

Cholinergic system

Part 3

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Msc pharmacology

Anticholinergic drugs

They are divided into:

1. antimuscarinic drugs(atropine and atropine related drugs):

act principally at postganglionic cholinergic (parasympathetic) nerve endings

2. Antinicotinic drugs:

a) ganglion-blocking drugs

b) neuromuscular blocking drugs

Antimuscarinic drugs(parasympatholytic drugs)

1)Atropine (belladonna alkaloid)

Is the prototype of this group, is an alkaloid from the deadly night shade *Atropa belladonna*.

Mechanism of action

at therapeutic doses, It **competitively & selectively blocks muscarinic receptors**, but in very high doses, it produces **some blockade of nicotinic receptors as well**.



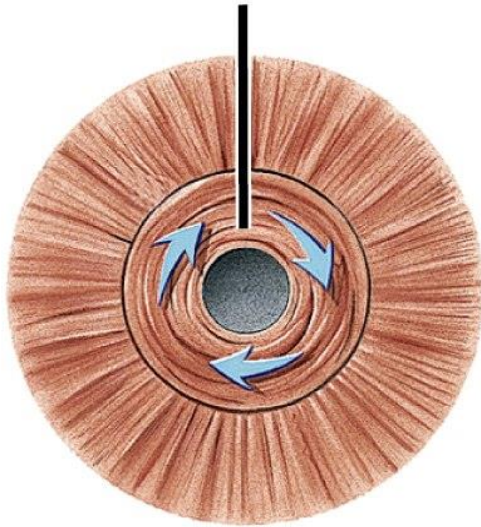
Pharmacological effects of atropine

Atropine antagonize the action of Ach at muscarinic receptors

1- Eye

- Relaxation of circular muscles of iris-**mydriasis**
- Paralysis of ciliary muscles (cycloplegia)--**accommodation for far vision**
- Increase IOP
- Decrease lacrimation---dry eye

**Pupil constricts as
circular muscles
of iris contract
(parasympathetic)**



Bright light

Pupil



Normal light

**Pupil dilates as
radial muscles of iris
contract (sympathetic)**



Dim light

Anterior views

2- Bronchi- bronchodilation & decrease bronchial secretion (viscid bronchial secretion, removal of secretions by cough & ciliary action is rendered less effective.)

3- GIT

1. decreases tone & peristalsis in GIT

...constipation

**2. Decrease exocrine secretions of salivary gland—
dry mouth**

**Gastric acid secretion is reduced as well as the
volume of gastric secretion, so PH is little altered.**

4.CVS

- Reduces vagal tone---**tachycardia** & enhanced conduction in bundle of His
- Has no significant effect on peripheral blood vessels in therapeutic doses but in **overdose causes marked vasodilatation.**

5. GUT

- **Relaxation** of detrusor muscle with **contraction** of bladder neck and sphincter---**decrease** micturition
- **May cause urine retention especially in elderly male with benign prostatic hypertrophy BPH**

6.CNS

- causes mild **CNS stimulation** at therapeutic doses
- in **toxic doses** it causes **hallucination & delirium**
- **extremely high doses** result in **coma, respiratory arrest & death.**

7. Exocrine glands

All secretions are **decreased** except milk secretion

Sweating is inhibited (anhidrosis)—dry hot skin

Atropine adverse effects (anticholinergic, antimuscarinic side effects)

- *dry mouth, dry eye, anhidrosis(deficiency or absence of sweat) & hyperthermia**
- * blurred vision, photophobia, increased IOP**
- *urinary hesitancy or urinary retention**
- *constipation**
- *thickening & drying of bronchial secretions resulting in bronchial plugging.**

Clinical uses of atropine

- **In ophthalmology as mydriatic**
- **As pre-anesthetic medication**
- **For treatment of AV block and bradycardia**
- **In treatment of cholinergic poisoning**

Contraindications to atropine and its related drugs

- 1. Glaucoma**
- 2. Prostatic hypertrophy**
- 3. Patients with tachycardia**
- 4. Intestinal atony**

Atropine poisoning



Mad as a hatter
Altered mental status



Blind as a bat
Mydriasis
photophobia



Red as a beet
Flushed skin



Hot as a hare
Dry skin (anhydrosis)



Dry as a bone
Dry mucous membranes (Dry mouth, eye)

Treatment of atropine poisoning

1. minimizing absorption- by syrup of ipecac to induce vomiting, & activated charcoal to adsorb the poison within intestine

2. Antidote- physostigmine because it **crosses BBB.**

2)Hyoscine(scopolamine)

Is structurally close relative to atropine.

*The main difference:

a)is CNS depressant, causes confusion esp. in elderly

b)mydriasis is briefer than atropine

Used for suppression of emesis& motion sickness

3) Hyoscine N-butylbromide (Buscopan)

it is effective **relaxant of smooth muscles of GIT,**
so it is useful in colic & endoscopy.

4) Homatropine

Is used as eye drops

***its mydriatic action is shorter than atropine**

**Atropine mydriatic effect lasts for 7-14 days
while homatropine lasts for 1-2 days**

***so less likely to cause serious increased IOP**

5)Tropicamide & Cyclopentolate

- Are used as eye drops for mydriasis & cycloplegia
- They are **quicker & shorter acting than homatropine**
- Produce mydriasis within 10-20min
- Duration of action is 4-12h.

6) Ipratropium (Atrovent)

Is used as **inhaled bronchodilator** for acute asthma & chronic obstructive pulmonary disease

7) Flavoxate (Urispas)

Is used for **urinary frequency, tenesmus, urgency incontinence** because it increases bladder capacity & reduces unstable detrusor contractions.

8) Propantheline (Pro-Banthine)

Is used as **smooth muscle relaxant** e.g. in irritable bowel syndrome & diagnostic procedures.

9) Pirenzepine

Used in peptic ulcer

10) Benzhexol & Orphenadrine

Centrally acting anticholinergic drugs, used in **Parkinson's disease**

Clinical uses of antimuscarinic drugs

Anti-nicotinic drugs

1. Neuromuscular blocking agents
2. Ganglionic blocking agents, ex.
Mecamylamine

Block both sympathetic and parasympathetic ganglia

Rarely used nowadays, except in refractory cases of hypertension

Questions??

- **All the following are pharmacological effects of atropine except**
- **A. contraction of circular muscles of the iris**
- **B. relaxation of bladder**
- **C. Relaxation of bronchial smooth muscles**
- **D. increasing heart rate**
- **E. decreasing sweating**

- **What is atropine antidote?**
- **What are the contraindications of atropine?**

Thank You

The text "Thank You" is rendered in a highly decorative, cursive font. The letters are filled with a deep red color and outlined with a bright gold border. The text is embellished with clusters of vibrant red roses and green foliage. Two white doves are positioned around the text, one above the 'T' and another above the 'Y', both appearing to fly. The entire graphic is set against a white background, which is itself tilted and placed over a larger background of light blue water droplets.