P2X Receptor

P2XRs

P2X receptors are a family of seven (P2X1R-P2X7R) cation permeable ligand-gated ion channels (LGICs) that open in response to binding by the extracellular ligand, adenosine 5’-triphosphate (ATP). P2X receptors have a high permeability to Ca\(^{2+}\), Na\(^{+}\), and K\(^{+}\) and are expressed widely throughout the nervous, immune, cardiovascular, skeletal, gastrointestinal, respiratory, and endocrine systems.

P2X receptors are widely expressed in excitatory and non-excitatory cells, such as neuron, glia, platelet, epithelia and macrophage, and participate in many important physiological and pathological processes, including synaptic transmission, pain perception, inflammation, cardiovascular modulation, immunomodulation and tumorigenesis.
### P2X Receptor Inhibitors, Agonists, Antagonists & Modulators

<table>
<thead>
<tr>
<th><strong>Cat. No.</strong></th>
<th><strong>Purity</strong></th>
<th><strong>Clinical Data</strong></th>
<th><strong>Size</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>HY-137451A</td>
<td>98.64%</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>8-Bromo-ATP (8-Bromoadenosine 5'-triphosphate; 8-Br-ATP)</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg</td>
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<tr>
<td>HY-15488A</td>
<td>99.74%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td>HY-15568A</td>
<td>99.28%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
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<tr>
<td>HY-50697</td>
<td>98.31%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

#### (E/Z)-Sivopixant ((E/Z)-S-600918)

(E/Z)-Sivopixant ((E/Z)-S-600918) is a potent P2X3 receptor antagonist with an IC₅₀ of 4 nM. (E/Z)-Sivopixant can be used for respiratory diseases research.

**Purity:** 98.64%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### 5-BDBD

5-BDBD, a potent and selective P2X4 receptor antagonist, inhibits P2X4R-mediated currents, with an IC₅₀ of 0.75 μM. 5-BDBD completely blocks the basal and acute hyperalgesia induced by nitroglycerin (NTG).

**Purity:** 96.76%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg

#### A 438079 hydrochloride

A 438079 (hydrochloride) is a potent, and selective P2X7 receptor antagonist with pIC₅₀ of 6.9.

**Purity:** 99.74%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### A 317491 sodium salt hydrate

A-317491 sodium salt hydrate is a potent, selective and non-nucleotide antagonist of P2X₇ receptors, with Kᵢ values of 22, 22, 9, and 92 nM for hP2X₇, rP2X₇, hP2X₃, and rP2X₃ respectively.

**Purity:** 99.28%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### A-740003

A-740003 is a potent, selective and competitive P2X7 receptor antagonist with IC₅₀ values of 18 and 40 nM for rat and human P2X7 receptors, respectively.

**Purity:** 98.31%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg
AF-353 (Ro-4)
Cat. No.: HY-14483
AF-353 (Ro-4) is a potent, selective and orally bioavailable P2X3/P2X2/3 receptor antagonist, with a pIC<sub>50</sub> of 8.0 for both human and rat P2X3, and with a pIC<sub>50</sub> of 7.3 for human P2X2/3.

Purity: 98.95%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

AZ10606120 dihydrochloride
Cat. No.: HY-108669
AZ10606120 dihydrochloride is a selective, high affinity antagonist for P2X7 receptor (P2X7R) at human and rat with an IC<sub>50</sub> of ~10nM. AZ10606120 dihydrochloride is little or no effect at other P2XR subtypes.

Purity: 99.04%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

BAY-1797
Cat. No.: HY-130605
BAY-1797 is a potent, orally active, and selective P2X4 antagonist, with an IC<sub>50</sub> of 211 nM against human P2X4. BAY-1797 displays no or very weak activity on the other P2X ion channels. BAY-1797 shows anti-nociceptive and anti-inflammatory effects.

Purity: 98.66%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BxATP triethylammonium salt
Cat. No.: HY-136254
BxATP triethylammonium salt acts as a P2X receptor agonist with pEC<sub>50</sub>s of 7.4, 7.26, 7.10, 7.50, 6.19, 6.31, 5.33 for P2X1, P2X2, P2X3, P2X2/3, P2X4 and P2X7, respectively.

Purity: >95.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

CE-224535 (PF-04905428)
Cat. No.: HY-15487
CE-224535 is a selective P2X<sub>3</sub>, receptor antagonist.

Purity: 98.88%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 25 mg, 50 mg

Eliapixant (BAY 1817080)
Cat. No.: HY-109170
Eliapixant (BAY 1817080) is a potent and selective antagonist of P2X3 receptor, with an IC<sub>50</sub> of 8 nM. Eliapixant can be used for the research of refractory chronic cough.

Purity: 99.69%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

HY-110237
HY-130605
HY-108669
HY-14483
HY-109170
HY-15487
HY-110237
HY-136254
HY-15487
HY-110237
HY-136254
HY-15487

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<table>
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<tr>
<th><strong>Filapixant</strong></th>
<th><strong>Cat. No.: HY-109173</strong></th>
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<tbody>
<tr>
<td>Filapixant is a <strong>purinoreceptor</strong> antagonist extracted from patent WO2016091776A1, example 348. Filapixant is the active reference substance of Eliapixant.</td>
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<tr>
<td><strong>Purity:</strong> 98.78%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<tr>
<th><strong>GW791343 trihydrochloride</strong></th>
<th><strong>Cat. No.: HY-15470</strong></th>
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</thead>
<tbody>
<tr>
<td>GW791343 3HCl is a P2X7 allosteric modulator; exhibits species-specific activity and acts as a negative allosteric modulator of human P2X7 (pIC50 = 6.9 - 7.2).</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<td><strong>Size:</strong> 1 mg, 5 mg</td>
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<tr>
<th><strong>GSK-1482160</strong></th>
<th><strong>Cat. No.: HY-19888</strong></th>
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<tbody>
<tr>
<td>GSK-1482160 is an orally available negative allosteric modulator of the P2X7 receptor. P2X7 receptors are involved in the production of pro-inflammatory cytokines, such as IL-1β, by central and peripheral immune cells.</td>
<td></td>
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<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<th><strong>Indophagolin</strong></th>
<th><strong>Cat. No.: HY-134807</strong></th>
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<tbody>
<tr>
<td>Indophagolin is a potent, indoline-containing autophagy inhibitor (IC_{50}=140 nM). Indophagolin antagonizes the purinergic receptor P2X7 as well as P2X4 and P2X2 with IC_{50}s of 2.71, 2.40 and 3.49 μM, respectively.</td>
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<td><strong>Purity:</strong> 98.03%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<tr>
<th><strong>JNJ-47965567</strong></th>
<th><strong>Cat. No.: HY-101418</strong></th>
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</thead>
<tbody>
<tr>
<td>JNJ-47965567 is a centrally permeable, high-affinity, selective P2X7 antagonist, with pK_{i}s of 7.9 and 8.7 for human and rat P2X7, respectively. JNJ-47965567 can be used to probe the role of central P2X7 in rodent models of CNS pathophysiology.</td>
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<td><strong>Purity:</strong> 99.77%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<td><strong>Size:</strong> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</td>
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<thead>
<tr>
<th><strong>JNJ-54166060</strong></th>
<th><strong>Cat. No.: HY-124300</strong></th>
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</thead>
<tbody>
<tr>
<td>JNJ-54166060 is a potent and selective P2X7 receptor antagonist, with IC_{50}s of 4/115/72 nM for human/rat/mouse P2X7 receptor, respectively.</td>
<td></td>
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<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<tr>
<td><strong>Size:</strong> 1 mg, 5 mg</td>
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<tr>
<th><strong>JNJ-54175446</strong></th>
<th><strong>Cat. No.: HY-117508</strong></th>
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<tbody>
<tr>
<td>JNJ-54175446 is a potent and selective brain penetrant P2X7 receptor antagonist, with pIC_{50}s of 8.46 and 8.81 for hP2X7 receptor and rP2X7 receptor, respectively.</td>
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<tr>
<td><strong>Purity:</strong> 99.49%</td>
<td><strong>Clinical Data:</strong> Phase 2</td>
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<tr>
<td><strong>Size:</strong> 1 mg, 5 mg, 10 mg</td>
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**JNJ-55308942**

Cat. No.: HY-123857

JNJ-55308942 is a high-affinity, selective, brain-penetrant P2X7 functional antagonist (IC_{50} = 10 nM, K_i = 7.1 nM; IC_{50} = 15 nM, K_i = 2.9 nM). JNJ-55308942 is orally bioavailable, binds to brain P2X7 and blocks IL-1β release from adult rodent brain.

