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 druring the manufacturing)
- pharmacopoeas: 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 incresing the viscosity

2.2 Stabilizers of compound composition of DP

2.2.1 Antioxidants

2.2.2 Antimikrobial and antifungal preservatives

3. Compounds correcting organoleptic properties of DP

3.1 Taste corrigents

3.2 Odour corrigents (perfumes)

3.3 Colour corrigents - dyes

4. Compounds affecting bioavailability of active ingredients – enhancers of permeation through organism barriers
5. Technical PE

Classification of PE according „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)

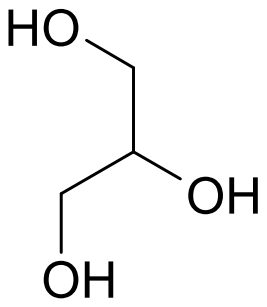
★ enhancers of permeation through barriers – enhancement ratio etc.

★ dyes – objective expressing of the colour in systems of coordinates (eg. CIELAB), or otherwise by means of VIS spectra (λ_{max}, ε)

★ etc.

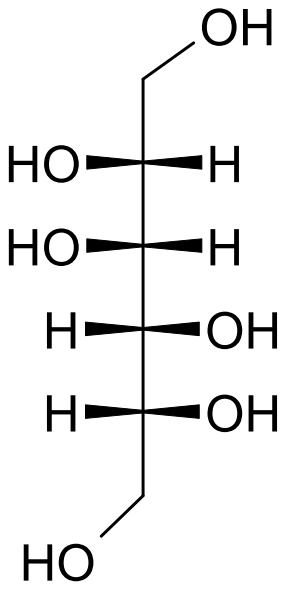
Compounds at the border between PE and medicines

Sugar alcohols



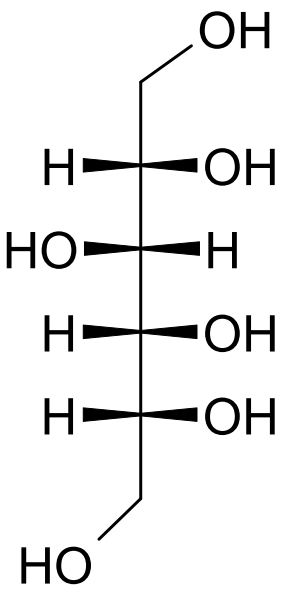
glycerol
Suppositoria glycerini

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



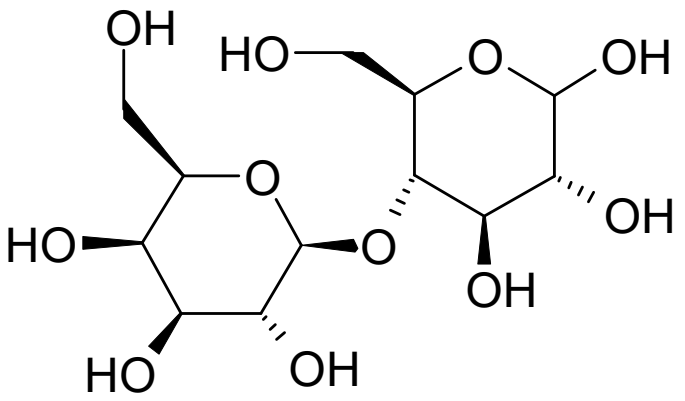
D-mannitol

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



D-sorbitol
syn. **D-glucitol**
Yal® sol.

Compounds at the border between PE and medicines



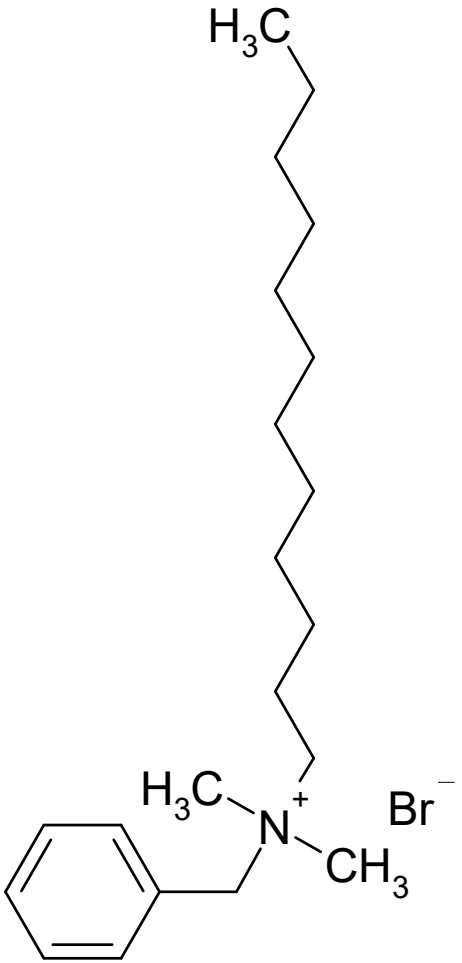
lactose *(Saccharum lactis)*

4-O-β-D-galactopyranosyl-D-glucose

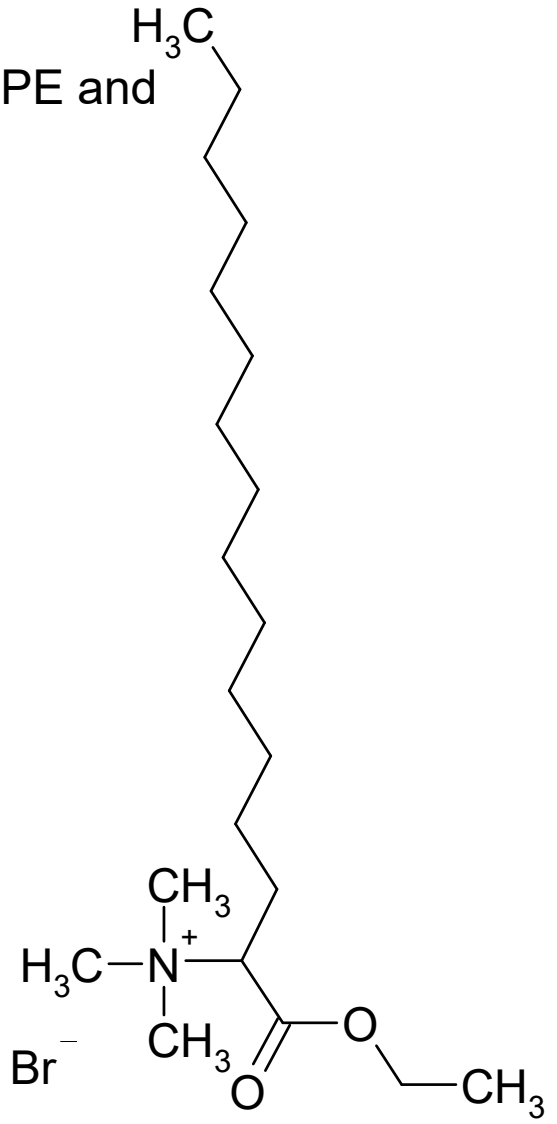
★constitutive PE very inert – contained in tbl., filler of cps

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

Compounds at the border between PE and medicines

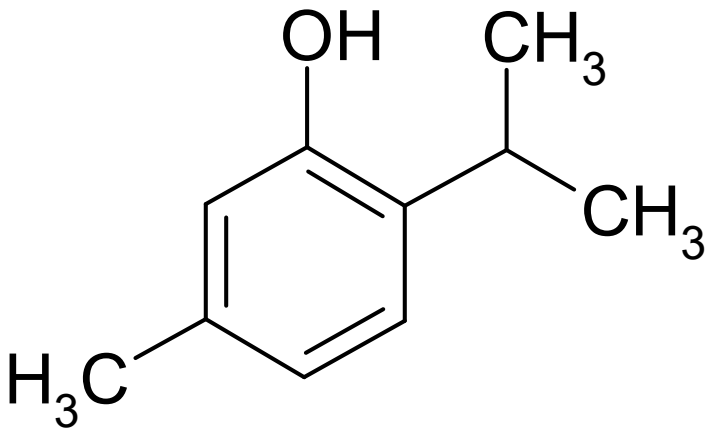


benzododecinium bromide
Ajatin®



carbethopendecinium bromide
Septonex®

- tenzides, emulsifiers
- antimicrobial preservatives
- disinfectants, antiseptics



thymol
2-isopropyl-5-methylphenol
Thymolum EP

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

Thymomel sir.®