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Inhibitors, Agonists, Screening Libraries

Ser/Thr Protease

Serine proteases; Serine endopeptidases; Threonine proteases

Serine proteases are enzymes that cleave peptide bonds in proteins, in which serine serves as the nucleophilic amino acid at the active site. They are found ubiquitously in both eukaryotes and prokaryotes. Serine proteases fall into two broad categories based on their structure: chymotrypsin-like or subtilisin-like. In humans, serine proteases are responsible for co-ordinating various physiological functions, including digestion, immune response, blood coagulation and reproduction. Threonine proteases are a family of proteolytic enzymes harbouring a threonine (Thr) residue within the active site. The prototype members of this class of enzymes are the catalytic subunits of the proteasome, however the acyltransferases convergently evolved the same active site geometry and mechanism.

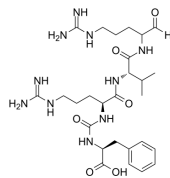
Ser/Thr Protease Inhibitors

Antipain

Cat. No.: HY-127039

Antipain is a **protease** inhibitor isolated from Actinomycetes. Antipain inhibits N-methyl-N'-nitro-N-nitrosoguanidine (MNNG)-induced transformation and increases chromosomal aberrations. Antipain restricts uterine **DNA synthesis** and function in mice.

Purity: >98%
Clinical Data: No Development Reported
Size: 250 µg, 500 µg

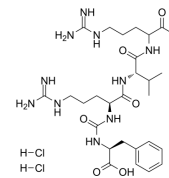


Antipain dihydrochloride

Cat. No.: HY-127034

Antipain dihydrochloride is a **protease** inhibitor isolated from Actinomycetes. Antipain dihydrochloride inhibits N-methyl-N'-nitro-N-nitrosoguanidine (MNNG)-induced transformation and increases chromosomal aberrations.

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

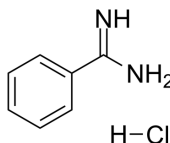


Benzamidine hydrochloride

Cat. No.: HY-W018781

Benzamidine hydrochloride is a reversible competitive inhibitor of **trypsin-like serine proteases**, with K_i s of 97 µM, 21 µM, 20 µM and 110 µM for uPA, trypsin, tryptase and factor Xa, respectively.

Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 500 mg

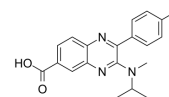


BioE-1115

Cat. No.: HY-129571

BioE-1115 is a highly selective and potent **PAS kinase (PASK)** inhibitor with an IC_{50} of ~4 nM. BioE-1115 is also a potent **casein kinase 2α** inhibitor with an IC_{50} of ~10 µM.

Purity: 98.08%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg



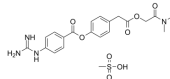
Camostat mesylate

(Camostat mesilate; FOY305; FOY-S980)

Cat. No.: HY-13512

Camostat mesylate (Camostat mesilate) is an orally active, synthetic **serine protease** inhibitor for chronic pancreatitis. Camostat mesylate, an inhibitor of **TMPRSS2**, shows antiviral activity against **SARS-CoV-2**.

Purity: 99.69%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

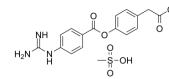


FOY 251

Cat. No.: HY-19727A

FOY 251 is an anti-proteolytic active metabolite camostate (HY-13512), acts as a **proteinase** inhibitor. FOY 251 inhibit **SARS-CoV-2** infection in cells assay.

Purity: 98.71%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

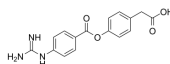


FOY 251 free base

Cat. No.: HY-19727

FOY 251 free base is an anti-proteolytic active metabolite camostate (HY-13512), acts as a **proteinase** inhibitor. FOY 25 free base inhibit **SARS-CoV-2** infection in cells assay.

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

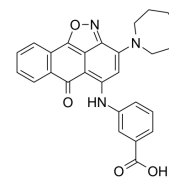


IPR-803

Cat. No.: HY-111192

IPR-803 is a potent inhibitor of the **uPAR-uPA protein-protein interaction (PPI)**. IPR-803 binds directly to uPAR with sub-micromolar affinity. IPR-803 displays anti-tumor activity.

Purity: 98.03%
Clinical Data:
Size: 5 mg, 10 mg, 25 mg

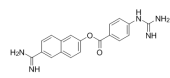


Nafamostat

Cat. No.: HY-B0190

Nafamostat, a synthetic serine protease inhibitor, is an anticoagulant. Nafamostat suppresses T cell auto-reactivity by decreasing granzyme activity and CTL cytotoxicity. Nafamostat blocks activation of **SARS-CoV-2**.

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

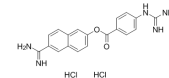


Nafamostat hydrochloride

Cat. No.: HY-B0190B

Nafamostat hydrochloride, a synthetic serine protease inhibitor, is an anticoagulant. Nafamostat hydrochloride suppresses T cell auto-reactivity by decreasing granzyme activity and CTL cytotoxicity. Nafamostat hydrochloride blocks activation of **SARS-CoV-2**.

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



<p>Nafamostat mesylate (FUT-175)</p>	<p>Patamostat (E-3123)</p>
<p>Nafamostat mesylate, a synthetic serine protease inhibitor, is an anticoagulant. Nafamostat mesylate suppresses T cell auto-reactivity by decreasing granzyme activity and CTL cytolysis. Nafamostat mesylate blocks activation of SARS-CoV-2.</p> <p>Purity: 99.97% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg</p>	<p>Patamostat (E-3123) is a potent protease inhibitor. Patamostat potently inhibits trypsin, plasmin and thrombin with IC_{50}s of 39 nM, 950 nM and 1.9 μM, respectively. E-3123 may possess suppressing effects on pathogenesis and development of acute pancreatitis.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>PCSK9 ligand 1</p>	<p>PF-06446846 hydrochloride</p>
<p>PCSK9 ligand 1 (Compound 16) is a small molecule ligand for proprotein convertase subtilisin-like/kexin type 9 (PCSK9) and shows high affinity to PCSK9 with a K_d of 107 nM.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>PF-06446846 hydrochloride is an orally active and highly selective inhibitor of translation of Proprotein convertase subtilisin/kexin type 9 (PCSK9). PF-06446846 hydrochloride inhibits PCSK9 by inducing the ribosome to stall around codon 34.</p> <p>Purity: 98.03% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Pinostrobin</p>	<p>R-IMPP (PF-00932239)</p>
<p>Pinostrobin is a flavonoid can be found in many plants, and has anti-oxidant, anti-inflammatory, anti-cancer and neuroprotective properties. Pinostrobin is a potent PCSK9 inhibitor and inhibits the catalytic activity of PCSK9.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p>	<p>R-IMPP (PF-00932239) is an anti-secretagogue of PCSK9 (IC_{50}=4.8 μM), which targets the 80S ribosome to inhibit PCSK9 protein translation.</p> <p>Purity: 99.36% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>SBC-110736</p>	<p>SBC-115076</p>
<p>SBC-110736 is a proprotein convertase subtilisin kexin type 9 (PCSK9) inhibitor extracted from patent WO2014150395A1, Figure 1.</p> <p>Purity: 99.89% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>SBC-115076 is a potent proprotein convertase subtilisin/kexin type 9 (PCSK9) inhibitor. PCSK9 is a proprotein convertase, which plays a crucial role in LDL receptor metabolism.</p> <p>Purity: 98.25% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Upamostat (WX-671)</p>	<p>WNK463</p>
<p>Upamostat (WX-671) is a serine protease inhibitor. Upamostat is the orally available prodrug of the WX-UK1, which is a urokinase plasminogen activator (uPA) inhibitor.</p> <p>Purity: >98.0% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>WNK463 is an orally bioavailable pan-With-No-Lysine (K) (WNK)-kinase inhibitor with IC_{50}s of 5 nM, 1 nM, 6 nM, and 9 nM for WNK1, WNK2, WNK3, and WNK4, respectively.</p> <p>Purity: 99.55% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>