There are three main classes of neurokinin receptors: NK1R (the substance P preferring receptor), NK2R, and NK3R. These tachykinin receptors belong to the class I (rhodopsin-like) G-protein coupled receptor (GPCR) family. The various tachykinins have different binding affinities to the neurokinin receptors: NK1R, NK2R, and NK3R. These neurokinin receptors are in the superfamily of transmembrane G-protein coupled receptors (GPCR) and contain seven transmembrane loops. Neurokinin-1 receptor interacts with the Gαq-protein and induces activation of phospholipase C followed by production of inositol triphosphate (IP3) leading to elevation of intracellular calcium as a second messenger. Further, cyclic AMP (cAMP) is stimulated by NK1R coupled to the Gαs-protein. The neurokinin receptors are expressed on many cell types and tissues.
### Neurokinin Receptor Antagonists, Agonists, Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Agonist</th>
<th>Cat. No.</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Aprepitant</strong>&lt;br&gt;(MK-0869; MK-869; L-754030)</td>
<td>HY-10052</td>
<td>99.93%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td><strong>Befetupitant</strong>&lt;br&gt;(Ro67-5930)</td>
<td>HY-19670</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td><strong>CS-003 Free base</strong></td>
<td>HY-19633</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td><strong>Fezolinetant</strong>&lt;br&gt;(ESN-364)</td>
<td>HY-19632</td>
<td>98.29%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Fosaprepitant</strong>&lt;br&gt;(L-758298)</td>
<td>HY-14407</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>GR 159897</strong></td>
<td>HY-107691</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
<tr>
<td><strong>Hemokinin 1 mouse</strong></td>
<td>HY-P1030</td>
<td>98.41%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td><strong>Ibodutant</strong>&lt;br&gt;(MEN 15596)</td>
<td>HY-14770</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
</tr>
</tbody>
</table>

### Notes:
- **Aprepitant (MK-0869)** is a selective and high-affinity neurokinin 1 receptor antagonist with a $K_d$ of 86 pM.
- **Befetupitant** is a high-affinity, nonpeptide, competitive tachykinin 1 receptor (NK1R) antagonist.
- **CS-003 Free base** is a tripeptide tachykinin NK1 receptor antagonist, shows high affinities for human (Neurokinin) NK1, NK2 and NK3 receptors with $K_i$ values of 2.3 nM, 0.54 nM and 0.74 nM, respectively.
- **Fezolinetant** is an antagonist of the neurokinin 3 receptor (NK3R), used for the treatment of menopausal hot flushes.
- **Fosaprepitant** (L-758298) is a neurokinin-1 receptor antagonist for the prevention of chemotherapy-induced nausea and vomiting.
- **GR 159897** is a highly potent, selective, competitive, brain-penetrated non-peptide antagonist at tachykinin NK receptors, inhibits binding of $[^3H]GR100679$ to hNK$_2$-CHO cells and rat colon membranes with $pK_i$ of 9.51 and 10, respectively.
- **Hemokinin 1 (mouse)** is a selective agonist of neurokinin-1 receptor, with $K_i$ of 0.175 nM and 560 nM for human NK1 receptor and human NK2 receptor, respectively.
- **Ibodutant (MEN 15596)** is a potent and selective tachykinin NK2 receptor antagonist with a $pK_i$ of 10.1.
Kassinin

Cat. No.: HY-P0250

Kassinin is a peptide derived from the Kassina frog. It belongs to tachykinin family of neuropeptides. It is secreted as a defense response, and is involved in neuropeptide signalling.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg

Maropitant

Cat. No.: HY-10053

Maropitant is a neurokinin (NK1) receptor antagonist. IC50 value: Target: NK1 receptor
Maropitant is the first NK1 receptor antagonist developed to treat and prevent emesis in dogs.

Purity: 99.00%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg

Men 10376

(Cat. No.: HY-P1276)

Men 10376 is a selective tachykinin NK-2 receptor antagonist, with a Kᵢ of 4.4 μM for rat small intestine NK-2 receptor.

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

Men 10376 TFA

(Cat. No.: HY-P1276A)

Men 10376 TFA is a selective tachykinin NK-2 receptor antagonist, with a Kᵢ of 4.4 μM for rat small intestine NK-2 receptor.

Purity: 99.76%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

MEN11467

Cat. No.: HY-U00207

MEN11467 is a selective and orally-effective peptidomimetic tachykinin NK₂ receptor antagonist.

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

Monohydroxy Netupitant D6

Cat. No.: HY-G0012S

Monohydroxy Netupitant D6 is the deuterium labeled Monohydroxy Netupitant, which is a metabolite of Netupitant.

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

Netupitant

(Cat. No.: HY-16346)

Netupitant (CID-6451149) is a highly potent and selective, orally active neurokinin-1 receptor antagonist with Kᵢ of 0.95 nM.

Purity: 99.78%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Netupitant D6

(Cat. No.: HY-16346S)

Netupitant D6 is the deuterium labeled Netupitant(CID-6451149), which is a highly potent and selective, orally active neurokinin-1 receptor antagonist.

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

Netupitant metabolite Monohydroxy Netupitant

(Monohydroxy Netupitant)

Cat. No.: HY-G0012

Monohydroxy Netupitant is the metabolite of Netupitant, which is a highly selective NK₁ receptor antagonist.

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

Neurokinin A

(α-Neurokinin; Neuromedin L; Substance K)

Cat. No.: HY-P0197

Neurokinin A acts via neurokinin 2 (NK₂) receptor.

Purity: 98.92%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg
<table>
<thead>
<tr>
<th>Product Name</th>
<th>Cat. No.</th>
<th>Description</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Neurokinin A(4-10)</td>
<td>HY-P0236</td>
<td>Neurokinin A (4-10) is a tachykinin NK&lt;sub&gt;2&lt;/sub&gt; receptor agonist.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>Neurokinin A(4-10) TFA</td>
<td>HY-P0236A</td>
<td>Neurokinin A (4-10) TFA is a tachykinin NK&lt;sub&gt;2&lt;/sub&gt; receptor agonist.</td>
<td>98.48%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>Neurokinin antagonist 1</td>
<td>HY-U00320</td>
<td>Neurokinin antagonist 1 is a Neurokinin antagonist extracted from patent WO1998045262A1.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>Neurokinin B TFA</td>
<td>HY-P0242A</td>
<td>Neurokinin B TFA belongs to the tachykinin family of peptides. Neurokinin B binds a family of GPCRs-including neurokinin receptor 1 (NK1R), NK2R, and NK3R-to mediate their biological effect.</td>
<td>95.01%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>Neurokinin B</td>
<td>HY-P0242</td>
<td>Neurokinin B belongs to the tachykinin family of peptides. Neurokinin B binds a family of GPCRs-including neurokinin receptor 1 (NK1R), NK2R, and NK3R-to mediate their biological effect.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>NK-1 Antagonist 1 (Rolapitant intermediate)</td>
<td>HY-106659</td>
<td>NK-1 Antagonist 1 (Rolapitant intermediate) is an antagonist of NK-1 receptor, used in the research of NK-1 related diseases and conditions such as cough, overactive bladder, alcohol dependency and depression.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
</tr>
<tr>
<td>NKP608</td>
<td>HY-18006</td>
<td>NKP608 is a non-peptidic derivative of 4-aminopiperidine which acts as a selective, specific and potent antagonist at the neurokinin-1 (NK-1) receptor both in vitro(IC50=2.6 nM) and in vivo.</td>
<td>99.34%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Pavinetant (MLE-4901; AZD2624; AZD4901)</td>
<td>HY-14432</td>
<td>Pavinetant (MLE-4901) is a neurokinin-3 receptor (NK3R) antagonist.</td>
<td>99.74%</td>
<td>Phase 2</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Physalaemin</td>
<td>HY-P0255</td>
<td>Physalaemin, a non-mammalian tachykinin, binds selectively to neurokinin-1 (NK1) receptor with high affinity.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>Rolapitant (SCH619734)</td>
<td>HY-14751</td>
<td>Rolapitant (SCH619734) is a potent, selective and orally active neurokinin NK1 receptor antagonist with a K&lt;sub&gt;i&lt;/sub&gt; of 0.66 nM.</td>
<td>98.01%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
**Saredutant**
(SR 48968; SR 48968C)
Cat. No.: HY-106910

Saredutant is a selective \textit{NK2} receptor antagonist.

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

**SB-222200**
Cat. No.: HY-15722

SB 222200 is a selective, reversible and competitive antagonist of human \textit{NK-3} receptor (K_i=4.4 nM) that effectively crosses the blood-brain barrier.

Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

**Scyliorhinin II**
Cat. No.: HY-P1588

Scyliorhinin II is a selective neurokinin-3 receptor agonist, with a K_i of 2.5 nM for neurokinin-3 receptor in rat cerebral cortex.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg

**Senktide**
Cat. No.: HY-P0187

Senktide is a tachykinin \textit{NK1} receptor agonist.

Purity: 99.56%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg

**Serlopitant**
(VPD-737; MK-0594)
Cat. No.: HY-12114

Serlopitant is a selective Neurokinin-1 \textit{(NK-1)} receptor antagonist.

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

**SSR-241586**
Cat. No.: HY-19456

SSR-241586 is an antagonist of neurokinin receptors. SSR-241586 is shown to be active in the treatment of depression, schizophrenia, urinary trouble, emesis, and irritable bowel syndrome (IBS).

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

**Substance P**
(Neurokinin P)
Cat. No.: HY-P0201

Substance P is a neuropeptide, acting as a neurotransmitter and as a neuromodulator. The endogenous receptor for substance P is neurokinin 1 receptor (NK1-receptor, NK1R).

Purity: 98.07%
Clinical Data: Phase 1
Size: 1 mg, 5 mg, 10 mg, 25 mg

**Substance P (1-7)**
(Substance P (1-7) Trifluoroacetate)
Cat. No.: HY-P1485A

Substance P (1-7)(TFA) is a fragment of the neuropeptide, substance P (SP). Substance P (1-7)(TFA) gives depressor and bradycardic effects when applied to the nucleus tractus solitarius.

Purity: 99.20%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

**Substance P 1-7**
Cat. No.: HY-P1485

Substance P (7-11) is a fragment of the neuropeptide, substance P (SP). Substance P (7-11) gives depressor and bradycardic effects when applied to the nucleus tractus solitarius.

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

**Substance P 1-9**
Cat. No.: HY-P1494

Substance P (1-9) is nonapeptide, which decreases the inactivation of substance P by the guinea-pig ileum and urinary bladder.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg
<table>
<thead>
<tr>
<th>Substance P 7-11</th>
<th>Cat. No.: HY-P1492</th>
</tr>
</thead>
<tbody>
<tr>
<td>Substance P (7-11) is a C-terminal fragment of Substance P which can cause an increase in the intracellular calcium concentration.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 5 mg, 10 mg, 25 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Tachykinin angatonist 1</th>
<th>Cat. No.: HY-U00392</th>
</tr>
</thead>
<tbody>
<tr>
<td>Tachykinin angatonist 1 is a neurokinin receptor antagonist extracted from patent US5968923, compound example 32.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg, 10 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Talnetant (SB 223412)</th>
<th>Cat. No.: HY-14552</th>
</tr>
</thead>
<tbody>
<tr>
<td>Talnetant (SB 223412) is a potent and selective NK3 receptor antagonist (Ki=1.4 nM, hNK-3-CHO); 100-fold selective for the hNK-3 versus hNK-2 receptor, with no affinity for the hNK-1 at concentrations up to 100 uM.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.44%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 2</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Vofopitant dihydrochloride (GR 205171A)</th>
<th>Cat. No.: HY-12143</th>
</tr>
</thead>
<tbody>
<tr>
<td>Vofopitant dihydrochloride (GR 205171A) is a potent, selective and orally available tachykinin neurokinin 1 (NK1) receptor antagonist, inhibits [³H]SP binding to the NK1 receptor with pKᵢ values of 9.5 and 10.6 in rat and human membranes respectively, acts as a potential...</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 100 mg, 250 mg, 500 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Y1 receptor antagonist 1 (H 409-22 isomer)</th>
<th>Cat. No.: HY-101704</th>
</tr>
</thead>
<tbody>
<tr>
<td>Y1 receptor antagonist 1 (H 409-22 isomer) is a neuropeptide Y1 receptor antagonist.</td>
<td></td>
</tr>
<tr>
<td>Purity: 95.03%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>[Nle11]-Substance P</th>
<th>Cat. No.: HY-P1506</th>
</tr>
</thead>
<tbody>
<tr>
<td>[Nle11]-Substance P is a substance P analog that avoids methionine oxidation problems.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg, 10 mg</td>
<td></td>
</tr>
</tbody>
</table>
### [Sar9,Met(O2)11]-Substance P

**Cat. No.: HY-P1012**

[Sar9,Met(O2)11]-Substance P is a tachykinin NK₁ receptor selective agonist.

- **Purity:** 98.45%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg, 10 mg, 25 mg

### [Sar9,Met(O2)11]-Substance P (TFA)

**Cat. No.: HY-P1012A**

[Sar9,Met(O2)11]-Substance P TFA is a tachykinin NK₁ receptor selective agonist.

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

### [Sar9] Substance P

**Cat. No.: HY-P1738**

[Sar9] Substance P is a potent and selective neurokinin (NK)-1 receptor agonist.

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