**Purity:** 99.95%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

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**KN-62**

Cat. No.: HY-13290

KN-62 is a selective and reversible inhibitor of calmodulin-dependent protein kinase II (CaMK II) with a K_i of 0.9 μM for rat brain CaMK-II. KN-62 directly binds to the calmodulin binding site of CaMK-II.

**Purity:** 99.45%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

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**Lappaconitine**

Cat. No.: HY-N0383

Lappaconitine, isolated from Aconitum sinomontanum Nakai, was characterized as analgesic principle. IC_{50} value: Target: In vitro: In vivo: Lappaconitine was characterized as analgesic principle by our laboratory.

**Purity:** 98.04%

**Clinical Data:** Launched

**Size:** 10 mg, 25 mg, 100 mg

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**Minodronic acid**

Cat. No.: HY-16322

Minodronic acid (YM-529) is a third-generation bisphosphonate that directly and indirectly prevents proliferation, induces apoptosis, and inhibits metastasis of various types of cancer cells. Minodronic acid (YM-529) is an antagonist of purinergic P2X2/3 receptors involved in pain.

**Purity:** ≥98.0%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

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**Minodronic acid-d4**

Cat. No.: HY-16322S

Minodronic acid-d4 is deuterium labeled Minodronic acid. Minodronic acid (YM-529) is a third-generation bisphosphonate that directly and indirectly prevents proliferation, induces apoptosis, and inhibits metastasis of various types of cancer cells.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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**MRS4738**

Cat. No.: HY-143890

MRS4738 is a potent and high affinity P2Y14R antagonist. MRS4738 exhibits anti-hyperalldynic and antiasthmatic activity in vivo.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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**NF110**

Cat. No.: HY-108671

NF110 is a P2X, receptor antagonist (K_i = 36 nM) and inactive toward P2Y receptors stably expressed (IC_{50} > 10 μM). NF110 blocks alphabeta-methylene-ATP-induced currents (IC_{50} = 527 nM) in rat dorsal root ganglia neurons.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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**NF279**

Cat. No.: HY-D0976

NF279 is a potent selective and reversible P2X1 receptor antagonist, with an IC_{50} of 19 nM. NF279 displays good selectivity over P2X2, P2X3 (IC_{50} = 1.62 μM), P2X4 (IC_{50} > 300 μM).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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**NF449 octasodium**

Cat. No.: HY-112461A

NF449 octasodium is a highly potent P2X3 receptor antagonist, with IC50 values of 0.28, 0.69, and 120 nM for rP2X3, hP2X3, and guinea pig P2X3 receptors, respectively. NF449 octasodium is a Gq-selective G Protein antagonist.

Purity: ≥ 95.0%
Clinical Data: No Development Reported
Size: 1 mg

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**Opiranserin (VVZ-149)**

Cat. No.: HY-109067

Opiranserin (VVZ-149), a non-opioid and non-NSAID analgesic candidate, is a dual antagonist of glycine transporter type 2 (GlyT2) and serotonin receptor 2A (5HT2A), with IC50 values of 0.86 and 1.3 μM, respectively. Opiranserin shows antagonistic activity on rP2X3 (IC50=0.87 μM).

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

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**Oxatomide**

Cat. No.: HY-123205

Oxatomide is a potent and orally active dual H1-histamine receptor and P2X7 receptor antagonist with antihistamine and anti-allergic activity. Oxatomide almost completely blocks the ATP-induced current in human P2X7 receptors (IC50 of 0.95 μM).

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

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**P2X receptor-1**

Cat. No.: HY-139627

P2X receptor-1 is a potential inhibitor of P2X receptor for the treatment of pain and inflammation.

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

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**P2X7 receptor antagonist-1**

Cat. No.: HY-145466

P2X7 receptor antagonist-1 is a purinergic P2X7 receptor antagonist. P2X7 receptor antagonist-1 has efficacy of combating neuroinflammation.

