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 & 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:** 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 P2X7 receptor antagonist with pIC50 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 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:** 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 (A317491)**
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 IC50 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, 100 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 P2X<sub>7</sub> receptor antagonist.

**Purity:**  > 98%

**Clinical Data:**  Phase 2

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

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

**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

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

**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

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

**Bioactivity:**  KN-62 is a selective and potent inhibitor of calmodulin-dependent protein kinase II (CaMK-II) with an 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 of approximately 15 nM.

**Purity:**  99.16%

**Clinical Data:**  No Development Reported

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

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**Lappaconitine**  
((+)-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

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

**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