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 & Modulators

<table>
<thead>
<tr>
<th><strong>ACH-806</strong> (GS9132)</th>
<th>Cat. No.: HY-19512</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>ACH-806 is a NS4A antagonist which can inhibit Hepatitis C Virus (HCV) replication with an EC\textsubscript{50} of 14 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Asunaprevir</strong> (BMS-650032)</th>
<th>Cat. No.: HY-14434</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Asunaprevir is a potent hepatitis C virus (HCV) NS3 protease inhibitor, with the IC\textsubscript{50} of 0.2 nM-3.5 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.27%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 4</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Beclabuvir</strong> (BMS-791325)</th>
<th>Cat. No.: HY-12429</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>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\textsubscript{50} of 18-28 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.81%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Clemizole hydrochloride</strong></th>
<th>Cat. No.: HY-30234A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Clemizole hydrochloride is an H1 histamine receptor antagonist, is found to substantially inhibit HCV replication. The IC\textsubscript{50} of Clemizole for RNA binding by NS4B is 24±1 nM, whereas its EC\textsubscript{50} for viral replication is 8 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.32%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Daclatasvir</strong> (BMS-790052; EBP 883)</th>
<th>Cat. No.: HY-10466</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Daclatasvir is a potent HCV NS5A protein inhibitor, with mean EC\textsubscript{50} values of 50 and 9 pM against genotype 1a and 1b replicons, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.31%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Danoprevir</strong></th>
<th>Cat. No.: HY-10238</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Danoprevir is a peptidomimetic inhibitor of the NS3/4A protease of hepatitis C virus (HCV) with IC\textsubscript{50} of 0.2-3.5 nM. The inhibition effect on HCV genotypes 1A/1B/4/5/6 is appr 10-fold higher than 2B/3A.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>97.29%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
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<thead>
<tr>
<th><strong>Elbasvir</strong></th>
<th>Cat. No.: HY-15789</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Elbasvir is a small-molecule inhibitor of nonstructural protein 5 A (NS5A) of hepatitis C virus (HCV) being developed as a component of treatment regimens for chronic HCV infection.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.97%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Glecaprevir</strong></th>
<th>Cat. No.: HY-17634</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Glecaprevir is a novel HCV NS3/4A protease inhibitor, with IC\textsubscript{50} values ranging from 3.5 to 11.3 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.65%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

2 Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@medchemexpress.com
Ledipasvir (GS-5885)  
Cat. No.: HY-15602

Bioactivity: Ledipasvir is an inhibitor of the hepatitis C virus NS5A, with EC\textsubscript{50} values of 34 pM against GT1a and 4 pM against GT1b replicon.

Purity: 98.0%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Ledipasvir acetone (GS-5885 acetone)  
Cat. No.: HY-15602A

Bioactivity: Ledipasvir acetone is the active pharmaceutical ingredient of Ledipasvir. Ledipasvir is an inhibitor of the hepatitis C virus NS5A, with EC\textsubscript{50} values of 34 pM against GT1a and 4 pM against GT1b replicon.

Purity: 99.98%
Clinical Data: Phase 4
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Ledipasvir D-tartrate (GS-5885 D-tartrate)  
Cat. No.: HY-15602B

Bioactivity: Ledipasvir D-tartrate is an inhibitor of the hepatitis C virus NS5A, with EC\textsubscript{50} values of 34 pM against GT1a and 4 pM against GT1b replicon.

Purity: 99.73%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Ledipasvir diacetone (GS-5885 diacetone)  
Cat. No.: HY-15602D

Bioactivity: Ledipasvir diacetone is the active pharmaceutical ingredient of Ledipasvir. Ledipasvir is an inhibitor of the hepatitis C virus NS5A, with EC\textsubscript{50} values of 34 pM against GT1a and 4 pM against GT1b replicon.

Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg

MK-5172 (Grazoprevir)  
Cat. No.: HY-15298

Bioactivity: MK-5172 is a selective inhibitor of Hepatitis C virus NS3/4a, with EC\textsubscript{50} values of 34 pM against GT1a and 4 pM against GT1b.

Purity: 99.21%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

MK-5172 hydrate (Grazoprevir hydrate)  
Cat. No.: HY-15298B

Bioactivity: MK-5172 is a novel P2-P4 quinoxaline macrocyclic HCV NS3/4a protease inhibitor currently in clinical development.

Purity: 99.58%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

MK-5172 potassium salt (Grazoprevir potassium salt)  
Cat. No.: HY-15298A

Bioactivity: MK-5172 is a novel P2-P4 quinoxaline macrocyclic HCV NS3/4a protease inhibitor currently in clinical development.

Purity: 99.35%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

MK-5172 sodium salt (Grazoprevir sodium salt)  
Cat. No.: HY-15298C

Bioactivity: MK-5172 is a novel P2-P4 quinoxaline macrocyclic HCV NS3/4a protease inhibitor currently in clinical development.

Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg

Narlaprevir (SCH 900518)  
Cat. No.: HY-10300

Bioactivity: Narlaprevir is a potent, selective, orally bioavailable NS3 protease inhibitor (Ki=6 nM; EC90=40 nM)

Purity: 93.0%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Ombitasvir (ABT-267)  
Cat. No.: HY-13997

Bioactivity: Ombitasvir is a potent inhibitor of the hepatitis C virus protease NS5A, with EC\textsubscript{50} of 0.82 to 19.3 pM against HCV genotypes 1 to 5 and 366 pM against genotype 6a.

Purity: 99.89%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
**PSI-6130**
(R 1656)  
**Bioactivity:** PSI-6130 is a potent and selective inhibitor of **HCV NS5B polymerase**, and inhibits HCV replication with a mean EC<sub>50</sub> of 0.6 μM.  
**Purity:** 99.39%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

**R-7128**
(RG 7128; Mercicitabine; PSI 6130 disobutyrate)  
**Bioactivity:** R-7128 is a nucleoside inhibitor of the **HCV NS5B polymerase** that acts as an RNA chain terminator and prevents elongation of RNA transcripts during replication.  
**Purity:** 99.34%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**Simeprevir**
(TM435)  
**Bioactivity:** Simeprevir is a potent **HCV NS3/4A protease** inhibitor, and inhibits HCV replication with EC<sub>50</sub> of 8 nM.  
**Purity:** 99.34%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Telaprevir**
(VX-950)  
**Bioactivity:** Telaprevir is a highly selective, reversible, and potent peptidomimetic inhibitor of the **HCV NS5B-4A protease**, the steady-state inhibitory constant (K<sub>i</sub>) of Telaprevir is 7 nM against a genotype 1 (H strain) NS3 protease domain plus a NS4A cofactor peptide.  
**Purity:** 99.89%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

**TMC647055 Choline salt**  
**Bioactivity:** TMC647055 choline salt is a cell-permeating, selective HCV NS5B inhibitor, eliciting a mean IC50 of 34 nM, as assessed in the RdRp primer-dependent transcription assay.  
**Purity:** 99.73%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Vaniprevir**
(MK-7009)  
**Bioactivity:** 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:** 10mM x 1mL in DMSO, 5 mg, 10 mg

**VCH-916**  
**Bioactivity:** VCH-916 is a novel nonnucleoside HCV NS5B polymerase inhibitor.  
**Purity:** 99.0%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Velpatasvir**
(GS-5816)  
**Bioactivity:** 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:** 99.71%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

**VX-222**
(VCH-222)  
**Bioactivity:** 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:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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**Bioactivity:** PSI-6130 is a potent and selective inhibitor of HCV NS5B polymerase, and inhibits HCV replication with a mean EC<sub>50</sub> of 0.6 μM.  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

**Bioactivity:** R-7128 is a nucleoside inhibitor of the HCV NS5B polymerase that acts as an RNA chain terminator and prevents elongation of RNA transcripts during replication.  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**Bioactivity:** Simeprevir is a potent HCV NS3/4A protease inhibitor, and inhibits HCV replication with EC<sub>50</sub> of 8 nM.  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Bioactivity:** Telaprevir is a highly selective, reversible, and potent peptidomimetic inhibitor of the HCV NS5B-4A protease, the steady-state inhibitory constant (K<sub>i</sub>) of Telaprevir is 7 nM against a genotype 1 (H strain) NS3 protease domain plus a NS4A cofactor peptide.  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

**Bioactivity:** TMC647055 choline salt is a cell-permeating, selective HCV NS5B inhibitor, eliciting a mean IC50 of 34 nM, as assessed in the RdRp primer-dependent transcription assay.  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Bioactivity:** Vaniprevir (MK-7009) is a non-covalent competitive inhibitor of the hepatitis C virus (HCV) NS3/4A protease.  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

**Bioactivity:** VCH-916 is a novel nonnucleoside HCV NS5B polymerase inhibitor.  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Bioactivity:** 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.  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

**Bioactivity:** 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.  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg