

# **HIV Protease**

HIV protease, a homodimeric aspartyl protease, is crucial for the viral life-cycle, cleaving proviral polyproteins, hence creating mature protein components that are required for the formation of an infectious virus. HIV protease cleaves newly synthesized polyproteins at the appropriate places to create the mature protein components of an infectious HIV virion. HIV protease is a critical drug target in designing anti-retroviral drugs to treat HIV/AIDS (acquired immune deficiency syndrome).

HIV-1 protease permits viral maturation by processing the Gag and Gag-Pro-Pol polyproteins. It recognizes and cleaves more than 12 different substrates leading to viral maturation. Similar to that of HIV-1, HIV-2 protease is also a homodimeric aspartyl enzyme that plays a vital role in the HIV life-cycle through processing of Gag and Gag-Pro-Pol precursor polyproteins leading to viral maturation.

### **HIV Protease Inhibitors**

#### 20(21)-Dehydrolucidenic acid A

20(21)-Dehydrolucidenic acid A is a triterpenoid isolated from the fruiting body of the fungus Ganoderma sinense. 20(21)-Dehydrolucidenic acid A has weak anti-HIV-1 protease activity.



Purity: >98%

Amprenavir-d4

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

Cat. No.: HY-N3502

## Cat. No.: HY-17430S

Amprenavir-d4 is the deuterium labeled Amprenavir. Amprenavir (VX-478) is a HIV protease inhibitor (Ki=0.6 nM) used to treat HIV infection. Amprenavir is also a SARS-CoV 3CLpro inhibitor with an  $IC_{50}$  of 1.09  $\mu$ M.



**Purity:** 

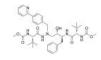
Clinical Data: No Development Reported

1 mg, 10 mg Size:

## Atazanavir

(BMS-232632) Cat. No.: HY-17367

Atazanavir (BMS-232632), a highly selective HIV-1 protease inhibitor, is the first protease inhibitor approved for once-daily administration. Atazanavir (BMS-232632) is a substrate and inhibitor of CYP3A4, and an inhibitor and inducer of P-glycoprotein (P-gp).



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

#### Atazanavir-d5 Cat. No.: HY-17367S3

Atazanavir-d5 is the deuterium labeled Atazanavir. Atazanavir (BMS-232632), a highly selective HIV-1 protease inhibitor, is the first protease inhibitor approved for once-daily administration.



Cat. No.: HY-17367S2

>98% Purity:

Clinical Data: No Development Reported

Size 1 ma, 10 ma

#### Atazanavir-d9 (BMS-232632-d9)

Atazanavir-d9 (BMS-232632-d9) is the deuterium labeled Atazanavir. Atazanavir (BMS-232632), a highly selective HIV-1 protease inhibitor, is the first protease inhibitor approved for once-daily administration.



Purity: >98%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

#### Amprenavir

(VX-478) Cat. No.: HY-17430

Amprenavir (VX-478) is a HIV protease inhibitor (Ki=0.6 nM) used to treat HIV infection. Amprenavir is also a SARS-CoV 3CLpro inhibitor with an  $IC_{50}$  of 1.09  $\mu$ M.

99 58%

Purity: Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 25 mg, 50 mg

### Amprenavir-d4-1

(VX-478-d4-1) Cat. No.: HY-17430S1

Amprenavir-d4-1 is deuterium labeled Amprenavir. Amprenavir (VX-478) is a HIV protease inhibitor (Ki=0.6 nM) used to treat HIV infection. Amprenavir is also a SARS-CoV 3CLpro inhibitor with an IC50 of 1.09 µM.



Purity: >98% Clinical Data:

1 mg, 5 mg

## Atazanavir sulfate

(BMS-232632 sulfate) Cat. No.: HY-17367A

Atazanavir (BMS-232632) sulfate, a highly selective HIV-1 protease inhibitor, is the first protease inhibitor approved for once-daily administration. Atazanavir sulfate is a substrate and inhibitor of CYP3A4, and an inhibitor and inducer of P-glycoprotein (P-gp).



99.94% **Purity:** Clinical Data: Launched

Size 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## Atazanavir-d6

(BMS-232632-d6) Cat. No.: HY-17367S4

Atazanavir-d6 is deuterium labeled Atazanavir. Atazanavir (BMS-232632), a highly selective HIV-1 protease inhibitor, is the first protease inhibitor approved for once-daily administration.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

## Brecanavir

(GW640385) Cat. No.: HY-121240

Brecanavir (GW640385) is a novel, potent HIV protease inhibitor.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### Cytochalasin A

Cytochalasin A is a cell-permeable fungal toxin that is an oxidized derivative of cytochalasin B. Cytochalasin A is an inhibitor of HIV-1 protease  $(IC_{so}=3 \mu M)$  and inhibits actin polymerization and interferes with microtubule assembly by reacting with sulfhydryl groups.



Purity: 99.02%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

Cat. No.: HY-N6773

#### **Darunavir Ethanolate**

(TMC114 Ethanolate)

Darunavir ethanolate (TMC114 Ethanolate) is a potent HIV protease inhibitor used to treat and prevent HIV/AIDS. Darunavir has a K, of 1 nM for wild type HIV-1 protease.



Cat. No.: HY-17041

Purity: 99 81% Clinical Data: Launched

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### DPC-681 (DPH-153893) Cat. No.: HY-19400

DPC-681 is a potent and selective inhibitor of HIV protease with IC90s for wild-type HIV-1 of 4 to 40 nM. IC50 value: 4 - 40 nM Target: HIV protease in vitro: DPC 681 is extremely potent inhibitor of wild-type HIV-1.



Purity: 99.89%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

## Ganoderic acid B

Ganoderic acid B is a triterpene isolated from a mushroom Ganoderma lucidum. Ganoderic acid B inhibits the activation of Epstein-Barr virus (EBV) antigens as telomerase inhibitor. Ganoderic acid B is a moderately active inhibitor against HIV-1 protease.



Cat. No.: HY-N2006

Purity: 99.31%

Clinical Data: No Development Reported

Size: 1 ma, 5 ma

#### **HIV Protease Substrate 1**

Cat. No.: HY-P2344

HIV Protease Substrate 1, a fiuorogenic HIV protease substrate, can be used to study enzymatic activity of HIV protease.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

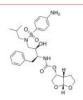
#### Darunavir

(TMC114; UIC-94017)

Darunavir (TMC114), an orally active next generation HIV protease inhibitor, has a similar antiviral activity against the mutant and the wild-type viruses.

Purity: 99 84% Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg



Cat. No.: HY-112585

Cat. No.: HY-17040

#### Darunavir-d9

(TMC114-d9; UIC-94017-d9)

Darunavir-d9 (TMC114-d9) is the deuterium labeled Darunavir. Darunavir (TMC114), an orally active next generation HIV protease inhibitor, has a similar antiviral activity against the mutant and the wild-type viruses.



**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 10 mg

## Escin IA

Escin IA is a triterpene saponin isolated from horse chestnut, which inhibits HIV-1 protease with  $IC_{so}$  values of 35  $\mu$ M.



Cat. No.: HY-N0554

99.74% Purity:

Clinical Data: No Development Reported Size 10 mM × 1 mL, 5 mg, 10 mg

#### Ganodermanondiol

Ganodermanondiol is a melanogenesis inhibitor isolated from the Ganoderma lucidum.Ganodermanondiol exhibits potent cytoprotective effects on tert-butyl hydroperoxide-induced hepatotoxicity.



Cat. No.: HY-N2996

Purity: >98%

Clinical Data: No Development Reported

Size: 5 ma

#### **HIV Protease Substrate 1 TFA**

Cat. No.: HY-P2344A

HIV Protease Substrate 1 TFA, a fiuorogenic HIV protease substrate, can be used to study enzymatic activity of HIV protease.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### HIV-1 protease-IN-2

HIV-1 protease-IN-2 is a potent HIV-1 protease inhibitor with an IC<sub>so</sub> of 2.53 nM. HIV-1 protease-IN-2 shows antiviral activity against DRV (Darunavir)-sensitive or DRV-resistant HIV-1 variants.



Cat. No.: HY-146888

Purity: >98%

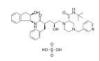
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Indinavir sulfate

(MK-639 sulfate; L735524 sulfate) Cat. No.: HY-B0689A

Indinavir sulfate(MK-639 sulfate; L735524 sulfate ) is a potent and specific HIV protease inhibitor that appears to have good oral bioavailability. Indinavir sulfate is also a SARS-CoV 3CLpro inhibitor with an  $IC_{so}$  of 1.71  $\mu M$ .



**Purity:** 99 82% Clinical Data: Launched

10 mM × 1 mL, 50 mg, 100 mg

#### Indinavir-d6

Purity:

Size:

Indinavir

(MK-639; L-735524)

have good oral bioavailability.

Clinical Data: Launched

>98%

1 mg, 5 mg

Indinavir-d6 is the deuterium labeled Indinavir. Indinavir (MK-639; L735524) is a potent and specific HIV protease inhibitor that appears to have good oral bioavailability.

Indinavir(MK-639; L735524) is a potent and specific HIV protease inhibitor that appears to

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 10 mg



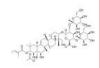
Cat. No.: HY-B0689S

Cat. No.: HY-B0689

Isoescin IA

Cat. No.: HY-N0556

Isoescin IA is a triterpenoid saponin isolated from the seeds of Aesculus chinensis. Isoescin IA has anti-HIV-1 protease activity.



Purity: 98.89%

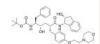
Clinical Data: No Development Reported

Size: 5 mg

### L-689502

Cat. No.: HY-U00261

L-689502 is a potent inhibitor of HIV-I protease with an IC<sub>50</sub> of 1 nM.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### Lopinavir

(ABT-378) Cat. No.: HY-14588

Lopinavir (ABT-378) is a highly potent, selective peptidomimetic inhibitor of the HIV-1 protease, with **K**,**s** of 1.3 to 3.6 pM for wild-type and mutant HIV protease. Lopinavir acts by arresting maturation of HIV-1 thereby blocking its infectivity



Purity: 99.93% Clinical Data: Launched

Size: 10 mM × 1 mL, 50 mg, 100 mg, 250 mg

#### Lopinavir Metabolite M-1

Lopinavir Metabolite M-1, an active metabolite of Lopinavir, inhibits HIV protease with a K, of 0.7 pM. Lopinavir Metabolite M-1 has antiviral

activities in vitro.



Clinical Data: No Development Reported

Size: 1 mg, 5 mg

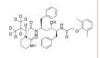


Cat. No.: HY-136703

#### Lopinavir-d8

Cat. No.: HY-14588S1

Lopinavir-d8 (ABT-378-d8) is the deuterium labeled Lopinavir. Lopinavir (ABT-378) is a highly potent, selective peptidomimetic inhibitor of the HIV-1 protease, with K<sub>i</sub>s of 1.3 to 3.6 pM for wild-type and mutant HIV protease.



Purity: >98%

Clinical Data: No Development Reported

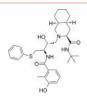
Size: 1 mg

#### Nelfinavir (AG1341)

Nelfinavir (AG-1341) is a potent and orally bioavailable HIV-1 protease inhibitor (K,=2 nM) for HIV infection. Nelfinavir is a broad-spectrum, anticancer agent.

96.90% Clinical Data: Launched

10 mM × 1 mL, 5 mg, 10 mg, 50 mg



Cat. No.: HY-15287

#### **Nelfinavir Mesylate**

(AG 1343 Mesylate) Cat. No.: HY-15287A

Nelfinavir Mesylate (AG 1343 Mesylate) is a potent and orally bioavailable HIV-1 protease inhibitor (K<sub>i</sub>=2 nM) for HIV infection. Nelfinavir Mesylate (AG 1343 Mesylate) is a broad-spectrum, anticancer agent.

HO NH

Purity: 99.07% Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

#### Nelfinavir-d3

Nelfinavir-d3 (AG1341-d3) is the deuterium labeled Nelfinavir. Nelfinavir (AG-1341) is a potent and orally bioavailable HIV-1 protease inhibitor ( $K_i$ =2 nM) for HIV infection. Nelfinavir is a broad-spectrum, anticancer agent.



Cat. No.: HY-15287S

Purity: >98% Clinical Data:

Size: 1 mg, 10 mg

#### Pepstatin

#### (Pepstatin A) Cat. No.: HY-P0018

Pepstatin (Pepstatin A) is a specific **aspartic protease** inhibitor produced by actinomycetes, with  $IC_{50}$ s of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM and 260 nM for hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase, casein-acid protease...



Purity: 98.28%

Clinical Data: No Development Reported

**Size:** 10 mg, 50 mg

#### Pepstatin Ammonium

#### (Pepstatin A Ammonium)

Pepstatin Ammonium is a specific **aspartic protease** inhibitor produced by actinomycetes, with  $\rm IC_{so}$ s of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM and 260 nM for hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase, casein-acid protease and hemoglobin-acid...



Clinical Data: No Development Reported Size: 10 mg, 25 mg, 50 mg



Cat. No.: HY-P0018B

## Pepstatin Trifluoroacetate

#### (Pepstatin A Trifluoroacetate)

Pepstatin Trifluoroacetate (Pepstatin A Trifluoroacetate) is a specific aspartic protease inhibitor produced by actinomycetes, with  $IC_{50}$ s of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM and 260 nM for hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase,...



