P2X Receptor

P2XRs

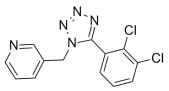
P2X receptors are a family of cation-permeable ligand gated ion channels that open in response to the binding of extracellular adenosine 5'-triphosphate (ATP). They belong to a larger family of receptors known as the purinergic receptors. P2X receptors are present in a diverse array of organisms including humans, mouse, rat, rabbit, chicken, zebrafish, bullfrog, fluke, and amoeba. seven separate genes coding for P2X subunits have been identified, and named to 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 **$P2X_7$ receptor** antagonist with pIC_{50} of 6.9.

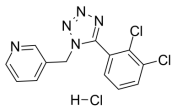


Purity: 99.74%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg, 100 mg

A 438079 hydrochloride

Cat. No.: HY-15488A

A 438079 (hydrochloride) is a potent, and selective **$P2X_7$ receptor** antagonist with pIC_{50} of 6.9.

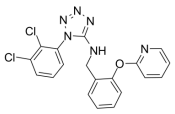


Purity: 99.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

A 839977

Cat. No.: HY-13954

A 839977 is a **P2X7** 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...

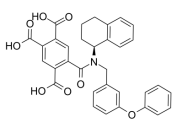


Purity: 98.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

A-317491

Cat. No.: HY-15568

A-317491 is a potent, selective and non-nucleotide antagonist of **$P2X_3$** and **$P2X_{2/3}$ receptors**, with **K_i**s of 22, 22, 9, and 92 nM for **$hP2X_3$**, **$rP2X_3$**, **$hP2X_{2/3}$**, and **$rP2X_{2/3}$**, respectively.

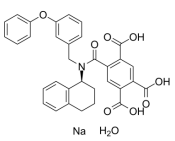


Purity: 99.18%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

A-317491 sodium salt hydrate

Cat. No.: HY-15568A

A-317491 sodium salt hydrate is a potent, selective and non-nucleotide antagonist of **$P2X_3$** and **$P2X_{2/3}$ receptors**, with **K_i**s of 22, 22, 9, and 92 nM for **$hP2X_3$**, **$rP2X_3$**, **$hP2X_{2/3}$**, and **$rP2X_{2/3}$**, respectively.

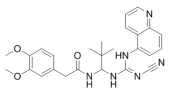


Purity: 99.65%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

A-740003

Cat. No.: HY-50697

A-740003 is a potent, selective and competitive **P2X7 receptor** antagonist with **IC_{50}** values are 18 and 40 nM for rat and human P2X7 receptors, respectively.

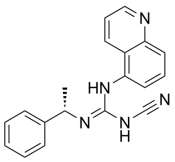


Purity: 98.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

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.



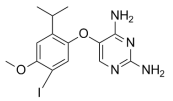
Purity: 98.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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.

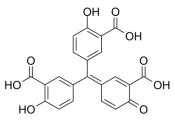


Purity: 98.95%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Aurintricarboxylic acid

Cat. No.: HY-122575

Aurintricarboxylic acid is a nanomolar-potency, allosteric antagonist with selectivity towards αβ-methylene-ATP-sensitive **P2X1Rs** and **P2X3Rs**, with **IC_{50}**s of 8.6 nM and 72.9 nM for rP2X1R and rP2X3R, respectively.

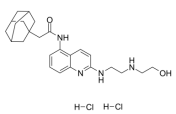


Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg

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

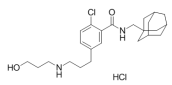


Purity: >98%
Clinical Data:
Size: 5 mg

AZD9056 hydrochloride

Cat. No.: HY-19427A

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

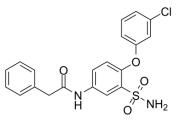


Purity: 98.16%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BAY-1797

Cat. No.: HY-130605

BAY-1797 is a potent, orally active, and selective **P2X4** antagonist, with an IC_{50} 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.

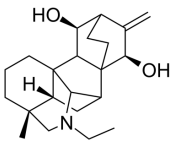


Purity: 98.66%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Bullatine A

Cat. No.: HY-N5025

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

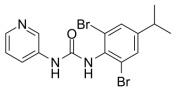


Purity: >98%
Clinical Data:
Size: 1 mg, 5 mg

BX430

Cat. No.: HY-110237

BX430 is a potent and selective noncompetitive allosteric **human P2X4 receptor channels** antagonist with an IC_{50} of 0.54 μM. BX430 has species specificity. BX430 is used for chronic pain and cardiovascular disease.

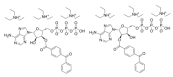


Purity: 99.87%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BzATP triethylammonium salt

Cat. No.: HY-136254

BzATP triethylammonium salt acts as a **P2X receptor** agonist with pEC_{50}s of 8.74, 5.26, 7.10, 7.50, 6.19, 6.31, 5.33 for P2X1, P2X2, P2X3, P2X2/3, P2X4 and P2X7, respectively.



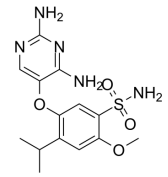
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Gefapixant

(MK-7264; AF-219)

Cat. No.: HY-101588

Gefapixant (MK-7264) is an orally active P2X3 receptor (**P2X3R**) antagonist with IC_{50}s of ~30 nM versus recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimeric receptors.

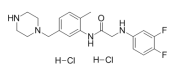


Purity: 99.62%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GW791343 dihydrochloride

Cat. No.: HY-15469

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).

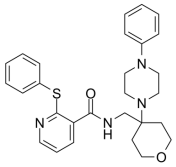


Purity: 98.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

JNJ-47965567

Cat. No.: HY-101418

JNJ-47965567 is a centrally permeable, high-affinity, selective **P2X7** antagonist, with pK_is 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.

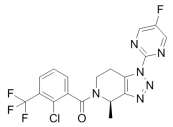


Purity: 98.63%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

JNJ-54175446

Cat. No.: HY-117508

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.

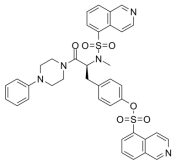


Purity: 99.49%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

KN-62

Cat. No.: HY-13290

KN-62 is a selective and potent inhibitor of calmodulin-dependent protein kinase II (**CaMK-II**) with IC_{50} of 0.9 μM, KN-62 also displays noncompetitive antagonism at $P2X_7$ receptors in HEK293 cells, with an IC_{50} value of approximately 15 nM.

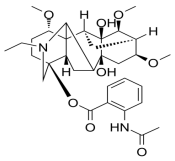


Purity: 99.45%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Lappaconitine

((+)-Lappaconitine) Cat. No.: HY-N0383

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

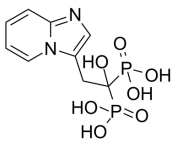


Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

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.

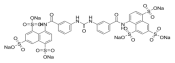


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

NF023 hexasodium

Cat. No.: HY-108676

NF023 hexasodium is a selective and competitive **$P2X_1$ receptor** antagonist, with **IC_{50}** values of 0.21 μM, 28.9 μM, > 50 μM and > 100 μM for human $P2X_1$, $P2X_3$, $P2X_2$, and $P2X_4$-mediated responses respectively.

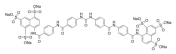


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

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).

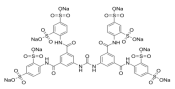


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

NF449 octasodium

Cat. No.: HY-112461A

NF449 octasodium is a highly potent **$P2X_1$ receptor** antagonist, with **IC_{50}**s of 0.28, 0.69, and 120 nM for $rP2X_1$, $rP2X_{1+5}$, $P2X_{2+3}$, respectively. NF449 octasodium is a $G_{s\alpha}$-selective **G Protein** antagonist.

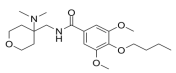


Purity: >99.0%
Clinical Data: No Development Reported
Size: 1 mg

Opiranserin

Cat. No.: HY-109067

Opiranserin, a non-opioid and non-NSAID analgesic candidate, is a dual antagonist of **glycine transporter type 2 (GlyT2)** and **serotonin receptor 2A (5HT2A)**, with **IC_{50}**s of 0.86 and 1.3 μM, respectively. Opiranserin shows antagonistic activity on rP2X3 (IC_{50}=0.87 μM).

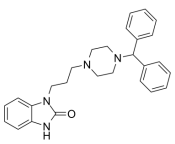


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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** (**IC_{50}** of 0.95 μM).

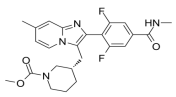


Purity: 99.47%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

P2X3 antagonist 34

Cat. No.: HY-135976

P2X3 antagonist 34 is a potent, selective and orally active **P2X3 homotrimeric receptor** antagonist with **IC_{50}**s of 25 nM, 92 nM and 126 nM for **human P2X3**, **rat P2X3** and **guinea pig P2X3 receptors**, respectively.

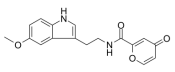


Purity: 99.42%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Piromelatine

(Neu-P11) Cat. No.: HY-105285

Piromelatine (Neu-P11) is a **melatonin MT_1/MT_2** receptor agonist, **serotonin $5\text{-}HT_{1A}$/$5\text{-}HT_{1D}$** agonist, and **serotonin $5\text{-}HT_{2B}$** antagonist.

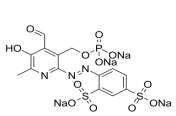


Purity: 99.21%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PPADS tetrasodium

Cat. No.: HY-101044

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

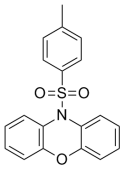


Purity: >95.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

PSB-12062

(N-(p-Methylphenylsulfonyl)phenoxazine) 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: 98.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg