#### School of Medical and allied Science

Course Code: BPHT4004 Course Name: PHARMACOLOGY-I

# Adrenergic drug

**Program Name: B.Pharmacy** 

# Adrenergic nervous system

Adrenergic nervous system is a group of organs and nerves in which adrenaline and/or noradrenaline are released as neurotransmitters.

Adrenergic nerve release neurotransmitters: noradrenaline, adrenaline, dopamine and produce their effect.

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## Adrenergic

## drugs

Drugs that produce similar effects to those produced by sympathetic nervous system thus they are also called sympathomimetic drugs. It may refer to something which is susceptible to epinephrine or similar to substances, such as biological receptor especially adrenergic receptor.

A great number of drugs available which can affect adrenergic receptors e.g. dopamine, noradrenaline, adrenaline, isoprenaline etc.

# Adrenergic receptors

Adrenergic receptors are broadly classified into three groups

- i. Alpha receptor: there are two subclasses of alpha receptor –
- $\alpha$ -1 receptor
- $\alpha$ -2 receptor
- ii. Beta receptor: β-receptors are subdivided into –
- β-1 receptor
- β-2 receptor
- β-3 receptor
- iii. Dopamine receptor: Dopamine receptors are of two types -
- D-1 receptor
- D-2 receptor

# Classification of adrenergic drugs

- A. According to mode of action:
- isoprehal Rirectly acting adrenaline, noradrenaline, dopamine,
- ii. Indirectly acting amphetamine, methamphetamine,
- iii. By both mechanism ephedrine, metaraminol.
- B. According to receptor selectivity:
- i. α1 agonist methoxamine, phenylepeneprine.
- ii.  $\alpha$ 2 agonist clonidine,  $\alpha$ -methyl noradrenaline.
- iii. Both  $\alpha 1-\alpha 2$  agonist adrenaline, noradrenaline.

- iv. β1 agonist prenalterol, dobutamine.
- v. β2 agonist salbutamol, terbutaline.
- vi. Both  $\beta1-\beta2$  agonist adrenaline, isoproterenol.
- vii. Both  $\alpha$   $\beta$  agonist adrenaline, ephedrine.

### C. According to chemical nature:

i.Catecholamines – adrenaline, noradrenaline, dopamine, isoprenaline.

ii.Noncatecholamines – ephedrine, amphetamine, metaraminol.

- D. According to therapeuticeffect:
- i. Vasoconstrictor adrenaline, noradrenaline, ephedrine, metaraminol.
- ii. Vasodilator dopamine, isoprenaline.
- iii. Bronchodilator salbutamol, terbutaline.
- iv. CNS stimulant amphetamine, methamphetamine.
- v. Cardiac stimulant adrenaline, isoprenaline, prenalterol.
- vi. Nasal decongestant ephedrine, oxymethazoline.
- vii. Uterine relaxants Nylidrine, salbutamol.

# Pharmacological action of Adrenergic drugs:

-Increase heart rate, increase force of contraction of heart, increase tissue perfusion.

- α agonist causes vasoconstriction
- β agonist causes vasodilation
- β2 agonist causes bronchial dilation
- -Inhibit noradrenaline release. This is called auto inhibitory feedback mechanism noradrenaline release.

# Indication of Adrenergic drugs: - Heart block.

- Treatment of asthma e.g. salbutamol.
- Hypertension, cardiogenic shock.
- -Used for prolongation of local anesthetic action by vasoconstriction e.g. adrenaline.
- To control local bleeding e.g. adrenaline.
- As nasal decongestant e.g. oxymethaoline.
- Inhibition of uterine contraction e.g. nylidrine.

### Adrenalin

#### e:

Epinephrine or adrenaline is a sympathomimetic catecholamine. The chemical name of epinephrine is 3, 4-Dihydroxy phenyl- $\alpha$ -2methylamino ethanol.

#### Mechanism of action:

the action of adrenaline is receptor mediated. It produces both excitatory and inhibitory effects. Excitatory effects due to stimulation of  $\alpha$  receptor except the intestine where it is inhibitory. Inhibitory effect due to stimulation of  $\beta$  receptor except the heart where it is excitatory.

