Adenosine receptors (ARs) comprise a group of G protein-coupled receptors (GPCR) which mediate the physiological actions of adenosine. To date, four AR subtypes have been cloned and identified in different tissues. These receptors have distinct localization, signal transduction pathways and different means of regulation upon exposure to agonists. A key property of some of Adenosine receptors is their ability to serve as sensors of cellular oxidative stress, which is transmitted by transcription factors, such as NF-κB, to regulate the expression of ARs. The importance of Adenosine receptors in the regulation of normal and pathological processes such as sleep, the development of cancers and in protection against hearing loss will be examined.
Adenosine Receptor Inhibitors & Modulators

5'-N-Ethylcarboxamidoadenosine (NECA)  
Cat. No.: HY-103173

Bioactivity: 5'-N-Ethylcarboxamidoadenosine (NECA) is a nonselective adenosine receptor agonist.

Purity: 99.86%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

A2A receptor antagonist 1  
Cat. No.: HY-102024

Bioactivity: A2A receptor antagonist 1 is an antagonist of both adenosine A2A receptor and A2 receptor with Ki of 4 and 264 nM, respectively.

Purity: 98.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

A2AR-agonist-1  
Cat. No.: HY-18776

Bioactivity: A2AR-agonist-1 is a potent A2AR and ENT1 agonist with Ki of 4.39 and 3.47 for A2AR and ENT1.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AB-MECA  
Cat. No.: HY-19365

Bioactivity: AB-MECA is a high affinity A3 adenosine receptor agonist, has high affinity for recombinant A1 and A3 receptors.

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

A2B receptor antagonist 1  
Cat. No.: HY-U00321

Bioactivity: A2B receptor antagonist 1 is a potent A2B adenosine receptor antagonist extracted from patent WO 2009157938 A1 EXAMPLE 9B.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Adenosine 5'-monophosphate monohydrate (5'-AMP monohydrate)  
Cat. No.: HY-A0181A

Bioactivity: Adenosine 5'-monophosphate monohydrate is an adenosine A1 receptor agonist.

Purity: 99.07%
Clinical Data: Phase 4
Size: 10mM x 1mL in Water, 1 g

Adenosine antagonist-1  
Cat. No.: HY-100274

Bioactivity: Adenosine antagonist-1 is an adenosine A3 receptor (AA3R) antagonist.

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

APNEA (N6-[2-(4-Aminophenyl)ethyl]adenosine)  
Cat. No.: HY-18687

Bioactivity: APNEA is a potent, non-selective A3 adenosine receptor agonist.

Purity: 97.19%
Clinical Data: Phase 4
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

AZD4635 (HTL1071)  
Cat. No.: HY-101980

Bioactivity: AZD4635 is a novel adenosine 2A receptor (A2AR) inhibitor with a Ki of 1.7 nM.

Purity: 99.79%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
BAY-545  
Cat. No.: HY-111767

**Bioactivity:** BAY-545 is a potent and selective $A_{2B}$ adenosine receptor antagonist, with an $IC_{50}$ of 59 nM. BAY-545 also exhibits $IC_{50}$s of 66, 400, 280 nM for human, mouse, rat $A_{2B}$ adenosine receptor in cells, respectively, and a $K_i$ of 97 nM for huma...

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

Capadenoson  
(BAY 68-4986)  
Cat. No.: HY-14917

**Bioactivity:** Capadenoson is a selective agonist of adenosine-A1 receptor.

**Purity:** 98.43%

**Clinical Data:** Phase 2

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

---

CD73-IN-1  
Cat. No.: HY-103695

**Bioactivity:** CD73-IN-1 is an inhibitor of CD73 which can be used in the treatment of cancer extracted from patent WO 2017153952 A1, example 80.

**Purity:** 98.78%

**Clinical Data:** No Development Reported

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

---

CGS 21680  
Cat. No.: HY-13201

**Bioactivity:** CGS 21680 is a specific adenosine A2A receptor agonist, used for treatment of neurological disease.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg, 100 mg

---

CGS 21680 Hydrochloride  
Cat. No.: HY-13201A

**Bioactivity:** CGS 21680 Hydrochloride is a selective adenosine A2A receptor agonist with a $K_i$ of 27 nM.

**Purity:** 99.70%

**Clinical Data:** No Development Reported

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

---

CPI-444  
(V81444; ciforadenant)  
Cat. No.: HY-101978

**Bioactivity:** CPI-444 is a potent and selective inhibitor of $A_2A$ receptor ($A_2AR$) induces antitumor responses.

**Purity:** 99.94%

**Clinical Data:** Phase 2

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

---

Diphylline  
(Diprophylline)  
Cat. No.: HY-80128

**Bioactivity:** Diphylline acts as an adenosine receptor antagonist and phosphodiesterase inhibitor, which is used in the treatment of respiratory disorders.

**Purity:** 99.28%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO,
100 mg, 500 mg

---

Doxofylline  
Cat. No.: HY-80004

**Bioactivity:** Doxofylline is an antagonist of adenosine A1 receptor which also inhibits phosphodiesterase IV.

**Purity:** 99.88%

**Clinical Data:** No Development Reported

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

---

GP531  
Cat. No.: HY-U00116

**Bioactivity:** GP531 is a potent, second-generation adenosine regulating agent, is pharmacologically silent under basal conditions but increases localized endogenous adenosine during ischemia.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

GR79236  
Cat. No.: HY-18978

**Bioactivity:** GR79236 is a highly potent and selective adenosine A1 receptor agonist ($K_i = 3.1$ nM) that has analgesic and anti-inflammatory actions in humans and animals. IC50 value: 3.1 nM(Ki) Target: adenosine A1 receptor in vitro: GR79236 is a highly potent and selective A1-receptor agonist that is originally developed for...

**Purity:** 99.98%

**Clinical Data:** No Development Reported

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

---

www.MedChemExpress.com
| **Istradefylline**  
| (KW-6002)       | **Cat. No.: HY-10888**       |
| **Bioactivity:** | Istradefylline is a very potent, selective and orally active adenosine A2A receptor antagonist with $K_i$ of 2.2 nM in experimental models of Parkinson’s disease. |
| **Purity:**      | 99.42%                      |
| **Clinical Data:** | Launched | |
| **Size:**        | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg |

| **KF21213**  
| **Cat. No.: HY-U00180**       |
| **Bioactivity:** | KF21213 is a highly selective ligand for mapping CNS adenosine A2A receptors. KF21213 shows a high affinity for the adenosine A2A receptors ($K_f$=3.0 nM). |
| **Purity:**      | >98%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 1 mg, 5 mg, 10 mg, 20 mg |

| **KFM19**  
| **Cat. No.: HY-U00251**       |
| **Bioactivity:** | KFM19 is a potent, selective Adenosine receptor (A1-receptor) antagonist, with an $IC_{50}$ of 50 nM. |
| **Purity:**      | >98%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 1 mg, 5 mg, 10 mg, 20 mg |

| **LUF6000**  
| **Cat. No.: HY-13236**       |
| **Bioactivity:** | LUF6000 is an allosteric modulator of the human A3 adenosine receptor (AR). |
| **Purity:**      | 99.34%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **LAS101057**  
| **Cat. No.: HY-14390**       |
| **Bioactivity:** | LAS101057 is a potent, selective, and orally efficacious A2B receptor antagonist. |
| **Purity:**      | >98%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 1 mg, 5 mg, 10 mg, 20 mg |

| **LUF6000**  
| **Cat. No.: HY-13236**       |
| **Bioactivity:** | LUF6000 is an allosteric modulator of the human A3 adenosine receptor (AR). |
| **Purity:**      | 99.34%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **MRS 1754**  
| **Cat. No.: HY-14121**       |
| **Bioactivity:** | MRS 1754 is a selective antagonist radioligand for A2B adenosine receptor with very low affinity for A1 and A3 receptors of both humans and rats. |
| **Purity:**      | >98%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 5 mg, 10 mg, 25 mg |

| **MRS1177**  
| **Cat. No.: HY-120090**       |
| **Bioactivity:** | MRS1177 is a potent and selective human Adenosine A3 receptor (hA3AR) antagonist, with a $K_i$ of 0.3 nM. |
| **Purity:**      | >98%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 250 mg, 500 mg |

| **MRS1186**  
| **Cat. No.: HY-118678**       |
| **Bioactivity:** | MRS1186 is a potent and selective human Adenosine A3 receptor (hA3AR) antagonist, with a $K_i$ of 7.66 nM. |
| **Purity:**      | >98%                      |
| **Clinical Data:** | No Development Reported | |
| **Size:**        | 500 mg, 250 mg |

**Bioactivity:** Istradefylline is a very potent, selective and orally active adenosine A2A receptor antagonist with $K_i$ of 2.2 nM in experimental models of Parkinson’s disease.

**Bioactivity:** KF21213 is a highly selective ligand for mapping CNS adenosine A2A receptors. KF21213 shows a high affinity for the adenosine A2A receptors ($K_f$=3.0 nM).

**Bioactivity:** KFM19 is a potent, selective Adenosine receptor (A1-receptor) antagonist, with an $IC_{50}$ of 50 nM.

**Bioactivity:** LUF6000 is an allosteric modulator of the human A3 adenosine receptor (AR).

**Bioactivity:** LAS101057 is a potent, selective, and orally efficacious A2B receptor antagonist.

**Bioactivity:** MethADP is a specific CD73 inhibitor.

**Bioactivity:** MRS 1754 is a selective antagonist radioligand for A2B adenosine receptor with very low affinity for A1 and A3 receptors of both humans and rats.

**Bioactivity:** MRS1177 is a potent and selective human Adenosine A3 receptor (hA3AR) antagonist, with a $K_i$ of 0.3 nM.

**Bioactivity:** MRS1186 is a potent and selective human Adenosine A3 receptor (hA3AR) antagonist, with a $K_i$ of 7.66 nM.
<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>Purity</strong></th>
<th><strong>Clinical Data</strong></th>
<th><strong>Size</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>N-0861 racemate is the racemate of N-0861. N-0861 is a selective adenosine A1 receptor antagonist.</td>
<td>Purity: 99.99%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>N-[(4-Aminophenyl)methyl]adenosine is a adenosine receptor inhibitor, with Ki of 29 nM for Rat ecto-5′-Nucleotidase.</td>
<td>Purity: 98.68%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>N6-(2-Phenylethyl)adenosine is a selective A1 adenosine receptor agonist.</td>
<td>Purity: 98.0%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>N6-Cyclohexyladenosine is a selective A1 receptor agonist (EC50 = 8.2 nM).</td>
<td>Purity: 99.98%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 10 mM x 1 mL in DMSO, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Namodenoson (CF-102; 2-Cl-IB-MECA) is a selective A3 adenosine receptor agonist (Ki = 0.33 nM). Displays 2500- and 1400-fold selectivity over A1 and A2A receptors respectively.</td>
<td>Purity: 99.51%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Piclidenoson (IB-MECA; CF-101) is an agonist of the adenosine A3 receptor with EC50 values of 0.11 μM. IC50 value: 0.11 μM (EC50) [3] Target: adenosine A3 receptor in vitro: Piclidenoson has been shown to play important roles in cell proliferation and apoptosis in a variety of cancer cell lines...</td>
<td>Purity: 98.97%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>Preladenant is a potent and competitive antagonist of the human adenosine A2A receptor with a Ki of 1.1 nM and has over 1000-fold selectivity over other adenosine receptors.</td>
<td>Purity: 99.08%</td>
<td>Clinical Data: Phase 3</td>
<td>Size: 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Proxyphylline is a methylxanthine derivative clinical used as cardiac stimulant, vasodilator and bronchodilator.</td>
<td>Purity: 99.46%</td>
<td>Clinical Data: Launched</td>
<td>Size: 10 mM x 1 mL in DMSO, 100 mg</td>
</tr>
<tr>
<td>PSB-12379 is a potent Ecto-5′-Nucleotidase (CD73) inhibitor with Ki of 9.03 nM (rat) and 2.21 nM (human).</td>
<td>Purity: 99.99%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 10 mM x 1 mL in Water, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>Compound</td>
<td>Cat. No.</td>
<td>Bioactivity</td>
<td>Purity</td>
</tr>
<tr>
<td>--------------------------</td>
<td>------------</td>
<td>------------------------------------------------------------------------------</td>
<td>--------------</td>
</tr>
<tr>
<td>Regadenoson (CVT-3146)</td>
<td>HY-A0168</td>
<td>Regadenoson is an A2A adenosine receptor agonist that is a coronary vasodilator that is commonly used in pharmacologic stress testing.</td>
<td>99.99%</td>
</tr>
<tr>
<td>SCH 58261</td>
<td>HY-19533</td>
<td>SCH 58261 is the adenosine A2A receptor competitive antagonist. Displays 323-, 53- and 100-fold selectivity over A1, A2B and A3 receptors, respectively.</td>
<td>99.38%</td>
</tr>
<tr>
<td>Sch412348</td>
<td>HY-U00189</td>
<td>Sch412348 is a potent competitive antagonist of the human adenosine A2A receptor (K_i=0.6 nM) and has &gt;1000-fold selectivity over all other adenosine receptors.</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>ST3932</td>
<td>HY-112840</td>
<td>ST3932 is a metabolite of ST1535, acts as an antagonist of adenosine A2A receptor, with K_i of 8 nM and 33 nM for A2A and A1 receptors, respectively.</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>ST4206</td>
<td>HY-U00341</td>
<td>ST4206 is a potent adenosine A2A antagonist, with K_i of 12 nM and 197 nM for adenosine A2A receptor and adenosine A1 receptor, respectively.</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Taminadenant</td>
<td>HY-109139</td>
<td>Taminadenant is an antagonist of adenosine receptor.</td>
<td></td>
</tr>
<tr>
<td>Tecadenoson (CVT-510)</td>
<td>HY-19661</td>
<td>Tecadenoson (CVT-510) is a selective A1 adenosine receptor agonist.</td>
<td>99.64%</td>
</tr>
<tr>
<td>Theophylline (1,3-Dimethylxanthine; Theo-24)</td>
<td>HY-80809</td>
<td>Theophylline is a nonselective phosphodiesterase (PDE) inhibitor, adenosine receptor blocker, and histone deacetylase (HDAC) activator.</td>
<td>99.94%</td>
</tr>
<tr>
<td>Ticlopidine hydrochloride</td>
<td>HY-80153A</td>
<td>Ticlopidine hydrochloride is an adenosine diphosphate (ADP) receptor inhibitor against platelet aggregation with IC50 of ~2 μM. Target: Adenosine diphosphate (ADP) Ticlopidine (trade name Ticlid) is an antiplatelet drug in the thienopyridine family. Ticlopidine hydrochloride inhibits platelet...</td>
<td>99.99%</td>
</tr>
</tbody>
</table>
**Tozadenant**  
(SON115)  
*Cat. No.: HY-10995*

**Bioactivity:** Tozadenant is an adenosine $A_{2A}$ receptor antagonist, with $K_i$ of 11.5 nM on human $A_{2A}$ and 6 nM on rhesus $A_{2A}$.

**Purity:** 98.06%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**UP202-56**  
*Cat. No.: HY-U00226*

**Bioactivity:** UP202-56 is an adenosine analogue, which is an adenosinergic agonist.

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**Vipadenant**  
(BIIB-014; CEB-4520)  
*Cat. No.: HY-10857*

**Bioactivity:** Vipadenant (BIIB-014; CEB-4520) is an adenosine receptor antagonist, with $K_i$ of 1.3 nM and 68 nM for $A_{2A}$ and $A_1$ respectively.

**Purity:** 98.02%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**ZM241385**  
*Cat. No.: HY-19532*

**Bioactivity:** ZM 241385 is a selective and high affinity $A_{2A}$ adenosine receptor antagonist.

**Purity:** 98.55%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg