

# **DRUG DOSAGE FORMS: SOLID AND SEMISOLID**

## Notes for Students

This study material is exclusively for students of general medicine and stomatology in Pharmacology I course. It contains only basic notes of discussed topics, which should be completed with more details and actual information during practical courses to make a complete material for test or exam studies. Which means that without your own notes from the lesson this presentation IS NOT SUFFICIENT for proper preparation for neither tests in practicals nor the final exam.

# Solid drug dosage forms

- **pulveres perorales** (powders)
- **pulveres adspersorii** (dust powders)
- **species** (herbal teas)
- **tabulettae** (tablets: uncoated, coated, film coated, gastro-resistant, sublingual....)
- **capsulae** (capsules: hard, soft, prolonged release....)
- **implantata** (implants)
- **suppositoria (suppositories)**
- **globuli vaginales** (pessaries- vaginal balls)
- **gummi manducabilia medicinalia**
- **styli**
- **tampona medicata**

# Pulveres

- formed by powdered solid particles
- one or more of active substances + excipients
- internal/external use; undivided/divided, shape nonspecific/specific, single/multiple dose

## Pulveres adspersorii:

- shape nonspecific, for external use only
- application in dry form directly onto skin
- local effect, domain of dermatologists and pediatricians
- antiseptic, antiitching, protective effects

# Pulveres adspersorii

**IPP:** Effective: Acidum boricum; Mentholum  
racemicum; Bismuthi subgallas;  
Ichthammolum, Tanninum

Adjuvants: Zinci oxidum; Talcum; Tritici  
amylum; Oryzae amyllum; Calcii  
carbonas; Magnesii oxidum leve;  
Bentonitum

# Pulveres

## Perorales:

### Undivided (non divisi):

- shape nonspecific
- administered in dry form - measuring cap, spoons
- for preparation of solutions (antacids, gargles)
- only for drugs with low efficacy (innacurate dosing)

# Pulveres perorales

## Divided (divisi)

- single dose
- for the prescription of highly effective drugs (Separanda, Venena)
- single dose of 0,1-0,5g weight
- vehicle: Lactosum monohydricum
- single dose usually in hard capsules

# Species

- herbal mixtures, herbal teas
- the easiest form of herbal preparation
- mixtures or single species herbal drugs
- usually RMP
- tea is prepared usually by pouring over one table spoon one cup of boiling water

# Tablettaa

- solid pressed shape specific preparations
- usually flat rounded or disc like shapes
- pressed from granulates
- usually RMP
- different types of tablets, can exert different influence especially on drug release

## Non-coated tablets

- classical pressed tablets
- their disintegration is influenced only by the properties of granulates (grained powders)



# Tablettaa

## Coated tablets = obducts (dragee)

- based on non-coated tablets, which are usually coated with sugar layer
- tablets are sprayed with sugar solutions
- function of layer - protective, marketing
- polymer film can be also used

## Effervescent tablets

- contain weak acid salts: bicarbonates, citric or tartaric acid, sparkle in contact with water and CO<sub>2</sub> is released
- sparkling solutions are prepared

# Tablettaa

## Tablets dispersed in mouth

- quick disintegration
- fast onset of effect

## Gastro-resistant tablets

- acid resistant coating
- prevents drug against breakdown in stomach

## Controlled release tablets

- prolonged or modified release
- matrix or membrane systems
- 2 phase release

# Tablettae

## Sublingual or buccal tablets

- systemic/local effect
- slow/fast release of drug

## Vaginal tablets

- local effect
- infectiuos diseases, birth induction

# Capsulae

- single dose preparations, different size, shape, color
- contain drugs in edible coating

## Hard capsules

- usually dry content
- coating is made of two parts

## Soft capsules

- filled with lipophilic solutions
- single part coating

# Capsulae

## Gastro resistant capsules

- coating soluble in specific pH

## Controlled release capsules

- membrane systems

# Implantata

- for parenteral use
- must be aseptic
- slow release of drug
- contraceptives

# Suppositories

- cylindrical or conic shape, destined for the insertion into rectum
- one or more drugs dispersed or dissolved in sup. basis
- can contain excipients (solvents, antimicrobial agents)
- local/systemic effect
- solid at room temperature
- melting at body temperature

## RMP:

- produced by pressing

# Suppositoria

## IPP:

- prepared by pouring
- hydrophobic bases: Cacao oleum, Adeps neutralis
- hydrophilic bases: gel forming mixtures:
  - Gelatinae glycerogelatum
  - macrogols



# Globuli vaginales

- similar to suppositories
- pressed or poured
- same mass as suppositories
- with local effect

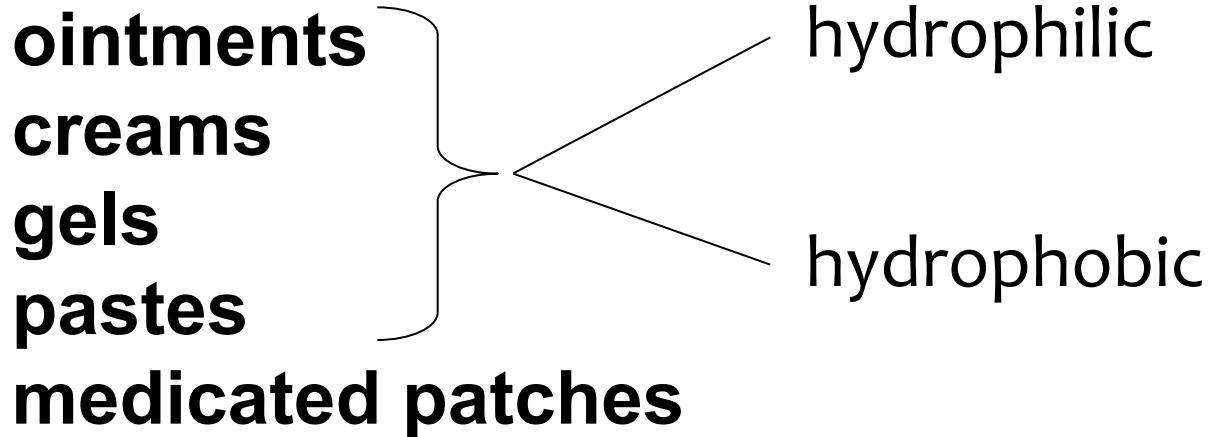
# **Semisolid drug dosage forms**

# Semisolid drug dosage forms

- semisolid preparations are supposed to contain either drugs with local or systemic effect
- can be used for skin protection or softening
- are homogenous
- dermatology – represented by single or composite base with dissolved or dispersed drug/drugs
- base composition can influence the final effect

# Semisolid drug dosage forms

## Classification:



# Ointments (Unguenta)

- formed by **one-phase base** with dispersed solid or liquid drug

## **Classification:**

### **ČL 2009**

- hydrophobic ointments
- emulsifying ointments
- hydrophilic ointments

### forms of drug dispersion

- solutions
- emulsions
- suspensions
- suspensions-emulsions

# Ointments (Unguenta)

## Bases for hydrophilic ointments

### Macrogols

- polyethylene glycols, polyethylene oxides (PEG, PEO)
- m.w. 200-600 liquids, higher m.w. solid
- max. 10 % of water
- mixed liquid-solid polymers
- water soluble
- suitable in case of hypersensitivity to usual bases
- hygroscopic
- bactericidal

# Excipients of hydrophilic bases

## Gel-forming macromolecular substances

- gelatine glycerogel
- metacrylates (Eudragit)
- cellulose esters (Methocel, Tylosa)
- agar, gums

<b>Rp.</b>	<b>Gelatinae</b>	<b>12,5</b>
	<b>Aquae cons.</b>	<b>25,0</b>
	<b>Glyceroli 85%</b>	<b>62,5</b>
	<b>M.f.glycerogelatum gelatinae.</b>	

**ČL 2009 Macrogoli ung.**

# Ointments (Unguenta)

## **Bases for hydrophobic ointments**

### **Hydrocarbon bases**

- physically stable
- low capacity of water absorption (up to 10%)
- easy spreading, emollients, skin penetration is limited
- can cause hypersensitive reactions

### **Silicone bases**

- 10-50% of silicones which are emulsified by wool fat, cetylalcohol or by other emulsifier

Water number: maximum quantity of water in g, which can be dispersed in 100g of the ointment base, vaseline (9-15)



# Excipients of hydrophobic bases

## Hydrocarbons

- solid paraffin
- liquid paraffin
- vaseline – white + yellow

## Aliphatic alcohols and acids

- cetylalcohol, stearylalcohol
- acids stearic, palmitic

## Triacylglyceroles

- esters of fatty acids with glycerol
- fats (Cacao oleum, Adeps Suilus) + oils (Ricini ol., Helianthi ol... ), natural/hydrogenated
- unstable, do not block perspiration

