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>Product</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>AC-55541</strong></td>
<td>HY-14350</td>
<td>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: 99.35% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>AZ3451</strong></td>
<td>HY-112558</td>
<td>AZ3451 is a potent protease-activated receptor-2 (PAR2) antagonist with IC_{50} of 23 nM. Purity: 99.33% Clinical Data: No Development Reported Size: 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>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 antplatelet effects. Purity: &gt;98% Clinical Data: No Development Reported Size: 250 mg, 500 mg</td>
</tr>
<tr>
<td><strong>GB-110</strong></td>
<td>HY-120528</td>
<td>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: &gt;98% Clinical Data: No Development Reported Size: 100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td><strong>GB-88</strong></td>
<td>HY-120261</td>
<td>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: &gt;98% Clinical Data: No Development Reported Size: 250 mg, 500 mg</td>
</tr>
<tr>
<td><strong>I-191</strong></td>
<td>HY-117793</td>
<td>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</td>
</tr>
<tr>
<td><strong>PAR-4 Agonist Peptide, amide (PAR-4-AP; AY-NH2)</strong></td>
<td>HY-P1309</td>
<td>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: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td><strong>PRO-4 Agonist Peptide, amide TFA (PAR-4-AP (TFA); AY-NH2 (TFA))</strong></td>
<td>HY-P1309A</td>
<td>PRO-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</td>
</tr>
<tr>
<td><strong>Parmodulin 2 (ML161)</strong></td>
<td>HY-13965</td>
<td>Parmodulin 2 (ML161), a probe, is an allosteric inhibitor of protease-activated receptor 1 (PAR1) with an IC_{50} of 0.26 μM. Parmodulin 2 inhibits platelet aggregation induced by a PAR1 peptide agonist or by thrombin and has shown cytoprotective effects. Purity: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td><strong>Protease-Activated Receptor-2 Activating Peptide</strong></td>
<td>HY-P1308</td>
<td>Protease-Activated Receptor-2 Activating Peptide is an agonist of Protease-Activated Receptor-2 (PAR-2). Purity: &gt;98% Clinical Data: No Development Reported Size: 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com
Protease-Activated Receptor-2, amide

Protease-Activated Receptor-2, amide (SLIGKV-NH$_2$) 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

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

TFLLR-NH$_2$

TFLLR-NH$_2$ 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, 10 mg

TFLLR-NH$_2$(TFA)

TFLLR-NH$_2$ (TFA) is a selective PAR1 agonist with an EC$_{50}$ of 1.9 μM.

**Purity:** 99.29%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

Thrombin Receptor Activator for Peptide 5 TRAP-5

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)

TRAP-6 is a protease-activated receptor 1 (PAR1) agonist.

**Purity:** 99.84%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

UDM-001651

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:** 100 mg, 250 mg, 500 mg

Vorapaxar (SCH 530348)

Vorapaxar is a protease-activated receptor (PAR-1) antagonist that inhibits thrombin-induced platelet activation.

**Purity:** 99.91%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg