

Pharmacology team

# Adrenergic agonist



# Adrenergic Drugs

Adrenergic Depressants

Adrenergic stimulants  
(Sympathomimetic)

Adrenoceptor Blockers  
(Adrenolytics)

Adrenergic Neuron  
Blockers  
(Sympatholytics)

Alpha

Beta

Alpha and  
Beta

- According to chemistry
- According to spectrum of action
- According to mode of action

## First According To Chemistry:

	Catecholamines	Non-catecholamines
Examples	<p><b>Natural:</b> Norepinephrine, Epinephrine, and Dopamine.</p> <p><b>Synthetic:</b> Isoprenaline</p>	Ephedrine
Features	<p><b>Rapidly acting, Degraded by MAO &amp; COMT<sup>1</sup>, Sparse CNS effects, Parenteral administration</b></p>	<p><b>Delayed action, Resist degradation by MAO<sup>1</sup>, Prominent CNS effects, Orally administered</b></p>

<sup>1</sup> MAO = Monoaminoxidase, COMT= Catechol-O-methyltransferase

## Second According To Spectrum Of Action:

Non-Selective	Selective
Norepinephrine, epinephrine, dopamine, isoprenaline, ephedrine	$\alpha_1$ ; Phenylephrine $\alpha_2$ ; Clonidine $\beta_1$ ; Dobutamine $\beta_2$ ; Salbutamol

## Third According to mode of action:

Direct	Indirect	Dual
Stimulate adrenergic receptors directly.	Release of NE from presynaptic stores at adrenergic nerve terminals	Direct and indirect stimulation of adrenergic receptors
Adrenaline, noradrenaline, dopamine, isoprenaline, ...ect	Amphetamine (inhibit NE uptake which increase its availability in synapse.) Cocaine & antidepressants	Ephedrine, pseudoephedrine

## Direct Acting Sympathomimetics(Non-selective):

Adrenaline	
Selectivity	Non-selective, Acts on all ADR; $\beta \Rightarrow \alpha$
Action	All physiological actions of the SNS (given parental & inhalation)
Indication	<b>1- locally:</b> <ul style="list-style-type: none"> <li>Haemostatic: (in epistaxis)&amp; as decongestant <math>\alpha_1</math></li> <li>Local anesthetics: to <math>\downarrow</math>its absorption &amp; toxicity + <math>\downarrow</math> bleeding from incision</li> </ul> <b>2- Systemically:</b> <ol style="list-style-type: none"> <li>Allergic reaction</li> <li>Status asthmatics</li> <li>Cardiac arrest</li> </ol>
Side effects	<ul style="list-style-type: none"> <li>Tachycardia, palpitation, arrhythmias, angina pains</li> <li>Headache, weakness, tremors anxiety and restlessness.</li> <li>Hypertension <math>\rightarrow</math> cerebral hemorrhage and pulmonary edema.</li> <li>Coldness of extremities <math>\rightarrow</math> tissue necrosis and gangrene if extravasation</li> <li>Nasal stuffiness; rebound congestion if used as decongestion</li> </ul>
Contraindications	<ul style="list-style-type: none"> <li>CHD<sup>1</sup>, hypertension, peripheral arterial disease.</li> <li>Hyperthyroidism.</li> <li>Closed-angle glaucoma <math>\rightarrow</math> may <math>\uparrow</math> IOP<sup>1</sup></li> </ul>

<sup>1</sup> CHD= Congestive heart failure, IOP= Intraocular pressure

Norepinephrine	
Selectivity	Non-selective, Acts on $\alpha > \beta_1$ (given IV only)
Action	Reflex Bradycardia
Indication	Systemically; hypotensive states Topically; as a local haemostatic
Isoprenaline	
Selectivity	Non-selective, Acts on $\beta > \alpha$
Indication	<ul style="list-style-type: none"> <li>Used by inhalation in acute asthma</li> <li>Cardiac arrest</li> </ul>
Contraindication	Hyperthyroidism & Congestive heart failure
Dopamine	
Selectivity	Non-selective, Acts on $D_1 > \beta_1 > \alpha_1$
Action	Heart Inotropic, no chronotropic effect BP: According to dose; First $\downarrow$ BP $D_1$ , then $\uparrow$ BP due to $\beta_1$ , followed by $\alpha_1$ effect
Indication	<b>Treatment of shock</b> (without causing renal impairment) & Acute heart Failure (Dobutamine is better)
Dobutamine	
Selectivity	Non-selective, Acts on $\beta_1 > \beta_2 > \alpha_1$ (very selective to cardiac shock $\beta_1$ ) <b>Given IV</b>
Action	Heart: Inotropic & little chronotropic effect BP: No or little decrease in therapeutic dose ( $\beta_1$ & $\beta_2$ counterbalance + no $\alpha_1$ )
Indication	<b>Short term management of cardiac decompensation</b> it doesn't increase oxygen demand

