

**M U N I**  
**M E D**

# **ANTISPASMODICS, SPASMOLYTICS**

Doc. PharmDr. Jan Juřica, Ph.D.

# ANTISPASMODICS

- a group of drugs with a **relaxing effect on smooth muscles**
- **therapy of functional diseases of the GIT, GU system**
- **symptomatic** therapy, aimed at suppressing subjective difficulties caused by incr. tone of smooth muscles (cramps), dyskinesia and smooth muscle hyperkinesia (hypermotility of GIT)
- **Indications:** therapeutic and diagnostic examinations - endoscopy, e.g. ERCP
- reduction of motility, suppression of pain, diarrhea, convulsions, nausea, vomiting, COPD / AB hyperactive bladder, supportive treatment in Parkinson's disease
- enteric nervous system (plexus myentericus Auerbachi), ANS, other agents (NO, ATP, VIP)

# ANTISPASMODICS

## Contraindication:

- Paralytic ileus
- Maystenia gravis
- Pyloric stenosis)
- BPH
- Pregnancy + lactation

## AE:

- Constipation
- Dry mouth
- (+ other anticholinergic AE)
- Flatulence
- Pyrosis

# Classification of ANTISPASMODICS

## 1. Neurotropic

- **parasympatolytics** (atropine - not currently used as antispasmodic, oxybutynine, solifenacine, darifenacine, otilonium, fempiverine, N-butylscopolamine, trospium, tolterodine)

## 2. Myotropic

(drotaverin, alverin, mebeverin, pitofenon)

## + **carminative, spasmopanalgesics, deflatulent agents**

(dimethicone, simeticone)

- + **others** (NSAIDs, opioids, nitrates, antidepressants with anticholinergic action etc.)

# 1. NEUROTROPIC ANTISPASMODICS

- VNS receptors, only splanchnic smooth muscle

## a) Anticholinergics / parasympatholytics

with tertiary N, lipophilic substances, via HEB (CNS AE)

- **atropine** – spasmolytic eff. on the GIT, obsolete
- **oxybutinin** – selectively for the urinary system, I: pollakiuria, incontinence, hyperactive urine. Bladder
- **darifenacin, solifenacin** – urinary bladder hyperactivity, incontinence, pollakiuria

# 1. NEUROTROPIC ANTISPASMODICS

## b) Parasympatholytics

- Quaternary N, does not pass through HEB

**otilonium** – spasms of the GIT, biliary system, G-U system

**fenpiverine** – combination with metamizole and pitofenone, spasmolytic  
system, GIT, GU, dysmenorrhea

**N-butylscopolamine** – spasms of the GIT, biliary system, G-U system, endoscopy

**fesoterodine, tolterodine, trospium** – functional disorders of the GIT and GU  
system

**ipratropium, tiotropium** – bronchodilators, often in combination with beta 2  
agonists

## 2. MYOTROPIC ANTISPASMODICS

- direct effect on smooth muscles, smooth muscles in the vessels
- Various MoA - blockade of calcium channels, activation of potassium channels, stimulation of NO production, increase of cAMP / cGMP, ...

### **papaverine**

originating from opium - phosphodiesterase inhibitor, visceral spasms, colic (biliary, renal), vasospasm

**drotaverine** – phosphodiesterase inhibitor, gynecological indications (dysmenorrhea, adnexitis), smooth muscle spasms (irritable bowel syndrome, biliary colic, bladder tenesmas, ...), headache of vascular etiology

## 2. MYOTROPIC ANTISPASMODICS

- **alverine** – phosphodiesterase inhibition, combination with simethicone, functional GIT difficulties - flatulence, flatulence, IBS
- **mebeverine** – more MoA including local anesthetic effect, irritable bowel syndrome, GIT spasms
- **pitofenone** – phosphodiesterase inhibition, combination with metamizole and fempiverine - spasmolysis, IBS



# 3. OTHER ANTISPASMODICS

- **beta 3 agonist** – **mirabegron** – I: hyperactive bladder
- **Alpha 1 antagonist** – **alfuzosine, tamsulosine**, I: BPH
- **glucagon** - premedication for endoscopy
- **TCA** - **amitriptyline** (anticholinergic action)
  
- **Ca<sup>2+</sup> channel blockers** **nifedipin, Nitrates** - esophageal motility disorders, esophageal achalasia
- **opioids** – **pethidin**- acute painful spasms, biliary system spasm (rather obsolete)

# SPASMOANALGESICS

- combination of antispasmodics with analgesics (metamizole, paracetamol, opioids - codeine, tramadol, pethidine)
- i.v. admin - accelerating onset of the effect
- I: dysmenorrhea, smooth muscle spasms with pain, obstetrics surgery, instrumental procedures

# Antiflatulents (or deflatulents), Carminative drugs

- Herbal volatile oils – antispasmodic action
- DDF – aromatic waters, herbal teas
- weak spasmolytic effect
- **cumin, fennel, chamomile, anise**
- **simetikon** – surfactants – decr. surface tension, decay of gas bubbles in the intestine - gas absorption through the GIT mucosa, or evacuated via peristalsis,  
I: flatulence, X-ray / USG examination of the splanchnic, antidote for detergent poisoning