.

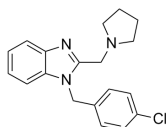


**Purity:** 99.12%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Clemizole

Cat. No.: HY-30234

Clemizole is an **H1 histamine receptor** antagonist, is found to substantially inhibit HCV replication. 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.

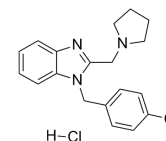


**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 5 mg, 10 mg, 50 mg

### Clemizole hydrochloride

Cat. No.: HY-30234A

Clemizole hydrochloride is an **H1 histamine receptor** antagonist, is found to substantially inhibit HCV replication. 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.



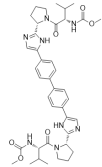
**Purity:** 99.32%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Daclatasvir

(BMS-790052; EBP 883)

Cat. No.: HY-10466

Daclatasvir is a potent HCV NS5A protein inhibitor, with mean  $EC_{50}$  values of 50 and 9 pM against genotype 1a and 1b replicons, respectively.



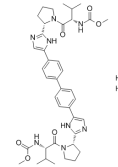
**Purity:** 99.31%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Daclatasvir dihydrochloride

(BMS-790052 dihydrochloride)

Cat. No.: HY-10465

Daclatasvir dihydrochloride (BMS-790052 dihydrochloride) is a highly selective inhibitor of HCV NS5A with  $EC_{50}$  of 9-50 pM, for a broad range of HCV replicon genotypes and the JFH-1 genotype 2a infectious virus in cell culture.



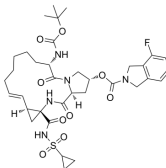
**Purity:** 99.70%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Danoprevir

(ITMN-191; R7227; RO5190591; RG7227)

Cat. No.: HY-10238

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).



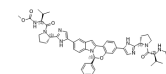
**Purity:** 97.13%  
**Clinical Data:** Phase 3  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg

### Elbasvir

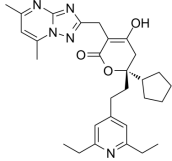
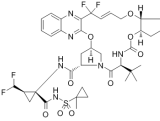
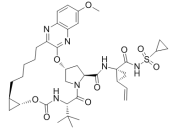
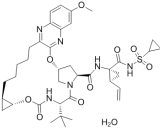
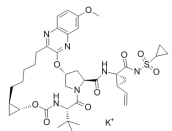
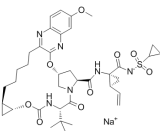
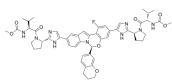
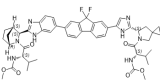
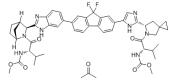
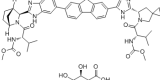
(MK-8742)

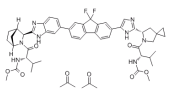
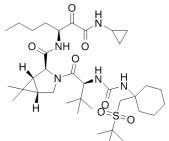
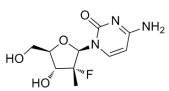
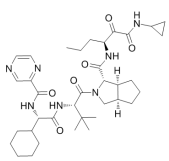
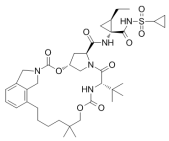
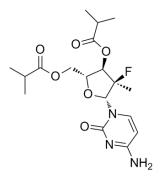
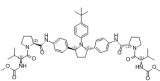
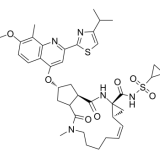
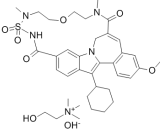
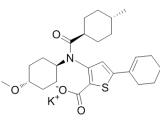
Cat. No.: HY-15789

Elbasvir (MK-8742) is a hepatitis C virus nonstructural protein 5A (HCV NS5A) inhibitor with  $EC_{50}$ s of 4, 3 and 3 nM against genotype 1a, 1b, and 2a, respectively.



**Purity:** 99.97%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

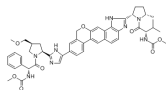
<p><b>Filibuvir</b></p> <p>Cat. No.: HY-10118</p> <p>Filibuvir is a potent, selective non-nucleoside inhibitor (NNI) of the HCV nonstructural 5B protein (NS5B) RNA-dependent RNA polymerase, and it binds noncovalently in the "Thumb 2" pocket of NS5B.</p> <p><b>Purity:</b> 98.09%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p> 	<p><b>Glecaprevir</b> (ABT-493)</p> <p>Cat. No.: HY-17634</p> <p>Glecaprevir is a novel HCV NS3/4A protease inhibitor, with <math>IC_{50}</math> values ranging from 3.5 to 11.3 nM.</p> <p><b>Purity:</b> 99.65%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</p> 
<p><b>Grazoprevir</b> (MK-5172)</p> <p>Cat. No.: HY-15298</p> <p>Grazoprevir (MK-5172) is a selective inhibitor of Hepatitis C virus NS3/4a 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> 99.21%  <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>Cat. No.: HY-15298B</p> <p>Grazoprevir hydrate (MK-5172 hydrate) is a selective inhibitor of Hepatitis C virus NS3/4a 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> 99.58%  <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>Cat. No.: HY-15298A</p> <p>Grazoprevir potassium salt (MK-5172 potassium salt) is a selective inhibitor of Hepatitis C virus NS3/4a 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> 99.35%  <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>Cat. No.: HY-15298C</p> <p>Grazoprevir sodium salt (MK-5172 sodium salt) is a selective inhibitor of Hepatitis C virus NS3/4a 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> 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>HCV-IN-4</b></p> <p>Cat. No.: HY-P0162</p> <p>HCV-IN-4 is a potent and orally active HCV NS5A inhibitor, shows great potency against GT1a, GT2b, GT3a, GT1a Y93H and GT1a L31V, with <math>EC_{90}</math>s of 3 pM, 0.3 nM, 0.01 nM, 0.5 nM and 0.02 nM, respectively.</p> <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 100 mg, 250 mg, 300 mg</p> 	<p><b>Ledipasvir</b> (GS-5885)</p> <p>Cat. No.: HY-15602</p> <p>Ledipasvir is an inhibitor of the hepatitis C virus NS5A, with <math>EC_{50}</math>s of 34 pM and 4 pM against genotype 1a and 1b replicon, respectively.</p> <p><b>Purity:</b> 99.96%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>Ledipasvir acetone</b> (GS-5885 acetone)</p> <p>Cat. No.: HY-15602A</p> <p>Ledipasvir acetone is the active pharmaceutical ingredient of Ledipasvir. Ledipasvir is an inhibitor of the hepatitis C virus NS5A, with <math>EC_{50}</math> values of 34 pM against GT1a and 4 pM against GT1b replicon.</p> <p><b>Purity:</b> 99.95%  <b>Clinical Data:</b> Phase 4  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>Ledipasvir D-tartrate</b> (GS-5885 D-tartrate)</p> <p>Cat. No.: HY-15602B</p> <p>Ledipasvir D-tartrate is an inhibitor of the hepatitis C virus NS5A, with <math>EC_{50}</math> values of 34 pM against GT1a and 4 pM against GT1b replicon.</p> <p><b>Purity:</b> 99.73%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 

