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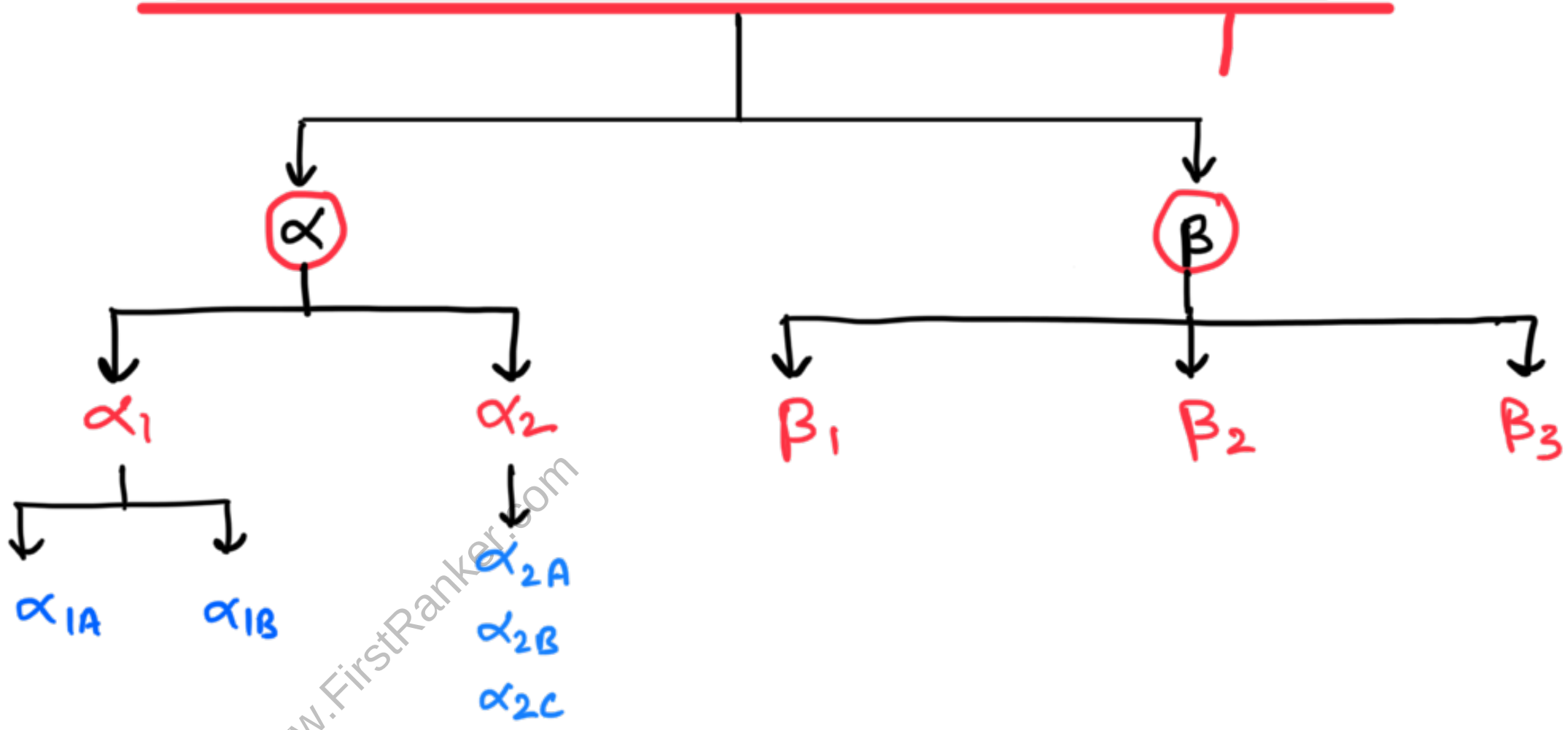
ADRENERGIC RECEPTORS



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LOCATION

1. α_1 : G_q Type [↑ IP_3 /DAG]

: α_{1A} - IRIS : CONTRACT DILATOR PUPILLAE → MYDRIASIS

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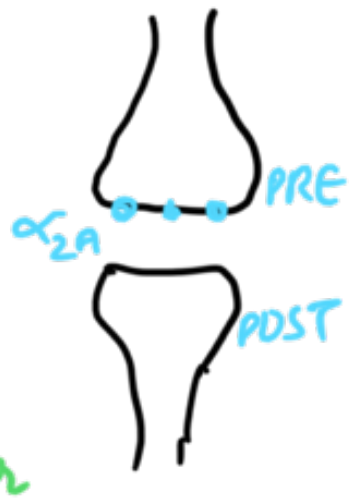
INTERNAL URETHRAL SPHINCTER - CONTRACTION
↓
URINARY RETENTION

: α_{1B} - BLOOD VESSELS = VASOCONSTRICTION
↓
↑B.P

11. α_2 : α_{2A} - Gi type (↓ cAMP)
- PRE-SYNAPTIC AUTORECEPTOR
↓
Decreases its own Release of Neuro-transmitter



- α_{2A} ⊕ : INHIBIT RELEASE OF NOR-EPINEPHRINE
↓
↓es S.N.S

: α_{2B} - POST-SYNAPTIC

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- JUST LIKE α_1 → VASOCONSTRICTION
  ↓
  ↑B.P

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: α_{2C}
- EXTRA-SYNAPTIC
- PRESENT IN PANCREAS → ↓ INSULIN RELEASE

β-RECEPTOR : All $\beta_1, \beta_2, \beta_3$ ⇒ G_S TYPE (↑ cAMP)

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1. β_1 : HEART →
   - ⊕ SA NODE : CHRONOTROPISM (↑ H.R)
   - ⊕ AV NODE : DROMOTROPISM (↑ CONDUCTION)
   - ↑ CONTRACTILITY : INOTROPISM

J.G CELLS OF KIDNEY → ⊕ RENIN RELEASE
↓
↑ RAAS → ↑B.P

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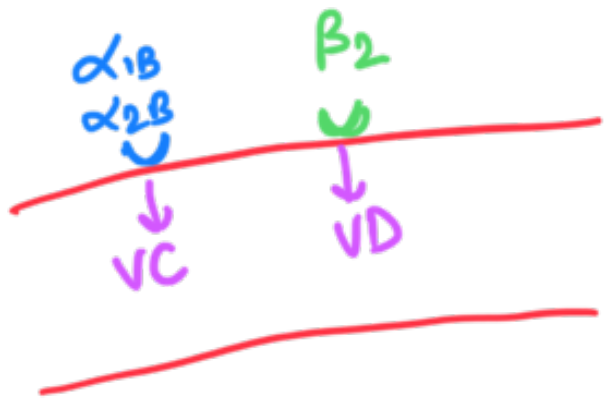
2. β_2 :

LIVER
MUSCLE } ⊕ GLYCOGENDOLYSIS → HYPERGLYCEMIA

SKELETAL MUSCLES → ⊕ TREMORS

SMOOTH MUSCLES → RELAX

- BRONCHODILATOR
- VASODILATION
- UTERINE RELAXANT



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III. β_3 :

ADIPOSE TISSUE → LIPOLYSIS

URINARY BLADDER → RELAX DETRUSSOR

(β_2 - β_3)

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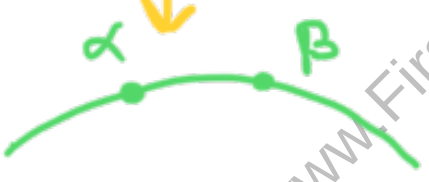
ADRENERGIC DRUGS

- DIRECTLY ACTING
  - RECEPTOR
    - AGONIST
    - ANTAGONIST
  - 

- INDIRECTLY ACTING
  - ↑ RELEASE OF NA, DOPAMINE
  - 

- MIXED ACTING
  - D + I

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USES OF ADRENERGIC DRUGS :

- PRESSOR AGENT (VC) ✓
- UTERINE RELAXANT ✓
- NASAL DECONGESTANT ✓
- CARDIAC STIMULANTS ✓
- H ✗
- My ✗

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B BRONCHODILATORS ✓
A ANORECTICS (↓Appetite) ✓
C CNS STIMULANTS ✓
K ✗

DIRECTLY ACTING ADRENERGIC DRUGS

CATECHOLAMINES

- ORALLY ✗

∵ Degraded in GIT by MAO & COMT enzyme.

ENDOGENOUS

i) NOR-EPINEPHRINE

SYNTHETIC

i) DOBUTAMINE

NON-CATECHOLAMINES

- ORALLY ✓

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iii) DOPAMINE

iv) ISOPRENALINE
v) DROXIDOPA
vi) FENOLDAPAM
vii) IBOPAMINE

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⇒ INDIRECTLY ACTING ADRENERGIC DRUGS :-

↑ Release of Catecholamines from Nerves.

- MODAFINIL
- AMFETAMINES
- TYRAMINE

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⇒ MIXED ACTING ADRENERGIC DRUGS :-

↑ Release (NA, DOPAMINE)
+
Receptor Agonist. (α, β)

- MEPHENTERMINE
- EPHEDRINE, PSEUDOEPHEDRINE

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DOPAMINE

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THANK YOU

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CATECHOLAMINES

ENDOGENOUS

i) Epinephrine
ii) Nor-Epinephrine
iii) Dopamine

SYNTHETIC

i) Dobutamine
ii) Isoprenaline
iii) Fenoldopam
iv) Droxidopa
v) Ibopamine

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Given by iv infusion

1) NOR-ADRENALINE (NA) : acts on α_1, α_2, β_1, β_3

* (Not acts on β_2 Receptor) ✗

: Main action on CVS.

USES : i) DOC CARDIOGENIC SHOCK WITH HYPOTENSION

↓

i.e Acute Heart Failure + SBP<90mmHg

($\beta_1\oplus$) ($\alpha_1\oplus$)

ii) DOC VASODIALATORY SHOCK

↓

NEUROGENIC SHOCK | SEPTIC SHOCK

VD

α_1 → VC

Q:- WHY NA IS NOT GIVEN IN ANAPHYLACTIC SHOCK ?

A:- → ASTHMA LIKE FEATURES → BRONCHO-CONSTRICTIO

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X → not act on β_2 (R)

2) ADRENALINE : acts on α_1, α_2, β_1, β_2, β_3.

CONCENTRATION	ROUTE
• 1 mg/ml i.e 1:1000	i/m ; s/c ; endotracheally (ET)
• 0.1 mg/ml i.e 1:10000	i/v ; i/o

USES: ① ANAPHLAXIS → 0.3 to 0.5 ml of 1:1000 i/m

ABCDE

② → CARDIAC STIMULATION

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β_1 → CARDIAC STIMULATION ✓
↑ H.R + ↑ CONTRACTILITY

α_1 → VASOCONSTRICTION ✓
↑ B.P
+
↓ Laryngeal Oedema

β_2 → BRONCHODIALATION ✓
↓ Release of Mediators from Mast Cells. ✓

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② BRONCHIAL ASTHMA → 0.3 to 0.5 ml of 1:1000 S/C

↓
Use is declined ∵ of dangerous Cardiac stimulating effect.

---

③ CARDIAC ARREST → 1 ml of 1:10000

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i/v

④ DURING / ALONG WITH LOCAL ANAESTHETICS (LIGNOCAINE) → 1 : 100,000 WITH LIGNOCAINE

α_1 → VASOCONSTRICTION
↓
DELAYS ABSORPTION OF LOCAL ANAESTHETIC & PROLONGS DURATION OF LOCAL ANAESTHESIA.

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Q. WHY ADRENALINE IS C/I DURING SURGERY OF END ARTERY (OR) TIP OF ORGAN SURGERY.

A. ∵ VASOCONSTRICTION CAN LEAD TO GANGRENE.

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eg. NOSE TIP, PINNA

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~~5~~ EPISTAXIS → Cotton soaked Adrenaline placed in nose.

→ Any dose can be given.

→ d/t Vasoconstriction effect.

3) DOPAMINE (MIXED ACTING) : acts on D_1, α_1, α_2, β_1

: Also promote Release of NA.

: Given by i/v infusion.

DOSE	RECEPTOR	USE	S/E

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① 1-2 µg/kg/min.	D_1 ⊕ → [VASODILATION in KIDNEY]	DOC → ARF (Acute Renal failure)	HYPOTENSION ∴ C/I in SHOCK
② 2-10 µg/kg/min.	β_1 ⊕ → [STIMULATE HEART]	ACUTE HEART FAILURE	ARRYTHMIAS
③ >10 µg/kg/min.	α_1 ⊕ → [VASOCONSTRICTION ↑B.P]	SHOCK	HYPERTENSION.

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SYNTHETIC CATECHOLAMINES

① DOBUTAMINE :

β_1 ⊕

↓

↑ MYOCARDIAL CONTRACTILITY

↓

DOC
CARDIOGENIC SHOCK (β_1⊕)

DOC
STRESS ECHO

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② ISOPRENALINE

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② NORADRENALINE : β_1 , β_2 , β_3 ⊕

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C +
D + • VD
I + • Bronchodilation

: used in T/t of BRADYCARDIA

③ DROXIDOPA : PRODRUG ⟶ NOR-EPINEPHRINE (Active form)

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④ IBOPAMINE : D_1 , α_1 ⊕ .

⑤ FENOLDEPAM : partial D_1 ⊕ → Peripheral VD ↓ ↓ B·P

: Given by i/v infusion in Hypertensive Emergencies .

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NON- CATECHOLAMINES

① α_1 USES : i) EYES (MYDRIASIS) → DRUG FOR FUNDOSCOPY
⇓
DOC PHENYLEPHRINE eye drops
↓
α_1⊕

ii) VASOCONSTRICTION → ↑B.P
(PRESSOR AGENT) ∴ T/t : HYPOTENSION

- PHENYLEPHRINE
- MIDODRINE
- METHOXAMINE

} α_1⊕

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DOC
PHENYLEPHRINE { HYPOTENSION d/t SPINAL ANAESTHESIA
" " AORTIC DISSECTION
" IN PREGNANCY

DOC
MIDODRINE → POSTURAL HYPOTENSION

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iii) NASAL DECONGESTANTS → $\alpha_1 \oplus$ $\alpha_{2B} \oplus$
↓
VC in NDSE

OXYMETAZOLINE
XYLOMETAZOLINE } NASAL DROPS

PSEUDOEPHEDRINE } ORAL

PHENYLEPHRINE } NASAL DROPS

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ORAL

→ Used in Allergic Rhinitis, Common Cold, Sinusitis.

ON PROLONGED USE OF NASAL DECONGESTANTS

↓

PROLONGED VC

↓

ISCHAEMIA

↓

ATROPHIC RHINITIS + ANOSMIA → k/a RHINITIS MEDICAMENTOSA

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---

② α_2 USES :

α_2 ⊕ → PRESYNAPTIC AUTORECEPTOR

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↓

DRUGS - • CLONIDINE
• ∝-METHYL DOPA

③ β_2 USES :

(i) BRONCHODIALATORS - • SALBUTAMOL
(T/t : ASTHMA) • TERBUTALINE

(ii) TOCOLYTICS - • RITODRINE
(UTERINE RELAXANTS) • ISOXSUPRINE
↓
Suppress PREMATURE LABOUR

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(iii) CORONARY BV DILATOR - OXYFEDRINE

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(T/t : ANGINA)

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→ S/E of β_2⊕ = (i) HYPERGLYCEMIA

(ii) HYPOKALEMIA

(iii) TREMORS

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④ β_3 USES : i) MIRABEGRON : T/t: OVERACTIVE BLADDER

↓

β_3⊕ → Relax Detrusor

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INDIRECTLY ACTING

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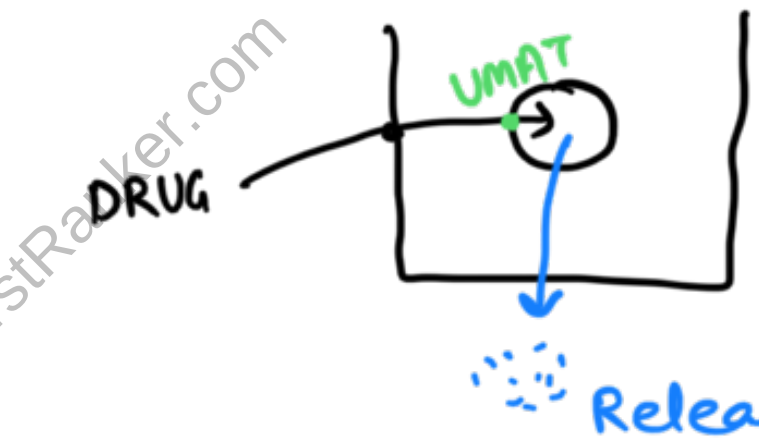
ADRENERGIC DRUGS

↑ RELEASE OF CATECHOLAMINES FROM NERVES BY REVERSE DIFFUSION & DISPLACEMENT.



Release NA, Dopamine

1) MODAFINIL : ORAL
: GOOD CNS PENETRATION
↓
↓ SLEEP

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- NARCOLEPSY
- SHIFT WORKERS
- OBSTRUCTIVE SLEEP APNEA

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DOC MODAFINIL

2) AMFETAMINES : CNS STIMULANT → ↑ SNS

: Effects - ↓ SLEEP → T/t NARCOLEPSY
↓ APPETITE ∴ ANTIOBESITY DRUG
↑ ATTENTION SPAN ↓

DOC ATTENTION DEFICIT HYPERKINETIC DISORDER (ADHD)

eg: METHYL PHENIDATE

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: S/E → i) PSYCHOSIS
ii) CARDIAC ARRYTHMIAS
iii) SEIZURES (high dose)

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iv) DRUG OF ABUSE /ADDICTION
v) PERFORMANCE ENHANCING DRUG

: AMFETAMINE POISONING → DOC AMMONIUM CHLORIDE

3) TYRAMINE : NOT A DRUG

: PRESENT IN FOOD ITEMS : CHEESE
MEAT
RED WINE

↓

WHEN WE EAT FOOD, it is not absorbed from GIT

↓

DEGRADED BY MAO-A ENZYME (MONOAMINE OXIDASE)

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: FOOD (TYRAMINE) + MAO-A INHIBITORS → [eg. PHENELZINE • ISOCARBOXAZID • TRANYCIPROMINE]

↓

TYRAMINE ABSORBED

↓

↑SNS : ↑B.P (Hypertensive crisis)

↓

k/a CHEESE REACTION

↓

T/t : DOC PHENTOLAMINE (α-BLOCKER)

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MIXED ACTING

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① MEPHENTERMINE : VASOPRESSOR Like PHENYLEPHRINE

: APPROVED ANTI-OBESITY DRUG

② EPHEDRINE : NASAL-DECONGESTANT

: VASOPRESSOR Like PHENYLEPHRINE

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ANTI-ADRENERGIC DRUGS

(SYMPATHOLYTICS)

- CENTRAL SYMPATHOLYTICS
  - α_{2A} Agonists
- ADRENERGIC RECEPTOR BLOCKERS

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- CLONIDINE
- α-METHYL DOPA

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α-BLOCKERS

β-BLOCKERS

α-BLOCKERS	β-BLOCKERS
① VASODIALATORS	① VASOCONSTRICTORS
② REFLEX TACHYCARDIA	② REFLEX BRADYCARDIA
③ ↑ INSULIN RELEASE ∴ GOOD FOR DM	③ BAD FOR DM.
④ GOOD FOR DYSLIPIDEMIA	④ BAD FOR DYSLIPIDEMIA

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α-BLOCKERS

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α-BLOCKERS

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- NON-SELECTIVE α-BLOCKERS
- SELECTIVE α_1-BLOCKERS
- SELECTIVE α_2-BLOCKERS

NON-SELECTIVE α-BLOCKERS →

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i) Irreversible # – PHENOXYBENZAMINE

- α_1 & α_2 # (NON-COMPETITIVE)
- Binds covalently to α-Receptors & causes irreversible blockade.
- Route = Oral @ i/v.

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- Slow onset, long action
- Use = (DOC) TO CONTROL SYMPTOMS OF PHEOCHROMOCYTOMA.
- S/E = Postural Hypotension. Tachycardia Palpitation etc. } due to α_{2A} blockage

ii) Reversible # - PHENTOLAMINE
TOLAZOLINE
ERGOTAMINE
CHLORPROMAZINE

- α_1 & α_2 # (COMPETITIVE #)

PHENTOLAMINE = i/v
= Rapid Onset ; short Acting

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DOC CHECK REACTION

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SELECTIVE α_1 BLOCKERS →

- PRAZOSIN
- TERAZOSIN
- ALFUZOSIN
- DOXAZOSIN

→ -ZOSIN

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α_1

- α_{1A} → CONTRACT IVS ✓
- α_{1B} → VASOCONSTRICTION i.e ↑ B.P ✓

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Q14 : OPEN IUS

T/t BPH
BENIGN
PROSTATIC
HYPERPLASIA

VASODIALATION : ↓ B.P

T/t HYPERTENSION

PRAZOSIN :

: ORALLY

: NOT BLOCK PRESYNAPTIC α_2 RECEPTOR
∴ NOT CAUSE SIDE-EFFECTS LIKE
TACHYCARDIA

: DOC in BPH with HYPERTENSION

: S/E → ① POSTURAL HYPOTENSION &
SYNCOPE
↓
• DUE TO "FIRST DOSE EFFECT"

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- OCCURS WITHIN 30-90 mm. OF ORAL ADMINISTRATION.

- THEREFORE, INITIAL DOSE SHOULD BE SMALL (1mg) & SHOULD BE GIVEN AT BED TIME.

② FLOPPY IRIS SYNDROME

SELECTIVE α_{1A} BLOCKER (UROSELECTIVE $\alpha_{\#}$) → TAMSULOSIN → DOC BPH
SILODOSIN

IVS

TREATMENT OF BENIGN PROSTATIC HYPERPLASIA

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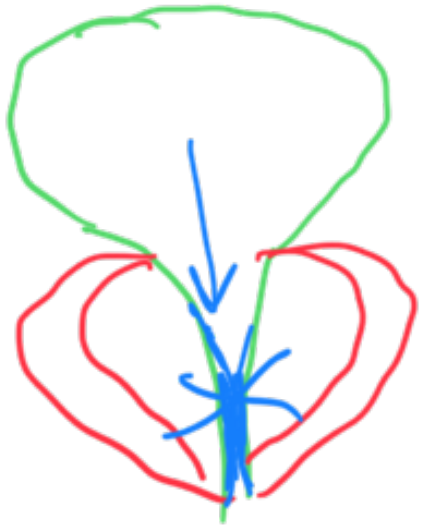
OPEN IUS

DOC TAMSULOSIN (without HTN)

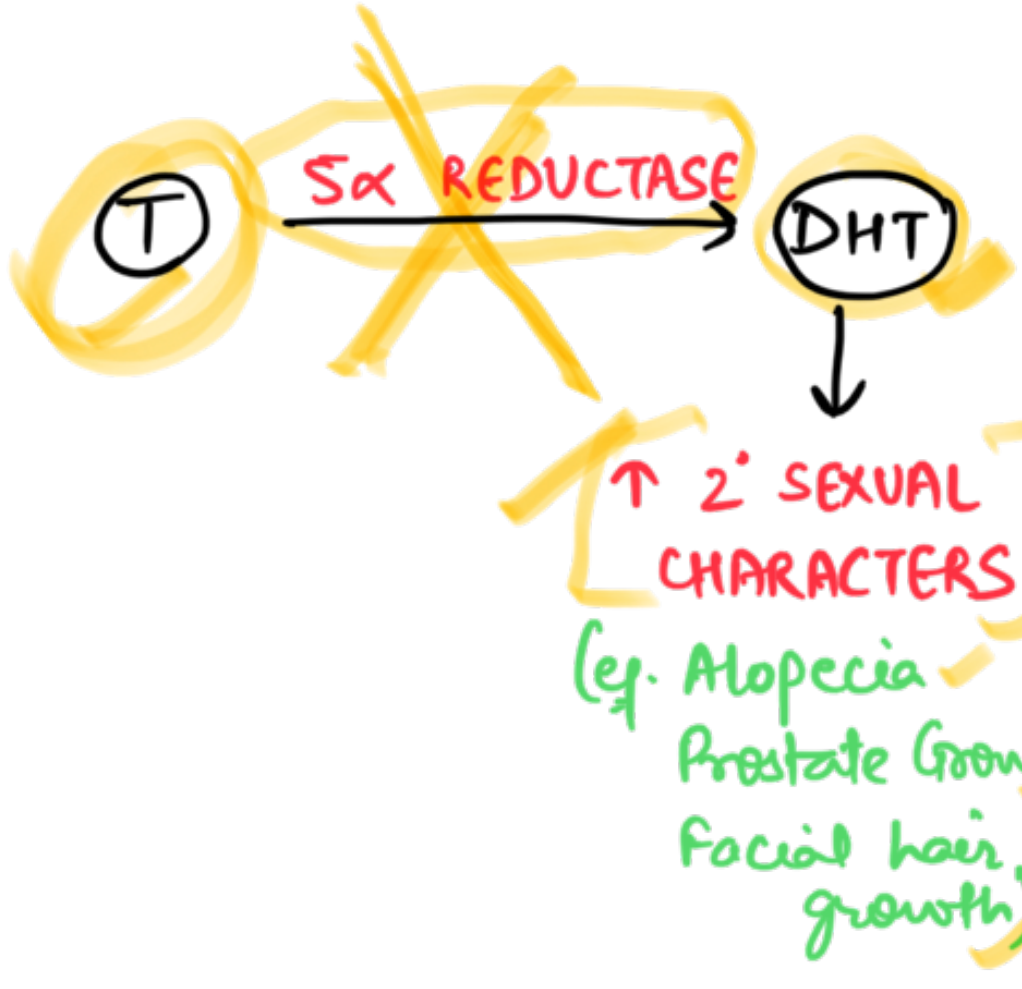
DOC PRAZOSIN (with HTN)

