Protease-Activated Receptor (PAR)

Thrombin receptors

Protease activated receptors (PARs) are a family of G-protein-coupled receptors (GPCRs) that are irreversibly activated by proteolytic cleavage of the N terminus, which unmasks a tethered peptide ligand that binds and activates the transmembrane receptor domain, eliciting a cellular cascade in response to inflammatory signals and other stimuli. There are four members of the PAR family: PAR1, PAR2, PAR3 and PAR4. PARs have important functions in the vasculature, inflammation, and cancer and are important drug targets.

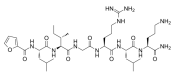
PARs are expressed on nearly all cell types in the blood vessel wall (ECs, fibroblasts, myocytes) and blood (platelets, neutrophils, macrophages, leukemic white cells) with exception of red blood cells. Thrombin-activated PAR-1, PAR-3, and PAR-4 are also expressed in epithelium, neurons, astrocytes, and immune cells. PAR-2, which is activated by trypsin-like serine proteases, is found in human vascular, intestinal, neuronal, and airway cells. Its expression increases in injured tissues or after stimulation by inflammatory mediators.

Protease-Activated Receptor (PAR) Inhibitors, Agonists & Antagonists

2-Furoyl-LIGRLO-amide

Cat. No.: HY-P1314

2-Furoyl-LIGRLO-amide is a potent and selective **proteinase-activated receptor 2 (PAR2)** agonist with a **pD_2** value of 7.0.

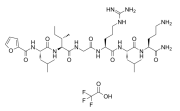


Purity: 99.87%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

2-Furoyl-LIGRLO-amide TFA

Cat. No.: HY-P1314A

2-Furoyl-LIGRLO-amide TFA is a potent and selective **proteinase-activated receptor 2 (PAR2)** agonist with a **pD_2** value of 7.0.

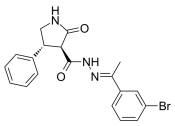


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

AC-264613

Cat. No.: HY-14351

AC-264613 is a potent and selective protease-activated receptor (**PAR-2**) agonist with a **pEC_{50}** of 7.5.

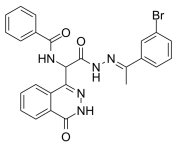


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AC-55541

Cat. No.: HY-14350

AC-55541 is a highly selective **protease-activated receptor 2 (PAR2)** agonist (**pEC_{50}**=6.7), displays no activity at other PAR subtypes or at over 30 other receptors involved in nociception and inflammation.



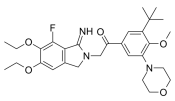
Purity: 99.19%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Atopaxar

(E5555; ER-172594-00)

Cat. No.: HY-18200

Atopaxar (E5555) is a potent, orally active, selective and reversible thrombin receptor **protease-activated receptor-1 (PAR-1)** antagonist. Atopaxar, an antiplatelet agent, interferes with platelet signaling. Atopaxar can be used for the research of atherothrombotic disease.



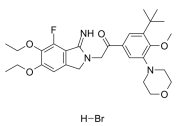
Purity: 98.05%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Atopaxar hydrobromide

(E5555 hydrobromide; ER 172594-06)

Cat. No.: HY-18200B

Atopaxar (E5555) hydrobromide is a potent, orally active, selective and reversible thrombin receptor **protease-activated receptor-1 (PAR-1)** antagonist. Atopaxar hydrobromide, an antiplatelet agent, interferes with platelet signaling.

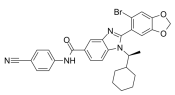


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZ3451

Cat. No.: HY-112558

AZ3451 is a potent **protease-activated receptor-2 (PAR2)** antagonist with IC_{50} of 23 nM.

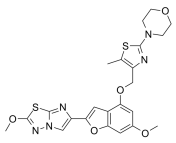


Purity: 99.60%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BMS-986120

Cat. No.: HY-19837

BMS-986120 is a first-in-class oral and reversible protease-activated receptor 4 (**PAR4**) antagonist, with **IC_{50}s** of 9.5 nM and 2.1 nM in human and monkey blood, respectively. BMS-986120 has potent and selective antiplatelet effects.

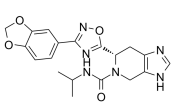


Purity: ≥98.0%
Clinical Data: Phase 1
Size: 5 mg

CBK289001

Cat. No.: HY-124663

CBK289001 is a **tartrate-resistant acid phosphatase (TRAP/ACP5)** inhibitor. CBK289001 inhibits **TRAP $5b^{MV}$**, **TRAP $5b^{ox}$** and **TRAP $5a^{ox}$** with **IC_{50}s** of 125 μM, 4.21 μM and 14.2 μM, respectively.

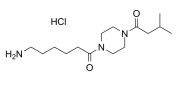


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ENMD-1068 hydrochloride

Cat. No.: HY-124748A

ENMD-1068 hydrochloride is a selective **protease-activated receptor 2 (PAR2)** antagonist with antiangiogenic and anti-inflammatory activities.



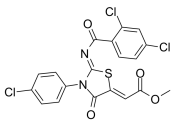
Purity: 98.18%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

FR-171113

Cat. No.: HY-108555

FR171113 is a specific and non-peptide **thrombin receptor** antagonist. FR171113 exhibits the antithrombotic effects of a **PAR1** antagonist. FR171113 inhibits thrombin-induced platelet aggregation with an IC_{50} of 0.29 μM.



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

FSLLRY-NH2

Cat. No.: HY-P1260

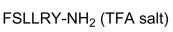
FSLLRY-NH2 is a **protease-activated receptor 2 (PAR2)** inhibitor.

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

FSLLRY-NH2 TFA

Cat. No.: HY-P1260A

FSLLRY-NH2 TFA is a **protease-activated receptor 2 (PAR2)** inhibitor.

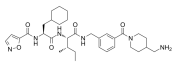


Purity: 98.20%
Clinical Data: No Development Reported
Size: 5 mg

GB-110

Cat. No.: HY-120528

GB-110 is a potent, orally active, and nonpeptidic **protease activated receptor 2 (PAR2)** agonist. GB-110 selectively induces PAR2-mediated intracellular Ca^{2+} release in HT29 cells with an EC_{50} of 0.28 μM.

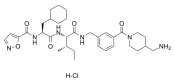


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GB-110 hydrochloride

Cat. No.: HY-120528A

GB-110 hydrochloride is a potent, orally active, and nonpeptidic **protease activated receptor 2 (PAR2)** agonist. GB-110 hydrochloride selectively induces PAR2-mediated intracellular Ca^{2+} release in HT29 cells with an EC_{50} of 0.28 μM.

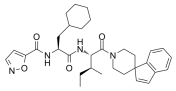


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GB-88

Cat. No.: HY-120261

GB-88 is an oral, selective non-peptide antagonist of **PAR2**, inhibits **PAR2** activated Ca^{2+} release with an IC_{50} of 2 μM.

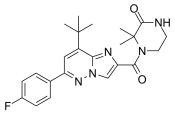


Purity: 98.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

I-191

Cat. No.: HY-117793

I-191 is a potent, selective **protease-activated receptor 2 (PAR2)** antagonist.



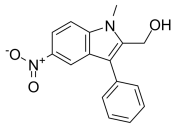
Purity: 99.38%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ML354

(VU0099704)

Cat. No.: HY-19973

ML354 is a selective PAR4 antagonist with an IC_{50} of 140 nM.



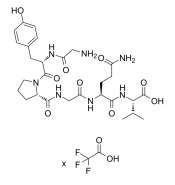
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PAR 4 (1-6) (TFA)

(GYPGQV TFA)

Cat. No.: HY-P1313A

PAR 4 (1-6) TFA (GYPGQV TFA), a hexapeptide, is a fragment of protease-activated receptor 4 (PAR_4). PAR 4 (1-6) TFA acts as a PAR_4-specific agonist.

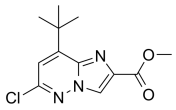


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PAR-2-IN-1

Cat. No.: HY-138558

PAR-2-IN-1 is a protease-activated receptor-2 (PAR2) signaling pathway inhibitor with anti-inflammatory and anticancer effects.

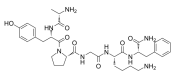


Purity: 99.16%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PAR-4 Agonist Peptide, amide

(PAR-4-AP; AY-NH2) Cat. No.: HY-P1309

PAR-4 Agonist Peptide, amide (PAR-4-AP; AY-NH2) is a proteinase-activated receptor-4 (**PAR-4**) agonist, which has no effect on either PAR-1 or PAR-2 and whose effects are blocked by a PAR-4 antagonist.

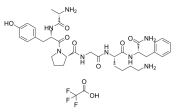


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

PAR-4 Agonist Peptide, amide TFA

(PAR-4-AP TFA; AY-NH2 TFA) Cat. No.: HY-P1309A

PAR-4 Agonist Peptide, amide TFA (PAR-4-AP TFA; AY-NH2 TFA) is a proteinase-activated receptor-4 (**PAR-4**) agonist, which has no effect on either PAR-1 or PAR-2 and whose effects are blocked by a PAR-4 antagonist.

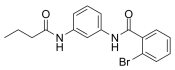


Purity: 99.93%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Parmodulin 2

(ML161) Cat. No.: HY-13965

Parmodulin 2 (ML161) is an allosteric inhibitor of **protease-activated receptor 1 (PAR1)** with an IC_{50} of 0.26 μM.



Purity: 98.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Parstatin(human)

Cat. No.: HY-P1262

Parstatin(human), a cell-penetrating **PAR-1 thrombin receptor** agonist peptide, is a potent inhibitor of angiogenesis.

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

Parstatin(human) TFA

Cat. No.: HY-P1262A

Parstatin(human) TFA, a cell-penetrating **PAR-1 thrombin receptor** agonist peptide, is a potent inhibitor of angiogenesis.

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

Parstatin(mouse)

Cat. No.: HY-P1261

Parstatin(mouse), a cell-penetrating **PAR-1 thrombin receptor** agonist peptide, is a potent inhibitor of angiogenesis.

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

Parstatin(mouse) TFA

Cat. No.: HY-P1261A

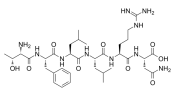
Parstatin(mouse) TFA, a cell-penetrating **PAR-1 thrombin receptor** agonist peptide, is a potent inhibitor of angiogenesis.

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

Protease-Activated Receptor-1, PAR-1 Agonist

Cat. No.: HY-P2518

Protease-Activated Receptor-1, PAR-1 Agonist is a selective proteinase-activated receptor1 **(PAR-1)** agonist peptide. Protease-Activated Receptor-1, PAR-1 Agonist corresponds to PAR1 tethered ligand and which can selectively mimic theactions of thrombin via this receptor.

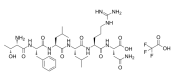


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Protease-Activated Receptor-1, PAR-1 Agonist TFA

Cat. No.: HY-P2518A

Protease-Activated Receptor-1, PAR-1 Agonist TFA is a selective proteinase-activated receptor1 **(PAR-1)** agonist peptide. Protease-Activated Receptor-1, PAR-1 Agonist TFA corresponds to PAR1 tethered ligand and which can selectively mimic theactions of thrombin via this receptor.

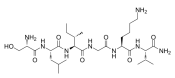


Purity: 99.08%
Clinical Data: No Development Reported
Size: 10 mg

Protease-Activated Receptor-2, amide

Cat. No.: HY-P0283

Protease-Activated Receptor-2, amide ($SLIGKV-NH_2$) is a highly potent protease-activated receptor-2 (PAR2) activating peptide.

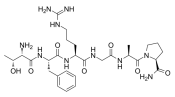


Purity: 98.48%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Protease-Activated Receptor-3 (PAR-3) (1-6), human

Cat. No.: HY-P2519

Protease-Activated Receptor-3 (PAR-3) (1-6), human is a proteinase-activated receptor (**PAR-3**) agonist peptide.

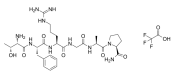


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Protease-Activated Receptor-3 (PAR-3) (1-6), human TFA

Cat. No.: HY-P2519A

Protease-Activated Receptor-3 (PAR-3) (1-6), human TFA is a proteinase-activated receptor (**PAR-3**) agonist peptide.

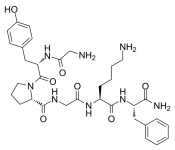


Purity: 98.85%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Protease-Activated Receptor-4

Cat. No.: HY-P0297

Protease-Activated Receptor-4 is the agonist of **proteinase-activated receptor-4 (PAR4)**.



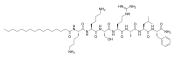
Purity: 98.14%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

PZ-128

(P1pal-7)

Cat. No.: HY-107146

PZ-128 (P1pal-7), a cell-penetrating lipopeptide pepducin, is a first-in-class, specific and reversible **protease-activated receptor-1 (PAR1)** antagonist. PZ-128 targets the cytoplasmic surface of PAR1 and interrupts signaling to internally-located G (PAR1-G) proteins.

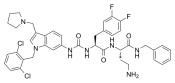


Purity: 99.47%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

RWJ-56110

Cat. No.: HY-108556

RWJ-56110 is a potent, selective, peptide-mimetic inhibitor of **PAR-1** activation and internalization (binding IC_{50}=0.44 uM) and shows no effect on PAR-2, PAR-3, or PAR-4.

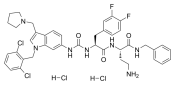


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg

RWJ-56110 dihydrochloride

Cat. No.: HY-108556A

RWJ-56110 dihydrochloride is a potent, selective, peptide-mimetic inhibitor of **PAR-1** activation and internalization (binding IC_{50}=0.44 uM) and shows no effect on PAR-2, PAR-3, or PAR-4.

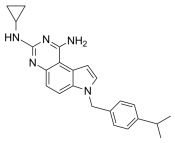


Purity: 99.54%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SCH79797

Cat. No.: HY-14993

SCH79797 is a highly potent, selective nonpeptide **protease activated receptor 1 (PAR1)** antagonist. SCH79797 inhibits binding of a high-affinity thrombin receptor-activating peptide to **PAR1** with an IC_{50} of 70 nM and a K_i of 35 nM.

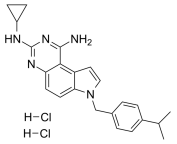


Purity: 99.83%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

SCH79797 dihydrochloride

Cat. No.: HY-14994

SCH79797 dihydrochloride is a highly potent, selective nonpeptide **protease activated receptor 1 (PAR1)** antagonist. SCH79797 dihydrochloride inhibits binding of a high-affinity thrombin receptor-activating peptide to **PAR1** with an IC_{50} of 70 nM and a K_i of 35 nM.



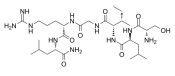
Purity: 98.96%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

SLIGRL-NH2

(Protease-Activated Receptor-2 Activating Peptide)

Cat. No.: HY-P1308

SLIGRL-NH2 (Protease-Activated Receptor-2 Activating Peptide) is an agonist of Protease-Activated Receptor-2 (**PAR-2**).



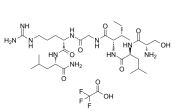
Purity: 99.66%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

SLIGRL-NH2 TFA

(Protease-Activated Receptor-2 Activating Peptide TFA)

Cat. No.: HY-P1308A

SLIGRL-NH2 TFA (Protease-Activated Receptor-2 Activating Peptide TFA) is an agonist of Protease-Activated Receptor-2 (**PAR-2**).

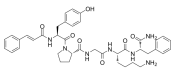


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

tcY-NH2

((trans-Cinnamoyl)-YPGKF-NH2) Cat. No.: HY-P1263

tcY-NH2 is a selective **PAR4** antagonist peptide. tcY-NH2 inhibits thrombin- and AY-NH2-induced rat platelet aggregation.

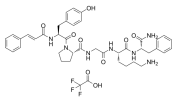


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

tcY-NH2 TFA

((trans-Cinnamoyl)-YPGKF-NH2 TFA) Cat. No.: HY-P1263A

tcY-NH2 TFA is a selective **PAR4** antagonist peptide. tcY-NH2 TFA inhibits thrombin- and AY-NH2-induced rat platelet aggregation.

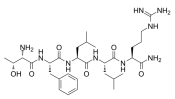


Purity: 99.84%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TFLLR-NH2

Cat. No.: HY-P0226

TFLLR-NH2 is a selective **PAR1** agonist with an EC_{50} of 1.9 μM.

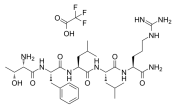


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TFLLR-NH2(TFA)

Cat. No.: HY-P0226A

TFLLR-NH2 (TFA) is a selective **PAR1** agonist with an EC_{50} of 1.9 μM.

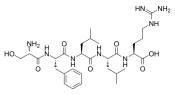


Purity: 99.77%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Thrombin Receptor Activator for Peptide 5 (TRAP-5)

Cat. No.: HY-P1536

Thrombin Receptor Activator for Peptide 5 (TRAP-5) is also called Coagulation Factor II Receptor (1-5) or **Proteinase Activated Receptor 1** (1-5), used in the research of coronary heart disease (CHD).

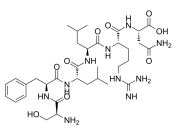


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

TRAP-6 (PAR-1 agonist peptide; Thrombin Receptor Activator Peptide 6)

Cat. No.: HY-P0078

TRAP-6 (PAR-1 agonist peptide), a peptide fragment, is a selective **protease activating receptor 1 (PAR1)** agonist. TRAP-6 activates human platelets via the **thrombin receptor**. TRAP-6 shows no activity at PAR4.

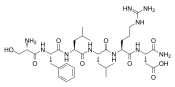


Purity: 99.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 25 mg

TRAP-6 amide

Cat. No.: HY-P2321

TRAP-6 amide is a **PAR-1 thrombin receptor** agonist peptide.

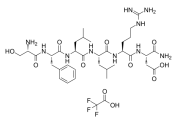


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TRAP-6 amide TFA

Cat. No.: HY-P2321A

TRAP-6 amide TFA is a **PAR-1 thrombin receptor** agonist peptide.

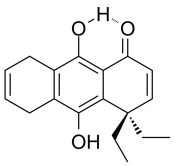


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TRAP-6-IN-1

Cat. No.: HY-146333

TRAP-6-IN-1 (Compound 8) is a dual **collagen** and **TRAP-6** inhibitor with IC_{50} values of 17.12 μM and 11.88 μM against collagen and TRAP-6, respectively. TRAP-6-IN-1 inhibits agonist-induced platelet aggregation in a non-competitive manner.

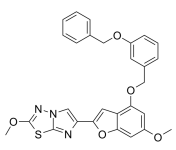


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

UDM-001651

Cat. No.: HY-128345

UDM-001651 is a potent, selective, and orally bioavailable **protease-activated receptor 4 (PAR4)** antagonist (IC_{50}=4 nM; K_d=1.4 nM). UDM-001651 shows antiplatelet potency (IC_{50}=25 nM) in a γ-thrombin-induced platelet-rich plasma aggregation assay (γ-Thr PRP).



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

VKGILS-NH2

Cat. No.: HY-P1310

VKGILS-NH2 is a reversed amino acid sequence control peptide for SLIGKV-NH2 (protease-activated receptor 2 (PAR2) agonist). VKGILS-NH2 has no effect on DNA synthesis in cells.

VKGILS-NH_2

Purity: 99.68%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

VKGILS-NH2 TFA

Cat. No.: HY-P1310A

VKGILS-NH2 TFA is a reversed amino acid sequence control peptide for SLIGKV-NH2 (protease-activated receptor 2 (PAR2) agonist). VKGILS-NH2 TFA has no effect on DNA synthesis in cells.

VKGILS-NH_2 (TFA salt)

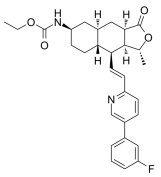
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Vorapaxar

(SCH 530348)

Cat. No.: HY-10119

Vorapaxar (SCH 530348), an antiplatelet agent, is a selective, orally active, and competitive thrombin receptor **protease-activated receptor (PAR-1)** antagonist (K_i=8.1 nM).



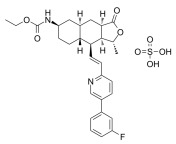
Purity: 99.85%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Vorapaxar sulfate

(SCH 530348 sulfate)

Cat. No.: HY-10119A

Vorapaxar sulfate (SCH 530348 sulfate), an antiplatelet agent, is a selective, orally active, and competitive thrombin receptor **protease-activated receptor (PAR-1)** antagonist (K_i=8.1 nM).



Purity: 99.40%
Clinical Data: Launched
Size: 5 mg, 10 mg, 25 mg, 50 mg