P2X Receptor

P2XRs

P2X receptors are a family of cation-permeable ligand gated ion channels that open in response to the binding of extracellular adenosine 5’-triphosphate (ATP). They belong to a larger family of receptors known as the purinergic receptors. P2X receptors are present in a diverse array of organisms including humans, mouse, rat, rabbit, chicken, zebrafish, bullfrog, fluke, and amoeba. Seven separate genes coding for P2X subunits have been identified, and named as P2X1 through P2X7. The pharmacology of a given P2X receptor is largely determined by its subunit makeup. Different subunits exhibit different sensitivities to purinergic agonists and antagonists. Of continuing interest is the fact that some P2X receptors (P2X2, P2X4, human P2X5, and P2X7) exhibit multiple open states in response to ATP, characterized by a time-dependent increase in the permeabilities of large organic ions and nucleotide binding dyes.
### P2X Receptor Inhibitors, Agonists & Antagonists

#### A 438079
**Cat. No.:** HY-15488

A 438079 is a potent, and selective P2X, receptor antagonist with pIC\(_{50}\) of 6.9.

- **Purity:** 99.64%
- **Clinical Data:** No Development Reported
- **Size:** 10 mg, 50 mg

#### A 438079 hydrochloride
**Cat. No.:** HY-15488A

A 438079 (hydrochloride) is a potent, and selective P2X, receptor antagonist with pIC\(_{50}\) of 6.9.

- **Purity:** 99.88%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg

#### A 839977
**Cat. No.:** HY-13954

A 839977 is a selective antagonist; it blocks BzATP-evoked calcium influx at recombinant human, rat and mouse P2X7 receptors (IC\(_{50}\) values are 20 nM, 42 nM and 150 nM respectively) and reduces inflammatory and neuropathic pain in animal models; the antihyperalgesic effects...

- **Purity:** 98.74%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg

#### A-317491
**Cat. No.:** HY-15568

A-317491 is a non-nucleotide P2X3 and P2X2/3 receptor antagonist, which inhibits calcium flux mediated by the receptors.

- **Purity:** 99.18%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### A-317491 sodium salt hydrate
**Cat. No.:** HY-15568A

A-317491 sodium salt hydrate is a non-nucleotide P2X3 and P2X2/3 receptor antagonist, which inhibits calcium flux mediated by the receptors.

- **Purity:** 99.65%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### A-740003
**Cat. No.:** HY-50697

A-740003 is a potent, selective and competitive antagonist with IC\(_{50}\) values are 18 and 40 nM for rat and human P2X7 receptors, respectively.

- **Purity:** 98.91%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### A-804598
**Cat. No.:** HY-100483

A-804598 is a CNS penetrant, competitive and selective P2X7 receptor antagonist with IC\(_{50}\)s of 9 nM, 10 nM and 11 nM for mouse, rat and human P2X7 receptors, respectively.

- **Purity:** 98.83%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 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\(_{50}\) of 8.0 for both human and rat P2X3, and with a pIC\(_{50}\) 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

#### ATP disodium salt (Disodium adenosine triphosphate; Adenosine 5'-triphosphate disodium salt)
**Cat. No.:** HY-B0345A

ATP disodium salt is a phosphate-group donor for substrate activation in metabolic reactions and the coenzyme for a large number of kinases.

- **Purity:** >98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 500 mg, 1 g, 5 g

#### 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\(_{50}\) of ~10nM. AZ10606120 dihydrochloride is little or no effect at other P2XR subtypes.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg
AZD9056 hydrochloride

AZD9056 hydrochloride is a selective orally active inhibitor of P2X7 which plays a significant role in inflammation and pain-causing diseases.

Purity: 98.16%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BAY-1797

BAY-1797 is a potent, orally active, and selective P2X4 antagonist, with an IC50 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%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

Bullatine A

Bullatine A, a diterpenoid alkaloid of the genus Aconitum, possesses anti-rheumatic, anti-inflammatory and anti-nociceptive effects.

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

CE-224535

CE-224535 is a selective P2X7 receptor antagonist.

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

Gefapixant

Gefapixant is an orally active P2X3 receptor (P2X3R) antagonist with IC50 of ~30 nM versus recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimeric receptors.

Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GW791343 dihydrochloride

GW791343 dihydrochloride is a P2X7 allosteric modulator; exhibits species-specific activity and acts as a negative allosteric modulator of human P2X7 (pIC50 = 6.9 - 7.2).

Purity: 94.07%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

GW791343 trihydrochloride

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).

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

JNJ-54175446

JNJ-54175446 is a potent and selective brain penetrant receptor antagonist, with pIC50 of 8.46 and 8.81 for hP2X7 receptor and rP2X7 receptor, respectively.

Purity: 99.49%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 100 mg

KN-62

KN-62 is a selective and potent inhibitor of calmodulin-dependent protein kinase II (CaMK-II) with IC50 of 0.9 μM, KN-62 also displays noncompetitive antagonism at P2X7 receptors in HEK293 cells, with an IC50 value of approximately 15 nM.

Purity: 99.16%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Lappaconitine

Lappaconitine, isolated from Aconitum sinomontanum Nakai, was characterized as analgesic principle. IC50 value: Target: In vitro: In vivo:

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg, 100 mg
### Minodronic acid
(YM-529)  
**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 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 IC₅₀ ranging from 1 to 2.6 μM. PPADS tetrasodium blocks native P2Y2-like (IC₅₀ ~0.9 mM) and recombinant P2Y4 (IC₅₀ ~15 mM) receptors.

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

### PSB-12062
(N-(p-Methylphenylsulfonyl)phenoxazine)  
**Cat. No.**: HY-101910

PSB-12062 is a potent and selective P2X₄ antagonist with an IC₅₀ of 1.38 μM for human P2X₄.

| **Purity:** | 98.45% |
| **Clinical Data:** | No Development Reported |
| **Size:** | 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |