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 P2X<sub>7</sub> receptor antagonist with pIC<sub>50</sub> of 6.9.

Purity: 99.64%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

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

Bioactivity: A 438079 (hydrochloride) is a potent, and selective P2X<sub>7</sub> receptor antagonist with pIC<sub>50</sub> of 6.9.

Purity: 99.74%
Clinical Data: No Development Reported
Size: 10mM x 1mL in Water, 10 mg, 50 mg

A 839977
Cat. No.: HY-13954

Bioactivity: A-839977 is a novel and selective P2X7 antagonist; blocks BaATP-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: 10mM x 1mL 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.

Purity: 99.56%
Clinical Data: No Development Reported
Size: 10mM x 1mL 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.

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

A-740003
Cat. No.: HY-50697

Bioactivity: A-740003 is a potent, selective and competitive P2X7 receptor antagonist with IC<sub>50</sub> values are 18 and 40 nM for rat and human P2X7 receptors, respectively.

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

A-804598
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.

Purity: 99.01%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 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: 10mM x 1mL 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 Disodium salt is a P2 purinoceptor agonist.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in Water, 1 g, 5 g

AZD9056 hydrochloride
Cat. No.: HY-19427A

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

Purity: 98.10%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg
### CE-224535
**(PF-04905428)**  
**Cat. No.: HY-15487**

**Bioactivity:** CE-224535 is a selective \( \text{P}2\text{X}_7 \) receptor antagonist.

**Purity:** > 98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

### Gefapixant
**(AF219; MK-7264)**  
**Cat. No.: HY-101588**

**Bioactivity:** Gefapixant is an orally active P2X3 receptor \( \text{(P}2\text{X}_3\text{)} \) antagonist with \( \text{IC}_{50} \) of ~30 nM versus recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimetric receptors.

**Purity:** > 98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

### GW791343 dihydrochloride
**Cat. No.: HY-15469**

**Bioactivity:** GW791343 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 x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

### GW791343 trihydrochloride
**Cat. No.: HY-15470**

**Bioactivity:** GW791343 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:** 5 mg, 10 mg, 50 mg

### KN-62
**Cat. No.: HY-13290**

**Bioactivity:** KN-62 is a selective and potent inhibitor of calmodulin-dependent protein kinase II (CaMK-II) with \( \text{IC}_{50} \) of 0.9 \( \mu \text{M} \), KN-62 also displays noncompetitive antagonism at P2X\( _{7} \) receptors in HEK293 cells, with an \( \text{IC}_{50} \) value of approximately 15 nM.

**Purity:** 99.16%

**Clinical Data:** No Development Reported

**Size:** 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

### Lappaconitine
**Cat. No.: HY-N0383**

**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

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

**Bioactivity:** PSB-12062 is a potent and selective \( \text{P}2\text{X}_4 \) antagonist with a \( \text{IC}_{50} \) of 1.38 \( \mu \text{M} \) for human P2X4.

**Purity:** 98.45%

**Clinical Data:** No Development Reported

**Size:** 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg