



SNS COLLEGE OF PHARMACY AND HEALTH SCIENCES

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AUTONOMIC NERVOUS SYSTEM

ANTI CHOLINERGIC DRUGS

INTRODUCTION

Anticholinergic drugs/Parasympatholytic/Atropinic

1.Alkaloids		Atropine, Hyoscine
2.Semisynthetic drugs		Ipratropium bromide, Tiotropium bromide, Hyoscine butylbromide, Atropine methonitrate
3.Synthetic drugs	1.Mydriatics	Cyclopentolate, Tropicamide
	2.Antisecretory/Antispasmodics i.Quarternary compounds ii.Tertiary amines	Glycopyrrolate, Oxyphenonium Dicyclomine, Pirenzepine
	3.Vasoselective	Oxybutynin, Flavoxate
	4.AntiParkinsonian	Trihexphenidyl (Benzhexol), Procyclidine, Biperiden, Benztropine
4.Others		Tricyclic antidepressants, Phenothiazines, Antihistamines

- Drugs that block or inhibit the actions of acetylcholine (ACh) in the parasympathetic nervous system (PSNS)
- Also called cholinergic blocking agents or parasympatholytics
- Often referred to as anticholinergics or antimuscarinics

ATROPINE

Mechanism of Action

- Competitive antagonists
- Compete with acetylcholine
- Block acetylcholine at the muscarinic receptors in the PSNS
- Reversible blockade of acetylcholine at muscarinic receptors by competitive binding
- (reversal by increasing acetylcholine or agonist ----> decreased blockade)
- Once these drugs bind to receptors, they inhibit nerve transmission at these receptors.

ATROPINE PHARMACOLOGICAL ACTIONS

- A** Anhydrous = dryness-- of mouth
Anesthetic property-local
- T** Tachycardia--M2--↑ B.P, high doses--↓ B.P
Tremors ↓, rigidity ↓ → used in parkinsonism
- R** Respiratory depression at high dose, bronchodilation
- O** Ooze ↓ = reduced glandular secretion
- P** ↓ Peristalsis-constipation,
- I** ↓ Nausea= ↓ motion sickness by - of vestibular nuclei,
- N** medulary, vagal, vasomotor centers
Eye: mydriatics, cycloplegia--Photophobia,
- E** blurred near vision
urinary retention,
enuresis

MATIC



PHARMACOKINETICS

- *Absorbed* from the gut, parenteral sites and mucous membranes
- *Distribution*: widely distributed in the body
- *Metabolism*: in liver (conjugation)
- 60% *excretes* unchanged in urine
- Effects disappear quickly within 2 Hrs except eye
- *Crosses* placental barrier & secreted in milk & saliva

ADVERSE EFFECTS

- Due to extension of its pharma actions
 - Dryness of mouth, difficult swallowing
 - tachycardia
 - Fever
 - Constipation
 - Blurring of vision, ppts glaucoma (elderly)
 - Retention of urine (elderly)
 - Local allergy: dermatitis, conjunctivitis, swelling of eyelids
 - *Drugs causing synd of acute atropine intox: TCAs, phenothiazines, anti histaminics*

Possible therapeutic use of Atropine:

- **used as a preanesthetic agent**
 - **to reduce salivary and respiratory secretions**
 - „stabilizes“ n. vagus – **prevention of vagal bradycardia and heart arrest**
- **in bradycardia** – (e.g. for a short time in an increased vagal stimulation in myocardial infarction, in antiarrhythmics or rather digoxine induced bradycardia)
- **in ophthalmology – mydriatic** - limited use to produce cycloplegia and mydriasis (too long effect)
- **in renal and biliary colic, in diarrhoea** when combined with opioids
- **in toxicology** - intoxications by organophosphate or mushroom (if muscarine is the toxic agent)

Clinical Uses	Atropine Substitutes
1. As mydriatic	Cyclopentolate, tropicamide, homatropine
2. Abdominal/Intestinal Colic/Pain due to peptic ulcer	Hyoscine butyl bromide, dicyclomine
3. Renal colic, Urinary colic	Drotaverine, Hyoscine butyl bromide
4. Incontinence of urine	Flavoxate
5. For bronchial asthma	Ipratropium bromide, tiotropium bromide
6. Pre-anesthetic medication	Glycopyrrolate
7. Drug induced Parkinson	Trihexyphenidyl (Benzhexol), Bantropine, Cycrimine, Procyclidine Biperiden, Orphenadrine
8. Uterine relaxant	Valethamate
9. For motion sickness	Hyoscine hydrobromide (tablet) (Patch)
10. For Peptic Ulcer	Pirenzepine (Specific M1 blocker)