# Excipients of hydrophilic bases

## Semisynthetic and synthetic triglycerides

- often self-emulsifying properties
- known and stable composition
- Mygliol, Softisan
- ceramides – sphingolipids, form protection layer on skin

## Waxes

- esters of fatty alcohols and aliphatic acids
- white beeswax, cetaceum, wool fat

## Silicones

- polysiloxanes, most often dimethylsiloxanes
- weak antioxidants

# Ointments (Unguenta)

## Excipients for hydrophobic bases

- vaselinum album
- vaselinum flavum
- adeps suilus
- cera alba
- paraffinum liquidum/solidum

# Ointments (Unguenta)

## **Emulsifying bases**

- consists usually from hydrocarbons and triacylglycerols
- contain emulsifier
- usually w/o

- Emulsions**
- two-phases
  - up to 15% water
  - do not dry off, release the drug slowly

# Ointments (Unguenta)

## Emulsifying bases

### Hydrophillic

- o/w
- Aquasorb/ Neoaquasorb
- u. emulsificans anionicum, nonionicum
- u. stearini

### Hydrophobic

- w/o
- Pontin<sup>®</sup>, Synderman<sup>®</sup>
- ung.cetylicum, u. lanalcoli
- u. monostearini, u. simplex

# Ointments (Unguenta)

## Examples:

Alcoholis cetylici ung.

Rp.

Alc. cetylici 1,0

Adipis lanae 7,5

Vaselini albi ad 50,0

Ung. simplex ČL 2009

Rp.

Propylis gallas 0,01

Ethanolu 96% 1,0

Alcoholis cetylici 5,0

Cerae albae 5,0

Adipis suillus 90,0

M.f.ung.

D. ad ollam.

Rp.

Remedium cardinale

Vehiculum

M.f.ung.

D. ad ollam.

S.

# Ocularia semisolida

- **sterile (!)** eye oint., creams or gels

IPP: ointment base

- **Unguentum ophthalmicum simplex**
- M. f. oculentum.
- M. f. ung. ophthal.
- max 10g
- in sterile containers with applicator
- expiration 4 weeks

# Creams (Cremores)

- 2-3 phases
- always contain water and oil phase
- drug is dissolved or dispersed in one phase or is suspended

## Oleocrems

- emulsions w/o
- water phase 15-50% of weight (max. 74%)
- base = vaseline + wool fat
- Synderman, Pontin, Cutillan
- suitable for subchronic phases of disease
- good regenerative and emollient properties



# Creams (Cremores)

## Hydrocream

- emulsions o/w
- water phase 60-90% of weight
- easy evaporation of water = cooling effect
- can be washed away easily
- Neoaquasorb, cremor nonionicus, crem.  
anionicus

# Pastes(Pastae)

- semisolid preparation which contain high portion of solid substance dsipersed in the base
- solid particles more than 25%

## Classification:

Oleopastes – hydrophobic ointment base

Hydropastes – hydrophillic ointment base

Oleocream pastes – oleocream base

Hydrocream pastes – hydrocream base

Pharmacopheial pastes:

Zinci oxidi pasta

Zinci oxidi pasta mollis

Zinci oxidi pasta salicylata

Rp.

Remedium  
cardinale

Vehiculum

M.f.pasta

D. ad ollam.

S.

# Medicated patches (Emplastra medicata)

- contain one or more of active substances
- for skin applications
- patches guarantee the contact of active substance with skin, or can exert protective or keratolytic effect

## **TTS – transdermal therapeutic systems – Emplastra transcutanea**

### **Advantages:**

easy administration  
controlled release of drug  
(constant levels)  
skip the first pass effect  
easy drug discontinuation  
↑compliance

### **Disadvantages:**

skin sensitization  
excipients allergy  
  
influence on skin microflora  
slow onset of effect  
price

# Medicated patches (Emplastra medicata)

## TTS

- exclusively RMPs
- drug gets into the skin (penetration)
- drug passes through the skin (permeation)
- drug gets to blood or lymphatic vessels (resorption)
  
- Angina pectoris (glyceroltrinitrate)
- Kinetosis (scopolamine)
- HRT (oestrogens) + contraceptives
- Pain and inflammation (fentanyl, flurbiprofen, diclophenac)
- Substance abuse discontinuation (nicotine)

## TTS with membrane controlled release

