Pharmacology 659

Adrenergic Agonists and Antagonists

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β-Adrenergic Agonists

Isoproterenol
Dobutamine

Act at both $\beta_1$ and $\beta_2$-receptors
Selective $\beta_2$-Adrenergic Receptor Agonists

Metaproterenol, Terbutaline, Albuterol

Pulmonary effects
- Relaxation of bronchial smooth muscle
- Suppression of mast cell leukotriene and histamine release
- Enhanced mucociliary function
- Decreased microvascular permeability
- Inhibition of phospholipase $A_2$. 
Selective $\beta_2$-Adrenergic Receptor Agonists

Side effects

Skeletal muscle tremor
Feelings of restlessness, apprehension and anxiety
Tachycardia
Arrhythmias
Myocardial ischemia
Tolerance due to $\beta$-receptor downregulation
Indirectly-acting Adrenergic Agonists

Amphetamine, Methylphenidate

These drugs have prominent psychomotor stimulant effects in the CNS. Most other actions are produced by the norepinephrine that they release from adrenergic (sympathetic) nerve terminals.
## Therapeutic Uses of Adrenergic Agonists

<table>
<thead>
<tr>
<th>Condition</th>
<th>Effect</th>
<th>Effect</th>
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</thead>
<tbody>
<tr>
<td>Shock</td>
<td>Hypotension</td>
<td>Hypertension</td>
</tr>
<tr>
<td>Cardiac Arrhythmias</td>
<td>Congestive Heart</td>
<td>Hemostasis</td>
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<tr>
<td></td>
<td>Failure</td>
<td></td>
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<tr>
<td>Nasal Decongestant</td>
<td>Asthma</td>
<td>Allergic reactions</td>
</tr>
<tr>
<td>Mydriasis</td>
<td>Narcolepsy</td>
<td>Weight reduction</td>
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<tr>
<td>Attention Deficit Disorder</td>
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α-Adrenergic Antagonists
Nonselective $\alpha$-Adrenergic Receptor Antagonists

- **Irreversible**
  - Phenoxybenzamine
  - Dibenamine

- **Competitive**
  - Phentolamine
  - Tolazoline
  - Ergot alkaloids
α-Adrenergic Receptor Antagonists

- Vasodilation
- Hypotension
- Reflex tachycardia
- Contraction of the trigone and sphincter muscles of the bladder
- Facilitation of insulin release from the pancreas
\( \alpha_1 \)-Selective Antagonists

Prazosin

\( \alpha_2 \)-Selective Antagonists

Yohimbine

Idazoxan
Therapeutic Uses of $\alpha$-Adrenergic Antagonists

Pheochromocytoma
Benign prostatic obstruction
Autonomic hyperreflexia
Hypertension following clonidine withdrawal
Tyramine-induced hypertension
Vasospasm induced by catecholamines
Raynaud’s disease
β-Adrenergic Antagonists
Nonselective β-Adrenergic Antagonists

Propranolol
Nadolol
Timolol
Labetalol
Pindolol
Selective $\beta_1$-Adrenergic Antagonists

Atenolol
Acebutolol
Esmolol
Metoprolol
Therapeutic Uses of $\beta$-Adrenergic Antagonists

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<tr>
<td>Hypertension</td>
<td>Myocardial infarction</td>
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<tr>
<td>Arrhythmias</td>
<td>Acute dissecting aortic aneurysm</td>
</tr>
<tr>
<td>Angina</td>
<td>Hypertrophic obstructive cardiomyopathy</td>
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<tr>
<td>Migraine</td>
<td>Alcohol withdrawal</td>
</tr>
<tr>
<td>Acute panic</td>
<td>Hyperthyroidism</td>
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<tr>
<td>Open-angle glaucoma</td>
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Contraindications for use of β-Adrenergic Antagonists

Asthma

Diabetes

Sedation

Congestive Heart Failure

Heart Block

Raynaud’s Syndrome