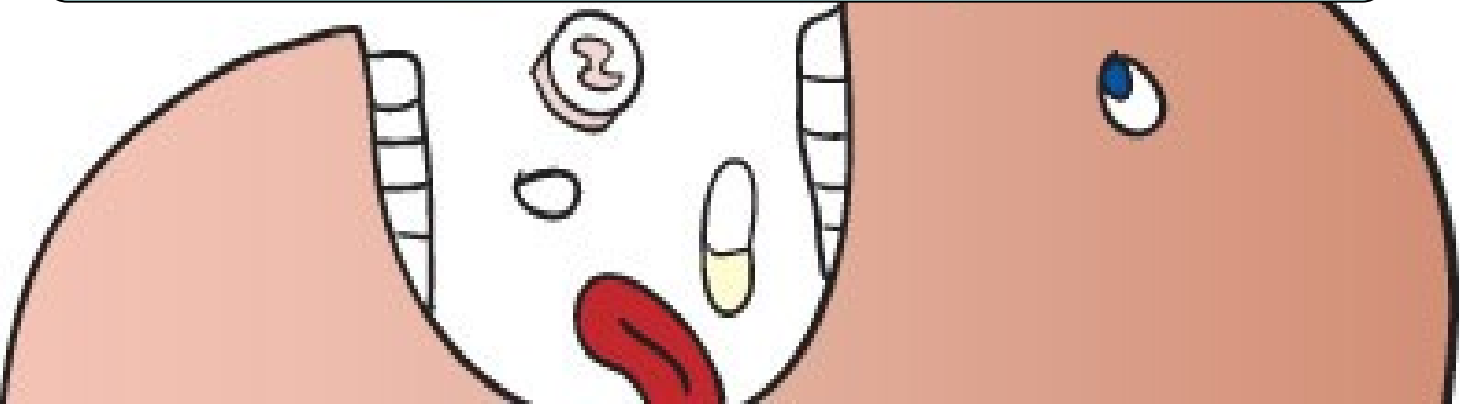




**DRUG DOSAGE FORMS :**  
**1. SOLID**



PharmDr. Ondřej Zendulka, Ph.D.

# 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)
- **styli**
- **tampona medicata**

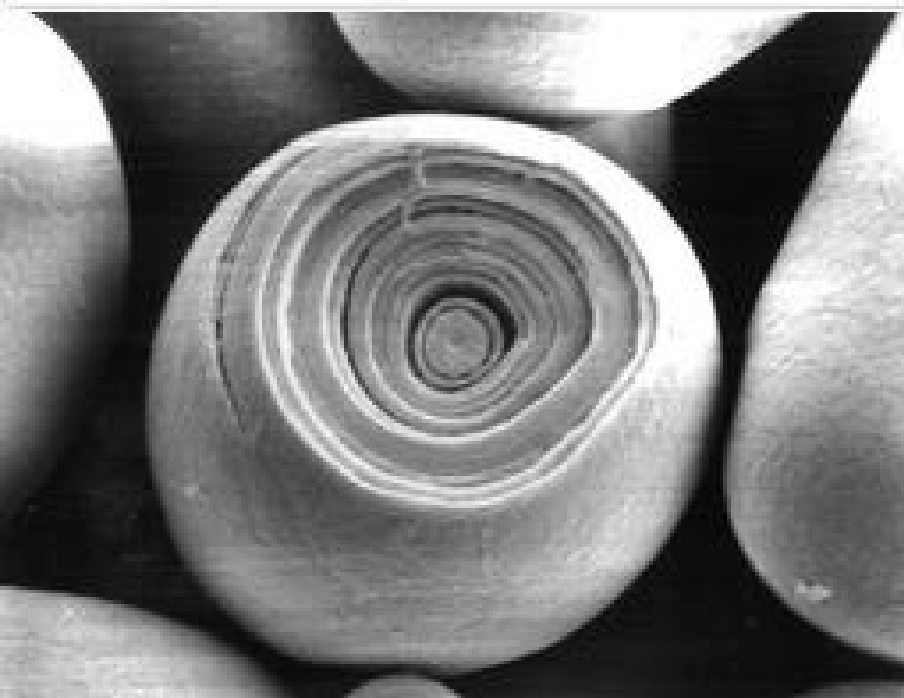
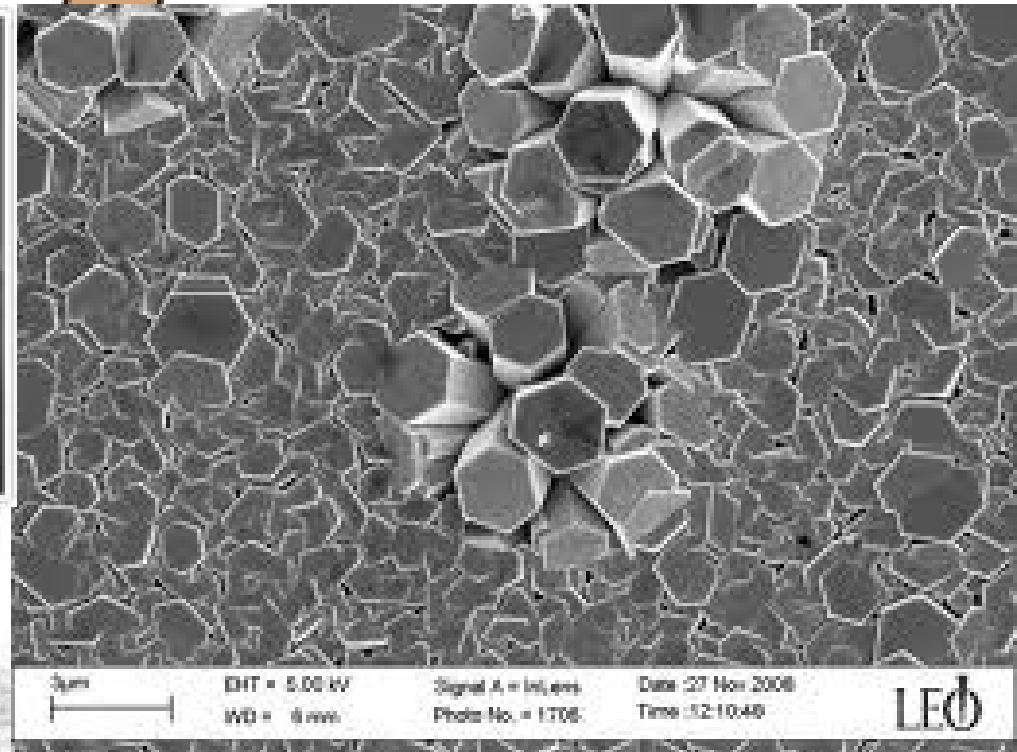
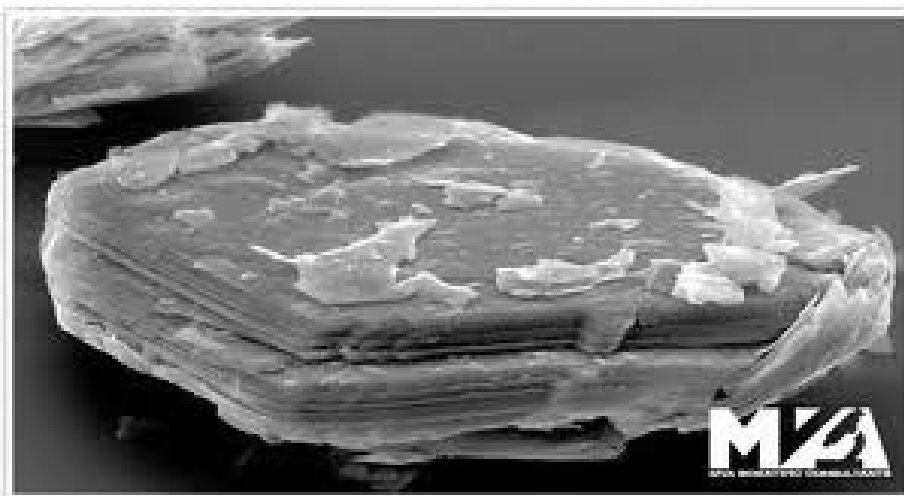
# Pulveres

- 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



*ulv. adspers.*

30-50g

# Pulveres adspersorii

## IPP:

Remedii cardinalis (in gen.) amount in grams (10.0)

Remedii adjuvans amount in grams (5.0)

M.f.pulv. adspers.

DS: ...e.g. Dust powder.

*Ex. Rp.*

*Tannini* 2,5

*Zinci oxidi*

*Talci* aa ad 50,0

*M.f. pulv. adspers.*

*D.S. Dust powder. Cover the afflicted place several times a day.*

*Task: Prescribe antiprurigineous dust powder with methol (0,5%) and basis from ZnO and talc.*

# 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)
- **IPP:** prescribed drug amount for the whole treatment, dosage is specified in the signatura.



# Pulveres perorales

## IPP:

Remedii cardinalis X,X

Remedii adjuvantis X,X

M.f.pulv.

DS: ...e.g. One table spoon into a glass of lukewarm water.

Ex.

*Rp. Natrii sulfatis*

*Magnesii sulfatis heptahydrici aa ad 200,0*

*M. f. pulv.*

*D.S. One table spool into a lukewarm water. Use on empty stomach.*

Task. Prescribe antacid containing:  $\text{Na}_2\text{HPO}_4$  and  $\text{CaCO}_3$  in the same amounts.

Natrium hydrogenphosphate, Calcium carbonate

# 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





# Pulveres perorales

## IPP:

- **subscriptio:** Ad caps. gelat.

Prescription - dispensed x divided form

## Dispensed form

- dose for single components are stated
- number of required doses is in subscription part (D.t.d. No. ...), instruction to prepare powder is mentioned too (M.f.pulv.)

# Pulveres perorales

*Ex.*

*Rp.*

*Papaverini hydrochloridi*            0,05

*Lactosi monohydrici*            ad 0,4

*M.f.pulv.*

*D.t.d. No. X (decem)*

*Ad caps. gelat.*

*S. for pain 1-2 capsules, maximum 6 pieces per day.*

*Task.: Prescribe peroral divided powder with caffeine (DTS 0,1g) and paracetamol (DTS 0,5g).    Coffeinum, Paracetamol*

# Pulveres perorales

## Divided form

- total amount of each component necessary for IPP is stated
- Number of requested doses is mentioned in subscription (Div.in dos.aeq. No. ...)

*Ex. Rp.*

*Remedii cardinalis* amount in grams (0.5)

*Remedii adjuvans* amount in grams (4.0)

*Lactosi monohydrici* q.s.

*M.f.pulv.*

*Div. in dos. aeq. No. X (decem)*

*Ad caps. gelat.*

*D.S. ...e.g. 1 cps twice a day*

*Task.: Prescribe peroral divided powder with codein (DTS 0,015-0,03g) and diazepam (DTS 0,002-0,005g).*

*Codeinum monohydricum, Diazepamum*

# 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
- IPP: in subscription M.f. spec.*

*Task. Prescribe herbal tea consisting of common balm, valerian root and althea flower. Mixture contain equal parts of all herbs (30g).*

*Melissae herba  
Valerianae radix  
Althae flos*

# Tablettae

- 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)



# Tablettae

## 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  $\text{CO}_2$  is released
- sparkling solutions are prepared



# Tablettae

## Tablets disperged in mouth

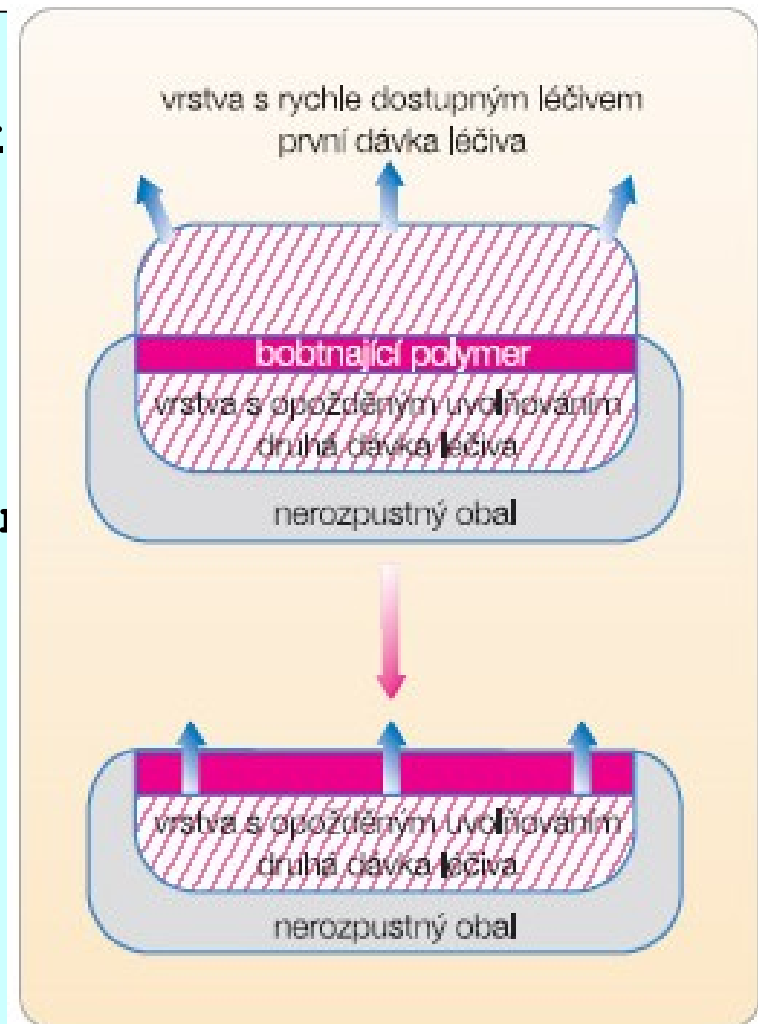
- quick disintegration
- fast onset of effect

## Gastro-resistant tablets

- acid resistant coating
- prevets drug against breakdown

## Controlled release tablets

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



Obr. 4 Matricový systém pro ibuprofen zajišťující pulzní uvolňování a účinek léčiva.

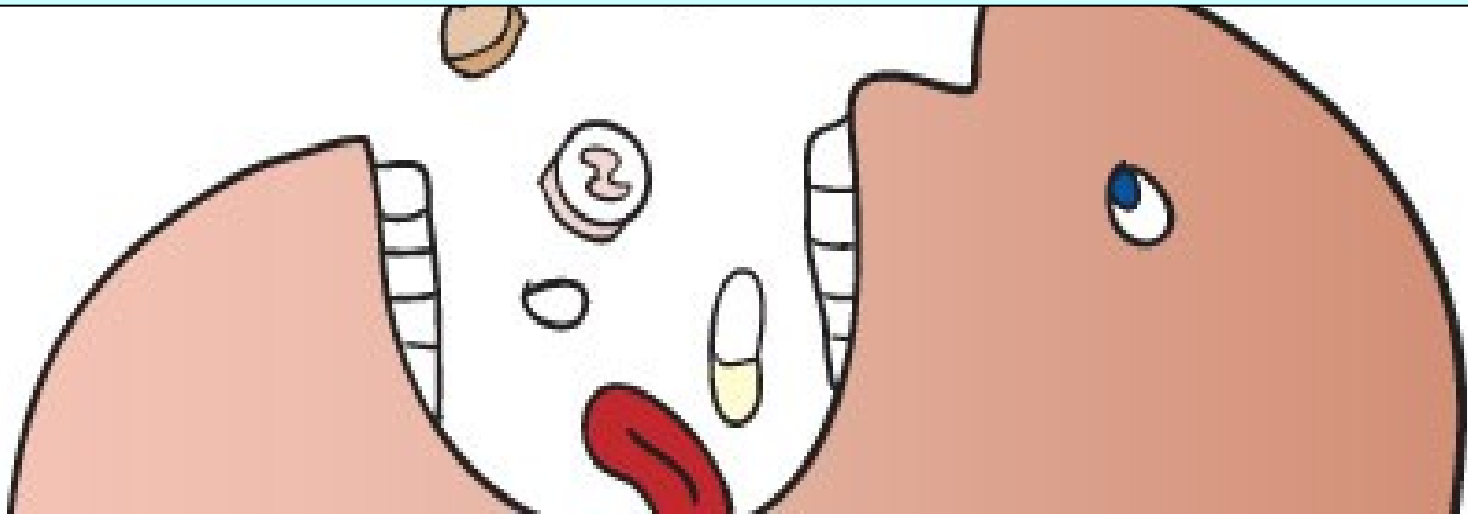
# Tabulettae

## 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

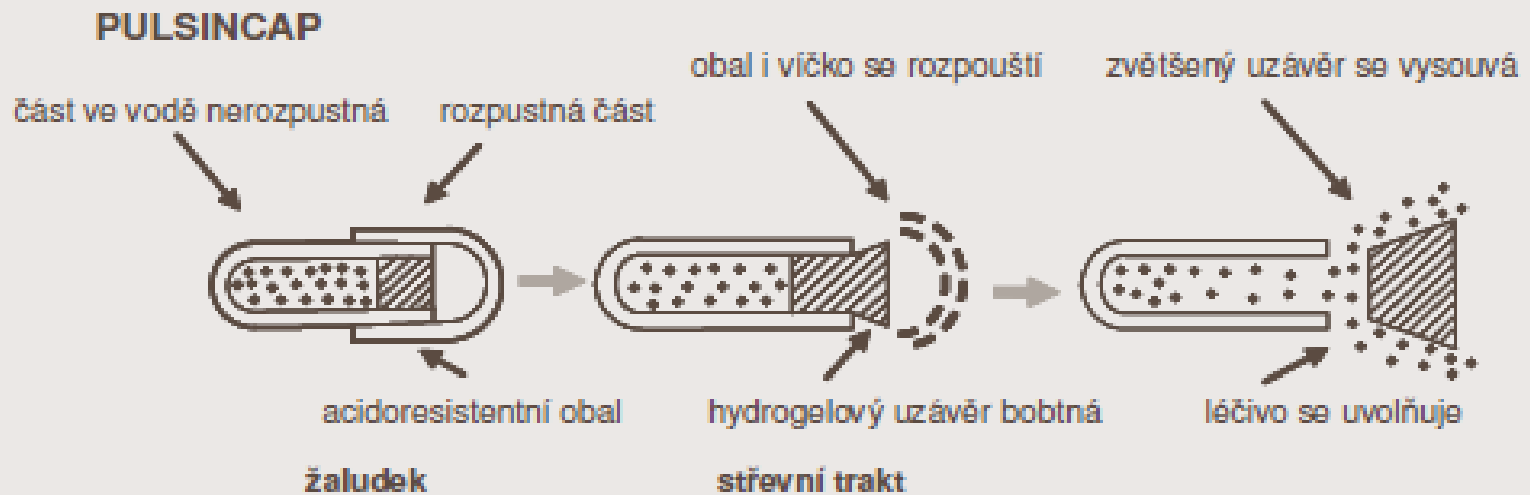
- coating soluble in specific pH

## Controlled release capsules

- membrane systems



Obrázek 2. Schéma funkce systému PULSINCAP



# Implantata

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



# Suppositories

- cylindric 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
- store at dry, cool, place

Prescription - similar to Pulveres divisi

- dispensed or divided form

- Massa pro suppositoriis. - base is

not specified

- q.s. - the amount of base is not

specified

- M.f.supp. or M.f.supp.  
pro inf.



# Suppositoria

## IPLP:

*Ex.*

*Rp.*

*Paracetamoli* 2,5

*Massae pro supp. q.s. ut f. supp.No.V(quinque)*

*D.S. Insert one suppository in the rectum when necessary.*

*Rp.*

*Paracetamoli* 0,5

*Massae pro supp. q.s. ut f. supp.*

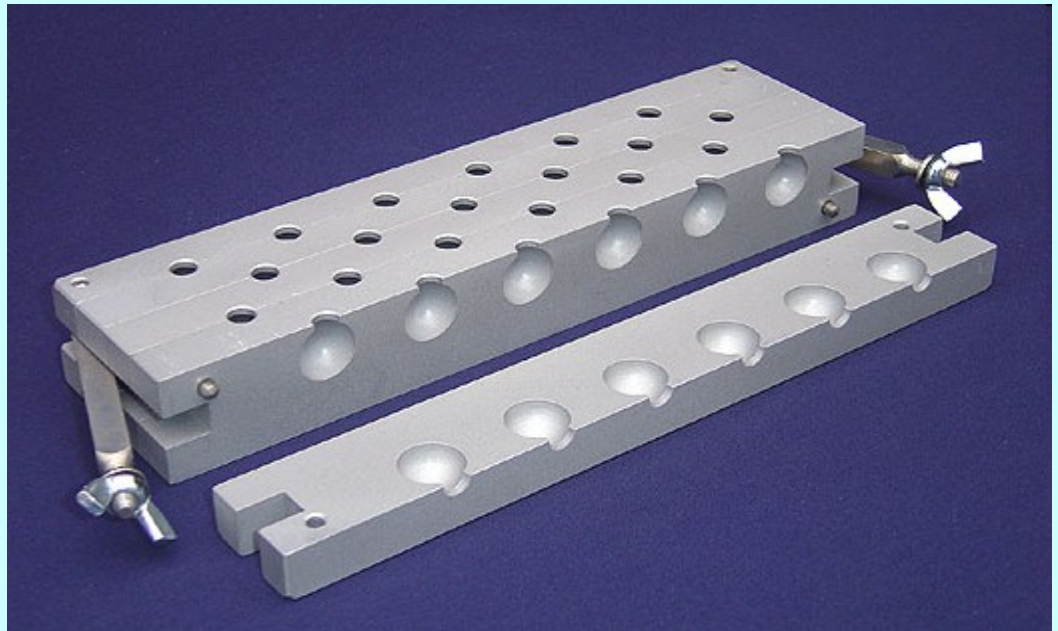
*D.t.d. No. V(quinque)*

*S. Insert one suppository if fever occurs.*

*Task. Prescribe 8 suppositories with diazepam DTS  
0,00025-0,0005g for child.*

# Globuli vaginales

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





# **Drug dosage forms: Semisolid**

PharmDr. Ondřej Zendulka, Ph.D.

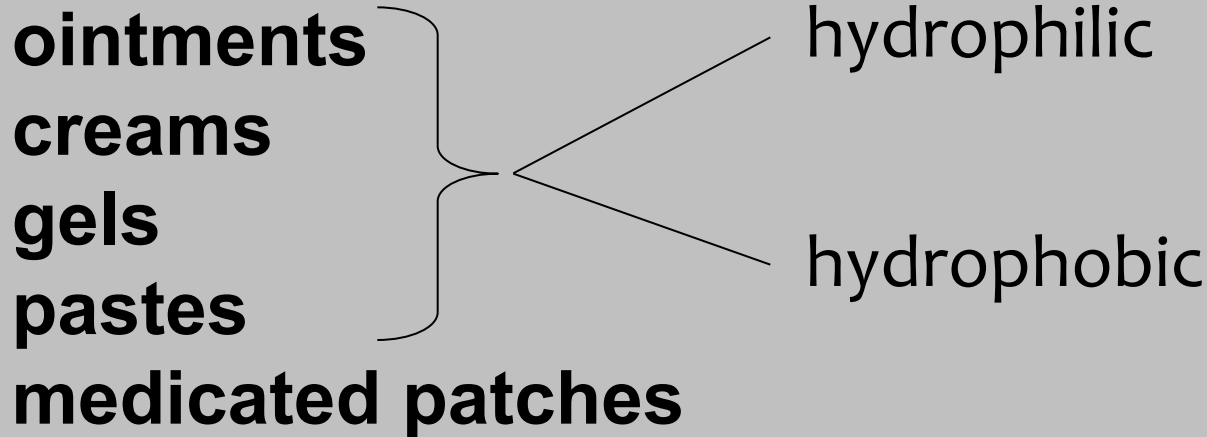


# Semisolid drug dosage forms

- 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: maxim 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

# Masti (Unguenta)

## Emulsifying bases

### Hydrophillic

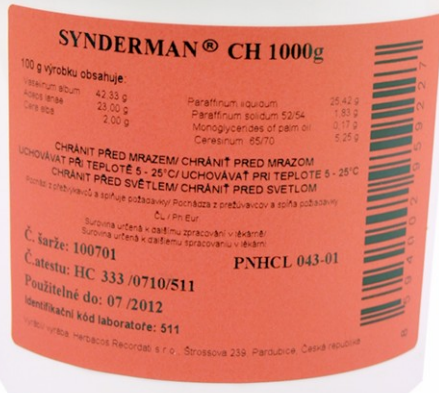
- o/w
- Aquasorb/ Neoaquasorb
- u. emulsificans anionicum, nonionicum
- u. stearini

### Hydrophobic

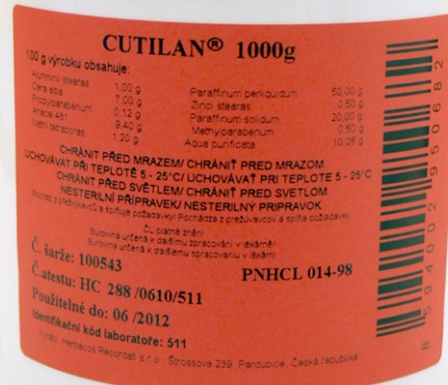
- w/o
- Pontin<sup>®</sup>, Synderman<sup>®</sup>
- ung.cetylicum, u. lanalcoli
- u. monostearini, u. simplex

# Emulsifying bases

v/o



v/o



o/v



*Eye*

*max. 10 g*

*Nose, ear*

*10 – 20 g*

*Face, hands*

*20 – 30 g*

*Legs*

*80 – 100 g*

*Larger surfaces*

*150 – 200 g*

# Unguenta ČL 2009

## Pharmacopoeial ointments:

Alcoholis cetylici unguentum

Zinci oxidi unguentum

Un. constituens pro antibioticis

Un. emulsificans anionicum

Un. emulsificans nonionicum

Un. molle

Un. ophthalmicum simplex

Un. simplex

Un. Whitfield

Acidi borici unguentum 10%

Acidi salicylici unguentum 10%

Alcoholum adipis lanae unguentum

Argenti nitratis unguentum compositum

Glyceroli unguentum

Ichtamoli unguentum

Jecoris aselli unguentum compositum

Macrogoli unguentum

# 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 |
| Ethanol 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.

Př. Prescribe 50g 5%

zinc ointments

# 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

## Oleocreams

- 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

# Krémy (Cremores)

## **Pharmaopoeial creams:**

Alcoholis cetylici cremor

Alcoholum adipis lanae cremor

Aluminii acetotartratis cremor

Cremor anionicus

Cremor nonionicus

Cremor refrigerans

# 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:**

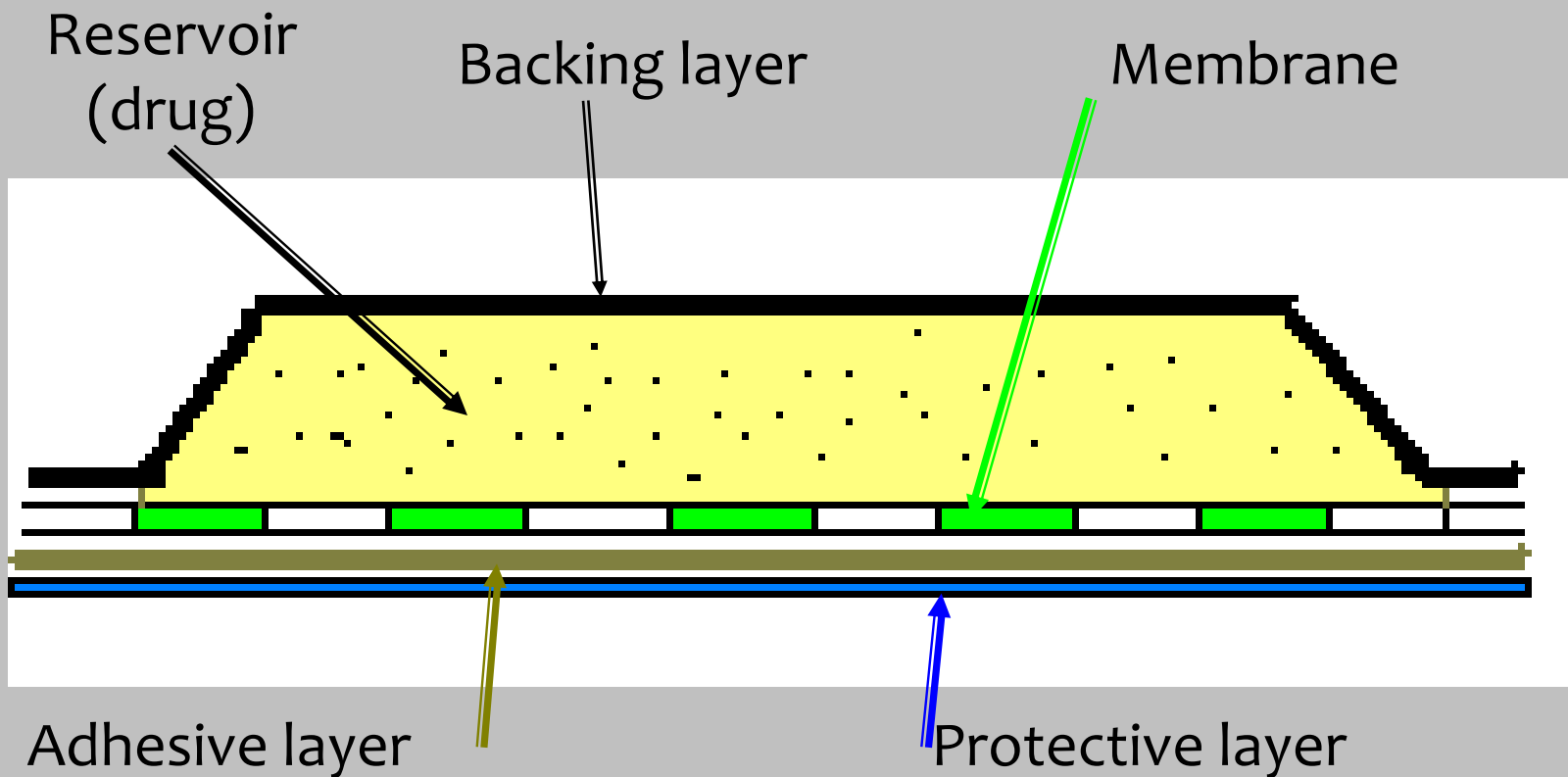
skin sensitization  
excipients allergy  
  
influence on skin microflora  
slow onset of effect  
price

# 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



# TTS with matrix controlled release

