Preparation and examination of rectal preparations

Part 2.

Preparation and examination of rectal preparations

Preparation of suppositories:

Moulds or **compression**

- we can ***dissolve*** the API in the molten base material or
- ***suspend*** them (160 micrometer)
- the non-soluble ingredients should be ***emulsified*** with the preparation.

Preparation and examination of rectal preparations

Preparation with Bougie-presser

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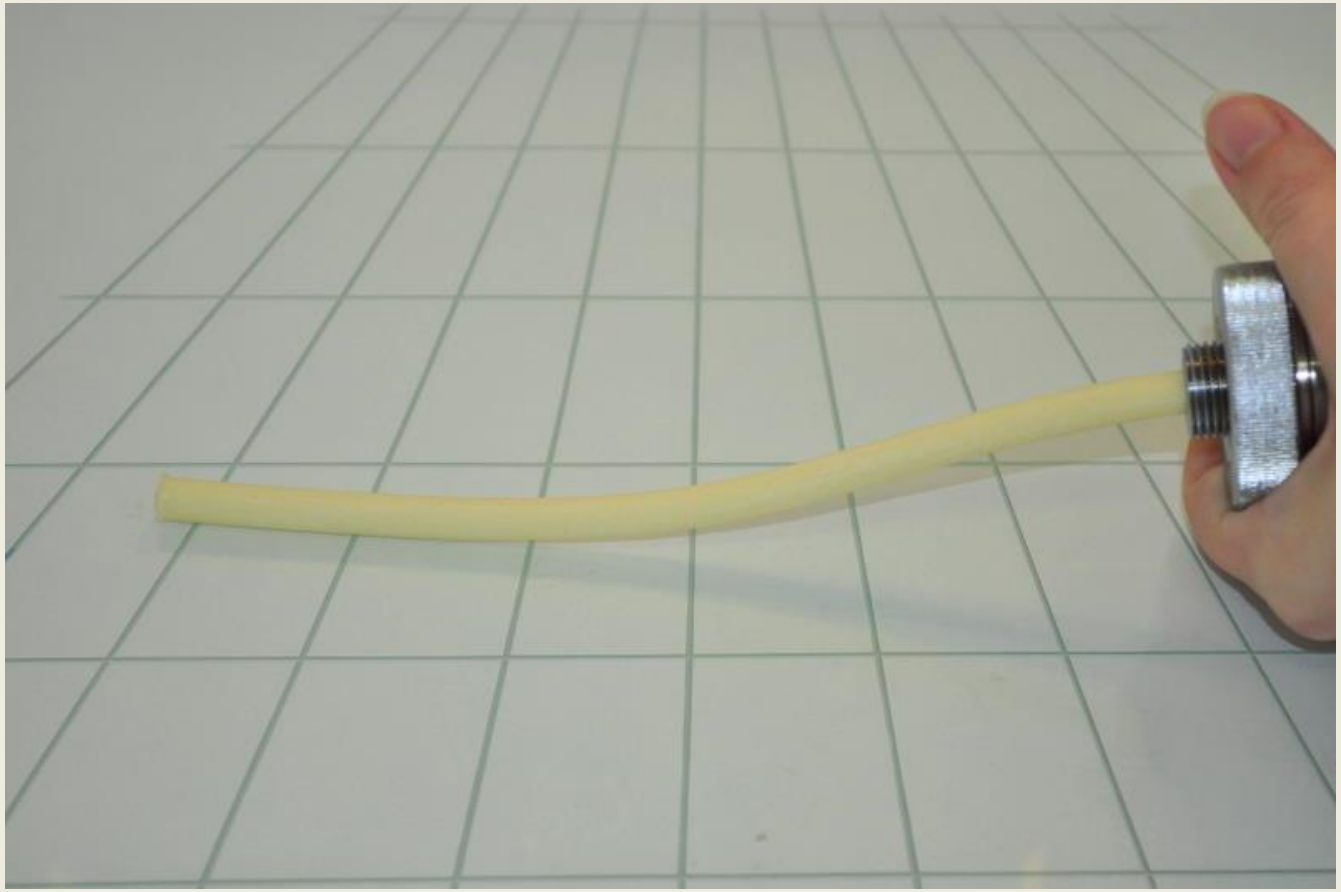
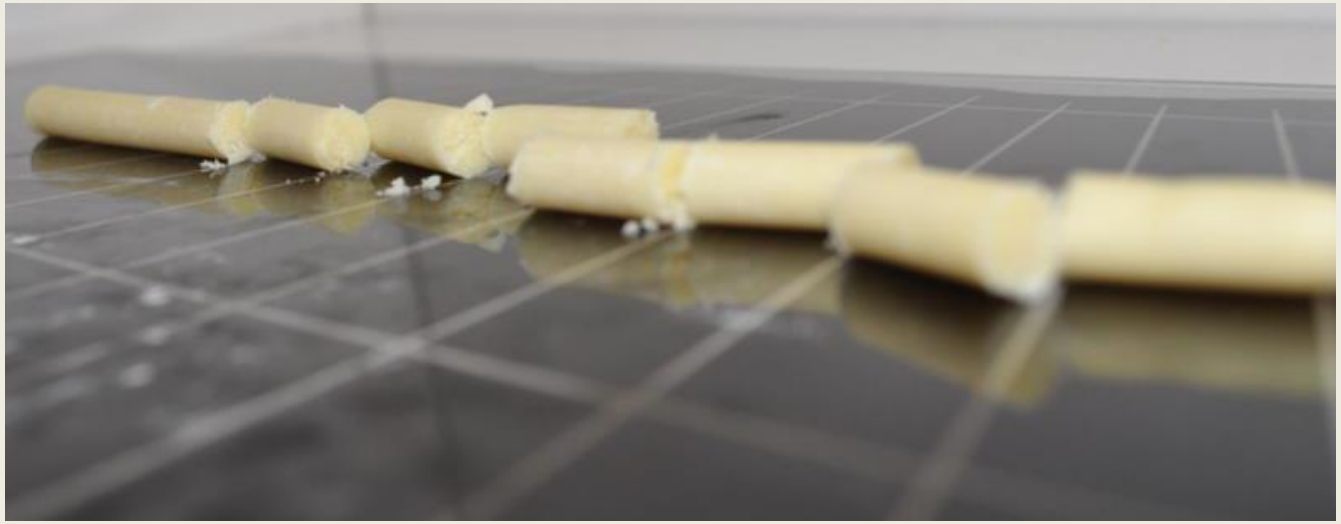
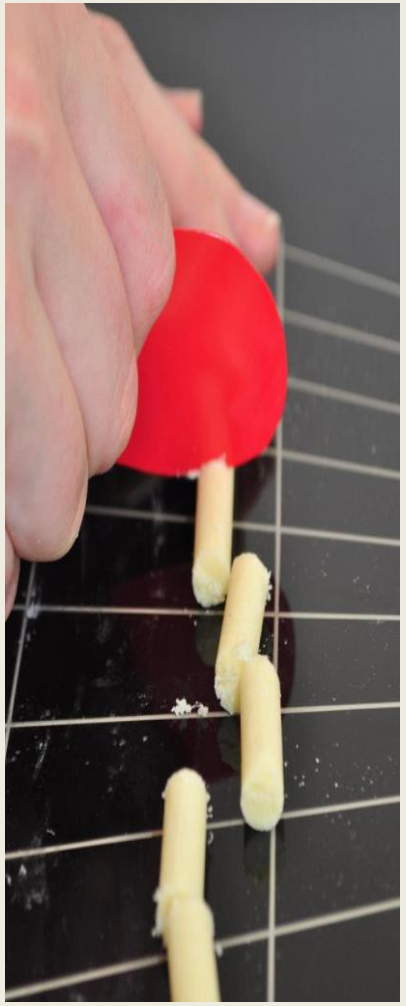
Preparation with Bougie-presser



Preparation and examination of rectal preparations

Preparation with Bougie-presser





Preparation and examination of rectal preparations

Preparation with Bougie-presser

Preparation and examination of rectal preparations

Preparation with pouring

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Preparation with pouring

$f_{Metamizolum\ (in\ Adeps\ solidus)}$ = 0.75 means, 1.00 g metamizol displaces 0.75g hard fat, so 1.00g metamizol has equal volume with 0.75g hard fat.

The factors of the ingredients, what can dissolve in the base material, are 1.0.

All ingredients have to be subtracted from the base material, thus ensuring the proper concentration of the API in the end product.

$$T_m = O - (f_1 m_1 + f_2 m_2 + ... + f_n m_n)$$

where:

T_m = tota massa (total amount of the basic material needed for the pouring)

O = original weight of the base material (when the base material is the only one component poured into a mold).

f_n = the displacement factor of the component No.n

m_n = weight (mass) of the component No. n

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Preparation with pouring

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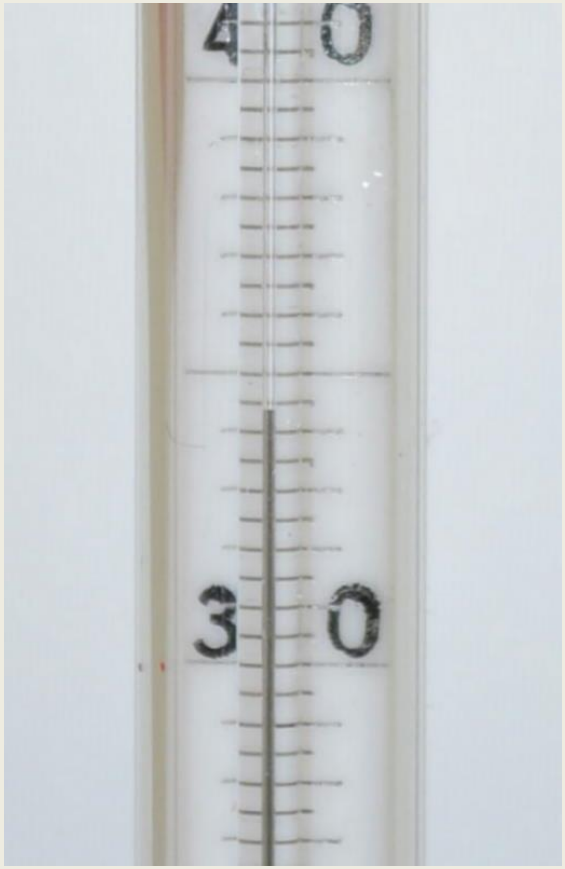

Preparation and examination of rectal preparations

Preparation with pouring

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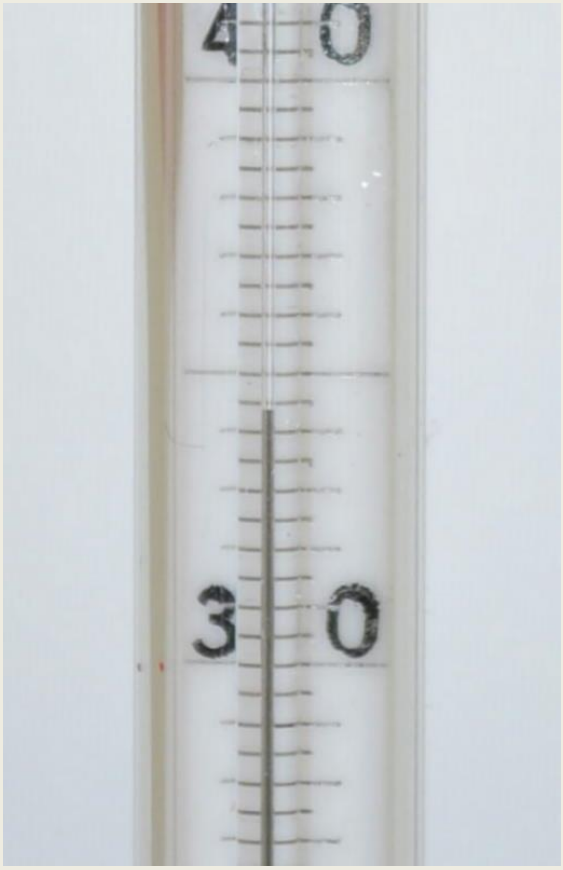

Preparation and examination of rectal preparations

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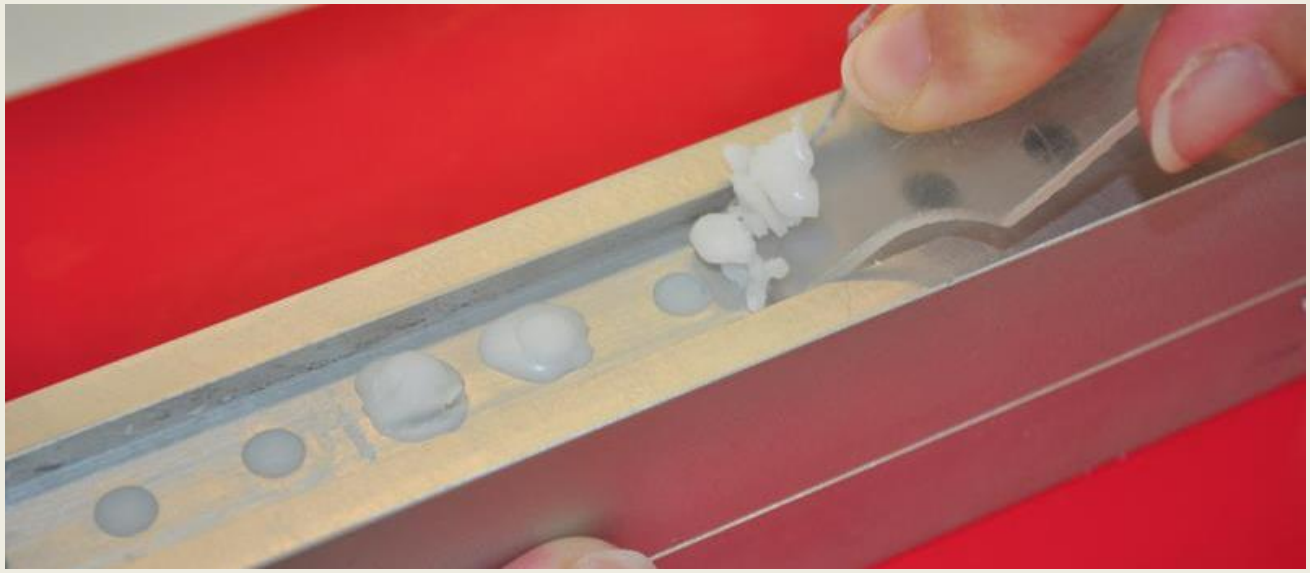


Preparation and examination of rectal preparations

Preparation with pouring

Biopharmaceutical aspects needed for the technology

- particle size
- solubility
- dissolving speed
- absorption
- elimination

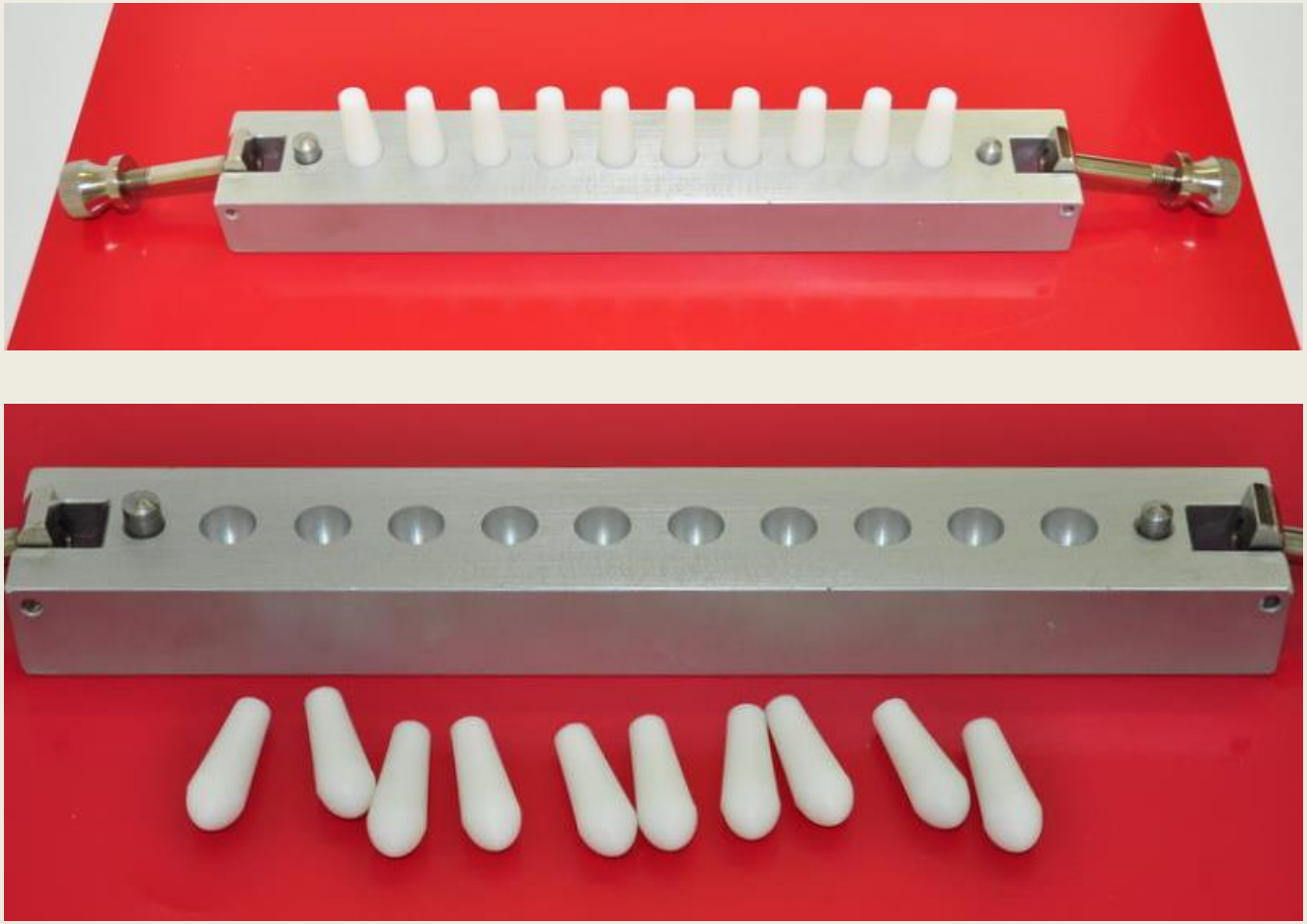
API

- volume
- pH
- viscosity
- surface tension
- bioavailability
- foreign material

preparation

Preparation and examination of rectal preparations

Preparation with pouring

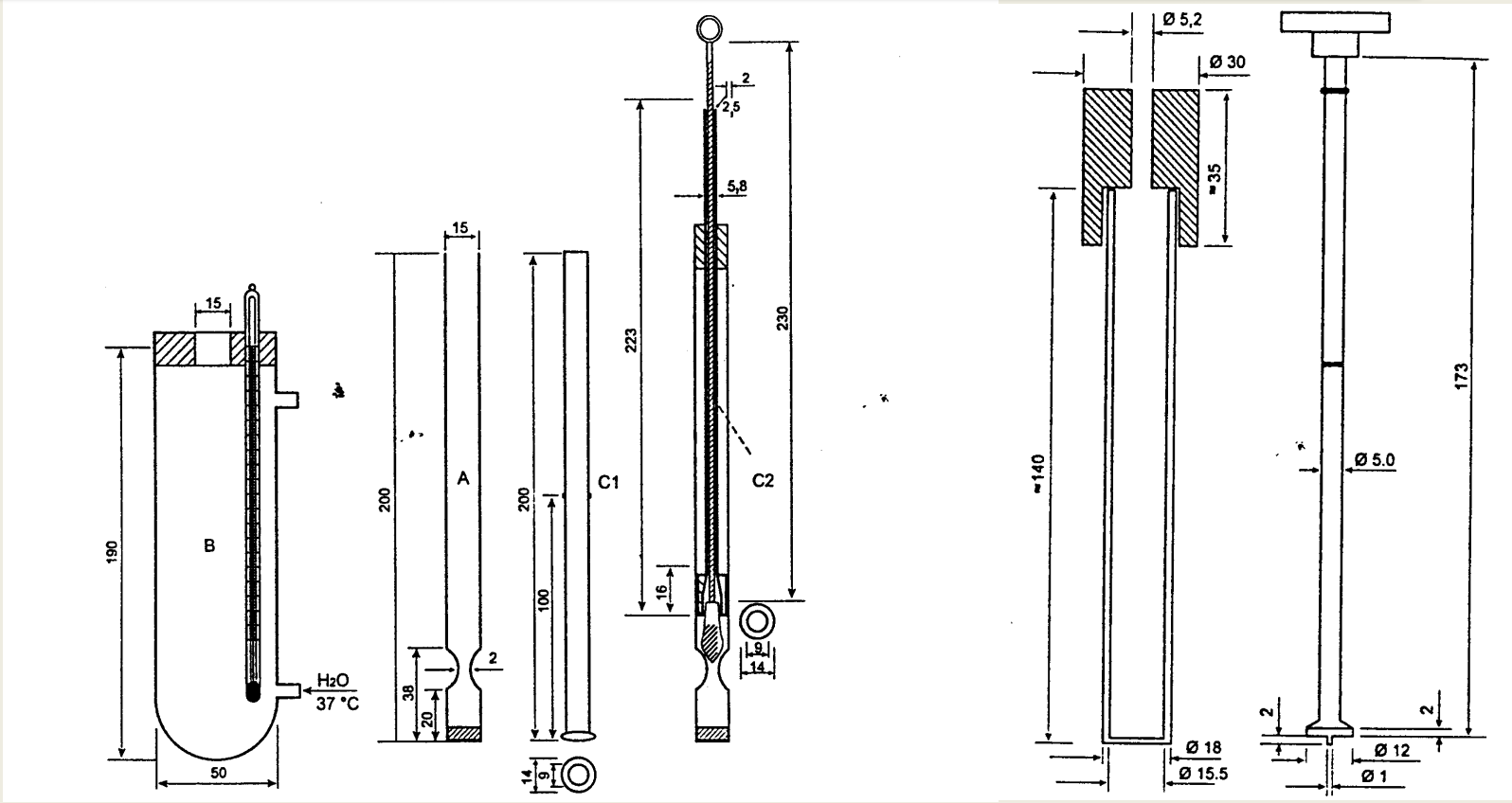


Preparation and examination of rectal preparations

Preparation with pouring

Examinations of rectal preparations (Ph. Eur. 6)

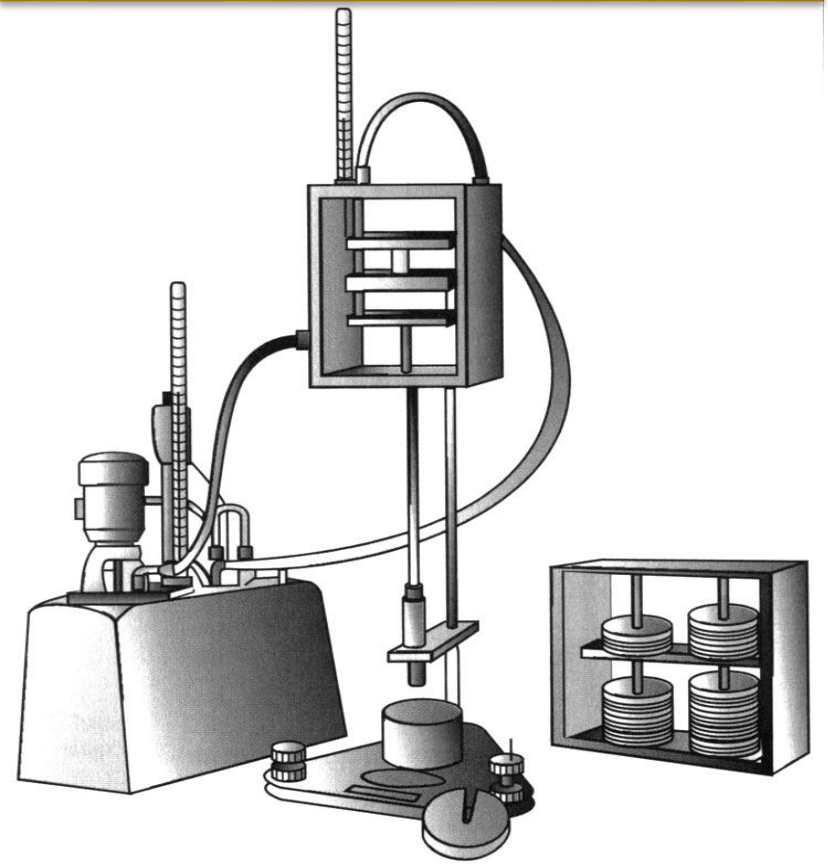
Softening time determination of lipophilic suppositories (Ph. Eur. 6)



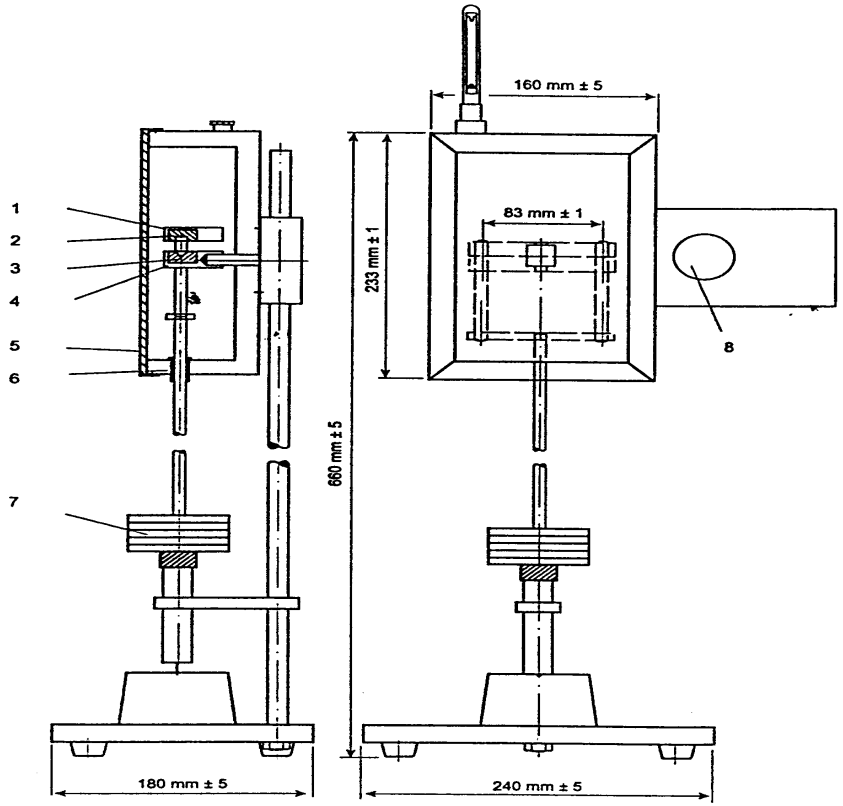
„The test is intended to determine, under defined conditions, the time which elapses until a suppository maintained in water softens to the extent that it no longer offers resistance when a defined weight is applied."

Preparation and examination of rectal preparations

Resistance to rupture of suppositories and pessaries (Ph. Eur. 6)



Kúp törési szilárdságvizsgáló Erweka készülék



1: a felső törőfej hordozó szerkezete
2: felső törőfej
3: alsó törőfej
4: az alsó törőfej hordozó szerkezete
5: üvegablak
6: temperált kamra
7: súlyok
8: hőmérséklet-szabályozó

2.9.24.-1. ábra – *Készülék végbélkúpok és hüvelykúpok törési szilárdságának vizsgálatára*

600 g
+ 200 g

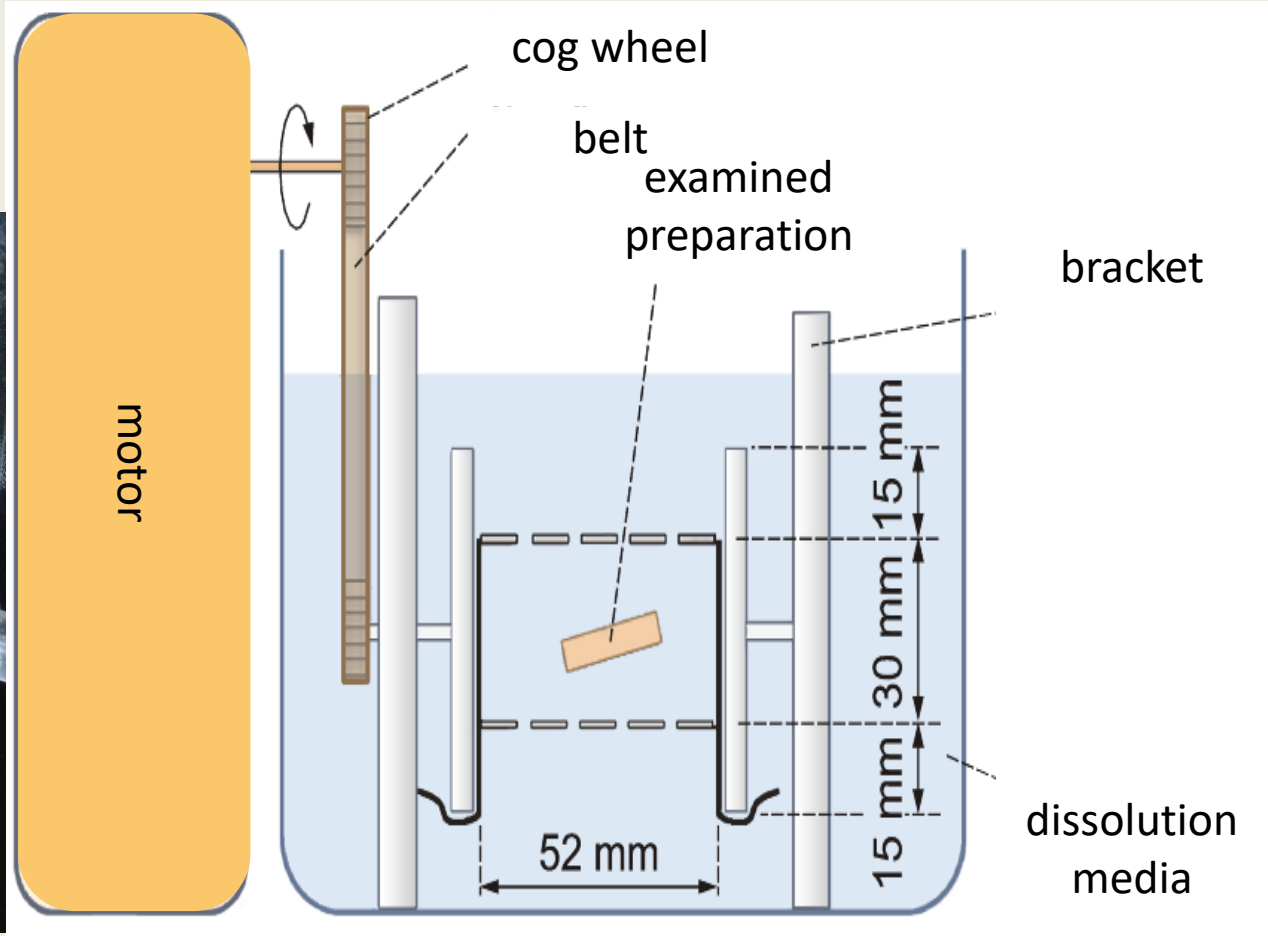
1:00-1:20 ➔ 600 g
1:20-1:40 ➔ 700 g
1:40-2:00 ➔ 800 g

Preparation and examination of rectal preparations

Disintegration of suppositories and pessaries (Ph. Eur. 6)

Lipophilic preparation: 30 min
hydrophilic preparation: 60 min

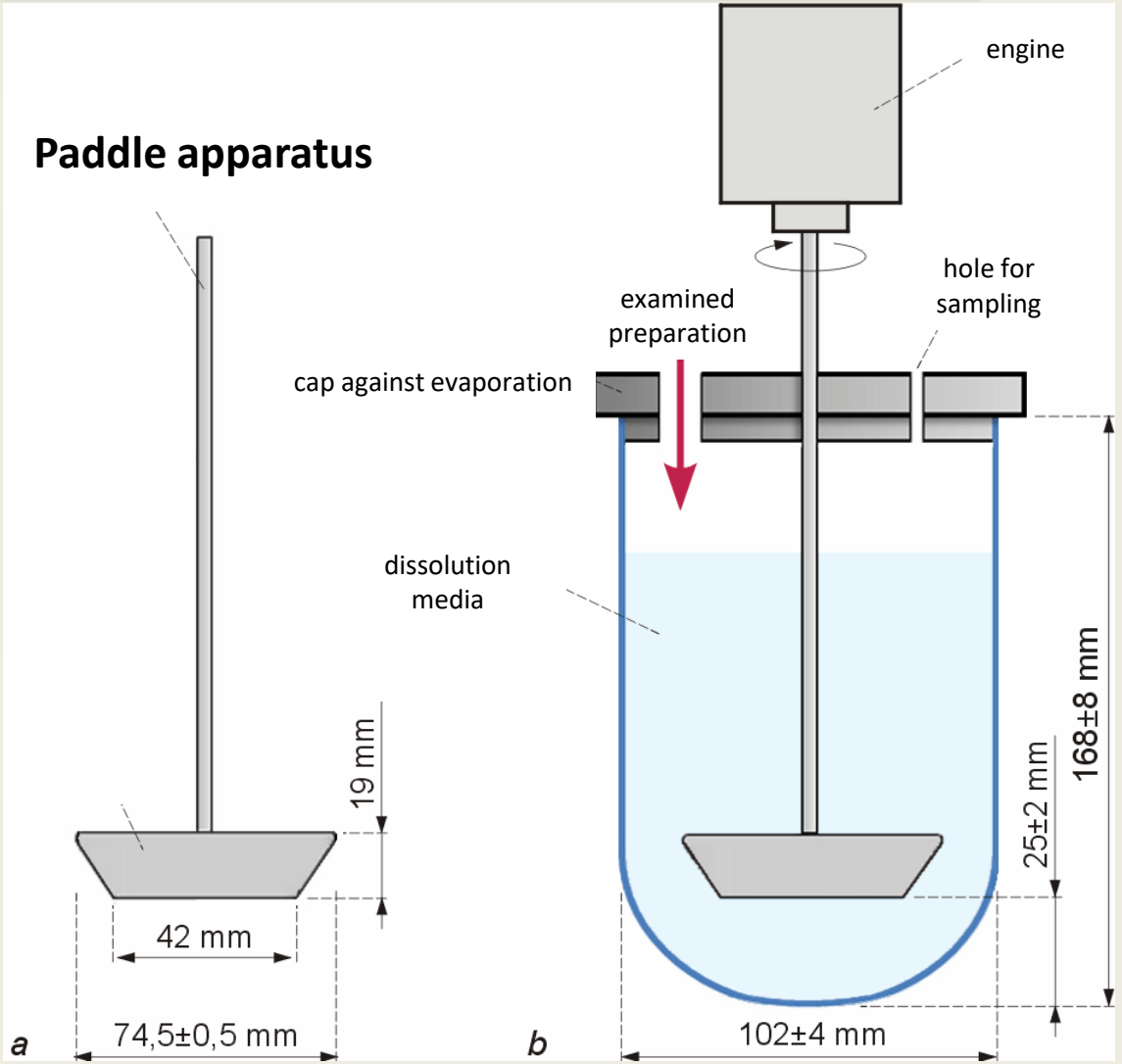
37 °C
it turns round in every 10 minutes



Preparation and examination of rectal preparations

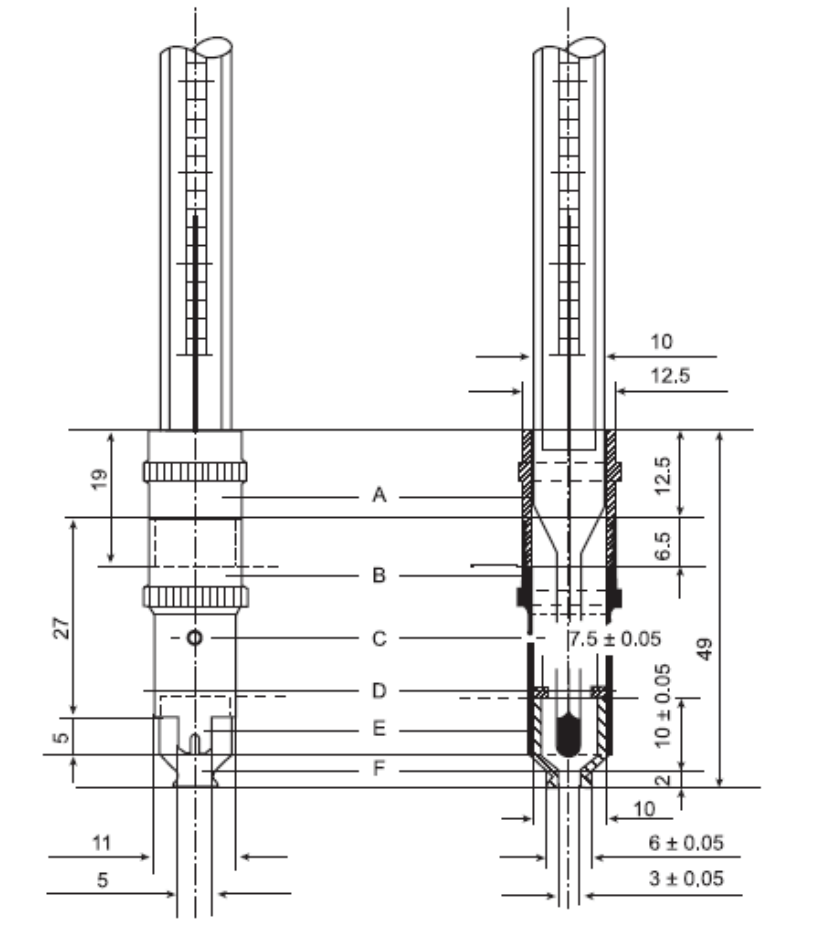
Dissolution test for solid dosage forms (Ph. Eur. 6)

„The test is used to determine the dissolution rate of the active ingredients of solid dosage forms (for example, tablets, capsules and suppositories).”



Preparation and examination of rectal preparations

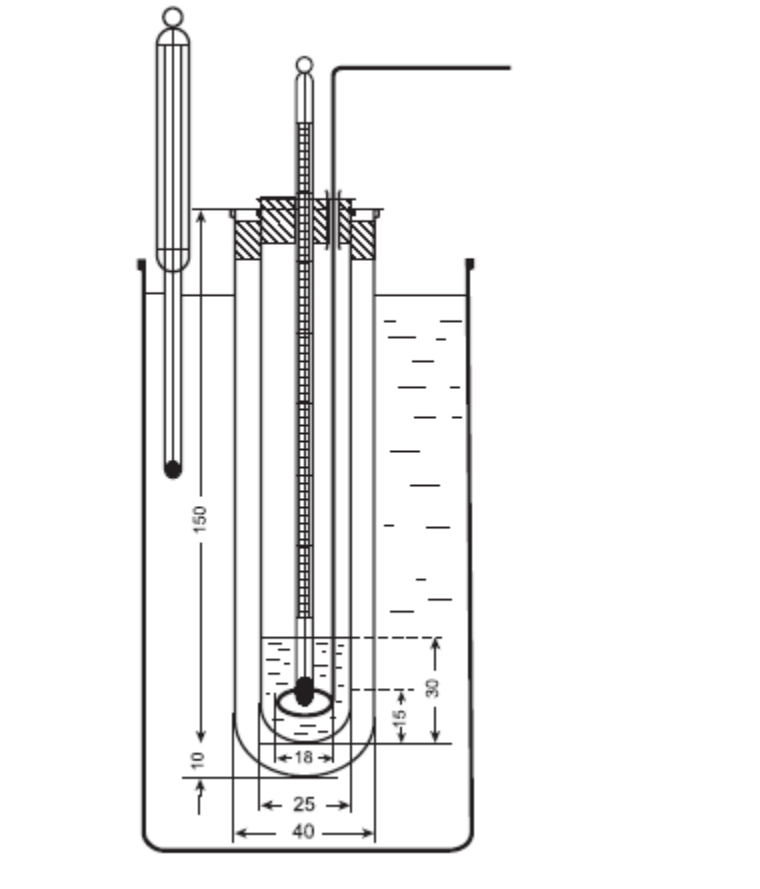
Drop-point (Ph. Hg. VII)



- Ubbelohde-instrument
- The drop-point is a temperature, which shows the temperature needed for the appearance of the first drop of the molten mass (suppository base).

Preparation and examination of rectal preparations

Congealing-point (Ph. Hg. VII)

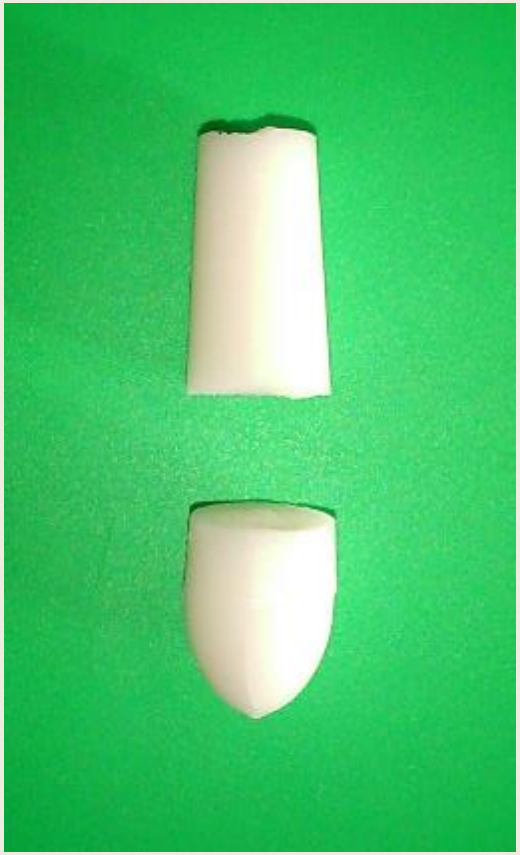


- **Zhukov's apparatus**

- The congealing-point is a temperature, which shows the temperature needed for the solidification of the molten mass (suppository base).

Preparation and examination of rectal preparations

Particle size and homogenicity (Ph. Hg. VII)

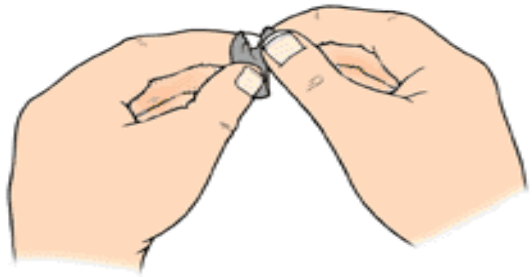


Homogenicity

The suppository has to be halfen to gain two equal weighted parts.

Use of rectal preparations

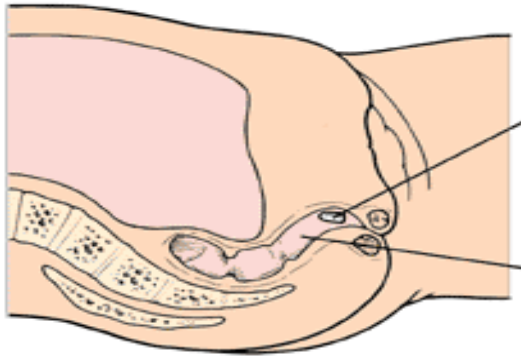
How to Insert a Suppository

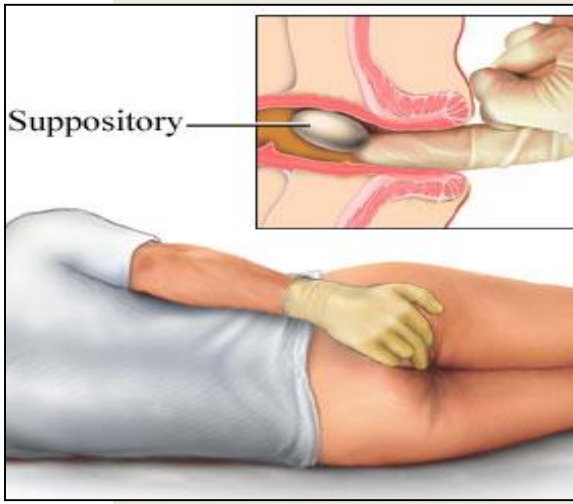


1. Remove foil wrapper.

2. Moisten the suppository with water or water-based lubricating jelly (such as K-Y).

3. Lie on your left side and bend your right knee up toward your chest. Gently push the suppository into your rectum so it is deep enough not to come out.





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Rectal preparations for common diseases

Application of rectal preparations

- The rectal route is capable to reach systemic effects, too. The ***local therapy*** is commonly used against ***inflammations*** (*proctitis*), ***anal fissures*** (*fissura ani acuta*), and ***eczema*** occurred around the anus. The dilatation of the veins in the anal part is called *haemorrhoids* (*nodus haemorrhoidalis*). For this reason, suppositories and ointments are used. They contain local anesthetics, vasoconstrictors, anti-inflammatory ingredients, mild antiseptics and epithelizing agents.
- This route can also be useful if the patient's problem is constipation *(constipatio*). For the reason of cleansing of the lower part of the intestinal parts that have a luminal water retentive effect, too. The stimulation of the nerves of the intestinal tract we can provoke an enhanced peristalsis.
- The dichlophenac-sodium is better tolerated in case of rectal administration than if it is administered orally.

Preparation and examination of vaginal preparations

Preparation of vaginal and intrauterine products

In case of lipohilic base material

- Should be melt at 37 °C
- Miscible with the vaginal fluid
  (high number of hydroxyl groups, nonionic surfactants)
- In case of inflammation with abundant secretion
- Contraceptive
- Butyrum cacao; Adeps solid. 50; Adeps solid. comp

Preparation of vaginal and intrauterine products

In case of hydrophilic base material

Glycerol-gelatin hydrogels

- \+ : rapid dissolution
- \- : the quality, microbiological stability, incompatibility

PEG (Macrogolum) / Massa macrogoli FoNoVII.

- \+ : slow disintegration, prolonged effect, tropic resistance, good solvent
- \- : hygroscopicity, local irritation.

Foam forming agents

- Hydrophilic ingredients + foam forming agents. The formed foam can cover the whole surface of the vagina → contraception (spermicides)

Thank you for your attention