



Mixed solvent systems; spirits, and elixirs

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Outlines

- Elixirs
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 - Applications
 - Formulation



Non aqueous systems

it may be necessary to use an alternative non-aqueous solvent.

1. If the drug is not completely water soluble
2. unstable in aqueous medium,
3. Depot preparation (oily vehicle).

It is essential to test:

- Toxicity – irritancy – flammability – cost – stability and compatibility of solvents to avoid problems
- Solvents such as acetone, benzene and petroleum ether are not used for internal products.
- Internal products may contain ethanol, glycerol, propylene glycol certain oils.
- For parenteral products the choice is very limited

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This section is devoted to four groups of non-aqueous solutions:

1. Alcoholic or hydroalcoholic solutions, e.g. elixirs and spirits.
2. Ethereal solutions, e.g. the collodions.
3. Glycerin solutions, e.g. the glycerites.
4. Oleaginous solutions e.g. the liniments, medicated oils, oleo-vitamins, sprays, and toothache drops.

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Elixirs



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Elixirs are clear, pleasantly flavored, sweetened hydroalcoholic liquids intended for oral use.

- ❖ As compared to syrup, elixirs are less sweet and less viscous since they contain a lower portion of sugar and consequently less effective in masking the taste of medicinal substances.
- ❖ They are used as flavors and vehicles e.g. Dexamethasone Elixir USP, Theophylline elixir and Phenobarbital Elixir USP.

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- ❖ The **amount** of **alcohol** in elixir depends on the **solubility** of dissolved agents.
- ❖ Each elixir requires a specific blend of **alcohol** and **water** to dissolve all of the components in solution.
- ❖ **Adjuvant solvent** as glycerin and propylene glycol frequently employed in elixir.
- ❖ Although many elixirs are sweetened with sucrose or with a sucrose syrup, some use sorbitol, glycerin, and/or artificial sweeteners.

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- ❖ What is the role of Glycerin; Syrup; Sorbitol & Propylene glycol in Elixir Formulation?
- ❖ Elixir with 10 – 12 % alcohol don't need preservatives but such percent of alcohol is regarded unsuitable for Children and old patients
- ❖ Due to volatile oils and alcohol, elixirs should be stored in tight, light-resistant containers and protected from excessive heat

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Advantages

1. Because of their hydroalcoholic character, elixirs are better able than the aqueous syrups to maintain both water soluble and alcohol soluble components in the solutions
2. More preferred than syrups due to the stability character
3. Easy to prepare which is by simple solution
4. Pleasant flavor

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Disadvantage

- ◆ A **disadvantage** of elixirs for children and for adults who choose to avoid alcohol is their alcoholic content.
- ◆ Alcohol precipitates water soluble substances e.g. tragacanth, acacia agar and many inorganic salts from aqueous solutions.
- ◆ If an aqueous solution is added to an elixir, a partial precipitation of ingredients may occur. This is due to the reduced alcoholic content of the final preparation.

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Preparation of Elixirs

- **Elixirs are usually prepared by simple solution with agitation and/or by admixture of two or more liquid ingredients.**
- **Alcohol-soluble and water-soluble components are generally dissolved separately in alcohol and in purified water, respectively.**
- **Then the aqueous solution is added to the alcoholic solution, **why** ?**
- **When the two solutions are completely mixed, the mixture is made to volume with the specified solvent or vehicle.**

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Types of Elixirs

Elixirs are mainly of two types

1. Non-medicated elixir:

❖ **containing approximately 25% alcohol, e.g., simple elixir, or low alcohol elixir (containing 8-10% alcohol), High alcoholic elixir (containing 75-78% alcohol).**

Non-medicated elixirs may be useful to the pharmacist in the extemporaneous filling of prescriptions involving

- (a) the addition of a therapeutic agent to a pleasant-tasting vehicle,**
- (b) dilution of an existing medicated elixir.**

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- **In selecting a liquid vehicle for a drug substance, the pharmacist should be concerned with the solubility and stability of the drug substance in water and alcohol.**
- **All components should be chemically and physically compatible.**

The three most commonly used non-medicated elixirs were

1. **aromatic elixir,**
2. **compound benzaldehyde elixir,**
3. **isoalcoholic elixir.**

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2. Medicated elixirs:

- ❖ Elixirs containing therapeutically active compounds are known as medicated elixirs, e.g.,
 - ❖ Phenobarbital elixir USP,
 - ❖ Dexamethasone elixir USP,
 - ❖ Chlorpheniramine Maleate elixir USP,
 - ❖ Diphenhydramine Hydrochloride elixir USP,
 - ❖ Piprazine Citrate elixir, etc.

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Antihistamines Elixirs

- They are useful primarily in the symptomatic relief of certain allergic disorders.
- The most common untoward effect is sedation.
- Other common adverse effects include dryness of the nose, throat, and mouth; dizziness; and disturbed concentration.

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Phenobarbital Elixir

- Phenobarbital elixir is formulated to contain phenobarbital 0.4%, which provides about 20 mg of drug per teaspoonful (5mL) of elixir.

Orange oil
Colored red
Syrup
Glycerin

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Digoxin Elixir

Digoxin is poisonous, and its dose must be carefully determined and administered to each individual patient.

The official elixir contains about 10% of alcohol.

Dropper

100 ml elixir
4.5 mg to 5.25 mg
0.25 mg/5mL teaspoonful

Cardiotonic agent
1.5 mg initial therapy
0.5 mg maintenance therapy

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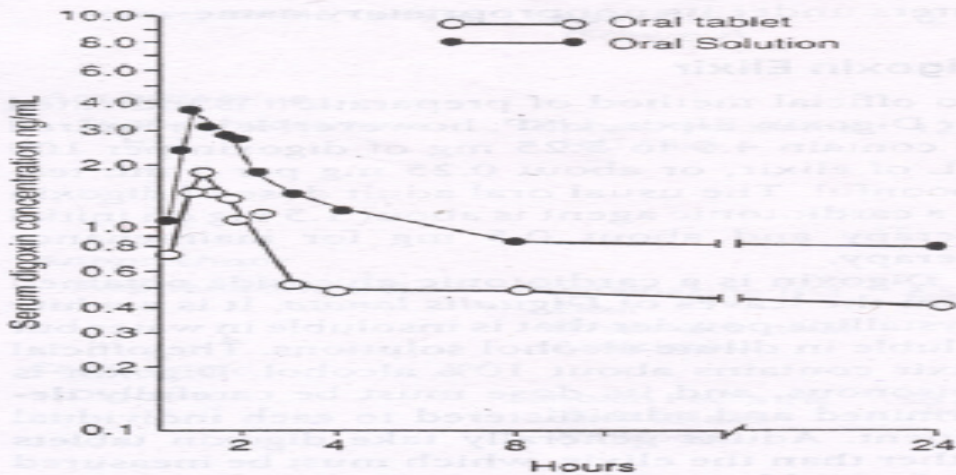


FIGURE 12.2 Serum digoxin concentrations following administration of digoxin 0.5 mg by oral tablet and elixir-like oral solution (Adapted from Huffman DH, Azarnoff DL. Absorption of orally given digoxin preparations. JAMA 1972;222:957).

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Theophylline Elixir

Theophylline	5.3 g
Citric acid	10.0 g
Liquid glucose	44.0 g
Syrup	132.0 mL
Glycerin	50.0 mL
Sorbitol solution	324.0 mL
Alcohol	200.0 mL
Saccharin sodium	5.0 g
Lemon oil	0.5 g
FD&C Yellow No. 5	0.1 g
Purified water, to make	1,000.0 mL

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Spirits

- **Spirits (Essences)** are alcoholic or hydroalcoholic solutions of volatile substances.
- The active ingredient may be gas, liquid or solid.
- Generally, the alcoholic concentration of spirits is rather high, usually over 60%.
- Because of the greater solubility of aromatic or volatile substances in alcohol than in water, spirits can contain a greater concentration of these materials than the corresponding aromatic waters.

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- **Spirits** may be used pharmaceutically as **flavoring agents** and medicinally for the **therapeutic value of the aromatic solute**.
- For medicinal purposes, spirits may be taken **orally**, applied **externally**, or used by **inhalation**, depending upon the particular preparation.
- When taken orally, they are generally mixed with a portion of water to reduce the pungency of the **spirit**.

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- Spirits should be stored in tight, light-resistant containers and in a cool place, **WHY?**
- Spirits are preparation of high alcoholic strength and when diluted with aqueous solutions or liquids of low alcoholic content turbidity may occur, **WHY?**
- Because of the greater solubility of aromatic or volatile substances in alcohol than in water, spirits can contain a greater concentration of these materials than the corresponding aromatic waters.

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Preparation of Spirits

1. Simple Solution

Majority of spirits are prepared by dissolving the solute in alcohol by agitation. Filtration is generally desirable to obtain a sparkling clear product.

Example: Aromatic Spirit - 62 to 68% hydroalcoholic solution of ammonia and ammonium carbonate flavored and perfumed with lemon, lavender and myristica oil.

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2. Solution with Maceration

Macerate the vegetable materials in a suitable solvent to remove the undesired constituents or to extract one which is desired.

Example: Peppermint Spirit— 79 to 85% hydroalcoholic solution containing 10% peppermint oil .

Use: digestive aid or carminative

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3. Solution by Chemical Reaction

Only the preparation of aromatic Spirit of Ammonia NF, involves a chemical reaction (official Ammonium carbonate).

4. Distillation

No spirits currently official are prepared by distillation. (non-official !!!)

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Thanks for your attention



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