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## Direct Acting Sympathomimetics(Selective):

Phenylpherine		
Selectivity	Selective on $\alpha_1$	
Action	Heart: reflex bradycardia BP: increase due to vasoconstriction $\alpha_1$	
Indications	<b>Systemically:</b> Pressor agent to terminate atrial tachycardia (reflex bradycardia) Nasal decongestant. Oral <b>Topically:</b> Local haemostatic, with local anesthesia, Decongestant, Mydriatic.	
Midodrine		
Selectivity	Selective on $\alpha_1$	
Indications	Hypotension, peaks in 20 min $t_{1/2}$ 30 min	
Nasal & Ocular Decongestants $\alpha_1$		
Pseudoephedrine: <b>Used in flu remedies</b>	<b>Phenylethylamines</b> <ul style="list-style-type: none"> <li>Phenylephrine</li> <li>Methoxamine</li> </ul>	<b>Imidazoline</b> <ul style="list-style-type: none"> <li>Naphazoline</li> <li>Oxymetazoline HCl (Afrin)</li> <li><b>Xylometazoline HCl (Otrivine)</b></li> </ul> <p>Otrivine can cause Rebound nasal stuffiness</p>
Clonidine		
Selectivity	<b>Acts selectively on presynaptic <math>\alpha_2</math></b> , Imidazoline Receptors	
Action	Decrease BP by acting on $\alpha_2$ which inhibit nor-epinephrine release	
Indications	<b>Antihypertensive agent</b>	
Brimonidine		
Selectivity	Acts selectively on presynaptic $\alpha_2$	
Action	Act on $\alpha_2$	
Indications	Glucoma	
Salbutamol		
Selectivity	<b>Acts selectively on <math>\beta_2</math></b>	
Indications	<b>Bronchodilator</b> → asthma & chronic obstructive airway disease (COPD)	
Drugs that last longer	Salmeterol & Formoterol	
Other selective $\beta_2$ agonists		
Ritodrine: <b>Tocolytic</b>	Terbutaline: <b>Bronchodilator &amp; Tocolytic</b>	

## Indirect Acting Sympathomimetics:

AMPHETAMINE	
Selectivity	Acts on $\alpha$ & $\beta$
Action	See page 2, <b>Tachyphylaxis</b> Absorbed orally, not destroyed by MAO, excreted mostly unchanged ( <b>↑ by acidification of urine</b> )
Effects	Similar to epinephrine but has <b>CNS stimulant effects</b> <ul style="list-style-type: none"> <li>• Increase mental alertness</li> <li>• Increase <b>euphoria</b> causes its <b>abuse</b></li> <li>• Decrease weight by <b>reducing appetite</b></li> </ul>
Indications	No more used therapeutically → <b>induces psychic &amp; physical dependence</b> and psychosis + the CVS side effects

## Dual Acting Sympathomimetics:

Ephedrine	
Selectivity	Acts on $\alpha$ & $\beta$
Action	See page 2, <b>Tachyphylaxis</b> due to <b>receptor down regulation</b> and <b>depletes stores</b> Absorbed orally, not destroyed by MAO or COMT → prolonged action
Effects	<ul style="list-style-type: none"> <li>• Facilitation of neuromuscular transmission</li> <li>• Retention of urine</li> <li>• <b>CNS stimulant effects</b>(less than amphetamine)</li> </ul>
Indications	No more therapeutically used → but is abused by athletes and prohibited during games.
Pseudoephedrine	
Indications	<ul style="list-style-type: none"> <li>• Nasal &amp; ocular decongestant</li> <li>• In flue remedies</li> </ul> <b>Used Orally</b>