

## Lecture 7: Direct acting cholinergic agonist

### ① Ach

Quaternary ammonium

not specific ( $\approx$  receptor, etc.  $\text{d}^{\text{u}}\text{u}^{\text{u}}$ )

HR $\downarrow$  · CoL Bp $\downarrow$

$\hookrightarrow$  M<sub>3</sub> receptor (No)

Side effect: Diarrhea, Diaphoresis, Nausea, Miosis, Bronchospasm, salivation  
GIT motility, urinary urgency

### ② Bethanechol

\* Not hydrolysed by AChE (esterification of carboxylic acid)

lacks nicotinic actions (addition of methyl group)

Major action on smooth musculature of bladder and GI tract

long Duration of action (1-hour)  $\rightarrow$  Ach  $\text{d}^{\text{u}}\text{u}^{\text{u}}$

used to treat ① atonic bladder  $\leftarrow$  post-partum  
postoperative

② nonobstructive urinary retention

③ neurogenic atony

Side effect: salivation, flushing, Bp $\downarrow$ , nausea, abdominal pain, Diarrhea, bronchospasm

### ③ Carbachol

non selective, long acting

has effect on CVS, GI system, cause miosis in the pupil

cause release of epinephrine from adrenal medulla by its nicotinic action

Rarely used therapeutically except in glaucoma

miotic agent causing pupil contraction and IOP $\downarrow$   $\leftarrow$  (side effect  $\downarrow$   $\rightarrow$  topical use)  
systemically

### ④ pilocarpine

alkaloid, mainly used in ophthalmology, muscarinic activity

rapid miosis and contraction of ciliary muscle

most effective secretagogues of saliva, sweat, tears when given systemically  $\leftarrow$  orally  
IV

treat glaucoma

emergency lowering of IOP  $\left\{ \begin{array}{l} \text{narrow angle (closed)} \\ \text{wide angle (opened)} \end{array} \right.$   
side effect: salivation, Diaphoresis, CNS disorders

Atropine is Antidot

Acetazolamide, Timolol used to treat glaucoma

indirect acting cholinergic agonist

① Reversible

\* Edrophonium

prototype, short acting AChE inhibitor

Quaternary ammonium, No CNS penetration, Limited use clinically

\* physostigmine

tertiary amine found in plant

act on M, N, NMT receptor

(2-4) hours duration of action (intermediate)

used to stimulate intestinal and bladder motility in case of atony

used to treat glaucoma but pilocarpine is more effective

S.E: Hypotension, Bradycardia, Convulsion, Muscle paralysis (over dose)  
بنازلة الدموع، انخفاض ضغط الدم، تشنجات، شلل العضلات

\* Neostigmine

synthetic cpd similar to physostigmine

quaternary ammonium, polar, intermediate acting

More effective on muscular tissue compared to physostigmine

used to stimulate bladder and GI tract (atony) (بإزالة التشنج)

S.E: salivation, nausea, Flushing, Abdominal pain, Apt, Diarrhea, bronchospasm  
(constipation) أو

lacks CNS side effect

Contraindicated in intestinal or urinary bladder obstruction X ممنوع

\* pyridostigmine and ambenonium

used in the chronic management of [myasthenia gravis] cancer in adrenal medulla

(3 to 6) hours pyridostigmine

(4 to 8) hours ambenonium

} intermediate but longer than neostigmine

S.E similar to those of neostigmine

\* Tacrine, donepezil, rivastigmine and galantamine

used in AD (الزهايمر)

S.E: GI distress

## ② irreversible

\* Echothiophate → (هو lipid-soluble بقوة على طريقه البلديكل بسهولة) مثل دواء

organo phosphate insecticide مبيد حشرات

Effect last for 1 week (irreversible)

Generalized cholinergic stimulation

مجموعة بالتنفس

تشنجات

paralysis of motor function (causing breathing difficulties), convulsions

produce intense miosis → البؤبؤ زي نقطة كثير صغير → يعرف اتوقعه بتسمم من هاي المادة له ما تقدر يتعافى

له بعالج هاي الحالة بتلات ادوية لانقذه من التسمم :-

① pralidoxime → يحفز العضلات

② Atropine → بجا كسر شغلها

③ Diazepam → مفرضي عضلات

اختتمارات :-

N receptor & Nicotinic receptor

M receptor & Muscarinic receptor

IOP & Intraocular pressure

cpd & Compound

اللهم علمني ما ينفعني وانفعني

بما علمتني وزدني علما

لا تنسوا ترتيبوا للجدول آخر سلايد