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Inhibitors, Agonists, Screening Libraries

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- β (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.

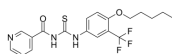
HCV Protease Inhibitors & Antagonists

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.



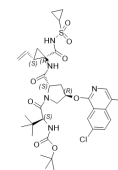
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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^{pro} activity.

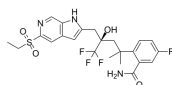


Purity: 99.74%
Clinical Data: Launched
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg

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 μ M).

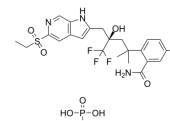


Purity: >98%
Clinical Data: Phase 1
Size: 1 mg, 5 mg

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.

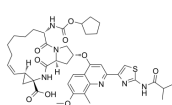


Purity: >98%
Clinical Data: Phase 1
Size: 1 mg, 5 mg

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.

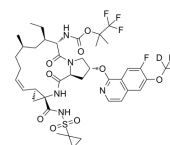


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BMS-986144

Cat. No.: HY-1319055

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.



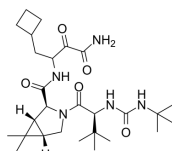
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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_{50} of 350 nM in cell-based replicon assay. Boceprevir inhibits SARS-CoV-2 3CL^{pro} activity.

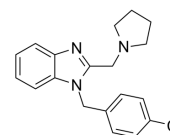


Purity: 97.81%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

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 ± 1 nM, whereas its EC_{50} for viral replication is 8 μ M.

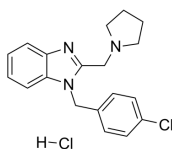


Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

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 ± 1 nM, whereas its EC_{50} for viral replication is 8 μ M.



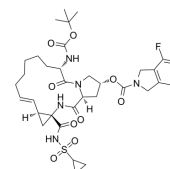
Purity: 99.99%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Danoprevir

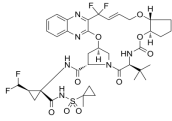
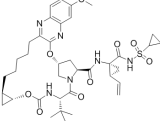
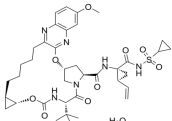
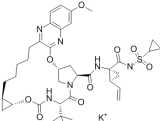
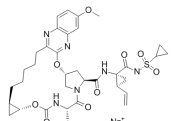
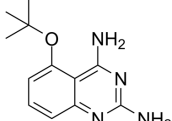
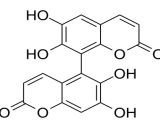
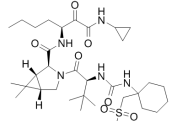
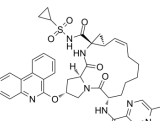
(ITMN-191; R7227; RO5190591; RG7227)

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 μ M).



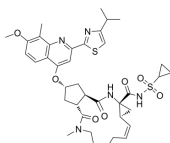
Purity: 98.04%
Clinical Data: Launched
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg

<p>Glecaprevir (ABT-493)</p> <p>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^{pro} inhibitor with an IC_{50} of 4.09 μM.</p> <p>Purity: 99.93% Clinical Data: Launched Size: 10 mM \times 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>  <p>Cat. No.: HY-17634</p>	<p>Grazoprevir (MK-5172)</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 K_is of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p>Purity: 99.98% Clinical Data: Launched Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>  <p>Cat. No.: HY-15298</p>
<p>Grazoprevir hydrate (MK-5172 hydrate)</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 K_is of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p>Purity: 99.10% Clinical Data: Launched Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>  <p>Cat. No.: HY-15298B</p>	<p>Grazoprevir potassium salt (MK-5172 potassium salt)</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 K_is of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p>Purity: 99.40% Clinical Data: Launched Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>  <p>Cat. No.: HY-15298A</p>
<p>Grazoprevir sodium salt (MK-5172 sodium salt)</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 K_is of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.</p> <p>Purity: >98% Clinical Data: Launched Size: 1 mg, 5 mg</p>  <p>Cat. No.: HY-15298C</p>	<p>Hepatitis Virus C NS3 Protease Inhibitor 2</p> <p>Hepatitis Virus C NS3 Protease Inhibitor 2 is a product-based peptide inhibitor of hepatitis C virus (HCV) NS3 protease, with a K_i of 41 nM.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> <p>Ac-DE-(Dif)-E-(Cha)-C</p> <p>Cat. No.: HY-P2502</p>
<p>HZ-1157</p> <p>HZ-1157 inhibits HCV NS3/4A protease with an IC_{50} of 1.0 μmol/L. HZ-1157 (4a) has a high dengue virus inhibitory activity (EC_{50} = 0.15 μM) and is a relatively nontoxic (CC_{50} > 10 μM) dengue antiviral agent.</p> <p>Purity: 98.75% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>  <p>Cat. No.: HY-109571</p>	<p>Isoeuphorbetin</p> <p>Isoeuphorbetin, a dimeric coumarin isolated from Viola philippica, is a potent HCV protease inhibitor with an IC_{50} of 3.63 μg/mL.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg</p>  <p>Cat. No.: HY-N7672</p>
<p>Narlaprevir (SCH 900518)</p> <p>Narlaprevir (SCH 900518) is a selective and orally bioavailable NS3 protease inhibitor with a K_i value of 6 nM and an EC_{90} value of 40 nM. Narlaprevir also inhibits the HCV nonstructural protein 3 serine protease.</p> <p>Purity: 98.15% Clinical Data: Phase 3 Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg</p>  <p>Cat. No.: HY-10300</p>	<p>Paritaprevir (ABT-450; Veruprevir)</p> <p>Paritaprevir (ABT-450) is a potent non-structural protein 3/4A (NS3/4A) protease inhibitor with EC_{50}s of 1 and 0.21 nM against HCV 1a and 1b, respectively. Paritaprevir is also a SARS-CoV 3CL^{pro} inhibitor with an IC_{50} of 1.31 μM.</p> <p>Purity: 99.89% Clinical Data: Launched Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>  <p>Cat. No.: HY-12594</p>

Simeprevir (TMC435)

Cat. No.: HY-10241

Simeprevir (TMC435) is an oral and potent HCV NS3/4A protease inhibitor with a K_i of 0.36 nM. Simeprevir inhibits HCV replication with an EC_{50} of 7.8 nM. Simeprevir inhibits SARS-CoV-2 3CL^{pro} activity.

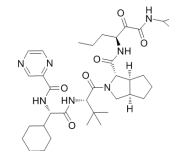


Purity: 99.46%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Telaprevir (VX-950)

Cat. No.: HY-10235

Telaprevir (VX-950) is a highly selective, reversible, and potent peptidomimetic inhibitor of the HCV NS3-4A protease, the steady-state inhibitory constant (K_i) of Telaprevir is 7 nM against a genotype 1 (H strain) NS3 protease domain plus a NS4A cofactor peptide.

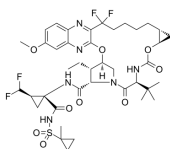


Purity: 99.07%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Voxilaprevir (GS-9857)

Cat. No.: HY-19840

Voxilaprevir (GS-9857) is a noncovalent, reversible inhibitor of HCV NS3/4A protease inhibitor (PI) with pangenotypic 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: 99.17%
Clinical Data: Launched
Size: 5 mg, 10 mg