

DRUG

- ✓ API
- ✓ A substance which has a therapeutic effect when administered.
- ✓ Drug is not administered as it is.

PHARMACEUTICAL ADDITIVES

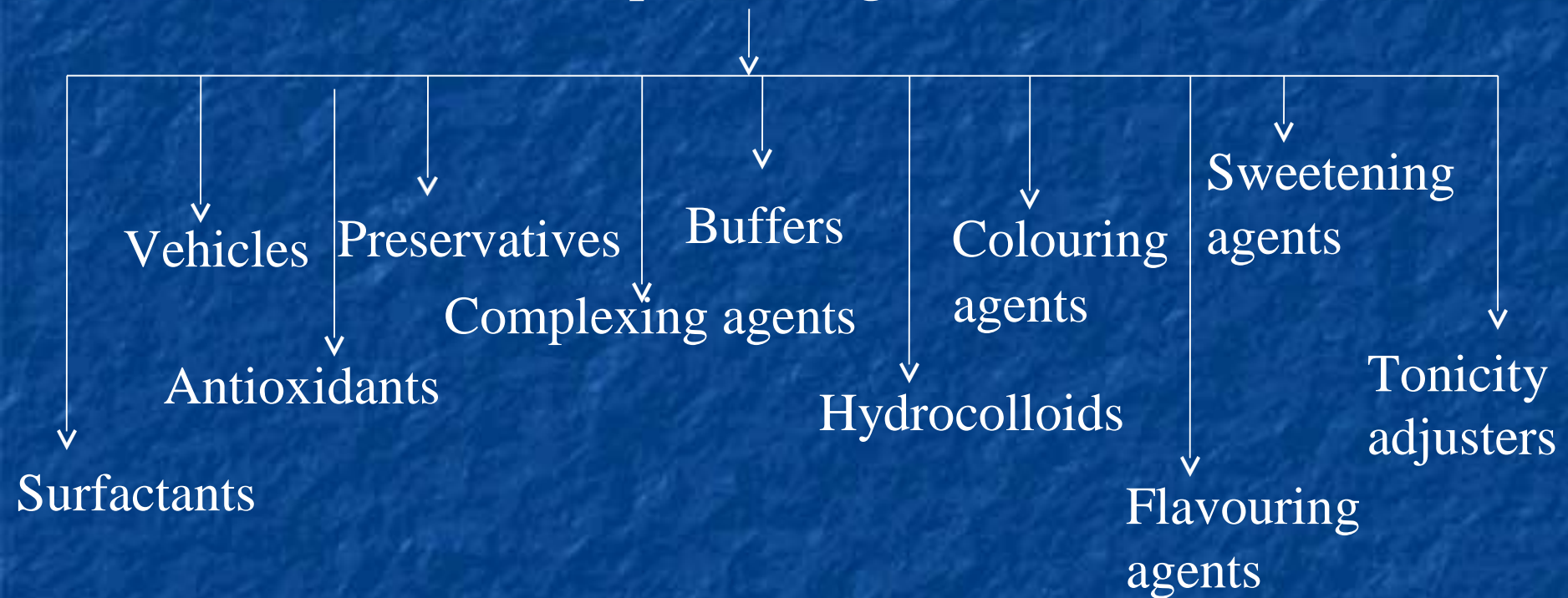
- ⊖ Substances which are of little or no therapeutic value, but which are added to the formulation in order to,
 - Help the production
 - Maintain physicochemical stability
 - Improve patient acceptability
 - To improve the functioning of the dosage form as a DDS
- ⊖ Pharmaceutical aids, necessities, adjuvants or excipients.

IDEAL PROPERTIES

- ✓ Physiologically inert
- ✓ Physiologically stable
- ✓ Do not impart any undesired taste, colour and odour
- ✓ Non-toxic, non-irritant and non-sensitizing.
- ✓ Effective in low conc.
- ✓ Free from microorganisms
- ✓ Not interfere with bioavailability of the drug.
- ✓ Accepted by regulatory authorities.

PHARMACEUTICAL ADDITIVES USED IN LIQUID DOSAGE FORM

Liquid Dosage Forms



VEHICLES

- ✓ Medium in which ingredients of a formulation are dissolve, suspended or dispersed.
- ✓ Pharmaceutical vehicles can be classified as under
 - Aqueous vehicles:
Water, Aromatic Water, Alcohol, glycerin, Propylene Glycol.
 - Oily vehicles:
Vegetable oils, mineral oils, organic oily bases or emulsified bases.

✓ **Water**

- Lack of toxicity, Physiological compatibility, and its ability to dissolve a wide range of materials.
- Potable water
- Purified water BP.
- Phenobarbitone, Aminophylline- Carbon dioxide.
- Apomorphine and Ergotamine - Oxidation

✓ **Cosolvency**

- Water miscible solvents
- Water/ethanol blend, sorbitol , glycerol, propylene glycol and syrup.
- Propylene glycol and water for Co-trimoxazole.
- Paracetamol elixer- Alcohol, propylene glycol and syrup.

SURFACTANTS

- ✓ **Solubilising agents**

- HLB value- 15 to 18.
- eg. Polysorbate 80.

- ✓ **Wetting agent**

- HLB value 8 to 10
- Tweens, spans, SLS

- ✓ **Emulsifying agents**

- o/w emulsifiers- 8 to 18
- w/o emulsifiers- 3 to 6
- Glycol, glycerol esters, cetrimide.

- ✓ **Antifoaming agents** –HLB- 1.5 to 3

- Castor oil, fatty acids.

ANTI-OXIDANTS

- ✓ Effective when used in their soluble form.
- ✓ Conc. 0.01 to 1%
 - **True Antioxidants**
 - } Act by suppressing the formation of free radical.
 - } Eg. Alphatocopherol, BHA, BHT, Methyl gallate.
 - **Reducing agent**
 - } These get preferentially oxidised over the drug.
 - } Eg. Sodium sulphide, Sodium bisulphide, sodium metabisulphide.
 - **Synergist antioxidants**
 - } Used in combination with above.
 - } Act by regeneration of antioxidant radical or by chelating metals.
 - } Eg. EDTA, Ascorbic acid, citric acid.
 - } .

HYDROCOLLOIDS

- ✓ High mol. Wt. subs
- ✓ Produce highly viscous soln, suspension or gels.
- ✓ Natural : acacia, tragacanth, agar.
- ✓ Semi-synthetic : methyl cellulose, sod.CMC, HEC.
- ✓ Synthetic : carbopols.

PRESERVATIVES

- ✓ Stop the multiplication of microbes.
- ✓ Mainly included for fungistatic action.
- ✓ For oral solution:
 - Benzoic acid (0.1-0.2%)
 - Sodium benzoate(0.1-0.2%)
 - Alcohol (15-20%)
 - Glycerin (45%)
 - Methyl paraben and propyl paraben (10:1)

COLOURING AGENTS

- ✓ To give aesthetic appeal and impart pleasing appearance.
- ✓ Mask discoloured, degraded ingredients and to maintain appearance during shelf life.
- ✓ For identification.
- ✓ Synthetic colours

→ Colours for FD&C

FD&C Red no.3(Erythrosine)

FD&C Yellow no.5(Tartrazine)

→ Colours for D&C

D&C Blue no.5(indigo)

D&C Red no.22(Eosine)

D&C Yellow no 7 (fluorescein)

✓ Natural Colours:

→ Vegetable colours: Chlorophyll, carotene.

→ Animal colour: Cochineal.

→ Mineral Colours: Titanium dioxide, ferric oxides.

FLAVOURING AGENTS

- ✓ To mask unpleasant smell.
- ✓ Vitamins with cherry, Strawberry or raspberry flavour.
- ✓ Paediatric drops fruity flavour.
- ✓ Volatile oils including cinnamon, clove, lemon, orange and peppermint flavour which activate olfactory cells.
- ✓ Natural Sources: Pine-apple, Cardamom, ginger.
- ✓ Volatile oils- Clove, lemon, orange, rose.
- ✓ Synthetic Chemicals: Alcohol, aldehydes, fatty acids, esters.

SWEETENING AGENTS

- ✓ Are natural or synthetic agents.
- ✓ **Sugars:**
 - Sucrose, fructose, glucose, syrup.
- ✓ **Polyhydric alcohols:**
 - Sorbitol, Mannitol, glycerin.
- ✓ **Artificial :**
 - Saccharin, Aspartame

BUFFERS

- ✓ Resists any change in pH when acid or base is added.
- ✓ To encounter stability problem.
- ✓ pH -7.4 to 8.4.
- ✓ Eg. Monobasic sod. Acetate, sod. Citrate, pot.phosphate, pot. Metaphosphates.