Protease-Activated Receptor (PAR)

Thrombin receptors

Protease activated receptors (PARs) are a family of four G-protein-coupled receptors (PAR1, PAR2, PAR3, and PAR4) that are self-activated by tethered peptide ligands exposed by proteolytic cleavage of the extracellular amino terminus. PAR1, PAR3, and PAR4 are activated by thrombin, whereas PAR2 and, to a lesser degree, PAR4, are activated by trypsin.

PAR1 is a thrombin-activated receptor that contributes to inflammatory responses at mucosal surfaces. PAR1 antagonism might be explored as a treatment for influenza, including that caused by highly pathogenic H5N1 and H1N1 viruses.

PAR2 receptors have been implicated in numerous physiological processes necessitating therapeutic intervention, especially pain and inflammation and syndromes with a strong inflammatory component, including colitis, gastritis, pancreatitis, asthma and pulmonary disease, and arthritis.
## Protease-Activated Receptor (PAR) Inhibitors, Agonists & Antagonists

<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>2-Furoyl-LIGRLO-amide</strong></td>
<td>HY-P1314</td>
<td>99.87%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td><strong>2-Furoyl-LIGRLO-amide TFA</strong></td>
<td>HY-P1314A</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td><strong>AC-55541</strong></td>
<td>HY-14350</td>
<td>99.19%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>AZ3451</strong></td>
<td>HY-112558</td>
<td>99.33%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>BMS-986120</strong></td>
<td>HY-19837</td>
<td>&gt;98%</td>
<td>Phase 1</td>
<td>1 mg, 5 mg</td>
</tr>
<tr>
<td><strong>GB-110</strong></td>
<td>HY-120528</td>
<td>99.94%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>GB-110 hydrochloride</strong></td>
<td>HY-120528A</td>
<td>99.4%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>GB-88</strong></td>
<td>HY-120261</td>
<td>98.78%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Notes
- **2-Furoyl-LIGRLO-amide** is a potent and selective proteinase-activated receptor 2 (PAR2) agonist with a pD2 value of 7.0.
- **GB-110** is a potent, orally active, and nonpeptidic protease activated receptor 2 (PAR2) agonist.
- **GB-88** is an oral, selective non-peptide antagonist of PAR2, inhibits PAR2 activated Ca²⁺ release with an IC₅₀ of 2 µM.
I-191
Cat. No.: HY-17793
I-191 is a potent, selective protease-activated receptor 2 (PAR2) antagonist.

Purity: 98.02%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 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

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(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

Protease-Activated Receptor-2, amide
Cat. No.: HY-P0283
Protease-Activated Receptor-2, amide (SLIGKV-NH2) is a highly potent protease-activated receptor-2 (PAR2) activating peptide.

Purity: 98.33%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Protease-Activated Receptor-4
Cat. No.: HY-P0297
Protease-Activated Receptor-4 is the agonist of proteinase-activated receptor-4 (PAR4).

Purity: 98.35%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg
SCH79797 dihydrochloride

SCH79797 dihydrochloride is a highly potent, selectiv nonpeptide protease activated receptor 1 (PAR1) antagonist. SCH79797 dihydrochloride inhibits binding of a high-affinity thrombin receptor-activating peptide to PAR1 with an IC₅₀ of 70 nM and a Kᵢ of 35 nM.

Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

SLIGRL-NH₂

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

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

TFLLR-NH₂

TFLLR-NH₂ (Protease-Activated Receptor-2 Activating Peptide) is also called Coagulation Factor II Receptor (1-5) or PAR-1 agonist peptide, Thrombin Receptor Activator Peptide 6 (TRAP-6) 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 amide

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

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

UDM-001651

UDM-001651 is a potent, selective, and orally bioavailable protease-activated receptor 4 (PAR4) antagonist (IC₅₀=4 nM, Kᵢ=1.4 nM). UDM-001651 shows antiplatelet potency (IC₅₀=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
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: 99.91%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

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