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 & Modulators

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

**Bioactivity:** A 438079 is a potent, and selective P2X7 receptor antagonist with pIC50 of 6.9.

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

**A 438079 (hydrochloride)**
Cat. No.: HY-15488A

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

**Purity:** 99.88%
**Clinical Data:** No Development Reported
**Size:** 10 mM x 1 mL in Water, 10 mg, 50 mg

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

**Bioactivity:** A-839977 is a novel and selective P2X7 antagonist; blocks BzATP-evoked calcium influx at recombinant human, rat and mouse P2X7 receptors (IC50 values are 20, 42 and 150 nM respectively).

**Purity:** 98.05%
**Clinical Data:** No Development Reported
**Size:** 10 mM x 1 mL in DMSO, 10 mg, 50 mg

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

**Bioactivity:** A-317491 is a non-nucleotide P2X3 and P2X2/3 receptor antagonist, which inhibits calcium flux mediated by the receptors. IC50 value: Target: P2X2/3 It is known that P2X3 and P2X2/3 receptors stimulate the pronociceptive effects of ATP upon activation. Studies indicate that the P2X3 receptors...

**Purity:** 99.18%
**Clinical Data:** No Development Reported
**Size:** 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

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

**Bioactivity:** A-317491 is a non-nucleotide P2X3 and P2X2/3 receptor antagonist, which inhibits calcium flux mediated by the receptors. IC50 value: Target: P2X2/3 It is known that P2X3 and P2X2/3 receptors stimulate the pronociceptive effects of ATP upon activation. Studies indicate that the P2X3...

**Purity:** 99.65%
**Clinical Data:** No Development Reported
**Size:** 10 mM x 1 mL in Water, 5 mg, 10 mg, 50 mg

**A-404598**
Cat. No.: HY-100483

**Bioactivity:** A-804598 is a novel, competitive, and selective P2X7 receptor antagonist with IC50 of 10 nM, 9 nM and 11 nM in rat, mouse and human P2X7 receptors respectively. In vitro: A-804598 potently blocked IL-1β release in the THP-1 cells (IC50 of 8.5 nM). A-804598 also blocked agonist-evoked pore formation in...

**Purity:** 98.83%
**Clinical Data:** No Development Reported
**Size:** 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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

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

**Purity:** 98.91%
**Clinical Data:** No Development Reported
**Size:** 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg

**AF-353**
(Ro-4)
Cat. No.: HY-14483

**Bioactivity:** AF-353 is a novel, potent and orally bioavailable P2X3/P2X2/3 receptor antagonist, inhibits human and rat P2X3 (pIC50= 8.0).

**Purity:** 98.95%
**Clinical Data:** No Development Reported
**Size:** 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

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

**Bioactivity:** ATP 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 x 1 mL in Water, 1 g, 5 g

**AZD9056 hydrochloride**
Cat. No.: HY-19427A

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

**Purity:** 98.10%
**Clinical Data:** Phase II
**Size:** 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg
Bioactivity: CE-224535 is a selective P2X<sub>7</sub> receptor antagonist.

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg, 10 mg

Bioactivity: Gefapixant is an orally active P2X<sub>3</sub> receptor (P2X<sub>3R</sub>) antagonist with IC<sub>50</sub> 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: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity: GW791343 2HCl is a P2X7 allosteric modulator; exhibits species-specific activity and acts as a negative allosteric modulator of human P2X7 (pIC<sub>50</sub> = 6.9 - 7.2).

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

Bioactivity: GW791343 3Hcl is a P2X7 allosteric modulator; exhibits species-specific activity and acts as a negative allosteric modulator of human P2X7 (pIC<sub>50</sub> = 6.9 - 7.2).

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

Bioactivity: GW791343 dihydrochloride is a negative allosteric modulator of human P2X7 (pIC<sub>50</sub> = 6.9 - 7.2).

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

Bioactivity: GW791343 trihydrochloride is a negative allosteric modulator of human P2X7 (pIC<sub>50</sub> = 6.9 - 7.2).

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

Bioactivity: JNJ-54175446 is a potent and selective brain penetrant P2X<sub>7</sub> receptor antagonist, with pIC<sub>50</sub> of 8.46 and 8.81 for hP2X7 receptor and rP2X7 receptor, respectively.

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

Bioactivity: KN-62 is a selective and potent inhibitor of calmodulin-dependent protein kinase II (CaMK-II) with IC<sub>50</sub> of 0.9 μM, KN-62 also displays noncompetitive antagonism at P2X<sub>7</sub> receptors in HEK293 cells, with an IC<sub>50</sub> value...

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

Bioactivity: Lappaconitine, isolated from Aconitum sinomontanum Nakai, was characterized as analgesic principle.

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg, 100 mg

Bioactivity: PSB-12062 is a potent and selective P2X<sub>4</sub> antagonist with an IC<sub>50</sub> of 1.38 μM for human P2X4.

Purity: 98.45%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg