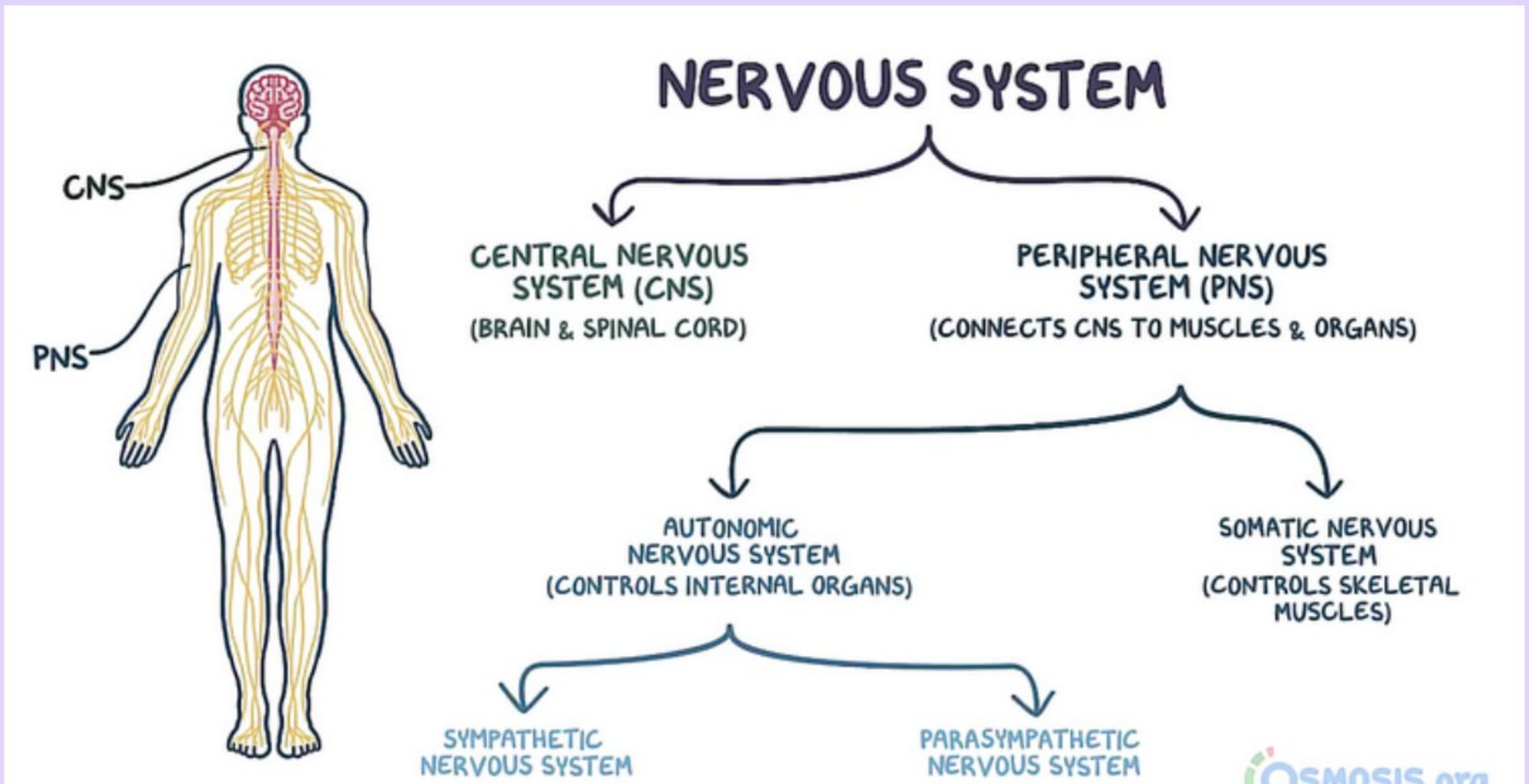
# Pharmacology

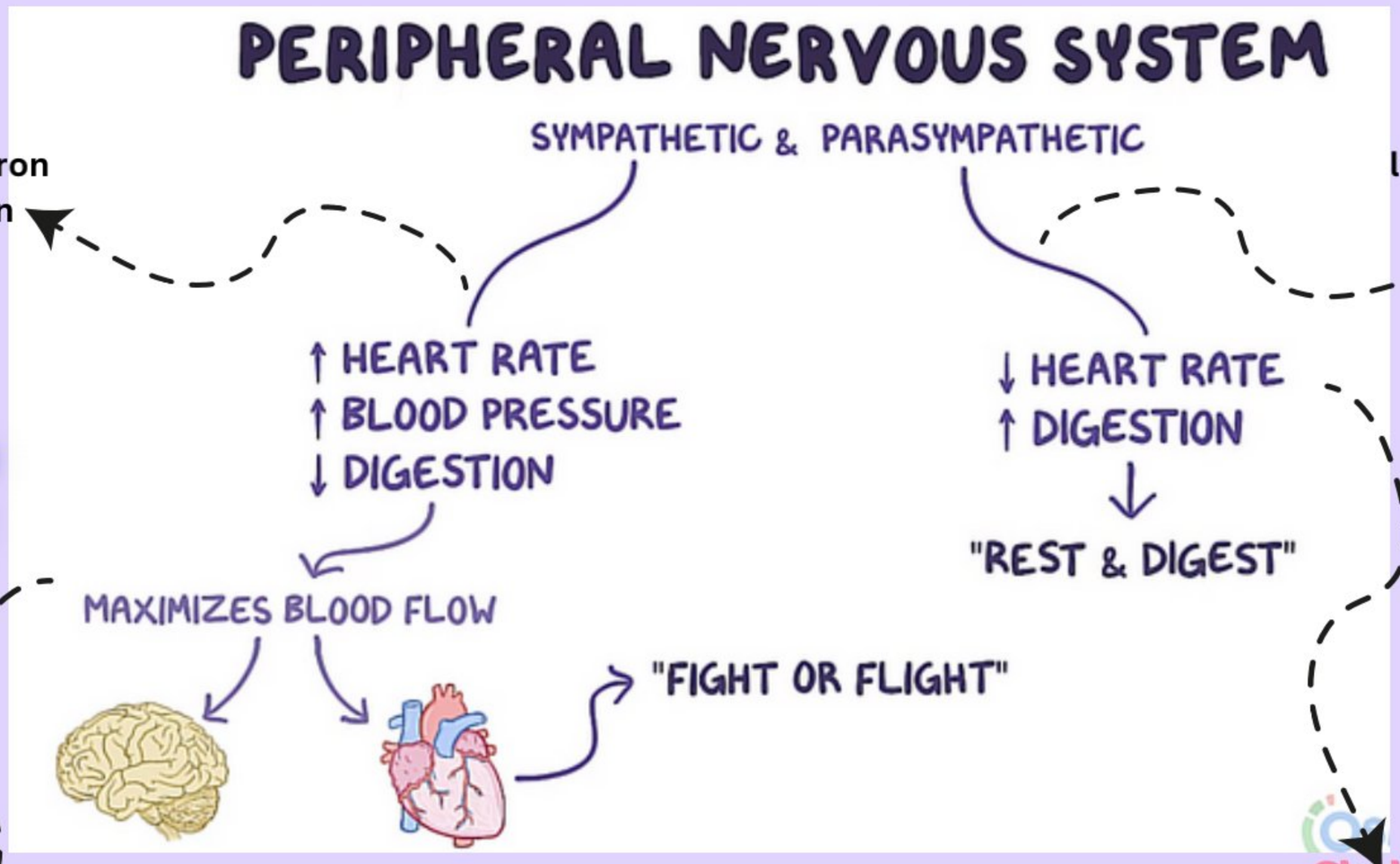
summary

Ebaa al-zubi





gastrointestinal tract




short preganglionic neuron stimulation many organ

long preganglionic neuron stimulation 1 organ

only sympathetic : adrenal medulla sweat glands arrector pili

hypothalamus receives duel enervation

INERVATED ONLY BY PARA : CILIRAY MUSCLE

sympathetic work with parasympathetic

ejaculation erection

Cholinergic transmission : Synthesis ACH transported to vesicles -Release exocytosis - Interaction with post synaptic receptors- hydrolysis of Ach by Ach.esteras

**Adrenergic Transmission :**

**1-Synthesis of Norepinephrine** TYR (RATE LIMITING)-DOPA-DOPAMIN-NOREPINEPHRINE - EPINEPHRINE

**2- Calcium (dependent OR independent) release.**

VMAT into the vesicles

**3- BIND THEN produce an indirect sympathomimetic effect**

**4- reuptake into the neuron by MAT**

Baroreceptors:

↑ BP →↓HR
↓ BP →↑HR

Ca channels blockers :
ω–Conotoxin GVIA
Toxin of marine snails
guanethidine
pretylium

release of NE & Ach
α–Latrotoxin (Black widow)

كيف تميز ؟

عنا بس حالتين حالة rest و حالة flight or fight

adrenergic — NE, Dopamine

cholinergic — ACH

*The sympathetic and parasympathetic systems exert functionally opposite influences on the following parameters **except**:*

A. Heart rate
B. Atrial refractory period
C. Pupil diameter
D. Intestinal motility *(p. 72)*

which of the following organs receive dual innervation ?

Select 1 correct answer

A sweat gland

B adrenal medulla

C haypothalemas

D piloerector muscle of hair

(15) The rate limiting step in the biosynthesis of NE is the:
A. transport of tyrosine across the neuronal membrane
B. hydroxylation of tyrosine
C. decarboxylarion of DOPA
D. hydroxylation of DOPA into dopamine

Regarding the autonomic nervous system, which of the following sub-systems primarily uses the vagus nerve for innervation and functions to stimulate excretory and digestive organs?

- Parasympathetic Nervous System
- Myenteric Plexus
- Sensory Nervous System
- Sympathetic Nervous System
- Sacral Plexus
- Somatic Nervous System

Regarding the autonomic nervous system, which of the following best describes the physiological response of the parasympathetic nervous system?

- Fight or Flight
- Fight or Digest
- Rest and Fight
- Rest and Digest
- Fight
- Rest or Flight

Regarding the autonomic nervous system, which of the following neurotransmitters is most used by the parasympathetic postganglionic neurons?

- Parasympathetic Postganglionic Neurons Release Histamine
- Parasympathetic Postganglionic Neurons Release Norepinephrine
- Parasympathetic Postganglionic Neurons Release Glycine
- Parasympathetic Postganglionic Neurons Release Acetylcholine

Effects of Direct-Acting Cholinoceptor Stimulants are decrease blood flow , miosis , contraction of bronchial muscle, and increasing motility of Gastrointestinal tract

Select 1 correct answer

A true

B false

which one release NE & Ach ?

Select 1 correct answer

A ω–Conotoxin GVIA

B pretylium

C α–Latrotoxin

5.1 *Which of the following organs is innervated only by parasympathetic nerves:*
**A. Iris muscles**
**B. Ciliary muscle**
**C. Sweat glands**
**D. Splenic capsule** ***(p. 72)***

During sympathetic stimulation, the digestive secretion will be inhibited as the energy can be conserved to survive in the danger reaction

True

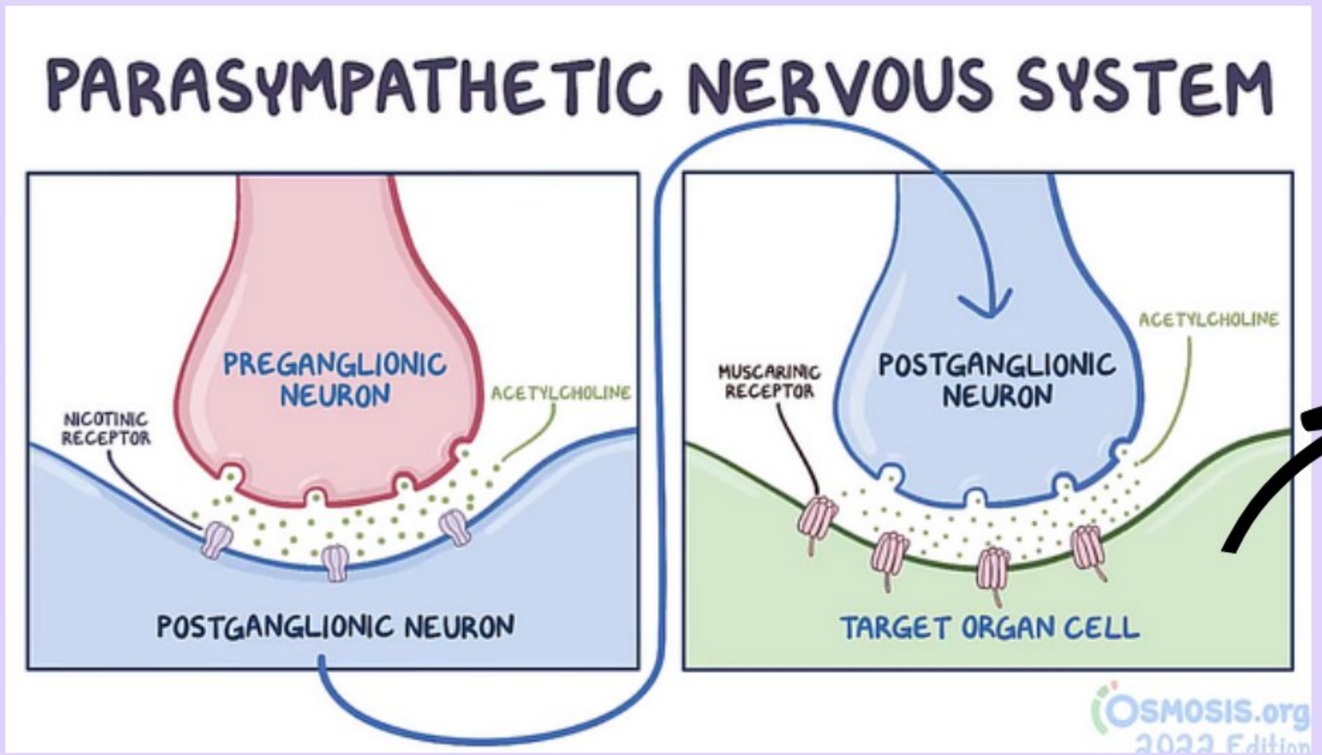
False

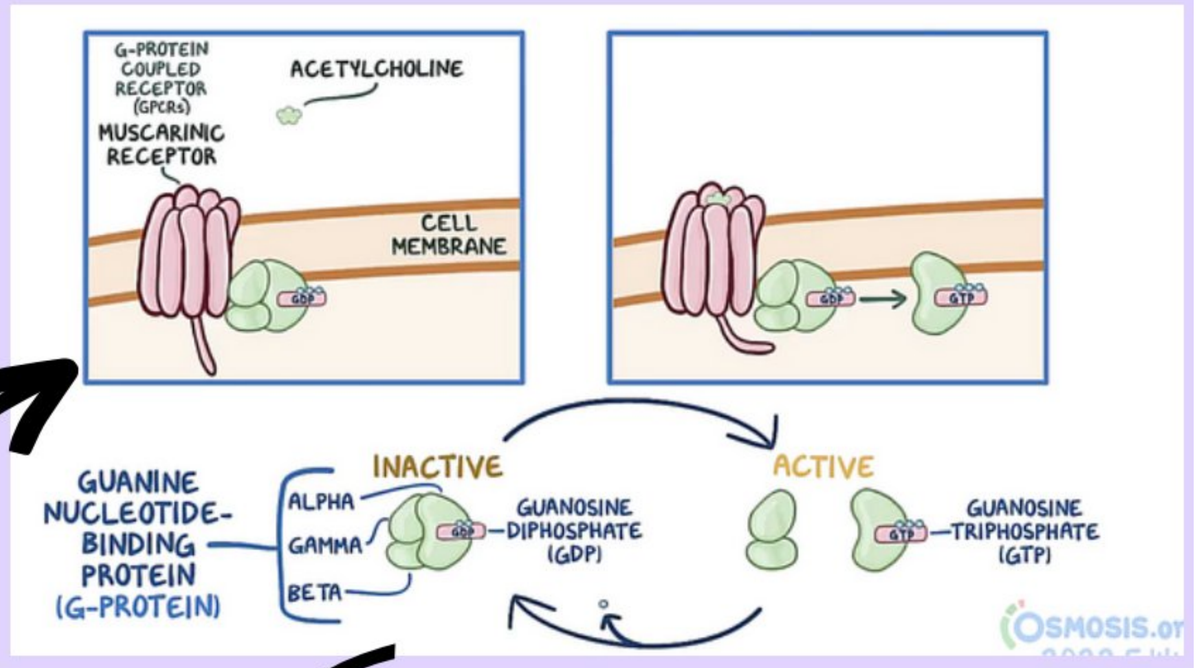
*Tetrodotoxin blocks nerve impulse/junctional transmission by:*
**A. Anticholinergic action**
**B. Depleting acetylcholine**
**C. Blocking $Na^+$ channels**
**D. Blocking $Ca^{2+}$ channels** ***(p. 74)***

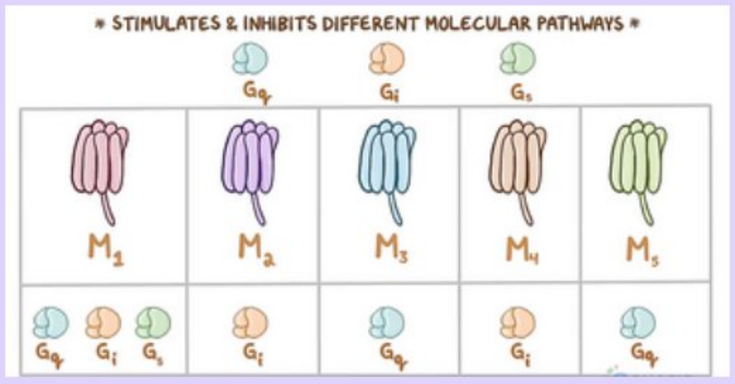
During parasympathetic, when light enter the eyes, the pupils will ..... to ..... the amount of light that enter the retina.

dilate , increase

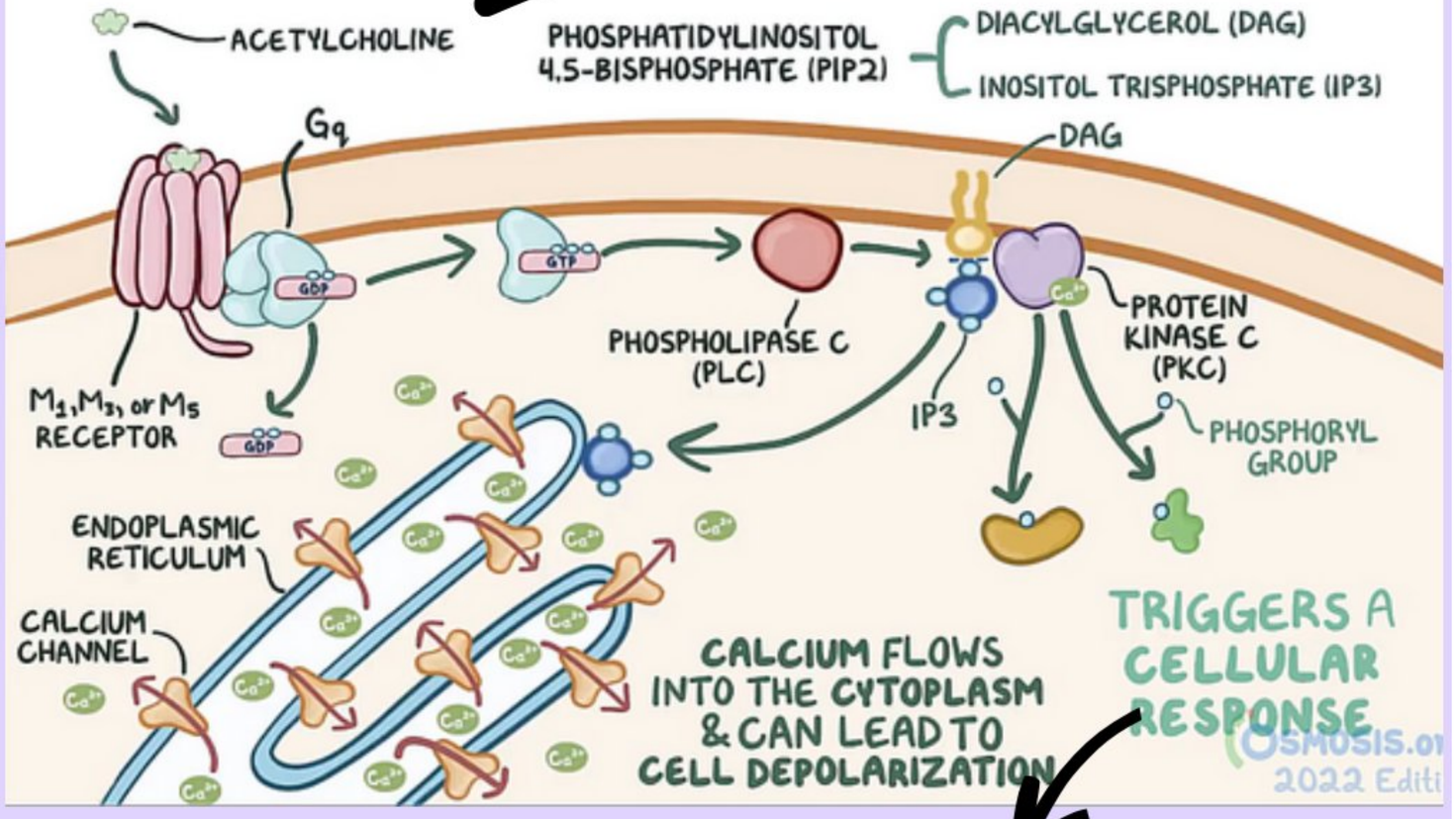
constrict , decrease




**Gq →**




**Gi protein↓→↓adenylyl cyclase→ ↓cAMP formation→↓HR &↓ force of contraction**

higher levels, nicotine causes convulsions & fatal coma

nypertension, tachycardia nausea, vomiting, diarrhea, and voiding of urine flaccid paralysis

Trigone and sphincter

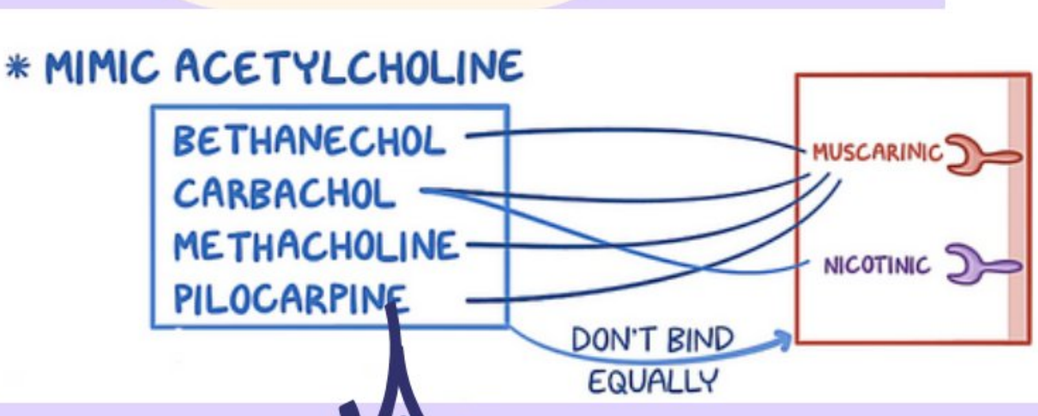
**DEFECATION**
**URINATION**
**MUSCLE EXCITATION**
**BRONCHOSPASM**

**HEART BRADYCARDIA**
**AUTONOMIC GANGLIA STIMULATION**
**VASODILATION**
**EYE MIOSIS**
**EYE ACCOMMODATION**
**SECRETIONS** — LACRIMAL, SALIVARY, SWEAT GLAND, GLANDS in GI TRACT

negative chronotropy
negative inotropy

uterus is not sensitive to muscarinic agonists

M3 PARASYM - CONTRACT
M2-SYM-RELAX




Natural alkaloid may produce hypertension after a brief initial hypotension- the hypotensive effect, can be blocked by atropine

M1= epilepsy
GLAUCOMA

6.22 *Pilocarpine reduces intraocular tension in open angle glaucoma by:*
A. Contracting sphincter pupillae
B. Increasing tone of ciliary muscle

**Direct-Acting Nicotinic Stimulants**

**nicotine**

chronic

coronary death

ulcer recurrences

coma

respiratory arrest

cardiac arrhythmias

fatal dose

nicotine insecticides

cigarettes

Varenicline : بديل للتخفيف التدخين
50% dopamine for psychiatric illnesses

pesticide:
toxic =vomiting , diarrhea for days
convulsions, and coma
atropine in large doses
organophosphate delays neuropathy

not true about Varenicline in Nicotine?

Select 1 correct answer

A its use is limited by exacerbation of psychiatric illnesses, including anxiety and depression.

B It prevents the stimulant effect of nicotine at presynaptic nicotinic receptors

C It dissimulates basal mesolimbic dopamine release

which one is effect of a large dose of nicotine ?

Select 1 correct answer

A hypotension and cardiac arrhythmias

B cause convulsions and may progress to coma and respiratory arrest

C may lead to polarization blockade and respiratory paralysis

The effects of Pilocarpine and the choline esters over dosage are all blocked competitively by atropine

Select 1 correct answer

A true

B false

Muscarinic receptors (three) _____ are present on all effector organs and in the parasympathetic nervous system.

Muscarinic receptor subtypes M2 and _____ inhibit the activity of adenylyl cyclase, leading to decreased levels of cyclic AMP.

Nicotinic and muscarinic receptors are (adrenoreceptors/cholinoreceptors) _____.

**6.22** *Pilocarpine reduces intraocular tension in open angle glaucoma by:*

**A. Contracting sphincter pupillae**
**B. Increasing tone of ciliary muscle**
**C. Reducing aqueous formation**

**6.10** *Pilocarpine is used for:*

**A. Glaucoma**
**B. Paralytic ileus**
**C. Urinary retention**
**D. All of the above**

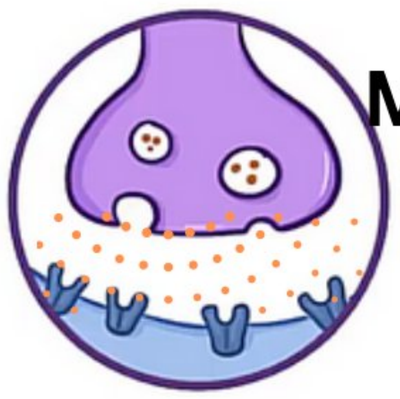
# INDIRECT CHOLINOMIMETICS
## ANTI-CHOLINESTERASES

* INHIBIT ACETYLCHOLINESTERASE
  ↳ DEGRADES ACETYLCHOLINE

* ↑ & PROLONGED ACETYLCHOLINE-MEDIATED MUSCARINIC & NICOTINIC EFFECTS

EDROPHONIUM
NEOSTIGMINE
PHYSOSTIGMINE
PYRIDOSTIGMINE

DONEPEZIL



**MORE ACh in the cleft**

**Organophosphates:**
**Echothiophate**
**Glaucoma**
**100 hours**
**well absorbed**
**as insecticides**

**Demecarium= treat Glaucoma**

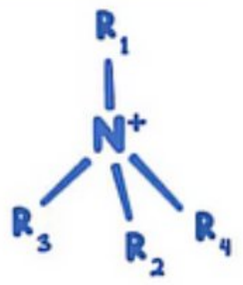
**ADDETIONAL AGONIST**

6.15 *The organophosphates produce irreversible inhibition of cholinesterase because:*
**A. They bind to an allosteric site of the enzyme resulting in unfavourable conformation of esteratic site to bind acetylcholine**
**B. Regeneration time of the phosphorylated enzyme is longer than the turnover time of the enzyme molecules**

* EDROPHONIUM, NEOSTIGMINE, PYRIDOSTIGMINE

**Myasthenia gravis 2h**

**long acting**




## EDROPHONIUM

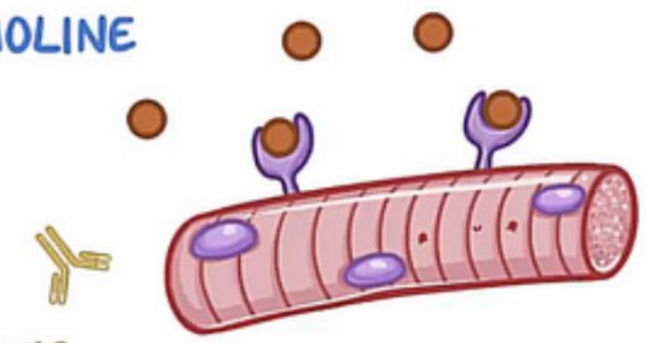
**5-10 min**

* SHORTEST ACTING
* DIAGNOSE MYASTHENIA GRAVIS
  ↳ EDROPHONIUM ↑ ACETYLCHOLINE
  ↳ VISIBLE IMPROVEMENT in MUSCLE STRENGTH

## PYRIDOSTIGMINE

**more toxic**

* LONGEST ACTING
* TREATMENT for MYASTHENIA GRAVIS



**Pralidoxime : "cholinesterase regenerator" drug for insecticide poisoning**


CROSS BBB

## DONEPEZIL
## tacrine

**long half-life**

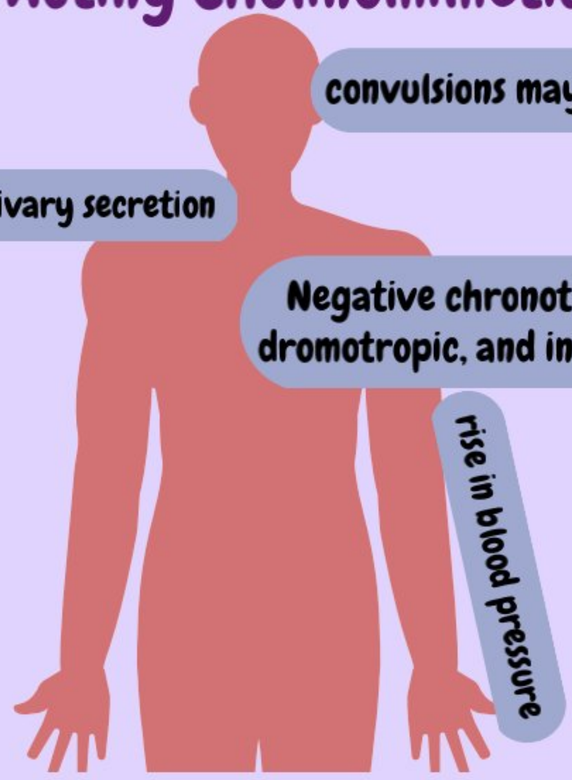
**hepatic toxicity**

**MODERATE**

ALZHEIMER'S DISEASE
↳↓ ACETYLCHOLINE
IMPROVEMENT in SYMPTOMS
↳ do NOT PROLONG SURVIVAL

**atropine is the treatment of their poisininng like Amanita muscaria**

## Indirect-Acting Cholinomimetics

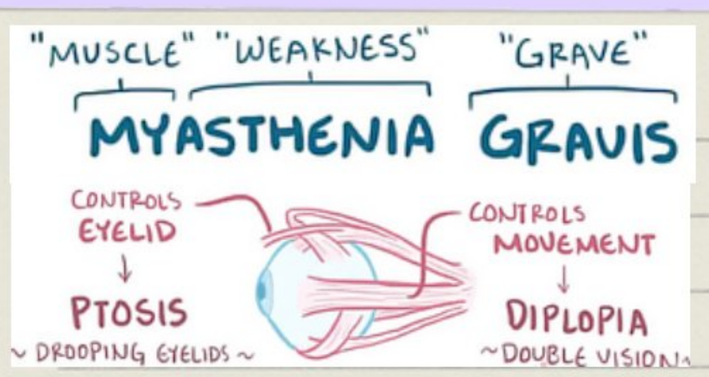



increase salivary secretion

radiation damage

**Pilocarpine & Cevimeline FOR :**

SJÖGREN SYNDROME
* IMMUNE SYSTEM DESTROYS GLANDS
* DRY EYES & MOUTH




**Edrophonium is used as a diagnostic test**

TREATMENT : **SHORT DURATION**

immunosuppressant cholinesterase inhibitors

paralysis : d-tubocurarine

8. A new direct-acting muscarinic agonist used for the treatment of dry mouth:

A) atropine .
B) Neostigmine.
C) Cevimeline

الجواب اخر الصفحة الي قبل

10. ..... is an anticholinesterase used for the treatment of mild to moderate Alzheimer's disease.

A)Tacrine.
B) Donepezil.
C)pyridostigmine.

11. The drug that use for Myasthenia diagnosis:

A)Neostigmine .
B)Pyridostigmine.
C)Ambenonium.
D)Edrophonium.

الجواب اخر الصفحة الي قبل

**6.21** *Which of the following is a relatively cerebroselective anticholinesterase found to afford symptomatic improvement in Alzheimer's disease:*

**A. Donepezil**
**B. Gemfibrozil**
**C. Pyridostigmine**
**D. Pyritinol** ***(p. 84-85, 439)***

**6.20** *Edrophonium is more suitable for differentiating myasthenic crisis from cholinergic crisis because of its:*

**A. Shorter duration of action**
**B. Longer duration of action**
**C. Direct action on muscle end-plate**
**D. Selective inhibition of true cholinesterase**

**6.19** *Pyridostigmine differs from neostigmine in that:*

**A. It is more potent orally**
**B. It is longer acting**
**C. It produces less muscarinic side effects**

**6.17** *Neostigmine is preferred over physostigmine for treating myasthenia gravis because:*

**A. It is better absorbed orally**
**B. It has longer duration of action**
**C. It has additional direct agonistic action on nicotinic receptors at the muscle end plate**
**D. It penetrates blood-brain barrier** ***(p. 84, 89)***

# ANTIMUSCARINIC DRUGS

ACETYLCHOLINE ✕ MUSCARINIC RECEPTOR
"REST & DIGEST"

sympathetic يعني بصير

**Atropine:**

tertiary agent, widely distributed, well absorbed ,
reach CNS within 30 minutes
decline parasym except the eye
2-13 hours to be excreted unchanged in urine
reversible blockade , work on all M
gastric cells is the least sensitive
REDUCE **tremor of Parkinson's disease .**
mydriasis Paralysis of the ciliary muscle
reduce lacrimal secretion (sandy eyes)
cause acute glaucoma
causes tachycardia with initial bradycardia(M1) ,
ventricles are less affected

TOXICITY =cutaneous vasodilation

TREATING bronchial asthma is limited because block of autoinhibitory M2

SIDE EFFECTS
* TACHYCARDIA
* CONSTIPATION
* URINARY RETENTION
* DRY
  ~ MOUTH
  ~ SKIN
  ~ EYES
* BLURRY VISION

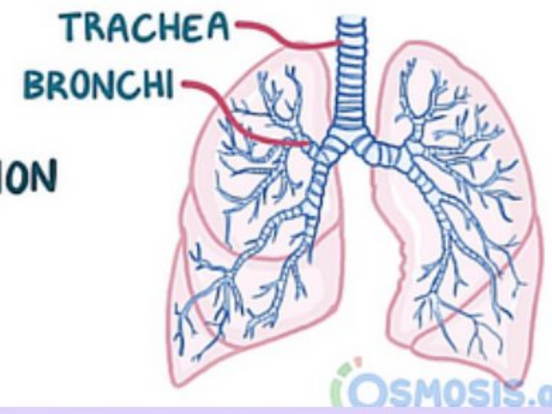
CONTRAINDICATED
* NARROW ANGLE GLAUCOMA
  ~ Worsens obstruction of aqueous humor drainage


MORE SELECTIVE

OXYBUTYNIN + Darifenacin longer life
└BLADDER
↳DECREASES DETRUSOR MUSCLE SPASMS
↳PREVENTS
* URGE INCONTINENCE
* INVOLUNTARY URINATION

botulinum toxin A reduce urinary incontinence for several months

IPRATROPIUM ~ SHORT ACTING
TIOTROPIUM ~ LONG ACTING
~ BRONCHODILATORS
└SMOOTH MUSCLE RELAXATION
└DILATION of BRONCHI
└RELIEVES
* COPD
* ASTHMA




stop atropine ! there is (dry mouth, reversal of miosis

atropine fever in children because atropine stop sweating

**Scopolamine:**

(hyoscine) is absorbed across the skin
fully distributed into the CNS producing drowsiness and amnesia
can cause excitement, agitation, hallucinations, and coma
**TREAT Motion Sickness**


Symptomatic treatment may require temperature control with cooling blankets and seizure control with diazepam.

## MUSCARINIC ANTAGONISTS

↳INHIBIT EFFECTS of ACETYLCHOLINE on MUSCARINIC RECEPTORS

└ATROPINE
* PUPIL DILATION
* BRADYCARDIA
* NOCTURNAL ENURESIS
* REVERSE ORGANOPHOSPHATE POISONING

└OXYBUTYNIN
* URGE INCONTINENCE

└IPRATROPIUM

└TIOTROPIUM
* COPD
* ASTHMA

└SCOPOLAMINE
* MOTION SICKNESS

└BENZTROPINE

└TRIHEXYPHENIDYL
* TREMORS in PARKINSON'S DISEASE

SIDE EFFECTS
* TACHYCARDIA
* CONSTIPATION
* URINARY RETENTION
* DRY
  ~ MOUTH
  ~ SKIN
  ~ EYES
* BLURRY VISION
* HYPERTHERMIA
* CONFUSION

CONTRAINDICATION
* NARROW ANGLE GLAUCOM

Pirenzepine and telenzepine : Reduce gastric acid secretion with fewer adverse effects than atropine
control diarrhea + emptying GI

Parkinson's Disease

Trihexyphenidyl, benztropine are useful treatment .

(1) dry mouth, sandy eyes, hallucinations, tachycardia, cycloplegia are signs of poisoning with which of the following drugs?
(A) Atropine
(B) Cocaine
(C) parathion
(D) malathion

4. .....Used in chronic obstructive pulmonary disease once daily.

A)Scopolamine
B)Ipratropium
C)tiotropium

5. Which of the following is not from the adverse effect of atropine?

A)hot and flushed skin
B)orthostatic hypotension.
C)block of all parasympathetic functions.
D)dry mouth.
E) mydriasis, tachycardia.

9.....Has greater selectivity for M3 receptors , used in adults with urinary incontinence.

A) Darifenacin.
B) Oxybutynin.
C) Atropine.

**6.16** *Out of two anticholinesterases, drug 'X' is a tertiary amine while drug 'Y' is a quarternary ammonium compound. Then:*

**A. Drug 'X' is likely to be more potent than 'Y'**
**B. Drug 'X' will be more suitable to be used as a miotic**
**C. Drug 'Y' will be completely metabolized in the body**
**D. Drug 'Y' will produce CNS effects** ***(p. 84)***

15.Initial bradycardia then tachycardia by atropine is due to?

A)M1 postganglionic blocking.
B) stimulating of Beta 1 receptor.
C) using alpha 1 agonist.
D) using alpha antagonist.

19.A drug used to treat motion sickness:

A) atropine .
B) Homatropine
C) scopolamine.
D) )Cyclopentolate.
E) Tropicamide.

29.the systemic use of which of the following agents is not contraindicated in asthmatic patients ?

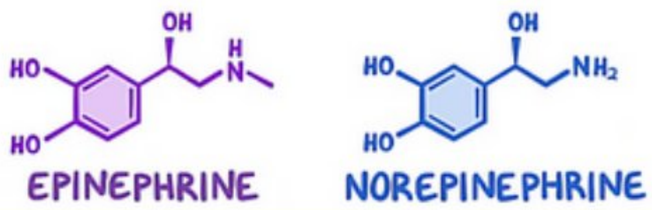
a) Physostigmine .
b) Bethanecol .
c) Propanolol.
d) Atropine.
e) Labetalol .

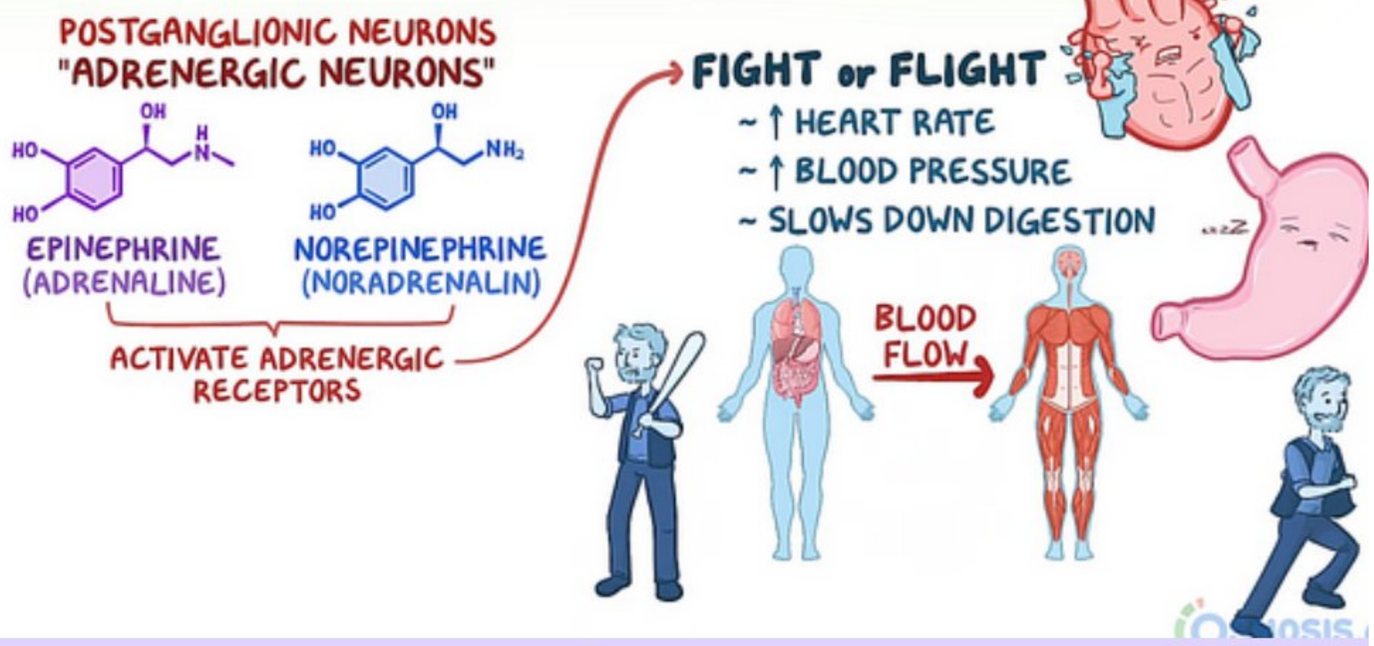
# SYMPATHOMIMETIC DRUGS

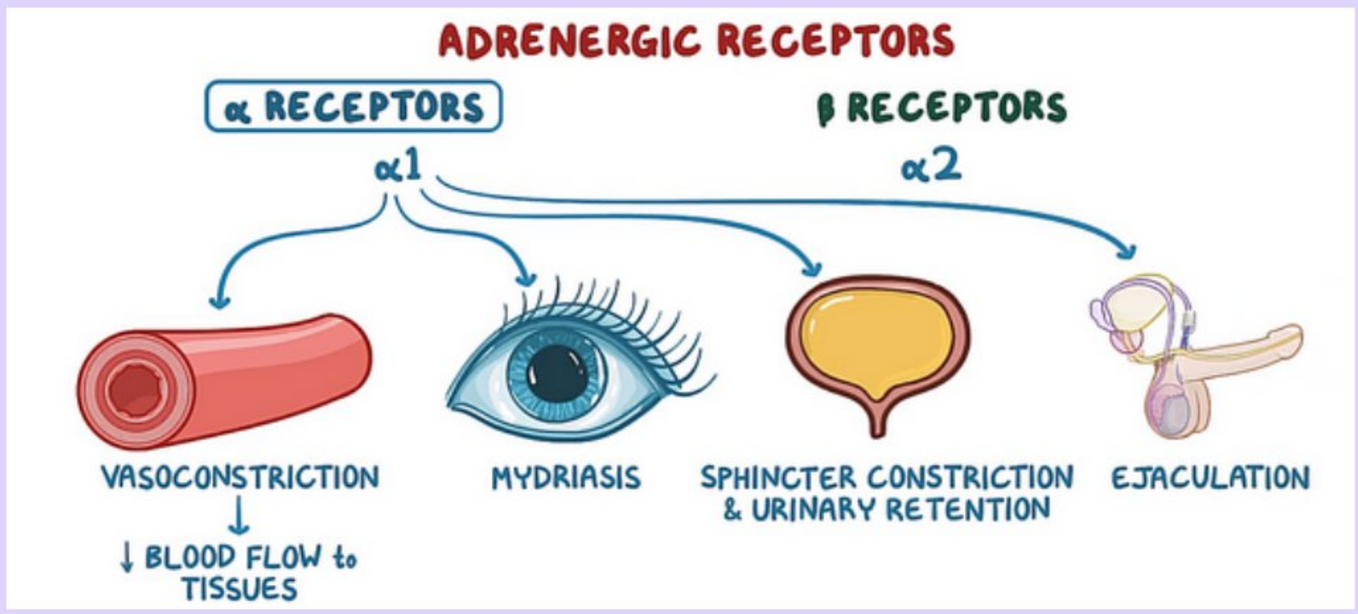
α-AGONISTS β-AGONISTS

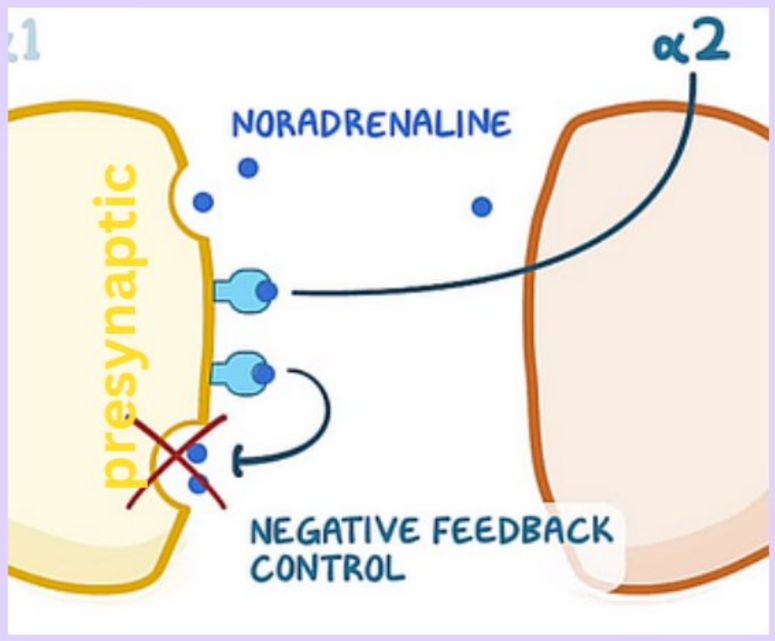
## ADRENERGIC MEDICATIONS

* STIMULATE RESPECTIVE RECEPTORS *
* MIMIC EFFECT of ENDOGENOUS CATECHOLAMINES *

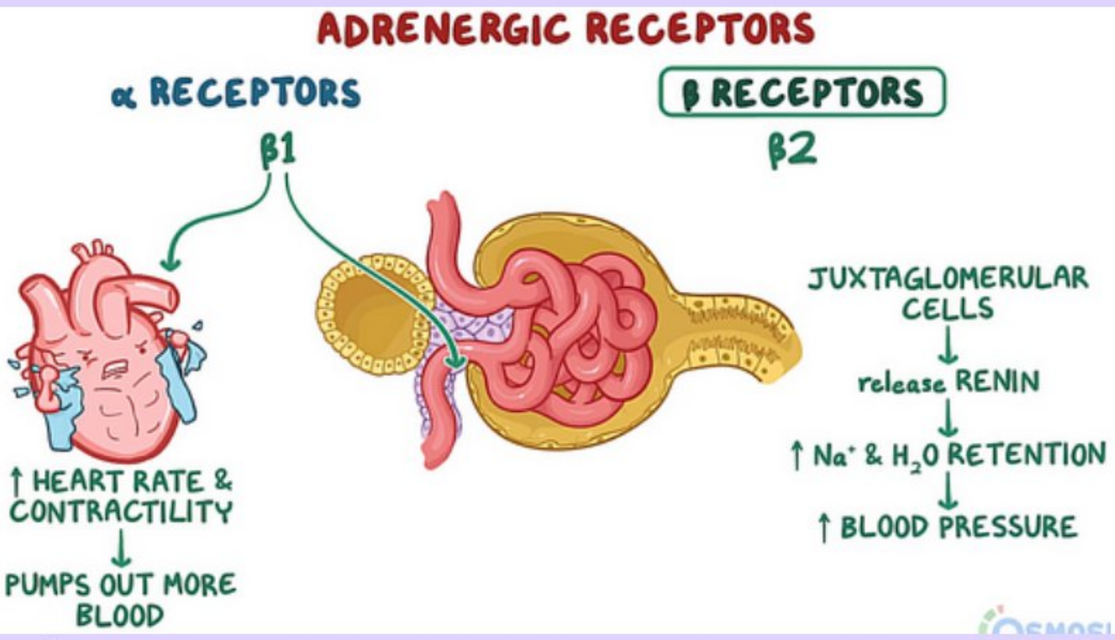


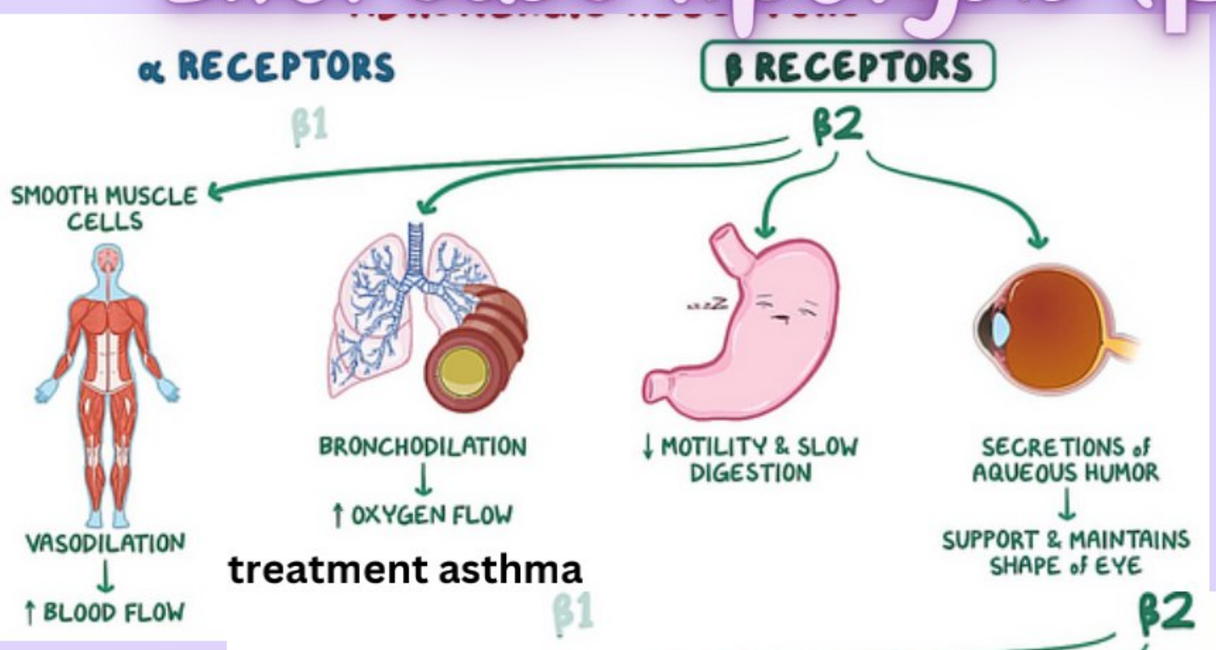




## Increase lipolysis (β 3)

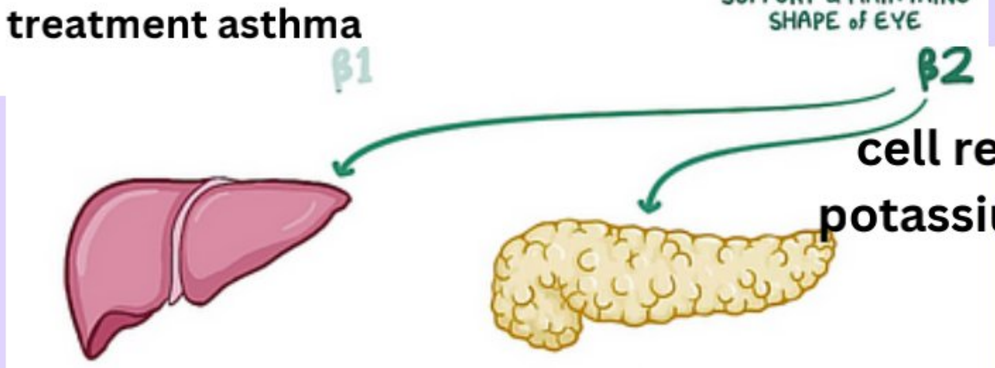


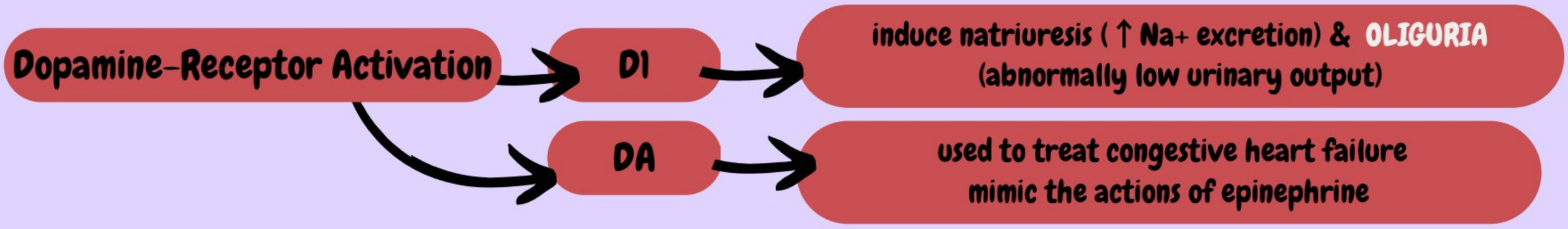

treatment asthma

β1 receptors in the heart increases cardiac output and to reduce the first hypotensive effect we give [trimethaphan]

amphetamines produce CNS effects like :
improved attention
euphoria anorexia
elevation of mood




cell reuptake potassium (β 2 )



(6) Which one of the following drugs is used to improve perfusion to the kidney in situations of oliguria ?
(A) epinephrine
(B) dobutamin
(C) dopamine
(D) norepinephrine

Norepinephrine (stimulates/inhibits) _____ the release of glucose from energy stores.

Norepinephrine (increases/decreases) _____ blood flow to skeletal muscle.

Beta (1/2/3) ----- adrenergic receptors increase contractility in the ventricular muscle of the heart.

Select 1 correct answer

A 2

B 3

C 1

Beta (1/2/3) ------- adrenergic receptors are present on adipose tissue, where they stimulate lipolysis by fat cells.

Select 1 correct answer

A 2

B 1

C 3

# SYMPATHOMIMETICS: DIRECT AGONISTS

**Alpha agonists**

| | |
|---|---|
| Phenylephrine, methoxamine | α 1 >α 2 >>>>> β |
| Clonidine, methylnorepinephrine | α 2 > α 1 >>>>> β |

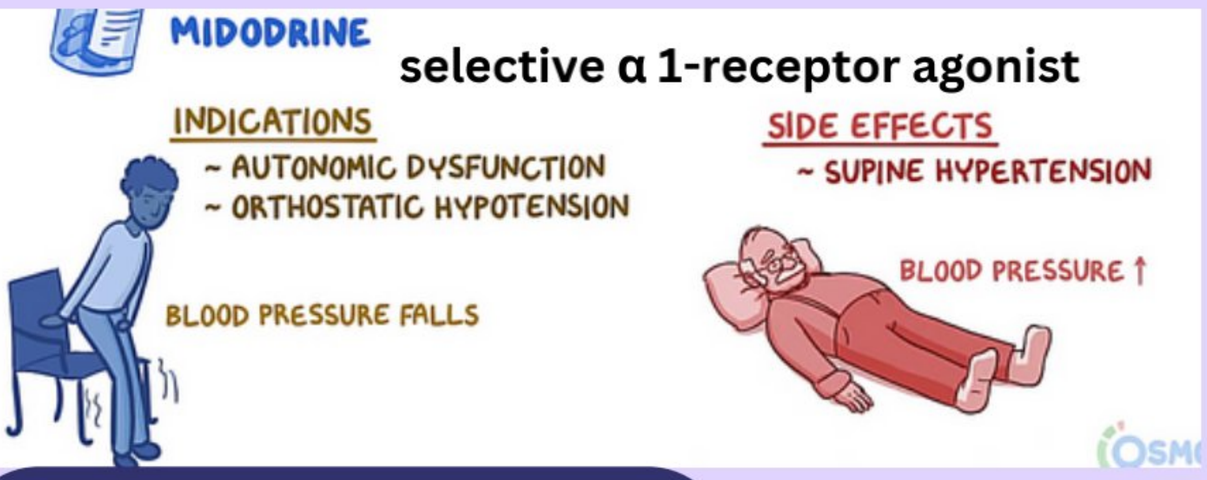
**Mixed alpha and beta agonists**

| | |
|---|---|
| Norepinephrine | α 1 = α 2; β1 >> β2 |
| Epinephrine | α 1 = α 2; β1 = β 2 |

**Beta agonists**

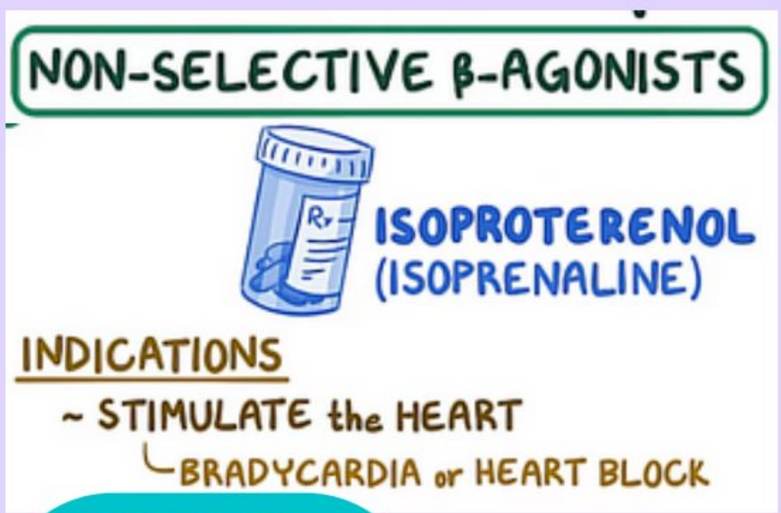
| | |
|---|---|
| Dobutamine | β 1 > β 2 >>>> α |
| Isoproterenol | β1 = β 2 >>>> α |
| Albuterol (Salbutamol), terbutaline,, ritodrine | β 2 >> β 1 >>>> α |

**NE and direct-acting α agonists are used in a hypotensive emergency to preserve cerebral and coronary blood flow FOR short duration**

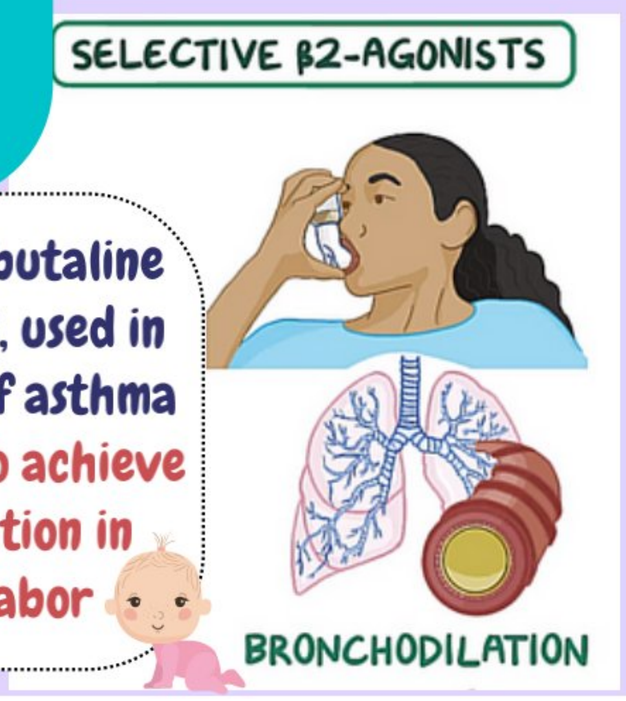



**Methoxamine :**
**A1 , Causes a prolonged increase in BP**

**Oxymetazoline :**
**Direct-acting α1 agonist**
**topical decongestant**
**central clonidine -like effect**




**Dobutamine :SELECTIVE B1 positive inotropic action**
**B1 (A1 +\ -)**
**cardic shock**




**Salbutamol, terbutaline Bronchodilators, used in the treatment of asthma**
**Ritodrine Used to achieve uterine relaxation in premature labor**

## TO TREAT

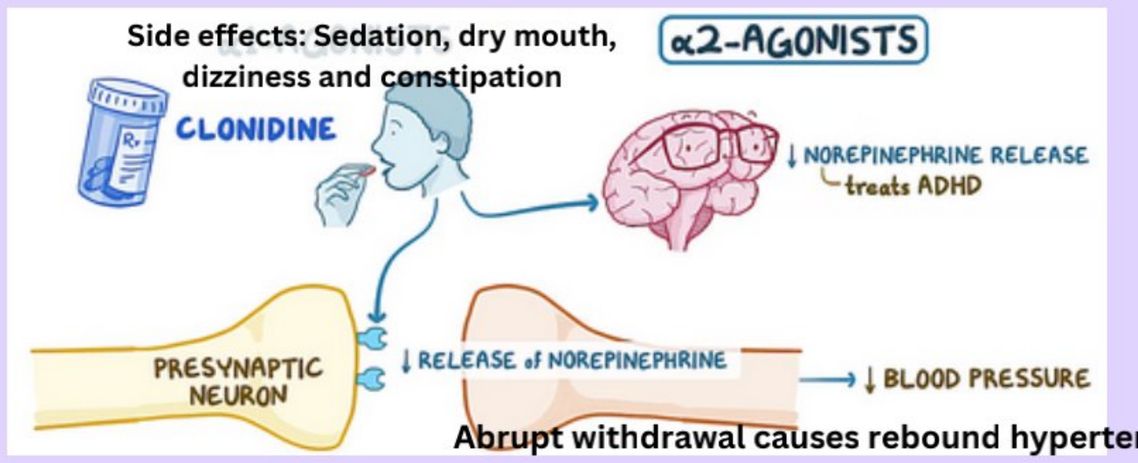
## Anaphylaxis

**Epinephrine is effective because:**

1- β1 increases cardiac output.
2- β2 relaxes constricted bronchioles.
3- α1 constricts capillaries.

