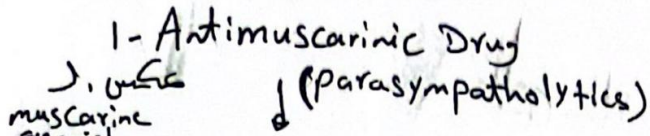


Chapter 5

Anticholinergic Drug



- ① Atropine
- ② Scopolamine
- ③ Buscopan
- ④ Homatropine
- ⑤ Tropicamide
- ⑥ Ipratropium
- ⑦ Oxybutinin

2- Antinicotinic Drug

- 1- Ganglion blocker
2- NMJ blocker

Cholinergic agonist +
parasympathetic, i.e. Ach, i.e. de

Cholinergic antagonist *
ACh ↓, (muscle relax) ↓

① Antimuscarinic agent

1 Atropine → tertiary belladonna alkaloid CNS act

- Act centrally and peripherally
- action 4 hours (except topical to the eye 7-14 days)

action \rightarrow eye \rightarrow mydriasis, cycloplegia (inability to focus for near vision)
 \uparrow intraocular pressure, \downarrow closure angle glaucoma

GIT → antispasmodic, reduced gastric motility
without affect ~~gast~~ HCl acid production
↓ saliva ↓ urination

Cardiovascular → A ~~low~~ Low dose → M_1 inhibitory to presynaptic neuron cause increase ACh secretion (decrease heart rate)

2- High dose \rightarrow ~~M₂~~ blocking M₂ (SA)
Cause (increase heart rate)

Secretion → dryness of the mouth (xerostomia)

atropine Therapeutic use

- 1- Ophthalmic → Topical to eye for measurement of refractive error
دول في العينين تستخدم tropicamide cyclopentolate - 1 drop
(6h) (24h)
- 2- antispasmodic
- 3- Antisecretory (block secretion of upper and lower respiratory tract prior surgery)
- 4- treat bradycardia
- 5- Antidote for (organophosphate, physostigmine, mushroom poisoning)

Atropine Adverse effect

- 1- blurred vision "sandy eye"
- 2- Constipation
- 3- urinary retention
- 4- tachycardia
- 5- dangerous in children causes increase in body temperature

2 Scopolamine → - CNS ^{سج}
- ^{تأثيرات جانبية} side effects
atropine

- most effective anti-motion sickness drug
- blocking short-term memory
- produce sedation, ~~not~~ excitement euphoria
- ~~very effective~~
- ^{جلب} - prevent motion sickness may available as topical patch last for (3 days) active.
- prevent post operative nausea and ~~vomiting~~ Vomiting

3 ipratonium → SAMA → acute management of asthma + COPD
Atiotropium → LAMA → chronic management of asthma + COPD
glycopyrrolate → LAMA → COPD
Aclidinium → LAMA → COPD

4 cyclopentolate & tropicamide → mydriasis & cycloplegia
(24h) (6h)

5 Benztropine & trihexyphenidyl → parkinsons disease
including antipsychotic-induced extrapyramidal symptoms.

6
مضادات
الغشاة

Oxybutinin
Darifenancin
Solifenancin
trospium
fesoterodine
tolterodine

- overactive bladder & urinary incontinence
- bind competitively blocking (M₃) receptor in bladder
- ↓ intravesical pressure
- ↓ frequency of bladder contraction
- ↑ bladder capacity
- metabolized by CYP450 except trospium
- once daily, oral dosage

مضادات
الغشاة
الغشاة

① Darifenancin, solifenancin → selective M₃
adverse effects: none

② Oxybutinin → neurogenic bladder, its present
topical gel, transdermal patch, oral

③ trospium → هناك مضادات

- metabolized by ester Hydrolysis

- treat overactive bladder in patient
with dementia

هناك مضادات
تعالج الغشاة
الغشاة
تعالج الغشاة
الغشاة
تعالج الغشاة
الغشاة
تعالج الغشاة
الغشاة

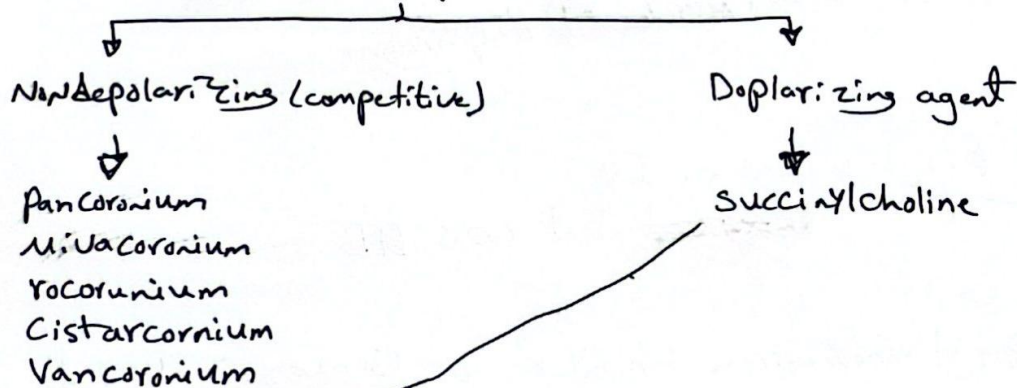
Ganglionic blockers

- act on nicotinic receptor of
 - ① Parasympathetic autonomic ganglia
 - ② Sympathetic autonomic ganglia
 - ② block ion channels of the autonomic ganglia
 - ③ show no selectivity toward the parasympathetic or sympathetic ganglia, not effective as neuromuscular antagonists
- *rarely used therapeutically, but serve as a tool in experimental pharmacology

- Nicotine & (ganglionic blocker)

- * Component of cigarette smoke, no therapeutic benefit, deleterious to health
- * nicotine depolarize autonomic ganglia resulting first in stimulation and then paralysis in all ganglia
- * stimulatory effect cause increase release of neurotransmitter
 - ACh → increase peristalsis and secretion
 - NE → ↑ BP, ↑ cardiac output
- * Nicotine at higher dose causes fall in blood pressure due to ganglionic blockade.

non depolarizing Competitive blockers



blocks cholinergic transmission between motor nerve ending and nicotinic receptor on the skeletal muscle

NON Depolarizing (Competitive) blocker

- 1- Cistatracurium → eliminate by (Hofmann elimination) renally excreted (renal and hepatic dysfunction) ^{من الكلى والكبد}
- 2- mivacurium → plasma cholinesterase elimination
- 3- pancuronium → eliminated in urine
- 4- rocuronium → eliminated in bile
- 5- vecuronium → eliminated in bile

action

- * AT Low Dose → - block Ach at nicotinic receptor
 - it can overcome the block by use of cholinesterase inhibitor (edrophonium, physostigmine, Neostigmine)
 - ~~response~~ - The muscle respond to direct electrical stimulation from a peripheral nerve stimulator

- * AT high Dose → - block the ion channel of the motor endplate
 - reducing the ability of cholinesterase inhibitor to reverse the action of neuromuscular block
 - muscle doesn't respond to the electrical stimulation

* Action → ~~muscle~~ Contracting muscle:

- First muscle recover ^{أول العضلات التي تستعيد}
- (1) Face and eye
 - (2) Fingers, Limbs, neck
 - (3) intercostal muscle
 - (4) diaphragm

(PK) - IM or IV
- ~~don't~~ don't cross BBB

- * Drug interaction →
- 1- cholinesterase inhibitor → ^{تزيد من قوة التأثير}
 - 2- Hydrogenated Hydrocarbon anesthetic (desulfurane) → ^{يقلل من قوة التأثير}
 - 3- Aminoglycoside antibiotic (gentamicin, tobramycin)
 - 4- Calcium channel blocker
- neuromuscular blocker ^{مضاد للتقلص العضلي}

* Succinylcholine → Vecuronium ^{يؤخر تأثيره}

15/5/1

Depolarizing agent (succinylcholine)

- Depolarizing the plasma membrane of the muscle fiber, similar to Ach.
- Succinylcholine attach to nicotinic receptor.

Action

Phase I: depolarizing agent cause opening of sodium channel result in depolarization of the receptor.

Phase II: Continuous Depolarization gives way to gradual repolarization as the sodium channel closes or is blocked, this cause flaccid paralysis.

respiratory muscle are paralyzed Last

- Succinylcholine hydrolyzed by plasma pseudocholinesterase.

Therapeutic use

- 1- useful when rapid endotracheal intubation is required during the induction of anesthesia
- 2- ~~used~~ used during electroconvulsive shock treatment

Adverse effect

