Poltava State Medical University

Pharmacology of medicinal drugs affecting the afferent nervous system

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DRUGS INFLUENCING AFFERENT INNERVATION

Afferent innervation=sensitive nerve endings+afferent pathways

1. *Drugs inhibiting sensitive nerve endings*

- Local anesthetics
- Astringents
- Coverings
- Adsorbents

2. *Drugs stimulating sensitive nerve endings*

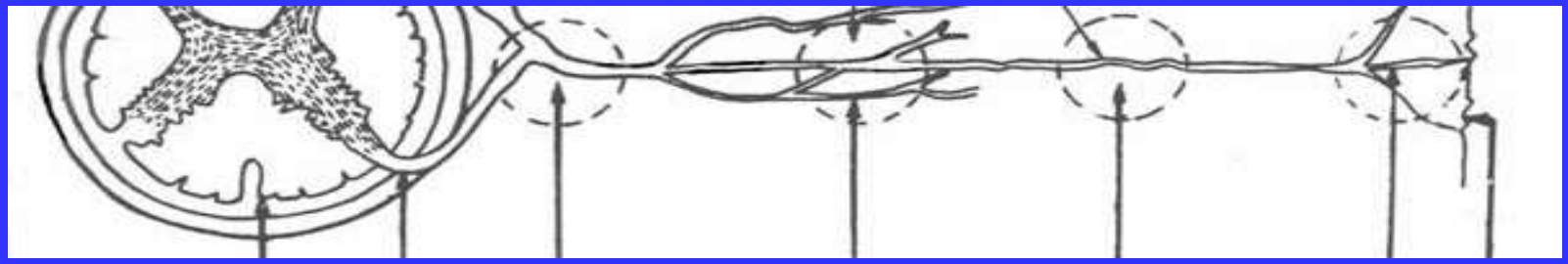
- Irritants
- Expectorants
- Emetics
- Bitters
- Laxatives

DRUGS INHIBITING AFFERENT INNERVATION

Local anesthesia

Kinds of local anesthesia:

- surface
- infiltration
- conductive
- spinal



Surface anesthesia

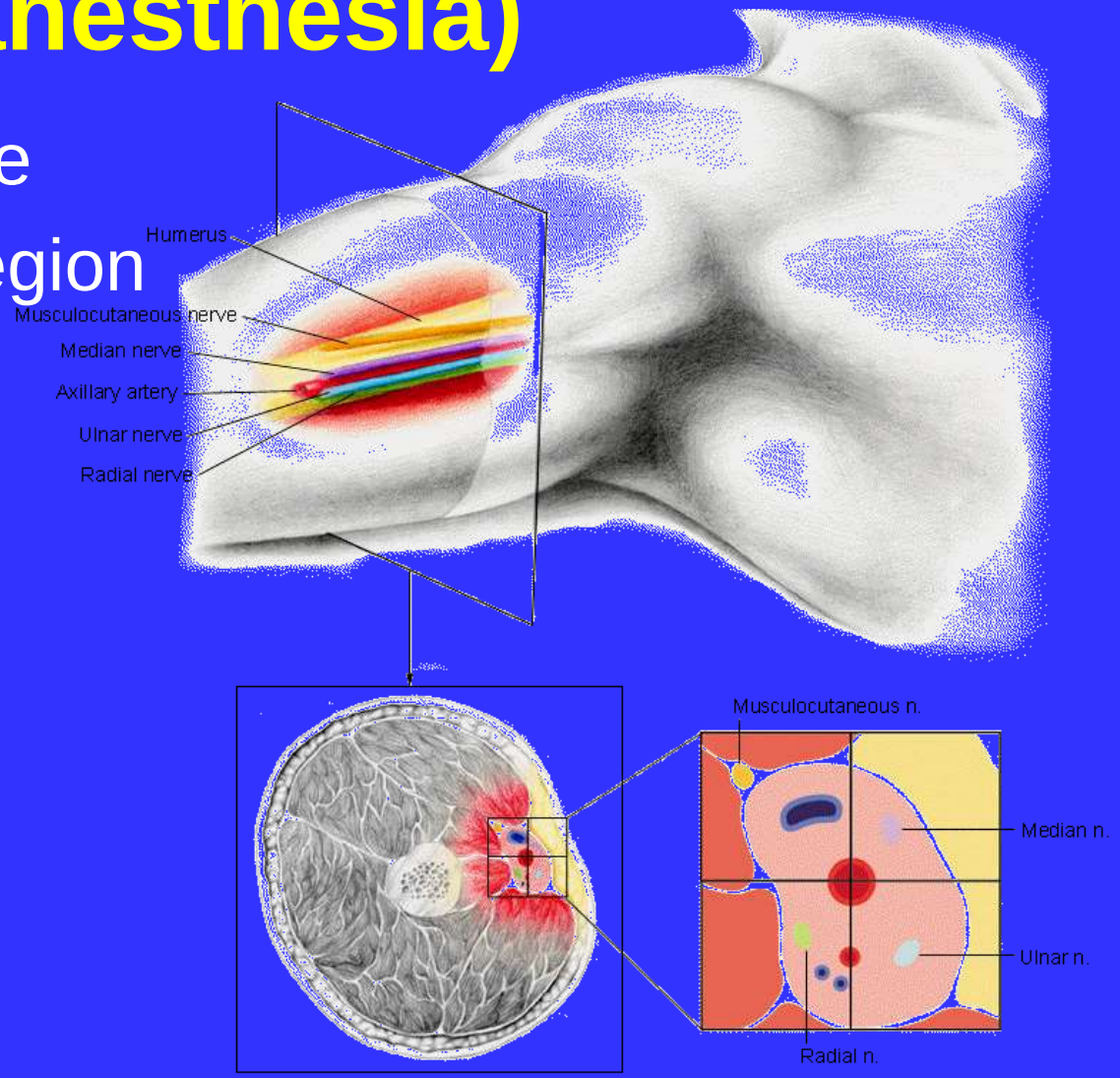
- LA is applied on the surface of the mucous membrane or skin

