

MODULE 2:
Lecture 11



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The logo of Galgotias University is a stylized, circular emblem. It features a central white swirl that transitions into a yellow and orange arc at the top, and a blue and purple arc at the bottom. The entire emblem is set against a light, semi-transparent background.

DISCLAIMER

All the content material provided here is only for teaching purpose

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Choosing an emulsifying agent

- The active ingredients and the intended use of the product will determine the choice of emulsifying agent.
- Natural polysaccharides (acacia) and non-ionic emulsifying agents are useful for internal emulsions.
- The taste should be bland and palatable, again suggesting the natural polysaccharides. Polysorbates have a disagreeable taste, therefore flavouring ingredients are necessary.
- Soap emulsions irritate the gastrointestinal tract and have a laxative effect.
- A wider range of emulsifying agents can be used externally, although the polysaccharides are normally considered too sticky.
- Only certain non-ionic emulsifying agents are suitable for parenteral use including lecithin, polysorbate 80, methylcellulose, gelatin and serum albumin.

Antioxidants (Stabilizers)

- Some oils are liable to degradation by oxidation and therefore antioxidants may be added to the formulation.
- They should be preferentially soluble in the oily phase.



Antimicrobial preservatives

- Contamination may be introduced from a variety of sources including:
 - ✓ Water, if not properly stored.
 - ✓ Natural emulsifying agents, e.g. starch and acacia
 - ✓ Carelessly cleaned equipment.
 - ✓ Poor closures on containers.
- Microbes produce unpleasant odours, colour changes and gases. Additionally, they may affect the emulsifying agents, possibly causing the breakdown of the emulsion.

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Antimicrobial preservatives

Antimicrobial preservatives :

- Should be free from toxic effects, odour, taste (for internal use) and colour.
- Should be bactericidal rather than bacteriostatic.
- Have a rapid action and wide antibacterial spectrum over a range of temperatures and pH.
- Additionally emulsion ingredients should not affect their activity and they should be resistant to attack by microorganisms.
- The effect of the partition coefficient is also important: A preservative with a low oil/water partition coefficient will have a higher concentration in the aqueous phase and hence better antimicrobial activity. A combination of preservatives may give the best preservative cover for an emulsion system.

Antimicrobial preservatives

Some preservatives in use are listed below:

1. Benzoic acid: effective at a concentration of 0.1% at a pH below 5
2. Esters of parahydroxybenzoic acid such as methyl paraben (0.01-0.3%)
3. Chloroform, as chloroform water (0.25% v/v)
4. Chlorocresol (0.05--0.2%)
5. Phenoxyethanol (0.5-1.0%)
6. Benzyl alcohol (0.1-3%)
7. Quaternary ammonium compounds, e.g. cetrimide, which can be used as a primary emulsifying agent but can also be used as a preservative
8. Organic mercurial compounds such as phenyl mercuric nitrate and acetate (0.001--0.002%).

Colours and flavourings

- Colour is rarely needed in an emulsion, as most have an elegant white colour and thick texture.
- Emulsions for oral use will usually contain some flavouring agent.

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Emulsions for oral use

- **Acacia gum** is usually used when making extemporaneous o/w emulsions for oral use, unless otherwise specified.
- If using acacia, a primary emulsion should be prepared first. This is a thick stable emulsion prepared using optimal proportions of the ingredients. These vary with the nature of the oil.

Emulsions for oral use

Quantities for primary emulsions

Type of oil	examples	Oil	water	Gum (acacia)
		Parts by volume (ml)		Parts by weight (g)
fixed	Almond, arachis, cod liver, castor	4	2	1
Mineral (hydrocarbon)	Liquid paraffin	3	2	1
volatile	Turpentine, cinnamon, peppermint	2	2	1
Oleo-resin	Male fern extract	1	2	1

Emulsions for oral use

Quantities for primary emulsions

- **Example: Calculate the quantities for a primary emulsion for the following:**

Cod liver oil	30 ml
Water	to 100 ml

- **Answer: Primary emulsion quantities:**

Cod liver oil is a fixed oil, therefore the primary emulsion proportions are 4 : 2 : 1 .Hence:

- | | | |
|-----------------------|-------|---|
| • Cod liver oil | 30 ml | 4 |
| • Water | 15 ml | 2 |
| • Powdered acacia gum | 7.5g | 1 |

Emulsions for oral use

Variations to primary emulsion calculations

- If the proportion of oil is too small, modifications must be made.
- Acacia emulsions containing less than 20% oil tend to cream readily. A bland, inert oil, such as arachis, sesame, cottonseed or maize oil, should be added to increase the amount of oil and so prevent this from happening.
- Care should be taken in selection of the bulking oil because of the increasing incidence of nut allergy. It is often, therefore, advisable to avoid oils such as arachis, especially for children.