<p><b>Ledipasvir diacetone</b> (GS-5885 diacetone)</p> <p>Ledipasvir diacetone is the active pharmaceutical ingredient of Ledipasvir. Ledipasvir is an inhibitor of the <b>hepatitis C virus NS5A</b>, with <math>EC_{50}</math> values of 34 pM against GT1a and 4 pM against GT1b replicon.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> Launched <b>Size:</b> 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>Cat. No.:</b> HY-15602D</p> 	<p><b>Narlaprevir</b> (SCH 900518)</p> <p>Narlaprevir is a potent, selective, orally bioavailable NS3 protease inhibitor (<math>K_i=6</math> nM; <math>EC_{90}=40</math> nM).</p> <p><b>Purity:</b> 97.51% <b>Clinical Data:</b> Phase 3 <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p><b>Cat. No.:</b> HY-10300</p> 	<p><b>PSI-6130</b> (R 1656)</p> <p>PSI-6130 is a potent and selective inhibitor of <b>HCV NS5B polymerase</b>, and inhibits HCV replication with a mean <math>IC_{50}</math> of 0.6 <math>\mu</math>M. .</p> <p><b>Purity:</b> 99.39% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg</p>	<p><b>Cat. No.:</b> HY-10165</p> 	<p><b>Telaprevir</b> (VX-950)</p> <p>Telaprevir is a highly selective, reversible, and potent peptidomimetic inhibitor of the <b>HCV NS3-4A protease</b>, 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> 99.89% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p><b>Cat. No.:</b> HY-10235</p> 	<p><b>Vaniprevir</b> (MK-7009)</p> <p>Vaniprevir (MK-7009) is a non-covalent competitive inhibitor of the hepatitis C virus (HCV) NS3/4A protease.</p> <p><b>Purity:</b> 99.60% <b>Clinical Data:</b> Launched <b>Size:</b> 5 mg, 10 mg</p>	<p><b>Cat. No.:</b> HY-10243</p> 	<p><b>Mericitabine</b> (RG 7128; R-7128; PSI 6130 diisobutyrate)</p> <p>Mericitabine (R-7128) is a nucleoside inhibitor of the <b>HCV NS5B polymerase</b> that acts as an RNA chain terminator and prevents elongation of RNA transcripts during replication.</p> <p><b>Purity:</b> 99.34% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p><b>Cat. No.:</b> HY-10240</p> 	<p><b>Ombitasvir</b> (ABT-267)</p> <p>Ombitasvir is a potent inhibitor of the <b>hepatitis C virus protein NS5A</b>, with <math>EC_{50}</math>s of 0.82 to 19.3 pM against HCV genotypes 1 to 5, and 366 pM against genotype 6a.</p> <p><b>Purity:</b> 99.79% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>Cat. No.:</b> HY-13997</p> 	<p><b>Simeprevir</b> (TMC435)</p> <p>Simeprevir (TMC435) is an oral and potent <b>HCV NS3/4A protease</b> inhibitor with a <math>K_i</math> of 0.36 nM, and inhibits HCV replication with an <math>EC_{50}</math> of 7.8 nM.</p> <p><b>Purity:</b> 99.34% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>Cat. No.:</b> HY-10241</p> 	<p><b>TMC647055 Choline salt</b></p> <p>TMC647055 choline salt is a cell-permeating, selective HCV NS5B inhibitor, eliciting a mean <math>IC_{50}</math> of 34 nM, as assessed in the RdRp primer-dependent transcription assay.</p> <p><b>Purity:</b> 99.75% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>Cat. No.:</b> HY-15591A</p> 	<p><b>VCH-916</b></p> <p>VCH-916 is a novel nonnucleoside HCV NS5B polymerase inhibitor.</p> <p><b>Purity:</b> 99.51% <b>Clinical Data:</b> Phase 1 <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>Cat. No.:</b> HY-13465</p> 
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**Velpatasvir**  
(GS-5816)

Cat. No.: HY-12530

Velpatasvir (VEL, GS-5816) is a novel pan-genotypic hepatitis C virus (HCV) nonstructural protein 5A (NS5A) inhibitor with activity against genotype 1 (GT1) to GT6 HCV replicons.

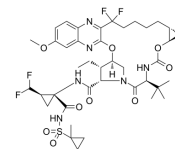


**Purity:** 99.95%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

**Voxilaprevir**  
(GS-9857)

Cat. No.: HY-19840

Voxilaprevir (GS-9857) is a fluorinated macrocyclic hepatitis C virus (HCV) nonstructural protein (NS) 3/4A protease inhibitor with potent in vitro antiviral activity against genotypes 1-6 HCV and broad coverage of NS3/4A protease polymorphisms.

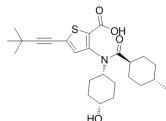


**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 1 mg, 5 mg

**VX-222**  
(VCH-222)

Cat. No.: HY-75800

VX-222 (VCH-222) is a novel, potent and selective inhibitor of HCV polymerase with IC50 of 0.94-1.2 μM, 15.3-fold less effective for mutant M423T, and 108-fold less effective for mutant I482L.



**Purity:** 99.76%  
**Clinical Data:** Phase 2  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg