

Pharmaceutical Excipients (PE)

= compounds contained in drug preparations, which are not holders of the activity

- necessary for formulation (creation; making-up) of the drug form (DF); they can influence bioavailability of active compounds (ingredients)
- technical excipients – used in manufacturing of DF, not present in final preparation (typically solvents which are evaporated during the manufacturing)
- pharmacopoeias: requirements to quality including purity of PE are the same as for active ingredients; EP: common article *Corpora ad usum pharmaceuticum*
- old definition of PhBs IV (valid 1987 – 1996): PE are chemically homogenous or non-homogenous compounds or their mixtures needed for preparation of drug preparations, which are either their part (PE in narrower meaning), or they are not contained in a final preparation (technical PE).

Classification of PE after their usage

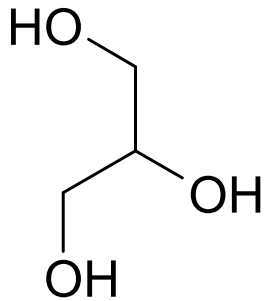
1. Constitutive PE – form the „habitus“ of DF
2. Stabilizing PE
 - 2.1 Stabilizers of aggregate properties of dispersions – tensides, compounds increasing the viscosity
 - 2.2 Stabilizers of compound composition of DP
 - 2.2.1 Antioxidants
 - 2.2.2 Antimicrobial and antifungal preservatives
3. Compounds correcting organoleptic properties of DP
 - 3.1 Taste corrigents
 - 3.2 Odour corrigents
 - 3.3 Colour corrigents - dyes
4. Compounds affecting bioavailability of active ingredients – enhancers of permeation through organism barriers
5. Technical PE

Classification of PE after „quantifiability“ of their effect

- compounds without own quantitatively expressible effect
 - constitutive PE
- compounds with own quantifiable effect:
 - ★ antimicrobial and antifungal preservatives (MIC)
 - ★ antioxidants (total antioxidant capacity etc.)
 - ★ taste corrigents – sweeteners (sweetness compared with sucrose)
 - ★ tensides (HLB)
 - ★ enhancers of permeation through barriers – enhancement ratio etc.
 - ★ dyes – objective expressing of the colour in systems of coordinates (eg. CIELAB), or otherwise by means of VIS spectra (λ_{\max} , ε)
 - ★ etc.

Compounds at the border between PE and medicines

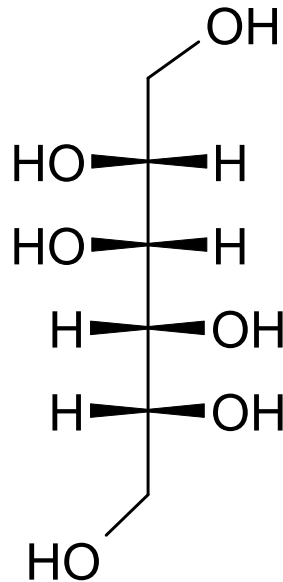
Sugar alcohols



glycerol

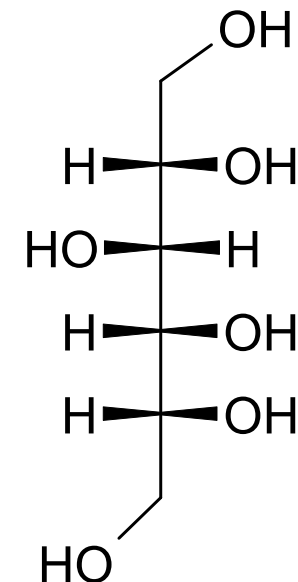
Suppositoria glycerini

- cosolvent, humectant in topical preparations
- application *per rectum* ⇒ laxative



D-mannitol

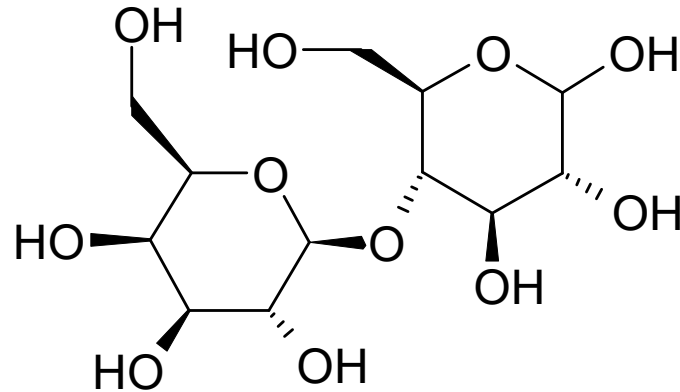
- taste corrigents – sweeteners
- application *p. o.* ⇒ osmotic laxatives



D-sorbitol

syn. **D-glucitol**
Yal® sol.

Compounds at the border between PE and medicines



lactose (*Saccharum lactis*)

4-O- β -D-galactopyranosyl-D-glucose

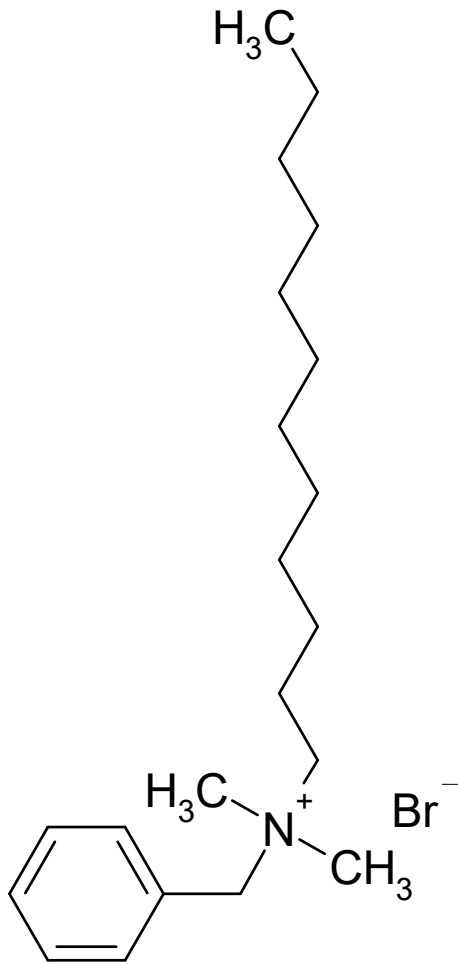
★constitutive PE very inert – contained in tbl.,

filler of cps

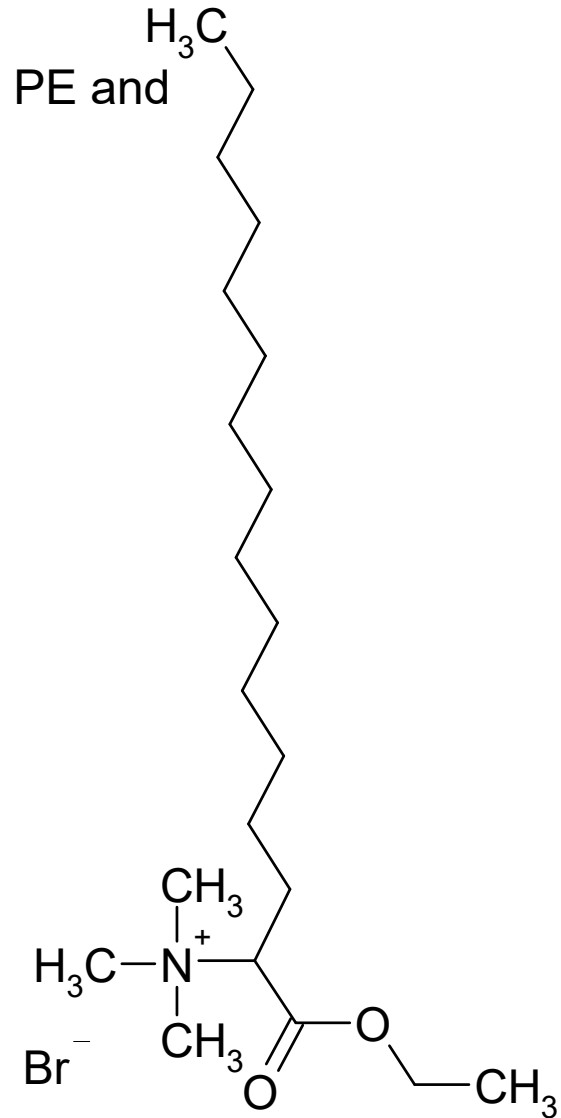
★ in higher doses in a solution applied *p. o.* acts

as a laxative

Compounds at the border between PE and medicines



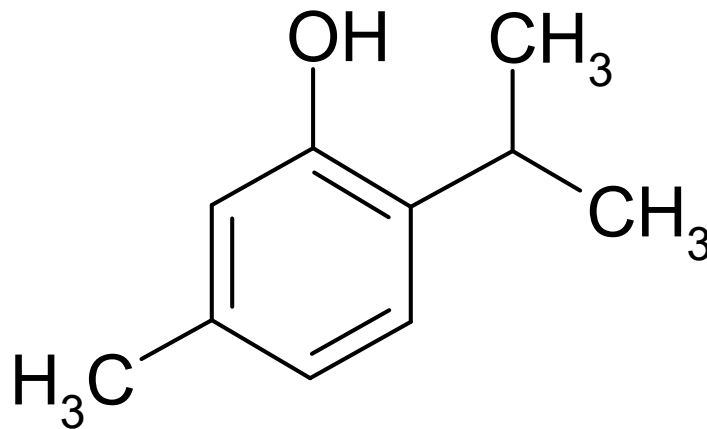
benzododecinium bromide
Ajatin[®]



carbethopendecinium bromide
Septonex[®]

- ◆ tenzides, emulsifiers
- ◆ antimicrobial antiseptics
- ◆ disinfectants, antiseptics

Compounds at the border
between PE and medicines



thymol

2-isopropyl-5-methylphenol

Thymolum EP

- ◆ component of essential oil of *Thymus* genus
- ◆ antimicrobial preservative with bacterio- and fungistatic activity
- ◆ expectorant

Thymomel sir.®