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Emulsions for oral use

Variations to primary emulsion calculations

- Example: Rx Calciferol solution, 0.15 ml per 5 ml dose.
- Answer: The percentage of oil in each dose is 3%. The oil content must be made up to at least 20% to produce a stable emulsion.

Since 20% of 5 ml = 1 ml the volume of bland oil required is $1 - 0.15 = 0.85$ ml

Formula for primary emulsion (for 50 mL)

- | | | |
|-----------------------|--------|---|
| • Calciferol solution | 1.5 ml | 4 |
| • Cottonseed oil | 8.5 ml | |
| • Water | 5 ml | 2 |
| • Acacia | 2.5g | 1 |

Emulsions for oral use

Methods of preparation of extemporaneous emulsions

- Dry gum method.
- Wet gum method.

Refer back to the textbook for full details.

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Emulsions for oral use

Problems when producing the primary emulsion

The primary emulsion may not form and a thin oily liquid is formed instead. Possible causes are:

- Phase inversion has occurred
- Incorrect quantities of oil or water were used
- Cross-contamination of water and oil
- A wet mortar was used
- The mortar was too small and curved or the pestle was too round giving insufficient shear
- Excessive mixing of oil and gum before adding water (dry gum method)
- Diluting the primary emulsion too soon or too rapid dilution of primary emulsion
- Poor-quality acacia

Emulsions for oral use

Shelf life, storage, containers

- Emulsions should be stored at room temperature and will either be recently or freshly prepared. Some official preparations will have specific expiry dates. They should not be frozen.
- A plain amber medicine bottle is used for internal use with an airtight child-resistant closures.
- Containers with a wide mouth are useful for very viscous preparations.

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Emulsions for oral use

- Prepare 200ml cod liver oil emulsion to the following formula:
- Cod liver oil 60 ml
- Chloroform 0.4ml
- Cinnamon water to 200ml

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Emulsions for oral use

- 100ml Liquid Paraffin Oral Emulsion BP 1968.
- Liquid paraffin 50ml
- Vanillin 50mg
- Chloroform 0.25ml
- Benzoic acid solution 2ml
- Methylcellulose 20 2g
- Saccharin sodium 5mg
- Water to 100ml

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Emulsions for external use

- Liquid or semi-liquid emulsions may be used as applications, liniments and lotions .
- The extemporaneous preparation of emulsions for external use does not require the preparation of a primary emulsion.
- Soaps are commonly used as the emulsifying agent and some are prepared 'in situ' by mixing the oily phase containing a fatty acid and the aqueous phase containing the alkali.
- Alternatively the emulsifying agent can be dissolved in the oily or aqueous phase and the disperse phase added to the continuous phase, either gradually or in one portion.
- Creams are semisolid emulsions which may be o/w (e.g. aqueous cream) or w/o (e.g. oily cream).

Emulsions for external use

- Applications: solutions or emulsions that frequently contain parasiticides.
- Liniments: alcoholic or oily solutions or emulsions designed to be rubbed into the skin. The medicament is usually a rubefacient.
- Lotions : aqueous solutions, suspensions or emulsions that cool inflamed skin and deposit a protective layer of solid.
- Creams are semisolid emulsions which may be o/w (e.g. aqueous cream) or w/o (e.g. oily cream).

Emulsions for external use

Shelf life, storage, containers

- Emulsions should be stored at room temperature and will either be recently or freshly prepared. Some official preparations will have specific expiry dates. They should not be frozen.
- A ribbed amber bottle is used for external use, with an airtight child-resistant closures.

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Emulsions for external use

Liquid emulsions

Rx 100ml Oily Calamine Lotion 1980

- Calamine 5g
- Wool fat 1g
- Oleic acid 0.5ml
- Arachis oil 50ml
- Calcium hydroxide solution to 100ml

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References

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