#### Pharmacological action:

- On heart increase force of contraction, heart rate, conductivity, automaticity.
- On blood pressure increase systemic blood pressure, decrease diastolic bold pressure, decrease total peripheral resistant, increase CO.

	On blood vessel – dilation of coronary and muscular bold ssels, contraction of visceral and cutaneous blood
ves	ssels.
ne <sub>s</sub>	On respiratory system – bronchodilation, stimulation of spiration.
□ pre	On eye – mydri <mark>asis, exo</mark> phthalamus, reduce intra-ocular essure.
	On CNS – it doesn't cross BBB effectively.
□ ac;	On metabolism – increase blood sugar level, increase lactic id, promote release of fatty acid from adipose tissue.

#### **Indication**:

- i. Treatment of allergic reactions
- ii. Tachycardia
- iii. Hypotension
- iv. Convulsion
- v. Addition with local anesthesia.

#### **Contraindication**:

- o Pulmonary edema
- Metabolic acidosis
- Hypertension

- Ischemic heart disease
- Cardiac arrhythmia
- o Hyperthyroidism.

#### Adverse effect:

- i. Agitation
- ii. Throbbing headache, tremor
- iii. Weakness, dizziness, pallor
- iv. Respiratory insufficiency
- v. Cerebral hemorrhage

### Noradrenalin

#### e:

It is an important neurotransmitter both in peripheral and central nervous system. The chemical name of norepinephrine or noradrenaline is 3, 4-Dihydroxy phenyl- $\alpha$ -amino ethanol. It is the precursor of adrenaline.

#### Mechanism of action:

Noradrenaline acts by binding with  $\alpha 1$ ,  $\alpha 2$  and  $\beta 1$  adrenoceptors. At almost has no activity on  $\beta 2$  receptors.

#### Pharmacological action:

- ☐ Systolic pressure increased
- Diastolic pressure increased
- Mean pressure increased
- п T.P.R. increased
- ☐ Heart rate decreased or unchanged

- ☐ Cardiac output unchanged or increased
- ☐ Coronary blood flow increased
- ☐ On CNS little stimulation

#### **Indication**:

- i. Shock
- ii. Topical hemostasis
- iii. Nasal decongestant
- iv. Addition with local anesthetic.

#### Adverse effect:

- i. Loss of appetite.
- ii. Anxiety
- iii. Irregular heartbeats
- iv. Shortness of breath
- v. vi. Headache.

#### **Contraindication:**

- i. Hyperthyroidism
- ii. Pregnancy
- iii. coronary thrombosis.

### Clonidin

#### e:

Clonidine is a  $\alpha 2$  adrenoceptor agonist, lowers blood pressure by decreasing the levels of certain chemicals in your blood. This allows your blood vessels to relax and your heart to beat more slowly and easily.

Mechanism of action: Clonidine treats high blood pressure by stimulating  $\alpha$ 2-receptors in the brain, which decreases peripheral vascular resistance, lowering blood pressure. It has specificity towards the presynaptic  $\alpha$ 2-receptors in the vasomotor center in the brainstem. This binding decreases presynaptic calcium levels, thus inhibiting the release of norepinephrine. The net effect is a decrease in sympathetic tone. It has also been proposed that the antihypertensive effect of clonidine is due to agonism on the I1receptor (imidazoline receptor), which mediates the sympathoinhibitory actions of imidazolines to lower blood pressure.

#### Pharmacological action:

- CNS supress sympathetic outflow thus decrease blood pressure.
- Periphery supress noradrenaline release thus decrease blood pressure.
- □ CVS Decrease HR and CO
- Blood vessel reduction of capacitance vessels. Reduce in peripheral resistance, decrease blood pressure.

#### **Indication**:

- i. Hypertension
- ii. Prophylaxis of migraine
- iii. Diagnosis of phaechromocytoma.

#### Adverse effect:

- i. Sedation
- ii. Dry mouth
- iii. Drowsiness
- iv. Rebound hypertension
- v. Headache
- vi. Fatigue.

Thank you

