

# **ADRENERGIC RECEPTORS AND DRUGS**

**Unit 5<sup>th</sup> (3-3)**

# ADRENERGIC RECEPTORS

## $\alpha_1$

- Postsynaptic
- Sm. M of peripheral B.V.
  - vasoconstriction
- Radial Mus. Of Iris
  - mydriasis
- \* Phenylehrine

## $\alpha_2$

- Presyn. (periphery)
- ↓ NT release
- ↓ Sym. Outflow
- ↓ release of Insulin
- \* Clonidine

# ADRENERGIC RECEPTORS

**$\beta_1$**

Heart, JG cells

↑ Cardiac activity

↑ Renin

\* Isoprenaline

**$\beta_2$**

- Bronchi, B.V. of deeper tissue,  
Uterus, G.I.T.

- Relaxation of Sm. Muscles

- Liver & Sk. Musc. → Glycogenolysis

- Pre-syn. on peripheral neurons

→ ↑ NT release

- Brain → ↑ Sym. outflow

\* Salbutamol

# ADRENERGIC RECEPTORS

$\beta_3$

-Lipolysis

All are GPCRs.

# PHARMACOLOGICAL ACTIONS

Adrenaline is the prototype ( $\alpha_1$ ,  $\alpha_2$ ,  $\beta_1$ ,  $\beta_2$ ).

**CNS:-** in clinically used dose → no effects

**CVS:-**

a) Heart:- ( $\beta_1$ )

↑HR + ↑force of contraction → ↑CO + ↑O<sub>2</sub> consumption

Conduction velocity ↑ in conducting tissue

b) B.V.:- ( $\alpha_1$  in periphery) → vasoconstriction

( $\beta_2$  in deep) → vasodilation (Dale's reversal)

c) BP:- ↑ during both systolic & diastolic phase

# PHARMACOLOGICAL ACTIONS

**Resp. Sys:-** ( $\beta 2$ ) Bronchodilation

( $\alpha 1$ ) Decongestion of mucosa  
/submuc.

**G.I.T:-** ( $\alpha 1 + \beta 2$ ) << important clinically- Relax<sup>n</sup>

**Eye:-** ( $\alpha 1$  on radial muscles)  $\rightarrow$  Mydriasis.

**Skeletal Musc:-** ( $\beta 2$  on LMN terminals)

# PHARMACOLOGICAL ACTIONS

## **Metabolic:-**

**( $\beta_2$ ) Glycogenolysis**

**( $\alpha_2$ )  $\downarrow$ Release of Insulin  $\rightarrow$**

**Hyperglycemia**

**Uterus :- ( $\beta_2$ ) Relaxation**

**Spleen:- ( $\alpha_1$ ) Contraction (not significant in humans)**

# **ADRENERGIC DRUGS**

**Noradrenaline:- (NA)  $\alpha_1 > \alpha_2 > \beta_1 = \beta_3$**

**Dopamine:- D and  $\alpha$  &  $\beta_1$  (high dose)**

**D R in renal vessels  $\rightarrow$  Dilation(low dose)**

**Dobutamine :-  $\alpha$  and  $\beta_1$  (substitute)**

**Methoxamine :-  $\alpha_1$  (BP)**

**Phenylephrine:-  $\alpha_1$  Eye drops – Mydriasis**

**Isoprenaline :-  $\beta_1$  selective**



# **ADRENERGIC DRUGS (CONTD.)**

**Ephedrine:-**

**Acts by releasing NA ( $\pm$ Ad) stores  
(facilitated diffusion)**

**Tachyphylaxis**

**Pseudoephedrine:-**

**Acts both by direct action ( $\alpha$ 1) and by releasing NT.**

**Phenylpropanolamine:- similar effects**

# **ADRENERGIC DRUGS (CONTD.)**

**Amphetamine- (Meth/Dextro/ Methylphenidate)**

**All act by direct and by releasing NTs**

**Prominent CNS effects:- ↑ alertness, ↓ sleep,**

**↑ concentration, generalized stimulation,**

**Suppression of appetite**

**Respiratory stimulation in Hypno-sedative poisoning**

**Banned drugs- athletes.**

# ADRENERGIC DRUGS (CONTD.)

**Fenfluramine**:- ↓ appetite, sedation,  
loss of libido(5-HT mech.)

**Sibutramine**:- Both NA/5-HT, (S/E mood swings)

**Naphzoline** } As nasal decongestant ( $\alpha 1$ )  
**Oxymetazoline** } Mucosal necrosis & systemic S/E  
**Xylometazoline** }

**Salbutamol, Terbutaline** } Selective  $\beta 2$ , TBT  
**Salmeterol, Bambuterol** } Palpitation ( $\beta 1$ ),  
Tremors ( $\beta 2$ )

# **ADRENERGIC DRUGS (CONTD.)**

**Isoxsuprine:-  $\beta_2$ - uterus → Relaxation**

**$\beta_2$ - Deeper BV of Sk. M. →  
dilation**

**Ritodrine:-  $\beta_2$  - Uterine relaxant**

**Clonidine:-  $\alpha_2$  (presynaptic)**

**$\alpha$ -Methyl Dopa:-  $\alpha_2$  (presynaptic)**

**Fenoldopam:- D1 (coronary, renal,  
mesentery)**

# **THERAPEUTIC USES**

## **A) Vascular Uses**

**i) Hypotensive states:- Anaphylaxis- Ad.**

**Septic/ cardiogenic shock- DA,**

**Dobutamine**

**ii) With LA:- Small dose; vasoconstriction**

**iii) In local bleeding:- Epistaxis- NOX**

**Gastric bleeding (ulcer)– NA in cold**

**saline**

**iv) Nasal Decongestant- NOX, Pseudoephedrine**

**v) Peripheral vascular disease- Isoxsuprine**

**vi) Hypertension- Clonidine,  $\alpha$ -Methyl Dopa.**

# **THERAPEUTIC USES (CONTD.)**

## **B) Cardiac Uses**

- i) AV Block- Adrenaline**
- ii) Cardiac Arrest- Adrenaline**

## **C) Central Uses**

- i) Hypno-Sedative Poisoning- Amphetamines**
- ii) Narcolepsy- Amphetamines**
- iii) Hyperkinetic Children- Amphetamines**
- iv) Obesity- Fenfluramine, Sibutramine**
- v) De-addiction- Clonidine (alcohol / opioids)**

# **THERAPEUTIC USES (CONTD.)**

**D) Respiratory-**  $\beta$ 2 agonists- Asthma

**E) Ophthalmic-** Mydriatic- Phenylephrine

Glaucoma- Apraclonidine/ Brimonidine

**F) Uterine-** Isoxsuprine, Ritodrine

Abortions – Threatened & Habitual

**G) Endocrinal -** Hot flushes in menopause,