Infiltration anesthesia

- Into the soft tissues

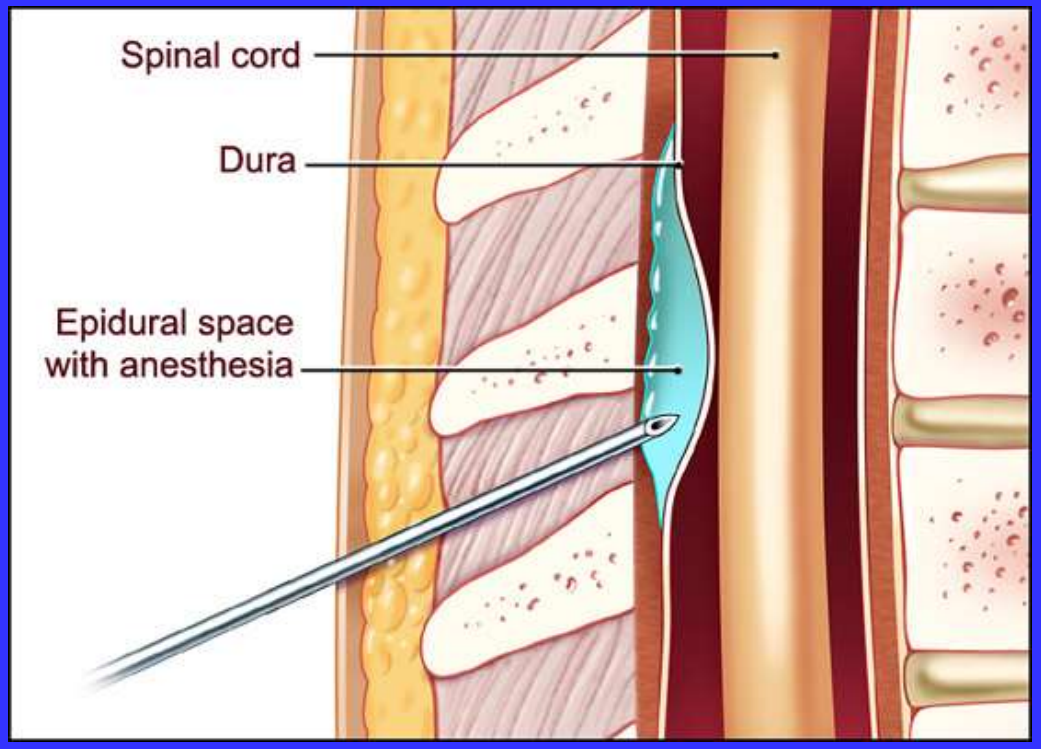
Nerve block (conductive anesthesia)

- around the nerve
- anesthea of a region



Spinal anesthesia

- Into the spinal cord
- Sympathetic nerve block
- hypotension

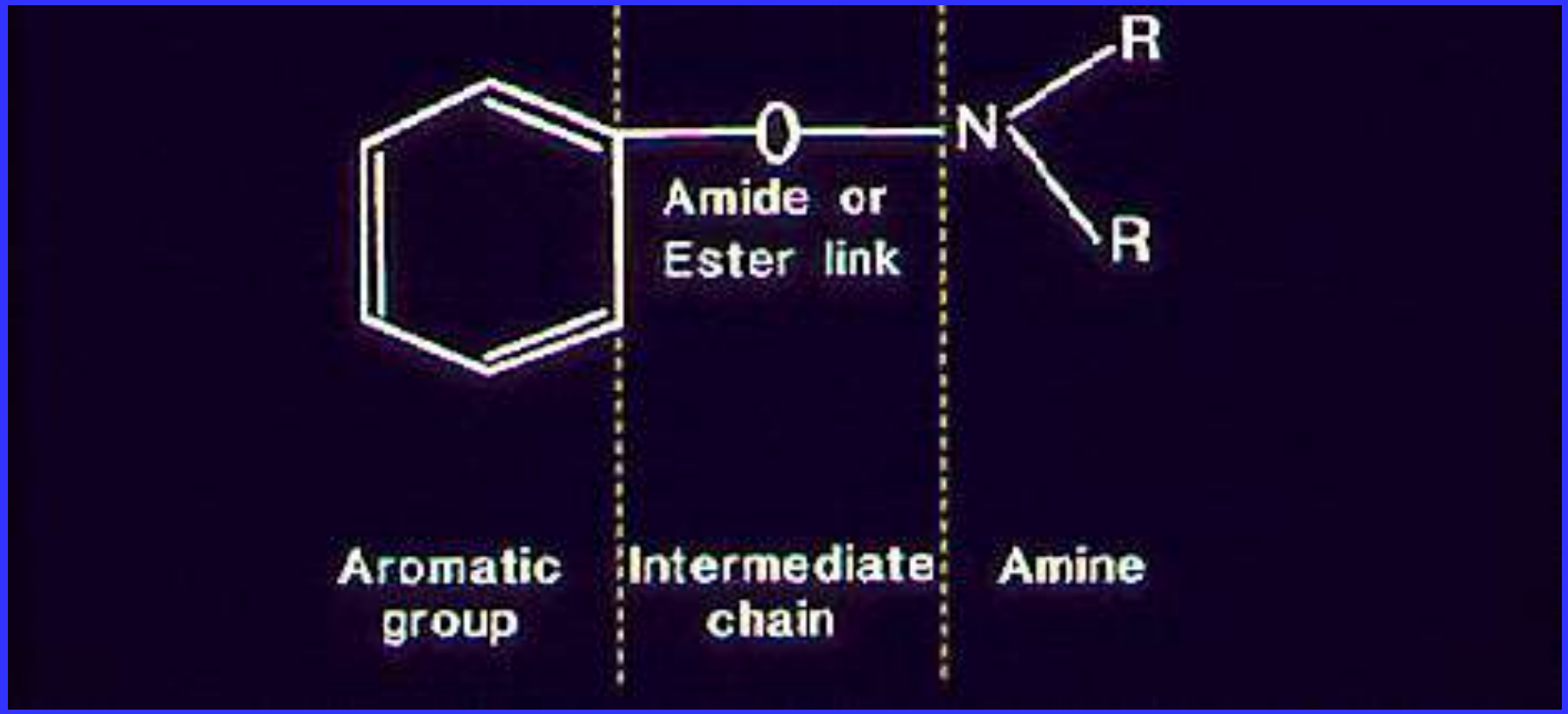


Definition of local anesthetics

- Local anesthetics are drugs which produce a transient and reversible loss of sensation (**analgesia**) in a circumscribed region of the body **without loss of consciousness.**

- Normally, the process is completely reversible.

Structure of local anesthetics



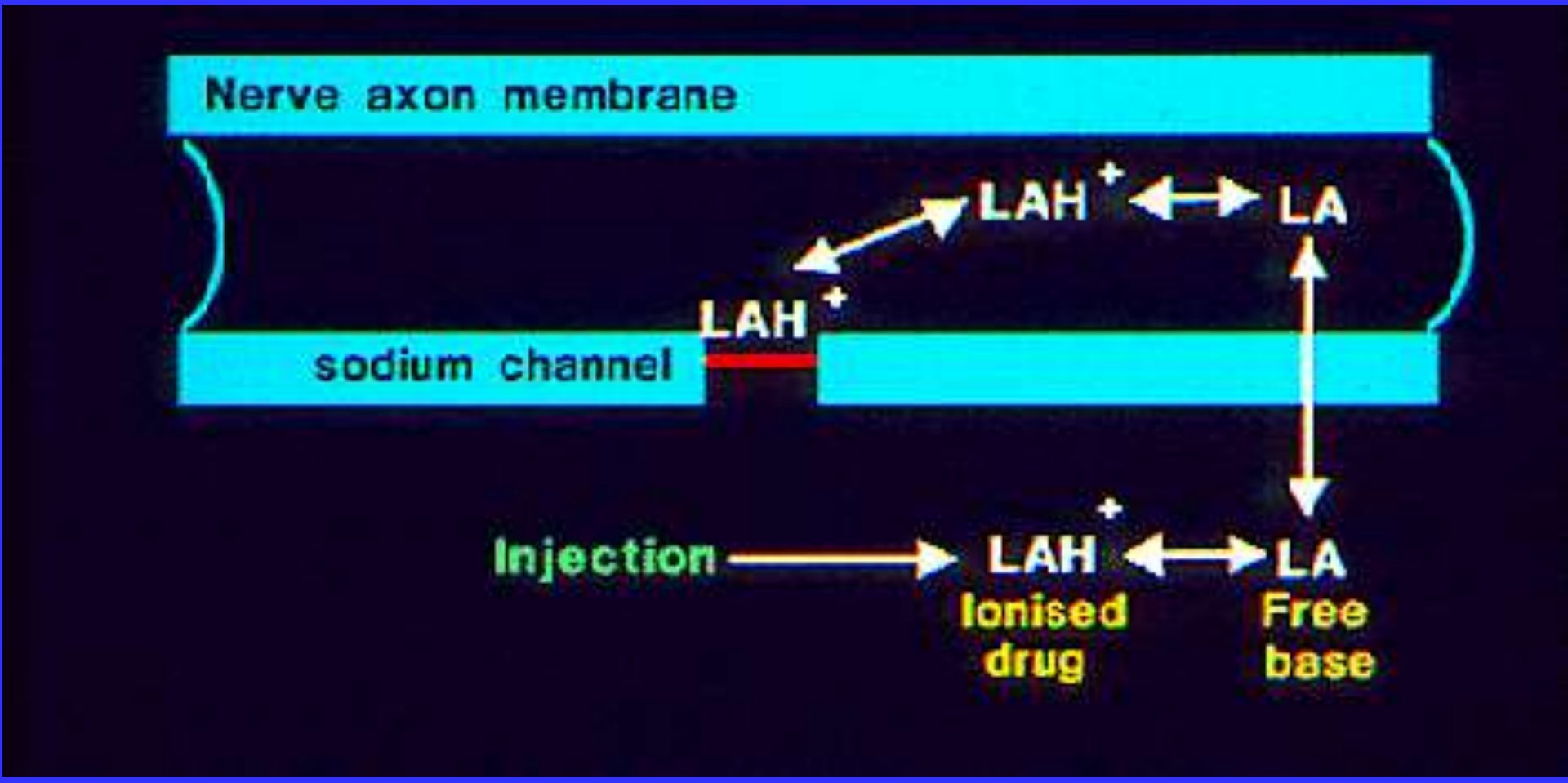
Structure of local anesthetics (cont'd)

- Local anesthetics - **esters** or **amides:** a lipophilic aromatic group + a hydrophilic, ionizable amine.
- Most are weak bases

Local anesthetics: classification

1. Esters of para-aminobenzoic acid
   - Procaine (Novocainum)
   - Benzocaine (Anaesthesinum)
   - Tetracaine (Dicainum)
2. Substituted amides of acetalinidin
   - Lidocaine
   - Ultracaine
   - Articaine
   - Marcaine (Bupivacaine).

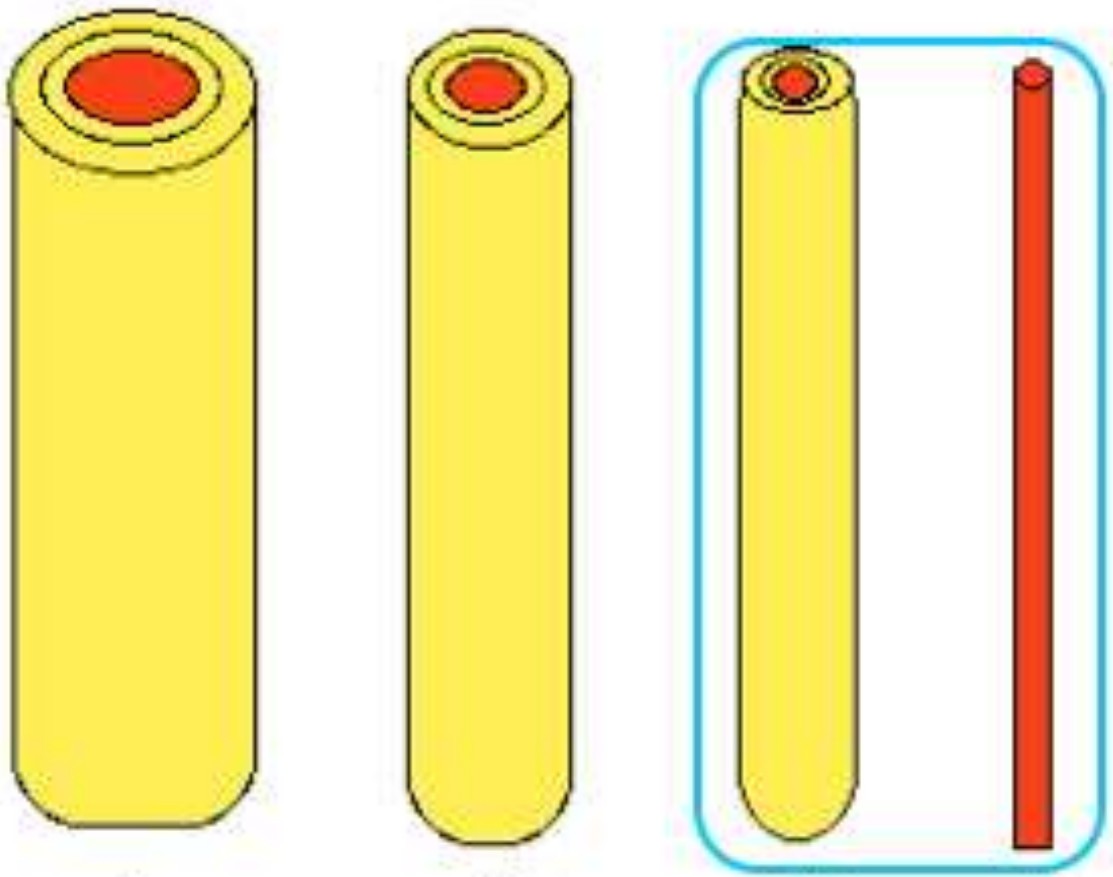
Mechanism of action of local anesthetics



Mechanism of action (cont'd)

- Local anesthetics gain access to the inner axonal membrane
- Traversing sodium channels while they are more often in an open configuration
- Block initiation and propagation of action potential

Primary Afferent Axons



Axon Type	Aα	Aβ	Aδ	C
Diameter (μm)	13-20	6-12	1-5	.2-1.5
Speed (m/s)	80-120	35-75	5-35	.5-2.0

Sequence of clinical anesthesia

- Sympathetic block (vasodilation)
- Loss of pain and temperature sensation
- Loss of proprioception
- Loss of touch and pressure sensation
- Loss of motor function

Anesthetic potency

- Potency = lipid solubility
- Higher solubility = can use a lower concentration and reduce potential for toxicity

Pharmacokinetics

- Effective within 5 min
- Duration of action – 1-1.5 h
- Activity is ph dependent
- Decreased action in acidic ph

Duration of action

- Duration = protein binding
- Bupivacaine 95%
  Lidocaine 65%

Clearance

- ESTERS
  hydrolysis via cholinesterase
- AMIDES
  metabolism via hepatic enzymes

Prolongation of action

- Add vasoconstrictor – adrenaline, phenylephrine
- Not to – fingers, toes, nose

Side effects of local anesthetics

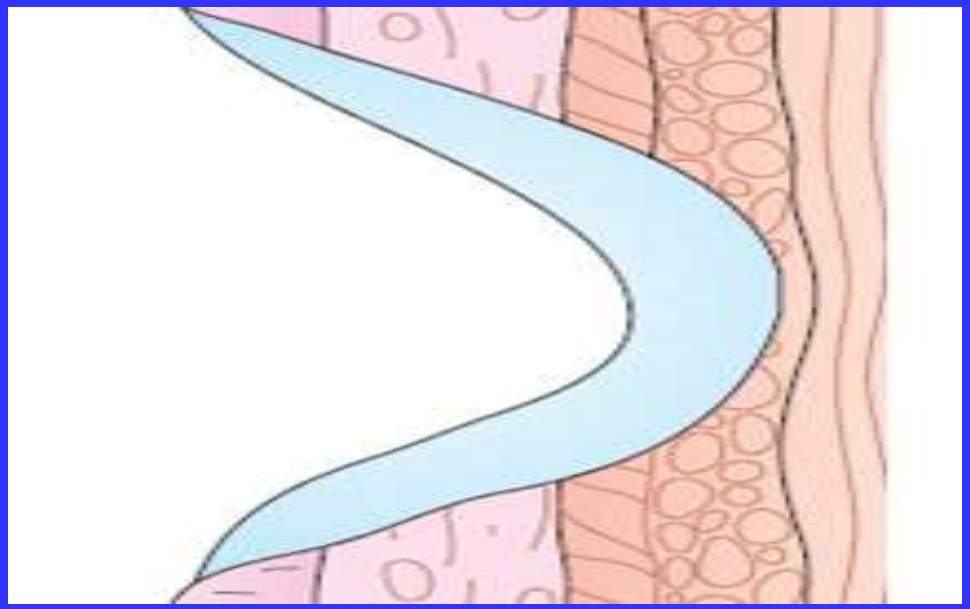
- Excitation – anxiety, agitation, restlessness
- Convulsions
- Reduced myocardial contractility
- Vasodilation
- Allergy

Astringents: classification

1. Organic substances
   - Tannin
   - grass of sant-john's wort (herba Hyperici)
   - flowers of chamomile (flos Chamommillae)
   - leaves of salvia (folium Salviae)
   - bark of oak (Cortex Quercus)
2. Non-organic substances
   - Bismuth subnitrate.

Astringents: mechanism of action

- Astringents form protective film of albuminates on the surface of the mucosa or wound and prevent the stimulation of sensitive nerve endings with a decrease of pain and inflammation



Medicinal plants with astringent properties:

oak; st john's-wort; salvia; chamomile

Use of astringents

- for gargling in diseases of the oral mucosa, for processing of burns, for lavage of the stomach in acute poisonings *(tannin)*
- for gargling in stomatitis, gingivitis, paradontitis *(infusions and decoctions from medicinal plants)*
- orally in ulcer of the stomach and duodenum, enterocolitis; topically to treat wounds, ulcers, and burns of skin *(Bismuth subnitrate)*

Coverings and adsorbents

PROTECTIVES (COVERINGS):

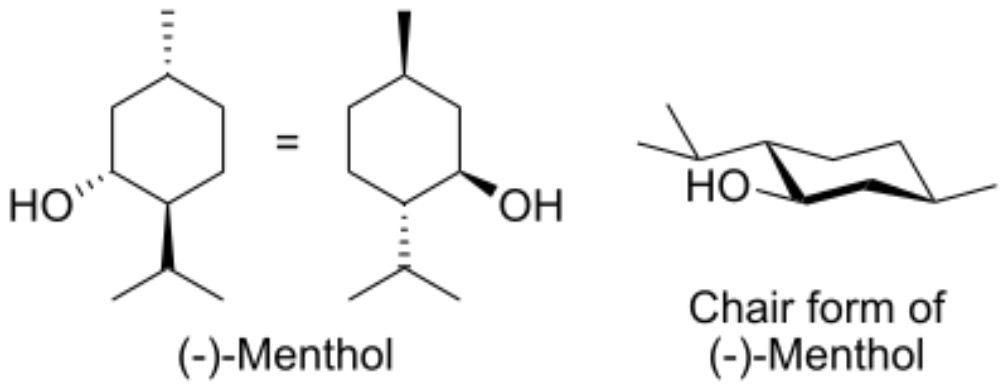
They are substances that form colloidal solutions which prevent stimulation of receptors **(*Mucus of starch (Mucilago Amyli), decoction from seeds of flax (semen Lini)***

ADSORBENTS

They are insoluble fine powders which have large active surface capable of fixing irritating and poisonous substances, preventing their absorption in the GI tract and protecting receptors **(*Activated charcoal (Carbo activatus)***

DRUGS STIMULATING AFFERENT INNERVATION

Menthol



Effects of menthol

- irritates cold-sensitive nerve endings in the skin and mucous membranes
- constricts blood vessels in the site of application
- locally decreases edema and exudation
- initiates reflexes changing vascular tone in the heart and brain tunics (reflexive action)
- decreases pain from internal organs and deep tissues (distractive action)

Use of menthol

- myositis, myalgia, peripheral neuritis, neuralgia, arthritis, arthralgia (topically)
- bronchitis, inflammation of respiratory airways, rhinitis (inhalation)
- headache, spasm of coronary blood vessels
- in dentistry: drops for toothache; improvement of taste and odor of dental pastes, dental powders

Solution of ammonia

- has irritating, reflexive, antimicrobial, weak detergent actions
- is used for reflexive stimulation of respiration in syncope
- is used for processing of surgeon’s hands
- high concentration of ammonia vapors may cause burn of the mucous membrane and stop of breathing.

Solution of ammonia in syncope

- it is applied on the piece of cotton and used for inhalation through the nose
- irritates sensitive nerve endings in the nasal mucosa
- initiates reflexes
- stimulates centers in the medulla of brain
- stimulates respiration and increases BP

CONTROL TASKS

- Oil solution of menthol has been prescribed to the patient with acute rhinitis as nasal drops. A result was a reduction of edema of nasal mucous membrane and exudation. What is the background of such effect?

A.Constriction of blood vessels

B. Dilation of blood vessels

C. Irritation of sensitive nerve endings

D. Inhibition of inflammation

E. Inhibition of allergic reaction. (A)

- A surgeon is going to perform the operation under the local anesthesia. The probable duration of operation is more than 1 hour. In the past the patient had ventricular extrasystoles. Which anesthetic is reasonable to choose for local anesthesia?

A. Procaine

B. Lidocaine

C. Benzocaine

D. Articaine

E. Ultracaine. (B)

CONTROL TASKS

- A patient has phlegmon of the hand. It is necessary to perform the incision in this area under the local anesthesia. Which local anesthetic should be selected, if it must be active in the purulent medium?

A. Novocainum

B. Procaine

C. Lidocaine

D. Benzocaine

E. Articaine. (C)

Thank you for attention!