**Glucocorticoids and antihistamines AS secondary therapy**

dopamine deficiency in the basal ganglia leads to Parkinson's disease, which is treated with its precurso levodopa




**Abrupt withdrawal causes rebound hypertension**

**Guanfacine : A2**
**treatment of attentiondeficit/hyperactivity disorder (ADHD) and Prader-Willi syndrome (PWS) which is 15 aggression and self-injurious behavior**

**Methyldopa :**
**activation of presynaptic α2 receptors in the brainstem which reduce sympathetic outflow**
**pregnancy**

**Dexmedetomidine :**
**α 2-selective agonist used for sedation**
**also reduces the requirements for opioids in pain control**

**Indirect-Acting Sympathomimetics**

**amphetamine-like or "displacers"**

**inhibit the NE reuptake**

**Atomoxetine : ADHD**

**Modafinil**
**A psychostimulant**
**ADHD + wakefulness in narcolepsy**

**effects on mood and alertness and a depressant effect on appetite**

**Methylphenidate : ADHD**

**Tyramine : CHEESE**

**Mixed-Acting Sympathomimetics**

**Pseudoephedrine : DECONGESTION AVAILABLE**

**Ephedrine : Chinese medicine , of asthma, hay fever & the common cold**
**treatment of stress incontinence (loss of small amounts of urine)**

(3) Which of the following drugs is an a-agonist used for sedation of intubated patients ?
(A) Dexmedetomidine
(B) Guanfacine
(C) Methyldopa
(D) Oxymetazoline

(4) which one of the following drugs is used mainly for cardiac shock?
(A) Isoproterenol
(B) Phentolamine
(C) Yohimbine
(D) Dobutamine

(7) Which one of the following drugs is used to treat cardiac block ?
(A) albuterol
(B) isoproterenol
(C) methoxamine
(D) oxymetazoline

(5) which one of the following drugs is a beta agonist drug used to suppress premature labor ?
(A) salbutamol
(B) Isoproterenol
(C) ritodrine
(D) Amphetamine

(6) Which one of the following drugs is a psychostimulant that increases interstitial norepinephrine and dopamine , while decreasing (GABA) levels ? NARCOLEPSY
(A) Methylphenidate
(B) Modafinil
(C) Amphetamine
(D) Atropine

(8) one of the following drugs decreases the intraocular pressure :
(A) Atropine
(B) Apraclonidine

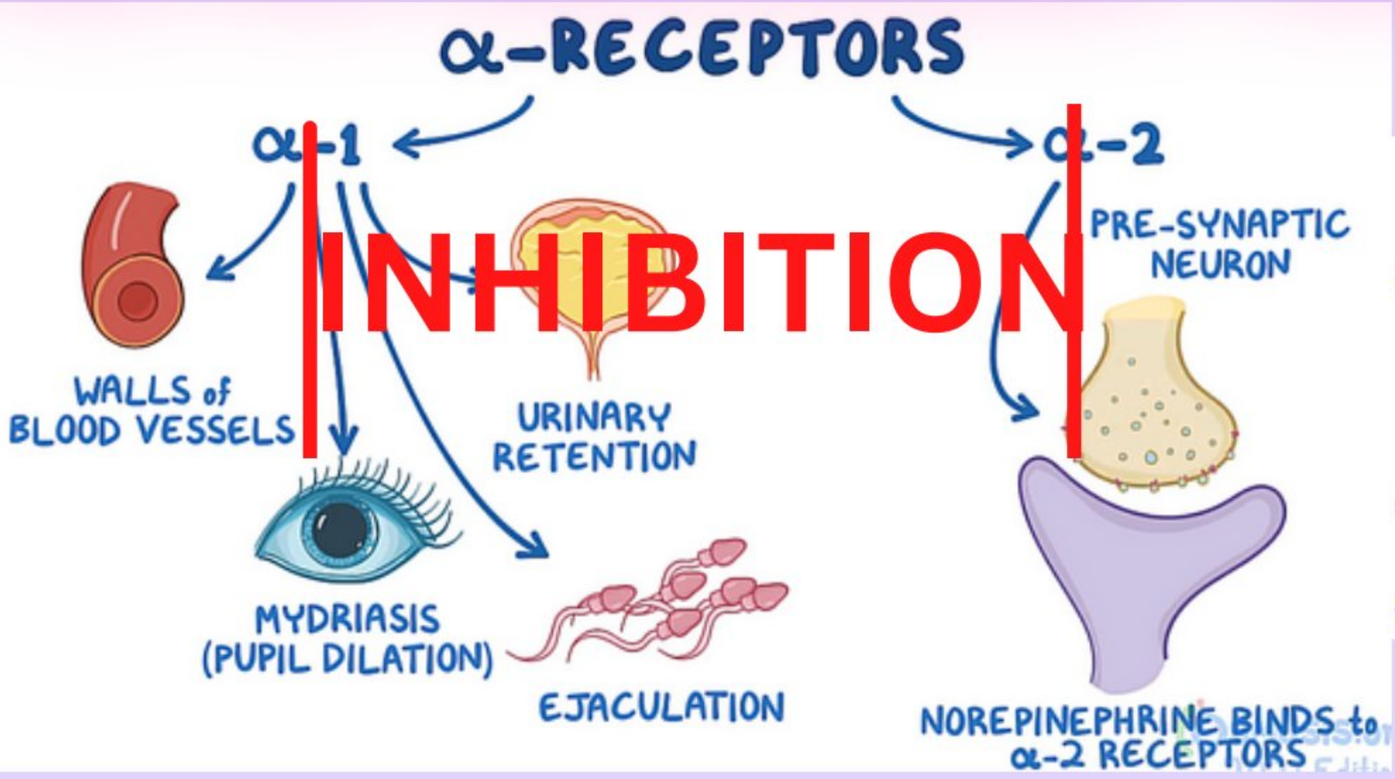
(4) which of the following is common between epinephrine and norepinephrine:
(A) both have the same effect on β 2 receptors
(B) both increase diastolic and systolic blood pressure
(C) both increase systolic blood pressure
(D) both increase diastolic blood pressure

(5) When taken in large doses, one of the following vasoconstricor drugs, may cause hypotension, because of a central clonidine -like effect. The drug is:
A. Methoxamine
B. Guanfacine
C. Oxymetazoline
D. Phenylephrine
E. Pseudoephedrine

1. Which of these drug used for treatment Nasal decongestant and Available over the counter?
A)Pseudoephedrine
B) phenylphrine .
C) Guanfacine.
D) methoxamine.

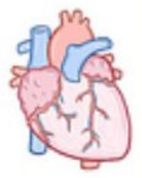
Phenylephrine is a(n) (alpha-1/alpha-2)_____ receptor selective adrenergic agonist.

# ALPHA ADRENOCEPTOR ANTAGONISTS




## α-1 RECEPTOR INHIBITORS

* VASODILATION
  - HYPOTENSION
  - HEADACHE
  - NASAL CONGESTION
  - DIFFICULTY in EJACULATION
* BODY COMPENSATES BY INCREASING HEART RATE
* ALSO INHIBIT α-2 RECEPTORS



## α-BLOCKERS

### NON-SELECTIVE

* BOTH α-1 & α-2 ADRENERGIC RECEPTORS

**PHENOXYBENZAMINE** — 14-48 h

- IRREVERSIBLE, NON-COMPETITIVE ANTAGONIST
- CANNOT be OVERCOME
- TREATMENT of PHEOCHROMOCYTOMA

upright posture

Absorbed poorly

**PHENTOLAMINE**

- REVERSIBLE, COMPETITIVE ANTAGONIST
- PHEOCHROMOCYTOMA
- HYPERTENSIVE CRISIS

REVERSE SOFT TISSUE

ANASTHASIA

Raynaud's phenomenon

Prazosin :
no or little tachycardia
SYNCOPE ,only 50% is available after oral = 3h
Antihypertensive ,Benign prostatic hyperplasia

## SELECTIVE α-BLOCKERS

α-1

end in "-osin"

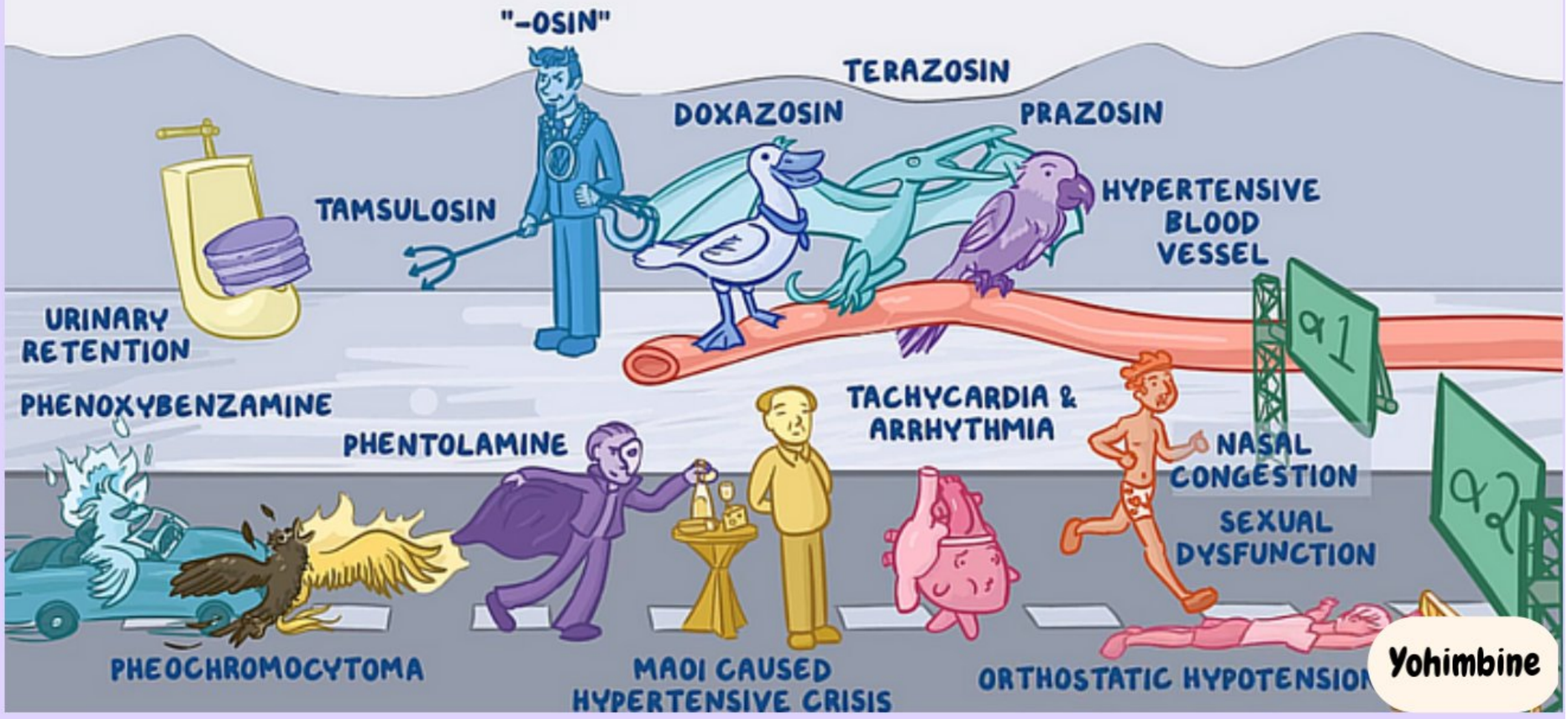
* PRAZOSIN
* TERAZOSIN
* DOXAZOSIN
* TAMSULOSIN

VASODILATION → USED IN CASES OF HYPERTENSION

- RISK OF HYPOTENSION & TACHYCARDIA
- NEGATIVE FEEDBACK of α-2 RECEPTORS
- HEADACHE, NASAL CONGESTION, & DIFFICULT EJACULATION

preferred in patients who have orthostatic hypotension , it has no effect on heart

Yohimbine :
α 2-selective antagonist
· Increases ADH release
aphrodisiac
treatment of orthostatic hypotension
improve male erectile dysfunction



(9) Which one of the following drugs may cause severe tachycardia and arrhythmia (as side effects)?
(A) atenolol
(B) propranolol
(C) yohimbine
(D) phentolamine

(5) which one of the following is less marked for prazosin than phentolamine?
(A) selectivity of  for the postsynaptic alpha-receptor
(B) effectiveness in treating Orthostatic hypotension
(C) reflex tachycardia
(D) duration of action

24. first dose syncope is a characteristic side effect for which of the following agents ?

a) Clonidine.
b) Prazosin.
c) Pindolol.
d) Dopamine.
e) Propanolol.

26. which of the following is used to manage pheochromocytoma symptoms ?

a) Phenylephrine.
b) Phenoxybenzamine.
c) Dopamine.
d) Noradrenaline.
e) Salbutamol .

32. which of the following can be used reverse soft tissue anesthesia ?

a) Tubocurarine .
b) Phentolamine.
c) Phenylephrine.
d) Dopamine .
e) Propanolol.

During your assessment of a patient taking Selective Alpha-1 Blockers which of the following side effects is most likely to be seen?

- Orthostatic Hypotension
- Pulmonary Edema
- CHF and Hypertension
- Decreased Pulmonary Function Tests

Which prefix or suffix is best associated with Selective Alpha-1 Blockers?

- -Pril Suffix
- -gliptin Suffix
- "-pril" Suffix
- "-osin" suffix
- -statin suffix
- -sartan suffix

During your assessment of a patient taking Selective Alpha-1 Blockers which of the following side effects is most likely to be seen?

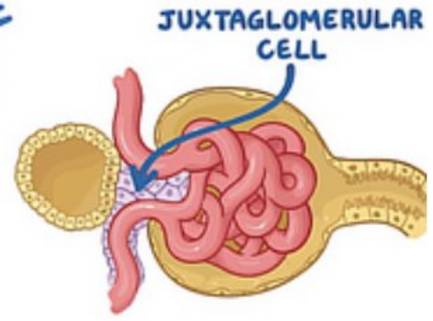
- CHF and Hypertension
- Pulmonary Artery Hypoplasia
- Pulmonary Edema
- Hypertension
- Syncope

# ADRENERGIC ANTAGONISTS: BETA BLOCKERS

## BLOCKING β-1 RECEPTORS

* DECREASES HEART RATE & CONTRACTILITY
  - DROP in OXYGEN & ENERGY DEMANDS
  - DROP BLOOD PRESSURE

* DECREASES RENIN RELEASE
  - DECREASES ANGIOTENSIN II & ALDOSTERONE
  - MORE SODIUM & WATER LOSS in the URINE
  - LOWERS BLOOD PRESSURE




## BLOCKING β-2 RECEPTORS

* CAUSES BRONCHOCONSTRICTION
  - OBSTRUCTS AIRFLOW & lets in less OXYGEN

* SPEEDS UP MOTILITY

* AQUEOUS HUMOR PRODUCTION DECREASES
  - INTRAOCULAR PRESSURE FALLS

* LESS GLUCOSE RELEASED
* PANCREAS RELEASES LESS GLUCAGON

## non-selective beta blockers

PROPRANOLOL
TIMOLOL — used topically to treat glaucoma
NADOLOL
SOTALOL — marked class III antiarrhythmic

## THYROID STORM

- SEVERE TACHYCARDIA
- LIFE THREATENING

**PROPRANOLOL**

- PREVENT MIGRAINES

PROVOKE ANGINA

## SEVERE ANXIETY

treatment of alcohol withdrawal and "stage fright"

inhibition of peripheral conversion of thyroxine to triiodothyronine

## β-BLOCKERS

### β-1 SELECTIVE or CARDIOSELECTIVE

ATENOLOL
METOPROLOL
BISOPROLOL

### ESMOLOL
### Nebivolol

**Metoprolol :**
High lipid solubility.
Less likely to worsen asthma
treat angina and hypertension
prevent Myocardial Infarction (AMI) without bradycardia

**metoprolol, bisoprolol, and carvedilol** are effective in reducing mortality in selected patients with **chronic** heart failure = myocardial remodeling and decrease the risk of sudden death

**Esmolol :**
SAFER , SHORTER
controlling supraventricular arrhythmias, arrhythmias associated with thyrotoxicosis and myocardial ischemia in acutely ill patients

**Bisoprolol :**
treat hypertension, coronary heart disease, arrhythmias

**Nebivolol :**
The most highly selective β 1 blocker.
Antioxidant
LEAST EFFECT ON CARBS

β Blockers with partial β-agonist activity:

Pindolol = accelerates the antidepressant effect of selective serotonin reuptake inhibitors

Celiprolol B2

Acebutolol

Drugs that block both alpha and beta receptors

Labetalol

Carvedilol

(8) Which one of the following is a nonspecific beta blocker ?
(A) bisoprolol
(B) Nebivolol
(C) propranolol
(D) Esmolol

(9) Which one of the following is wrong about carvedilol ?
(A) have combined α- and β-blocking actions
(B) used to treat Hypertension
(C) used to treat acute heart failure
(D) can be given orally

(10) one of the following is not a pharmaceutical action of beta blockers :
(A) bronchodilation
(B) decreasing cardiac output
(C) decreasing contractility
(D) local anesthetic action

(11) Which one of the following drugs is an ultra short acting beta blocker ?
(A) Esmolol
(B) Bisoprolol
(C) Nepivolol
(D) Metoprolol

(6) A nonselective beta blocker/alpha-1 blocker and a calcium channel blocker, is:
A. Labetalol
B. Carvedilol
C. Nebivolol
D. Bisoprolol
E. Metoprolol

(9) Which one of the following drugs has been used extensively in patients with thyroid storm ?
A.Timolol
B.Propranolol
C.Mecamylamin

(12) The beta blocker with the least effect on carbohydrate metabolism, is:
A. Sotalol
B. Timolol
C. Propranolol
D. Nebivolol

(13) The beta blocker that is not expected to cause an acute increase in total peripheral resistance is:
A. Propranolol
B. Atenolol
C. Labetalol
D. Bisoprolol

27. provoke angina attack can result from rapid withdrawal which of the following agents ?
a) Clonidine.
b) Prazosin.
c) Dopamine.
d) Prpanolol.
e) Phenylephrine.

## Clinical Toxicity of the Beta-Receptor Antagonist Drugs

**Bradycardia is the most common adverse effect.**
mild sedation, vivid dreams, and rarely, depression
worsening of preexisting asthma
adverse effects may even arise in susceptible patients taking a topical β blocker and oral verapamil
β antagonists + insulin-dependent diabetic patients who are subject to frequent hypoglycemic reactions = ✗
Beta1-selective antagonists + nsulin-dependent diabetic patients who are subject to frequent hypoglycemic reactions = ✓

# Ganglion-Blocking Drugs

**Drugs now used as ganglion blockers are classified as nondepolarizing competitive antagonists.**

**Tetraethylammonium (TEA) First ganglion blocker, very short duration of action.**
**Hexamethonium ("C6") The first drug effective for hypertension.**
**Decamethonium, "C10" analog of hexamethonium, is a depolarizing neuromuscular blocker.**
**Mecamylamine A secondary amine, developed to improve absorption from the GIT because the quaternary amine were poorly absorbed after oral administration.**
**Trimethaphan A short-acting ganglion blocker, is inactive orally & is given by intravenous infusion.**


**Trimethaphan** = the treatment of hypertensive emergencies and in producing hypotension

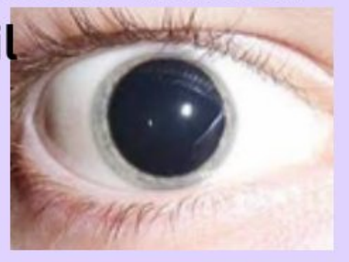
**Hexamethonium**
**Decamethonium**

**Tetraethylammonium**

**Mecamylamine A**
poorly absorbed , empty GIT
enters the CNS causing Sedation, tremor, choreiform movements, and mental abnormalities.

BP may fall
Orthostatic or postural hypotension, diminished contractility and a moderate tachycardia
urinary retention in men with prostatic hyperplasia
impaired in that both erection and ejaculation
Sweating is reduced

**Cycloplegia with loss of accommodation & moderate dilation of the pupil**



(11) Which one of the following drugs is a ganglionic blocker that was developed to improve absorption from the GIT ?
(A) Tetraethylammonium
(B) Hexamethonium
(C) Mecamylamine
(D) Trimethaphan

(11) which one of the following is Occasionally used in the treatment ofhypertensive emergencies and in producing hypotension in neurosurgery to reduce bleeding in the operative field :
A.Tetraethylammonium
B. Mecamylamine
C. Trimethaphan
D. Decamethonium

not an effect of Ganglion-Blocking Drugs ?

Select 1 correct answer

| | | |
|---|---|---|
| A Cycloplegia with loss of accommodation & moderate dilation of the pupil | B Orthostatic or postural hypotension, diminished contractility and a moderate tachycardia | C Sexual function is impaired in that both erection and ejaculation |
| D Sedation, tremor, choreiform movements, and mental abnormalities. | E Secretion & Motility are profoundly inhibited | F all are effects of it |




당신이 필요하지 않기를 바랍니다