Adenosine Receptor

P1 receptor

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, Agonists, Antagonists & Modulators

**5'-N-Ethylcarboxamidoadenosine (NECA)**  
Cat. No.: HY-103173  
- **Purity:** 99.86%  
- **Clinical Data:** No Development Reported  
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg  

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

**A2A receptor antagonist 1 (CPI-444 analog)**  
Cat. No.: HY-102024  
- **Purity:** 98.25%  
- **Clinical Data:** No Development Reported  
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg  

A2A receptor antagonist 1 (CPI-444 analog) is an antagonist of both adenosine A2A and A1 receptor with Ki's of 4 and 264 nM, respectively.

**A2AR-agonist-1**  
Cat. No.: HY-18776  
- **Purity:** 99.96%  
- **Clinical Data:** No Development Reported  
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg  

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

**AB-MECA**  
Cat. No.: HY-19365  
- **Purity:** 99.10%  
- **Clinical Data:** No Development Reported  
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg  

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

**Aceffylline**  
Cat. No.: HY-B1505  
- **Purity:** 99.87%  
- **Clinical Data:** No Development Reported  
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg  

Aceffylline is an adenosine receptor antagonist.

**Adenosine 5'-monophosphate monohydrate (5'-AMP monohydrate)**  
Cat. No.: HY-A0181A  
- **Purity:** 99.07%  
- **Clinical Data:** Phase 4  
- **Size:** 10 mM × 1 mL, 1 g  

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

**AZD4635**  
Cat. No.: HY-101980  
- **Purity:** 99.79%  
- **Clinical Data:** No Development Reported  
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg  

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

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<table>
<thead>
<tr>
<th><strong>BAY-545</strong></th>
<th><strong>Capadenoson</strong> (BAY 68-4986)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-11767</td>
<td>Cat. No.: HY-14917</td>
</tr>
<tr>
<td>BAY-545 is a potent and selective $A_2B$ adenosine receptor antagonist, with an $IC_{50}$ of 59 nM.</td>
<td>Capadenoson is a selective agonist of adenosine-A1 receptor.</td>
</tr>
<tr>
<td>Purity: 97.06%</td>
<td>Purity: 98.43%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: Phase 2</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
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<table>
<thead>
<tr>
<th><strong>CGS 15943</strong></th>
<th><strong>CGS 21680</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-100678</td>
<td>Cat. No.: HY-13201</td>
</tr>
<tr>
<td>CGS 15943 is an adenosine A2 receptor antagonist and reduces stroke injury in the Mongolian gerbil. CGS 15943 is a selectively p110γ inhibitor with an $IC_{50}$ of 1.1 μM, shows inhibitory effect on p110β ($IC_{50}$=6.47 μM), has an anti-carcinogenic effect on HCC and PDAC cells.</td>
<td>CGS 21680 is a selective adenosine A2A receptor agonist, with a $K_i$ of 27 nM.</td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td>Purity: &gt;98%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td>Size: 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>CGS 21680 Hydrochloride</strong></th>
<th><strong>CPI-444</strong> (V81444; ciforadenant)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-13201A</td>
<td>Cat. No.: HY-101978</td>
</tr>
<tr>
<td>CGS 21680 Hydrochloride is a selective adenosine A2A receptor agonist with a $K_i$ of 27 nM.</td>
<td>CPI-444 is a potent and selective inhibitor of A2A receptor (A2AR) induces antitumor responses.</td>
</tr>
<tr>
<td>Purity: 99.70%</td>
<td>Purity: 99.94%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: Phase 2</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Derenofylline</strong> (SLV 320)</th>
<th><strong>Diphylline</strong> (Diprophylline)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-14858</td>
<td>Cat. No.: HY-80128</td>
</tr>
<tr>
<td>Derenofylline (SLV 320) is a potent, selective and orally active adenosine $A_1$ receptor antagonist, with $K_i$ values of 1 nM, 200 nM and 398 nM for human $A_1$, $A_2$ and $A_2$ receptors respectively.</td>
<td>Diphylline acts as an adenosine receptor antagonist and phosphodiesterase inhibitor, which is used in the treatment of respiratory disorders.</td>
</tr>
<tr>
<td>Purity: &gt;99.0%</td>
<td>Purity: 99.28%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: Launched</td>
</tr>
<tr>
<td>Size: 5 mg, 10 mg</td>
<td>Size: 10 mM × 1 mL, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Doxofylline</strong></th>
<th><strong>GP531</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-80004</td>
<td>Cat. No.: HY-U00116</td>
</tr>
<tr>
<td>Doxofylline is an antagonist of adenosine A1 receptor which also inhibits phosphodiesterase IV.</td>
<td>GP531 is a potent, second-generation adenosine regulating agent, is pharmacologically silent under basal conditions but increases localized endogenous adenosine during ischemia.</td>
</tr>
<tr>
<td>Purity: 99.88%</td>
<td>Purity: &gt;98%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 100 mg</td>
<td>Size: 1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>
GR79236
Cat. No.: HY-18978
GR79236 is a highly potent and selective adenosine A1 receptor agonist (Ki = 3.1 nM) that has analgesic and anti-inflammatory actions in humans and animals.

- **Purity:** 99.98%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Istradefylline
(KW-6002)
Cat. No.: HY-10888
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:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

KF21213
Cat. No.: HY-U00180
KF21213 is a highly selective ligand for mapping CNS adenosine A2A receptors. KF21213 shows a high affinity for the adenosine A2A receptors (K_i = 3.0 nM).

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

LAS101057
Cat. No.: HY-14390
LAS101057 is a potent, selective, and orally efficacious A2B receptor antagonist.

- **Purity:** 99.78%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 20 mg

KFM19
Cat. No.: HY-U00251
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
LUF6000 is an allosteric modulator of the human A3 adenosine receptor (AR).

- **Purity:** 99.34%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

MRE3008F20
Cat. No.: HY-103178
MRE3008F20 is a highly potent and selective antagonist of adenosine A3 receptor (AA3R), inhibits agonist-induced cAMP elevation in resting T lymphocytes with an IC_50 of 5 nM.

- **Purity:** >99.0%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg

MRS 1754
Cat. No.: HY-14121
MRS 1754 is a selective antagonist radioligand for A2A adenosine receptor with very low affinity for A1, and A3 receptors of both humans and rats.

- **Purity:** 98.31%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

MRS1177
Cat. No.: HY-120090
MRS1177 is a potent and selective human Adenosine A3 receptor antagonist, with a K_i of 0.3 nM.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 250 mg, 500 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>MRS1186</td>
<td>HY-118678</td>
<td>A potent and selective human Adenosine A3 receptor (hA3AR) antagonist, with a <em>K</em>&lt;sub&gt;i&lt;/sub&gt; of 7.66 nM.</td>
</tr>
<tr>
<td>N-0861 racemate</td>
<td>HY-U00143</td>
<td>The racemate of N-0861. N-0861 is a selective adenosine A1 receptor antagonist.</td>
</tr>
<tr>
<td>N-[(4-Aminophenyl)methyl]adenosine</td>
<td>HY-100130</td>
<td>N-[(4-Aminophenyl)methyl]adenosine is a selective adenosine receptor inhibitor, with <em>K</em>&lt;sub&gt;i&lt;/sub&gt; of 29 nM for Rat ecto-5′-Nucleotidase.</td>
</tr>
<tr>
<td>N6-(2-Phenylethyl)adenosine</td>
<td>HY-101854</td>
<td>N6-(2-Phenylethyl)adenosine is a selective A1 adenosine receptor agonist.</td>
</tr>
<tr>
<td>N6-Cyclohexyladenosine (CHA)</td>
<td>HY-18939</td>
<td>N6-Cyclohexyladenosine is a selective A1 receptor agonist (EC50 = 8.2 nM).</td>
</tr>
<tr>
<td>N6-Cyclopentyladenosine (CPA; UK-80882)</td>
<td>HY-103181</td>
<td>N6-Cyclopentyladenosine (CPA) is a selective agonist, with <em>K</em>&lt;sub&gt;i&lt;/sub&gt; values of 2.3 nM, 790 nM and 43 nM for human A&lt;sub&gt;1&lt;/sub&gt;, A&lt;sub&gt;2a&lt;/sub&gt; and A&lt;sub&gt;2a&lt;/sub&gt; receptors, respectively.</td>
</tr>
<tr>
<td>N6-Ethyladenosine</td>
<td>HY-11809</td>
<td>N6-Ethyladenosine is an adenosine derivative, acts as a Adenosine receptor agonist, with <em>K</em>&lt;sub&gt;i&lt;/sub&gt; s of 4.9 and 4.7 nM for hA&lt;sub&gt;1&lt;/sub&gt;AR and hA&lt;sub&gt;3&lt;/sub&gt;AR, respectively.</td>
</tr>
<tr>
<td>Namodenoson (CF-102; 2-Cl-IB-MECA)</td>
<td>HY-12365</td>
<td>Namodenoson (CF-102) is a selective A3 adenosine receptor agonist (Ki = 0.33 nM). Displays 2500- and 1400-fold selectivity over A1 and A2A receptors respectively.</td>
</tr>
<tr>
<td>Norisoboldine ((+)-Laurelliptine)</td>
<td>HY-N0586</td>
<td>Norisoboldine is an isoquinoline alkaloid which acts as an AHR agonist, and enhances the function of the adenosine A1 receptor.</td>
</tr>
<tr>
<td>PD 117519 (CI947)</td>
<td>HY-100032</td>
<td>PD 117519 (CI947) is an A&lt;sub&gt;2a&lt;/sub&gt; adenosine agonist which has shown oral antihypertensive activity in pharmacological animal models.</td>
</tr>
</tbody>
</table>
Piclidenson (IB-MECA, CF-101)

Cat. No.: HY-13591

Piclidenson (IB-MECA) is an agonist of the adenosine A3 receptor with EC50 values of 0.11 μM. IC50 value: 0.6 nM (EC50) Target: adenosine A3 receptor in vitro: Piclidenson has been shown to play important roles in cell proliferation and apoptosis in a variety of cancer cell lines.

Purity: 99.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Proxyphylline

Cat. No.: HY-B1742

Proxyphylline is a methylxanthine derivative clinical used as cardiac stimulant, vasodilator and bronchodilator.

Purity: 99.46%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg

Rolofylline (KW-3902)

Cat. No.: HY-10965

Rolofylline (KW-3902) is a potent, selective adenosine A1 receptor antagonist that is under development for the treatment of patients with acute congestive heart failure and renal impairment.

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

Sch412348

Cat. No.: HY-U00189

Sch412348 is a potent competitive antagonist of the human adenosine A2A receptor, with IC50 of 15 nM, and displays 323-, 53- and 100-fold more selective for A2A receptor than A1, A2B, and A3 receptors, respectively.

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

ST4206

Cat. No.: HY-U00341

ST4206 is a potent adenosine A2A antagonist, with Ks of 12 nM and 197 nM for adenosine A2A receptor and adenosine A1 receptor, respectively.

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

Preladenant (SCH-420814)

Cat. No.: HY-10889

Preladenant is a potent and competitive antagonist of the human adenosine A2A receptor with a K of 1.1 nM and has over 1000-fold selectivity over other adenosine receptors.

Purity: 99.08%
Clinical Data: Phase 3
Size: 5 mg, 10 mg, 50 mg, 100 mg

Regadenoson (CVT-3146)

Cat. No.: HY-A0168

Regadenoson is an A2A adenosine receptor agonist that is a coronary vasodilator that is commonly used in pharmacologic stress testing.

Purity: 99.59%
Clinical Data: Launched
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

SCH 58261

Cat. No.: HY-19533

SCH 58261 is a potent, selective and competitive antagonist of adenosine A2A receptor with an IC50 of 15 nM, and displays 323-, 53- and 100-fold more selective for A2A receptor than A1, A2B, and A3 receptors, respectively.

Purity: 99.38%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

ST3932

Cat. No.: HY-112840

ST3932 is a metabolite of ST1535, acts as an antagonist of adenosine A2A receptor, with Ks of 8 nM and 33 nM for A2A and A1 receptors, respectively.

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

Swertisin

Cat. No.: HY-N2189

Swertisin, a C-glucosylflavone isolated from Swertia japonica, is known to have antidiabetic, anti-inflammatory and antioxidant effects. Swertisin is an adenosine A1 receptor antagonist.

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

Cat. No.: HY-109139

Taminadenant is an antagonist of adenosine receptor.

Purity: 99.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Tecadenoson (CVT-510)

Cat. No.: HY-19661

Tecadenoson (CVT-510) is a selective A1 adenosine receptor agonist.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Theobromine (3,7-Dimethylxanthine)

Cat. No.: HY-N0138

Theobromine is a methylxanthine found in cacao beans which can inhibit adenosine receptor A1 (AR1) signaling.

Purity: 99.65%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 100 mg

Theophylline (1,3-Dimethylxanthine; Theo-24)

Cat. No.: HY-B0809

Theophylline is a nonselective phosphodiesterase (PDE) inhibitor, adenosine receptor blocker, and histone deacetylase (HDAC) activator.

Purity: 99.94%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 g

Ticlopidine hydrochloride

Cat. No.: HY-B0153A

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.

Purity: 99.99%
Clinical Data: Launched
Size: 10 mM × 1 mL, 1 g, 5 g

Tozadenant (SYN115)

Cat. No.: HY-10995

Tozadenant is an adenosine A2A receptor antagonist, with Ki of 11.5 nM on human A2A and 6 nM on rhesus A2A.

Purity: 98.06%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

UP202-56

Cat. No.: HY-U00226

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

Vipadenant (BIIB-014; CEB-4520) is an adenosine receptor antagonist, with Ks of 1.3 nM and 68 nM for A2A and A1, respectively.

Purity: 98.02%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

ZM241385

Cat. No.: HY-19532

ZM241385 is a potent, selective adenosine A2A receptor (A2AR) antagonist with a Ki value of 1.4 nM. ZM241385 has high affinity for A2A receptor.

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

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