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

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**P2X3 antagonist 34**

Cat. No.: HY-135976

P2X3 antagonist 34 is a potent, selective and orally active P2X3 homotrimeric receptor antagonist with IC50 values of 25 nM, 92 nM and 126 nM for human P2X3, rat P2X3 and guinea pig P2X3 receptors, respectively.

Purity: 99.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**P2X3 antagonist 37**

Cat. No.: HY-143576

P2X3 antagonist 37 is a potent P2X3 receptor antagonist with an IC50 of 32.45 nM for hP2X3 (WO2021115225A1, example 68).

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

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**Piromelatine (Neu-P11)**

Cat. No.: HY-105285

Piromelatine (Neu-P11) is a melatonin MT1/MT2 receptor agonist, serotonin 5-HT1A/5-HT2A agonist, and serotonin 5-HT2B antagonist.

Purity: 99.21%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
PPADS tetrasodium  
**Cat. No.: HY-101044**

PPADS tetrasodium is a non-selective P2X receptor antagonist. PPADS tetrasodium blocks recombinant P2X1, -2, -3, -5 with IC_{50}s ranging from 1 to 2.6 μM. PPADS tetrasodium blocks native P2Y2-like (IC_{50}=0.9 mM) and recombinant P2Y4 (IC_{50}=15 mM) receptors.

**Purity:** ≥95.0%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

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Ro 0437626  
**Cat. No.: HY-108673**

Ro 0437626 is a selective purinergic (P2X_{i}) receptor antagonist (IC_{50} = 3 μM), but shows low affinity for P2X2, P2X3 and P2X2/3 receptors (IC_{50} > 100 μM).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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Ro-51  
**Cat. No.: HY-14485**

Ro-51 is a potent and selective dual P2X_{i}/P2X_{j,3} antagonist, with IC_{50} of 2 nM and 5 nM for P2X_{i} and P2X_{j,3} receptors, respectively. Ro-51 can be used for the research for pain.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg

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TC-P 262  
**Cat. No.: HY-108668**

TC-P 262 is a potent P2X_{3} inhibitor. TC-P 262 shows inhibition by bindings to hP2X3. TC-P 262 has the potential for the research of rheumatoid arthritis, cough, and pain.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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α,β-Methylene ATP trisodium  
**Cat. No.: HY-108652**

α,β-Methylene ATP trisodium, a phosphonic analog of ATP, is a P2X3 and P2X7 receptor ligand. α,β-Methylene ATP trisodium is a highly selective agonist for P2X1 and P2X3, with practically no activity at P2X2/4-7.

**Purity:** ≥95.0%

**Clinical Data:** No Development Reported

**Size:** 5 mg

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PSB-12062  
**Cat. No.: HY-101910**

PSB-12062 is a potent and selective P2X4 antagonist with an IC_{50} of 1.38 μM for human P2X4.

**Purity:** 99.06%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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RO-3  
**Cat. No.: HY-19978**

RO-3 is a potent, CNS-penetrant, and orally active P2X_{3} and P2X_{i,j} antagonist with pIC_{50}s of 5.9 and 7.0 for human homomultimeric P2X_{3} and heteromultimeric P2X_{i,j} receptors, respectively.

**Purity:** 97.32%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg, 50 mg

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Sivopixant  
**Cat. No.: HY-137451**

Sivopixant (S-600918) is a potent and selective P2X3 receptor antagonist (P2X3 IC_{50}=4.2 nM; P2X2/3 IC_{50}=1100 nM). Sivopixant shows strong analgesic effect.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

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Zeaxanthin dipalmitate  
**Cat. No.: HY-N9182**

Zeaxanthin dipalmitate (Physalien) is a wolfberry-derived carotenoid, has anti-inflammatory and anti-oxidative stress effects.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg

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α,β-Methylene-ATP dilithium  
**Cat. No.: HY-134440**

α,β-Methylene ATP dilithium, a phosphonic analog of ATP, is a P2X3 and P2X7 receptor ligand. α,β-Methylene ATP dilithium is a highly selective agonist for P2X1 and P2X3, with practically no activity at P2X2/4-7.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg