ANALEPTICS

Analeptics are general CNS stimulants; they stimulate vitally important centers (respiratory and vasomotor) of the brain.

CLASSIFICATION OF ANALEPTICS UNDER THE MECHANISM OF ACTION:

1. ***DIRECT-ACTING*** drugs, which stimulate centers of the medulla oblongata:
   Bemegridum, Aethymizolum, Coffeinum

2. ***REFLECTORY-ACTING:*** cause reflectory timulation of the respiratory center due to H-cholinoreceptors of the sinus caroticus stimulation.
   Cytitonum, Lobelinum

3. ***MIX-ACTION ANALEPTICS:*** They act as directly on the respiratory and vaso-motor centres, as reflectory on the chemoreceptors of vessels.
   Cordiaminum, Camphora, Sulfocaphocainum

CLASSIFICATION UNDER THE INFLUENCE ON THE DIFFERENT PARTS OF THE CNS:

- **Analeptics, act mainly on the cortex of the brain:** Caffeine
- **Analeptics, act mainly on the subcortex centers:** Bemegride, Aethymizole, Cytitonum, Lobelinum, Cordiamine, Camphor, Sulfocaphocaine
- **Analeptics, act mainly on the spinal cord:** Strychninum

INDICATIONS FOR USE OF ANALEPTICS:

- Hypoxias, respiratory failure
- Overdosing or poisoning with narcotic drugs, general anesthetics, alcohol, hypnotic drugs
- To speed up awakening action after narcosis
- Asphyxia of newborns;
- Shocks, collapses
- Prophylaxis of lung atelectasis and pneumonia

SIDE EFFECTS

- Nausea, vomiting
- Seizures
- Increasing of reflex excitation
- Hyperventilation
- Cardiac arrhythmia

Bemegridum - amp. 0,5% - 10 ml

Aethimizolum - tab. 0,1; amp. 1-1,5% - 3-5 ml

Camphora - bottles 10-20% - 30 ml alcohol solutions for external use

Sulfocamphocainum - amp. 10% - 2 ml

Cordiaminum - amp. 1-2 ml, bottles 30-40 ml (for internal use)

PSYCHOSTIMULANTS (PSYCHOMOTOR STIMULANTS)

- **Derivatives of purine –** Caffeine
- **Phenilalkilamines –** Phenamine (Amphetamine)
- **Phenilalkilsydnonimins -** Sydnocarb

PROPERTIES OF PSYCHOMOTOR STIMULANTS

- Stimulate intellectual activity, speed up thinking processes, temporarily eliminate tiredness, somnolence
- Eliminate such manifestations of neurosis as: subdepression, fatigue, retardness
- Aren’t able to eliminate endogen depression, which accompanies psychic diseases

INDICATIONS FOR USE:

- ✓ To increase mental and physical workabilities.
- ✓ Psychiatria, neurologia – narcolepsy, depressions, apathy, asthenia.
- ✓ Depressions of CNS after neuroleptics, tranquilizers, hypnotics usage.
- ✓ In case of poisoning with alcohol
- ✓ Chronic alcoholism with fatigue, depression, weakness
- ✓ As analeptics in case of collapse states,

Coffeinum-natrii bensoas - tab. 0,1-0,2; amp. 10-20% - 1-2 ml

Phenaminum - tab. 0,01

Sydnocarbum – tab. 0,005 - 0,01 - 0,025.

Theobrominum – tab. 0,25.

Theophyllinum – supp. 0,2

CAFFEINE
Mechanism of action

- Binding with adenosine (“purine”) receptors in brain (endogen ligand of these receptors – adenosine - decreases processes of excitation in CNS)

- Inhibiting of phosphodiesterase, which leads to accumulation of cAMP and stimulation of many physiological processes and metabolism

Pharmacological properties of Caffeine

Vessels

- Stimulation of vasomotor center – contraction of vessels, increasing of BP
- Peripheral myotropic spasmolytic action – dilation of vessels, decreasing of BP

Heart

- Central action (increasing of n. vagus tone) – bradycardia
- Peripheral action (direct influence on heart) – tachycardia, possible extrasystolia

Diuretic effect

- It has a mild diuretic action that increases urinary output of sodium, chloride and potassium

Gastric mucosa

- Since all methylxantines stimulate secretion of hydrochloric acid (HCl) from the gastric mucosa, individuals with peptic ulcers should avoid beverages containing methylxantines

INFLUENCE OF CAFFEINE ON CARDIO-VASCULAR SYSTEM

- Contraction of brain vessels
- Dilation of kidney vessels, increasing of diuresis
- Dilation of coronary vessels
- In case of depression of centers of brain stem (medulla oblongata) caffeine shows stimulating properties, increases blood pressure, stimulates breathing – **analeptic** action

SIDE EFFECTS OF CAFFEINE

- If administered regularly – psychological addiction – theism, which is accompanied by development of abstinent syndrome (headache, retardness, fatigue, somnolence, depression)
- Insomnia, anxiety, agitation
- Acute poisoning in case of overdosing (lethal dose – 10g for caffeine – about 100 cups)

NOOTROPIC DRUGS (NEUROMETABOLIC CEREBROPROTECTORS)

CLASSIFICATION

- **Derivatives of pyrrolidone** – Pyracetam (Nootropil)
- **Derivatives of GABA** – Aminalon, Sodium oxybutyrate
- **Neuropeptides** – Melatonin, Sinacten-depo
- **Cerebrovascular drugs** – Sermion (Nicergoline), Cavinton (Vinpocetine), Stugeron (Cinnarisine), Pentoxyphylline (Trental), Xantinoli nicotinas
- **Derivatives of piridoxine** – Piritinol (Encephabol)
- **Antioxidants** – Mexidol, Tocopherole acetate
- **Other** – Cerebrolysine, Actovegin, Solkoseryl, plant preparations

PROPERTIES OF NOOTROPIC DRUGS

- Improvement of brain blood circulation, promotion of collaterals development
- Psychostimulating effect, antiasthenic action
- Sedative, antidepressive action
- Antiepileptic, antiparkinsonic action
- Nootropic action
- Mnemotropic action
- Vasovegetative action
- Antihypoxic action

INDICATIONS FOR USE OF NOOTROPIC DRUGS

- Atherosclerosis of brain, vascular parkinsonism, Alzheimer's disease
- Disorders of brain blood circulation in case of traumas and intoxications, vascular diseases of brain
- Diseases of CNS, accompanied by decreasing of intellect, memory
- Disorders of psychology (in elderly with schizophrenia, depressions)
- To decrease manifestations of abstinence (alcoholism, drug addiction)
- In neurology (neurasthenia, migraine, neuralgias, radiculitis)
- In pediatrics in case if mental insufficiency

PYRACETAMUM, (NOOTROPIL)- tab. 0,4-0,8; caps. 0,4; amp. 20% - 5 ml

AMINALONUM, (GAMMALON) - tab. 0,25.

NATRII OXYBUTYRAS - amp. 20% - 10 ml