S/E : RETROGRADE EJACULATION



↓ SIZE OF PROSTATE

5α - REDUCTASE INHIBITORS
- FENASTERIDE
- DUTASTERIDE



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BLOCKERS

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YOHIMBINE : ↑ LIBIDO

∴ APHRODISIAC
i.e ↑ SEXUAL PERFORMANCE

↓

ALSO BLOCKS
$5HT_2$ RECEPTOR
(↓ SEROTONIN)

β - BLOCKERS

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1st GEN. BB
NON-SELECTIVE
$\beta_1 = \beta_2 = \beta_3$

- PROPRANOLOL
- PENBUTOLOL
- PINDOLOL
- NADOLOL

2nd GEN. BB
CARDIOSELECTIVE
$\beta_1 \gg \beta_2 / \beta_3$

- BISOPROLOL
- ESMOLOL
- ATENOLOL
- ACEBUTOLOL

3RD GEN. BB
VASODILATORS

NON-SELECTIVE
- CELIPROLOL
- LABETALOL

CARDIO-SELECTIVE
- CARVEDILOL
- BETAXOLOL

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TIMOLOL

SOTALOL

METOPROLOL

NEBIVOLOL

THERAPUTIC USES OF CARDIOSELECTIVE (BB) → (2nd gen.)

i) HYPERTENSION ✓

ii) ANGINA PROPHYLAXIS & MI

β# : ↓H.R ✓
↓CONTRACTILITY ✓
↓B.P ✓

} ↓↓ MYOCARDIAL O_2 DEMAND

VD
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iii) CARDIAC ARRYTHMIAS ✓

iv) CONGESTIVE HEART FAILURE ✓
↓↓ REMODELLING ✓

v) AORTIC DISSECTION ✓

vi) HYPERTROPHIC OBSTRUCTIVE CARDIOMYOPATHY [HOCM] ✓

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THERAPUTIC USES OF NON-SELECTIVE (BB) →

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i) PHEOCHROMOCYTOMA ✓
ii) PROPHYLAXIS OF MIGRAINE ✓
iii) THYROID STORM ($T_4 \nrightarrow T_3$) ✓
iv) ESSENTIAL TREMORS ✓
v) ACUTE ANXIETY STATES ✓
vi) PORTAL HYPERTENSION ✓

→ DOC PROPRANOLOL

vii) GLAUCOMA (β# : ↓ AQUEOUS PRODUCTION)

→ PROPRANOLOL is C/I

∵ it causes CORNEAL ANAESTHESIA.

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S/E OF β-BLOCKERS →

i) BRADYCARDIA ✓
ii) AV NODE BLOCK ✓

→ β_1 #

iii) C/I ASTHMA/COPD ✓

→ β_2 #

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iv) C/I VASOSPASTIC ANGINA - β_2 #
v) IMPOTENCY

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ADVANTAGE OF CARDIOSELECTIVE (BB) : CAN BE GIVEN IN ASTHMA / COPD PATIENTS.

* β-BLOCKERS MASK SYMPTOMS OF HYPOGLYCEMIA DUE TO INSULIN except- SWEATING

↓

CONTROLLED BY SYMPATHETIC CHOLINERGIC NERVES

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