

Pharmaceutical technology

Lecture-11

Aqueous solutions containing aromatic principles

Aromatic waters, Syrups, and Juices

Aqueous solutions containing aromatic principles Aromatic waters, Syrups, and Juices

- Aromatic waters and two important classes of aqueous pharmaceutical preparations which also generally contain aromatic principles are syrups and juices
- Syrups, may be defined as sweet, viscous, aqueous liquids designed specifically for medicine to be **administered orally**.
- Juice: is a liquid obtained by expression from the fresh part of a plant.
- The USP contains two juices made from fresh fruits-Cherry and Raspberry Juices.
- In past juices frequently served as the base for syrups.

- Aromatic waters are examples of the simplest of formulated dosage forms, consisting only of the solvent water and the volatile solute.
- Juices, which may be extremely complex in composition, have characteristics which are not readily controlled by the pharmacist, since they are derived directly from natural sources.
- On the other hand syrups are formulated preparations that often contain ingredients, so-called **pharmaceutic necessities** or **adjuvants**, which are added to improve the “elegance” of the product.

- Any pharmaceutical formulation is said to be “elegant” if it meets **three standards of quality**
 1. It should be stable
 2. It should be palatable
 3. It should be therapeutically effective
- Pharmaceutical preparations are stable if they show no loss in therapeutic activity and no undesirable chemical and physical changes over extended periods of time.
- The shelf life may be defined as the time required for the drug level in a product stored at room temperature (normally 25°C) to degrade to 90 percent of its labeled potency.

Factors which may affect the stability of product

- Environmental factors: microbial contamination, light, atmospheric oxygen, humidity and temperature.
- In aqueous solutions, especially waters and syrups, growth of microorganisms, particularly molds, may be a problem.
- Chemical changes which may be observed include
 1. Hydrolytic degradation and
 2. Autoxidation
- Physical changes which may be observed include precipitation and alteration in color, odor and viscosity.

- A pharmaceutical is palatable if it has a pleasant taste.
- To take a pharmaceutical palatable, it should contain flavors.
- The selection of flavors for pharmaceutical preparation is dictated by a number of factors-among them,
 1. The age group for which the medicine is intended
 2. The color of the preparation
 3. The taste to be masked by the preparation and
 4. The type of dosage form.
- Syrups and aromatic waters are designed to provide a base which will produce palatable medicinal products.

- A preparation may be perfectly stable and palatable and yet be therapeutically worthless.
- The therapeutic efficacy of dosage forms is determined by their ability to effect quick release of medicinal agents.

Aromatic Waters

- The British Pharmacopoeia (BP) defines aromatic waters as clear, saturated aqueous solutions of volatile oils or other aromatic or volatile substances.
- Aromatic waters are aqueous solutions, usually saturated, of volatile substances characterized by very low water-solubility.
- Aromatic waters provide a pleasantly flavored medium for the administration of water-soluble drugs and for the liquid phase of emulsion and suspensions.
- Aromatic waters are not therapeutically potent because of the very small proportion of active ingredient present in them.

The official aromatic waters

- The official aromatic waters are
 1. Cinnamon water, NF: flavored vehicle
 2. Orange flower water, NF: flavored vehicle
 3. Stronger rose water, NF: perfume
 4. Peppermint water, USP: flavored vehicle, carminative (15 ml dose)
 5. Camphor water, NF: flavored vehicle
 6. Chloroform water, NF: flavored vehicle

Uses of aromatic waters

1. Aromatic waters prepared from essential oils e.g. peppermint water, have been used as carminative and as vehicle.
 2. Chloroform water: was used in expectorant preparations (dose 5-15ml).
 3. Several aromatic waters are **not used as vehicles for oral medication**. These include: Rose water, Hamamelis water and camphor water.
 - A. Rose water used as perfume
 - B. Hamamelis water or witch Hazel, is employed commonly as a rub and also is used as an astringent and perfume in aftershave lotion and other cosmetic products. Hamamelis water 12.5% v/v and naphazoline 0.01% w/v is used for temporary relief of eye redness due to minor eye irritations.
 - C. Camphor water: is frequently used in eye drops for its refreshing properties
- Other uses of Camphor: it is frequently used as rubefacient (a substance that causes the skin to become red, especially a cream or ointment used as a counterirritant), Soothing eye, soothing cough

Preparation of aromatic waters

1. **Distillation**: most of aromatic waters can be prepared by distillation. However, it is not practical or economically feasible to use this method in most cases, since other method is of low cost and with simple apparatus required.
 - ❑ Note: aromatic waters which are prepared directly from fresh plant material, e.g. stronger rose water, orange flower water, and Hamamelis water can not be prepared by any method other than distillation.
 - ❑ The distillation method consists of placing the odoriferous portion of the plant in a suitable still with sufficient purified water and then distilling most of the water, carefully. The excess oil is separated from the distillate. The aqueous phase, which may require further clarification is the product.

