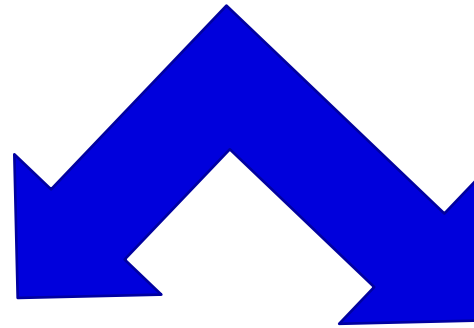


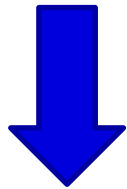
Spasmolytics/antispasmodics

TERMINOLOGY

Drugs decreasing **muscle tone** and **muscle contractility**

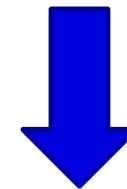


skeletal muscles



MUSCLE RELAXANTS

smooth muscles



SPASMOLYTICS

Spasmolytics/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)

Spasmolytics/antispasmodics

- **Contraindications:**

- paralytic ileus
- myasthenia gravis
- pyloric stenosis
- BPH
- pregnancy + lactation

- **AE:**

- constipation
- dry mouth
- (+ other anticholinergic AE)
- flatulence
- pyrosis

Classification of antispasmodics

1. Neurotropic

- **parasympatholytics** (atropine - not currently used as antispasmodic, oxybutynin, solifenacin, darifenacin, otilonium, fenpiverine, N-butylscopolamine, trospium, tolterodine)

2. Myotropic

(drotaverin, alverin, mebeverin, pitofenon)

+ **carminative, spasmopanalgesics, deflatulent agents**

(dimethicone, simethicone)

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

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
- **oxybutynine** – selectively for the urinary system, I: pollakiuria, incontinence, hyperactive urine. Bladder
- **darifenacin, solifenacin** – urinary bladder hyperactivity, incontinence, pollakiuria

Neurotropic antispasmodics

b) Parasympatholytics

- quaternary N, does not pass through BBB
 - **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 beta2 agonists

Combination of neurotropic/myotropic antispasmodics

—oxybutynine, propiverine

- act selectively on the urinary system

IND: incontinence due to overactive bladder (after spinal cord injury)

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

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 fenpiverine - spasmolysis, IBS

Other antispasmodics

—beta 3 agonist – **mirabegron**

IND: hyperactive bladder

—alpha 1 antagonists – **alfuzosine, tamsulosine**

IND: BHP

—**glucagon** – premedication for endoscopy

—**TCA** – **amitriptyline** (anticholinergic action)

—**Ca²⁺ channel blockers** – **nifedipine**

—**Nitrates** – esophageal motility disorders, esophageal achalasia

—**opioids** – **pethidine**

IND: 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
- **IND:** dysmenorrhea, smooth muscle spasms with pain, obstetrics
surgery, instrumental procedures