

7 Pharmacokinetics :-

8 • Absorption :- The natural alkaloids and most
9 tertiary antimuscarinic drugs are
10 well absorbed from the gut and conjunctival
membranes - some even over the ~~skin~~ skin
(scopolamine) - penetrates cornea freely

11 • Distribution :- Atropine and the other tertiary
12 agents are widely distributed in the
body - scopolamine is rapidly and fully
13 distributed into the central nervous system
where it has greater effects than most other
14 antimuscarinic drugs.

15 • Metabolism :- Atropine is metabolized in
liver by conjugation and 60%
16 excretes unchanged in urine. Effects disappear
quickly within 2 hrs except eyes.

Notes

ملاحظات

٢٧ ربيع الثاني ١٤٣٦ هـ 27 Rabia II 1436H

Mechanism of Action :->

- Act by occupying receptor sites at parasympathetic nerve endings, thereby leaving few receptors sites free to respond to acetylcholine.
- Distribution of receptors is broad so effects of anticholinergics will be diffuse.
- Atropine causes reversible blockade of cholinergic actions at ~~the~~ muscarinic receptors - blockade by a small dose of atropine can be overcome by a larger concentration of acetylcholine or equivalent muscarinic agonist.
- Atropine is highly selective for muscarinic receptors, does not distinguish between the M_1 , M_2 and M_3
- Some ~~quaternary~~ quaternary amines antimuscarinic agents have significant ganglionic blocking actions.

WEEK 08

02

Notes

ملاحظات

7 ←: PHARMACOLOGICAL ACTIONS →

8 ATROPINE :-

9 Central Nervous System

- 10 • Overall CNS stimulant
- 11 • Atropine has only peripheral effects and minimal stimulant effect on CNS.
- 12 • Amnesia and drowsiness.
- 13 • Depresses vestibular excitation
- Antimotion sickness property
- Block tremor, rigidity

14 Cardiovascular system

- 15 • Moderate and high doses: Tachycardia
- 16 • Blockade of M₂ receptor on SA node (vagal tone decreases HR)
- 17 • More bradycardia - in young & adults
- Higher AV conduction rate (reduced PR interval in ECG)

18 BP :->

Notes

- Parasympathetic impulses are not involved in maintenance of vascular tone.
- Histamine release cause direct vasodilation
- No marked effect on BP.

Eye :-

- Mydriasis
- Topical atropine and other tertiary antimuscarinic drug - results in ~~an~~ unopposed sympathetic dilator activity and mydriasis.
- Cycloplegia: desirable in ophthalmology.
- Photophobia and blurring of near vision.
- Relaxation - mediated by M₃ blockade.

WEEK 08

02

Respiratory :->

- Bronchodilatation
- Also antagonizes Histamines, PG, leukotrienes etc

Urinary :->

- Relaxation of ureter and bladder
- BHP
- Sometimes useful in neurogenic bladder/enuresis.
- Decrease salivary, sweat, tracheobronchial tree and lacrimal secretion.
- Dryness of mouth, dry skin and conjunctiva and difficulty in swallowing.
- Decrease acid pepsin and mucus secretion.
- No effect on intestinal and pancreatic secretion.
- No effect on bile production.

ملاحظات

Notes

Temperature :->

- Increase - decrease sweating + stimulation of temperature regulating centre in hypothalamus.
- Local anaesthetic action: on cornea

Clinical Indications :-

- Uses include GI, GU, ophthalmic and respiratory disorders, bradycardia and in Parkinson disease.
- ~~Used~~ Used preoperatively

Use in GI disorders :-

- Helpful in treating irritable colon or colitis.
- Useful in gastritis, pylorospasm and ulcerative colitis as they slow motility

Use in GU disorders :-

- Antispasmodic effects seen in overactive bladder and in urinary incontinence.

Ophthalmological Use :->

- Mydriatic and cycloplegia for examinations and surgery.

Respiratory Uses :->

- In bronchospasm whether related to asthma or COPD.
- Atrovent very ~~so~~ useful in bronchodilating effects.

Notes Cardiological Uses :->

- Atropine is used to increase heart rate in symptomatic bradycardia and higher blocks.

1 Jumada I 1436H ١ جمادى الأولى ١٤٣٦ هـ

Parkinsons Disease :-

- Useful in those with minimal side-effects.
- Those who cannot take levodopa.
- Helpful in decreasing salivation, spasticity and tremors.

WEEK 08

02

Preoperative Uses :->

- Help prevent vagal stimulation and potential bradycardia.
- Reduce respiratory ~~and~~ secretions as well.

52-313

Adverse Effects :->

- Dry skin, dry mouth
- Mydriasis, blurred vision
- Urinary retention ^{السبب}
- Constipation
- Abdominal pain
- Tachycardia
- Dizziness
- Drowsiness
- Nausea
- Confusion, delirium
- Hyperthermia
- Thirst
- Chronic use may increase risk of dementia.

SATURDAY

21

2 Jumada I 1436H ٢ جمادى الأولى ١٤٣٦ هـ

Notes

ملاحظات

SYMPATHOMIMETIC DRUGS :-

- 8 = Sympathomimetic drugs (also known as adrenergic drugs, adrenergic amines, adrenomimetic drugs) are stimulant compounds which mimic the effects of endogenous agonists of the sympathetic nervous system.
- 9 = The primary endogenous agonists of the sympathetic nervous system are the catecholamines (i.e. epinephrine [adrenaline], norepinephrine [noradrenaline] and dopamine) which functions as both neurotransmitter and hormones.
- 10 = Sympathomimetic drugs are used to treat cardiac arrest and low blood pressure or even delay premature labor, among other things.
- 11 = These drugs can act through several mechanisms such as directly acting postsynaptic receptors, blocking breakdown and reuptake of certain neurotransmitters, or stimulating production and release of catecholamines.

Classification of Sympathomimetic agents

- Notes
1. Direct Acting.
 2. Indirect Acting
 3. Mixed Acting

Sympathomimetic Agent



Direct Acting

- Nos-epinephrine
- Epinephrine
- Phenylephrine
- Dopamine
- Methyldopa
- Salbutamol

Indirect Acting

- Hydroxyamphetamine
- Pseudoephedrine
- Propylhexedrine

Mixed Acting

- Ephedrine
- Meta-araminol

WEEK 09

02

Notes

ملاحظات