Cat. No.: HY-P0018A

Purity: 99.11%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

#### PNU-103017

Cat. No.: HY-19236

PNU-103017 is an HIV protease inhibitor.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

## Ritonavir

### (ABT 538; RTV) Cat. No.: HY-90001

Ritonavir (ABT 538) is an inhibitor of HIV protease used to treat HIV infection and AIDS. Ritonavir is also a SARS-CoV 3CL $^{\rm pro}$  inhibitor with an IC $_{\rm sn}$  of 1.61  $\mu$ M.



Purity: 99.95%
Clinical Data: Launched

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg

## Ritonavir-13C,d3

### (ABT 538-13C,d3; RTV-13C,d3)

Ritonavir-13C,d3 (ABT 538-13C,d3) is the 13C- and deuterium labeled Ritonavir. Ritonavir (ABT 538) is an inhibitor of **HIV protease** used to treat HIV infection and AIDS. Ritonavir is also a **SARS-CoV 3CL**<sup>pro</sup> inhibitor with an  $\rm IC_{50}$  of 1.61  $\mu M$ .



Cat. No.: HY-90001S1

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Rosamultin

## Cat. No.: HY-N2565

Rosamultin is a 19  $\alpha$ -hydroxyursane-type triterpenoid isolated from Potentilla anserina L. Rosamultin has inhibitory effects against HIV-1 protease.



**Purity:** 99.00%

Clinical Data: No Development Reported

**Size:** 5 mg, 10 mg

#### Ritonavir-d6

#### Cat. No.: HY-90001S

Ritonavir-d6 (ABT 538-d6) is the deuterium labeled Ritonavir. Ritonavir (ABT 538) is an inhibitor of HIV protease used to treat HIV infection and AIDS. Ritonavir is also a SARS-CoV 3CL  $^{\text{pro}}$  inhibitor with an IC  $_{\text{so}}$  of 1.61  $\mu\text{M}.$ 



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Saquinavir

(Ro 31-8959) Cat. No.: HY-17007

Saquinavir(Ro 31-8959) is an HIV Protease inhibitor used in antiretroviral therapy. Saquinavir is also a SARS-CoV 3CL  $^{\text{pro}}$  inhibitor with an IC  $_{50}$  of 1.36  $\mu\text{M}.$ 



Purity: 99.34% Clinical Data: Launched

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## Saquinavir Mesylate

(Ro 31-8959/003) Cat. No.: HY-17003

Saquinavir mesylate is an HIV Protease Inhibitor used in antiretroviral therapy. IC50 Value: Target: HIV Protease Saquinavir is a protease inhibitor. Proteases are enzymes that cleave protein molecules into smaller fragments.



Purity: 99.89% Clinical Data: Launched

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### Saquinavir-d9

Cat. No.: HY-17007S

Saquinavir-d9 (Ro 31-8959-d9) is the deuterium labeled Saquinavir. Saquinavir(Ro 31-8959) is an HIV Protease inhibitor used in antiretroviral therapy. Saquinavir is also a SARS-CoV 3CL  $^{\rm pro}$  inhibitor with an IC  $_{\rm sn}$  of 1.36  $\mu M$ .



**Purity:** > 98%

Clinical Data:

Size: 1 mg, 10 mg

## Tipranavir

(PNU-140690) Cat. No.: HY-15148

Tipranavir (PNU-140690) inhibits the enzymatic activity and dimerization of HIV-1 protease, exerts potent activity against multi-protease inhibitor (PI)-resistant HIV-1 isolates with IC $_{\rm so}$ S of 66-410 nM. Tipranavir inhibits SARS-CoV-2 3CL $^{\rm pro}$  activity.



Purity: 98.08% Clinical Data: Launched

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

#### Tipranavir-d4

Cat. No.: HY-15148S

Tipranavir-d4 (PNU-140690-d4) is the deuterium labeled Tipranavir. Tipranavir (PNU-140690) inhibits the enzymatic activity and dimerization of HIV-1 protease, exerts potent activity against multi-protease inhibitor (PI)-resistant HIV-1 isolates with IC $_{\rm SO}$ s of 66-410 nM.



Clinical Data:

Size: 1 mg, 10 mg

### TMC310911

Cat. No.: HY-107123

TMC310911 is a potent and orally active HIV type-1 (HIV-1) protease inhibitor with EC $_{50}$  values ranged from 2.2 nM to 14.2 nM for wild-type HIV-1. TMC310911 has potent activity against a wide spectrum of recombinant HIV-1 isolates. TMC310911 has strong antiviral activity.



Clinical Data: No Development Reported

Size: 1 mg, 5 mg