Preparation of aromatic waters

- The product is labeled as X's, for example XX, XXX . Each X representing one distillation.
- So XXXX means that four times repeated process.
- This process is called re-distillation. This is done or carried on to get a saturated solution if it is not obtained from the 1st distillation.

Preparation of aromatic waters

2. **Solution:** for most waters excess volatile substances 2 ml or 2 g per liter be agitated with purified water for a period of 15 minutes. The mixture is then set a side for at least 12 hours, to ensure saturation, then it is filtered through wetted filter paper. The filter paper must be wet to prevent the passage of excess oil into the filtrate and to eliminate absorption of dissolved aromatic by the filter.
- **The disadvantage** of this method is that in-spite of repeated filtration, it is difficult to obtain a brilliant clear preparation owing to the formation of extremely fine particles.
 - Chloroform water is prepared by solution without clarification problem exists in this case, since a slight excess of chloroform must remain in the bottle.

Preparation of chloroform water

- A saturated solution is prepared and maintained by adding an excess of chloroform to a given quantity of purified water, shaking vigorously, and taking care that an excess of chloroform is always present. Since chloroform is heavier than water, the excess will remain at the bottom of the container.
- The high volatility of chloroform creates an equilibrium of loss and restoration of strength by evaporation.
- When it is dispensed, the bottle should not be shaken, and only the supernatant liquid should be used.

Preparation of aromatic waters

3. **Alternate solution**: this method has been developed to overcome difficulties in the simple solution method;

clarification and *amount of time consumed*.

- In this method the volatile material is mixed thoroughly with 15 g of purified talc, this mixture is agitated with a liter of purified water for 10 minutes, prior to filtration. The talc or other inert material functions as both **a filter aid** and **a distribution agent**.
- It serves to accelerate the rate of solution by adsorbing and facilitating the breaking up of the aromatic substance into fine particles, thus increasing the surface area exposed to solvent action, and it facilitates the clarification of the solution.

Preparation of aromatic waters

Disadvantage of alternate solution method

The purified talc pass through the filter paper because purified talc is subdivided too finely.

In order to remove finely divided material other material also used purified siliceous earth and pulped filter paper.

Preparation of aromatic waters

4. Dilution: An alcoholic solution of the essential oil is mixed with water and talc. The mixture is agitated; after several hours it is filtered.

The concentrate contains between 50 and 55 percent alcohol by volume. The concentrate, containing the dilute alcohol. Soluble fraction of 2 ml of oil in each 100 ml.

One volume of concentrate is diluted with 39 volume of water, producing an aromatic water contains less than 1.5 percent of alcohol.

Disadvantage: aqueous preparation that contain small amounts of alcohol are prone to alterations in flavor and aroma, as a consequence of oxidative degradation of the alcohol.

Preparation of aromatic waters

- The other method to prepare concentrates is by using surface-active agent e.g., polysorbate 80 USP (Tween 80) due to solubilization by micelles formation.



Essential oils

- Essential oils are complex mixtures of hydrocarbons, alcohols, ethers, aldehydes and ketone.
- The hydrocarbon fraction of many essential oils is made up of terpenes.
- These components are the least water-soluble and, Consequently, constitute most of the insoluble matter removed in the clarification process. The other substances, are the “aroma carriers”



Stability of aromatic waters

- many waters support the growth of mold. No preservatives are added to aromatic waters
- Excessive exposure to light and to changes in temperature cause aromatic waters to lose some of their desirable characteristics, since
 1. The solutes are volatile materials, loss of aroma occurs on prolonged exposure to atmosphere, particularly at elevated temperatures.
 2. Aromatic waters are saturated solutions, lowering the temperature causes separation of the aromatic component, thus producing cloudiness.

Stability of aromatic waters

3. The aromatics may be salted out when the aromatic water is used as a vehicle for drugs which are electrolytes. The insoluble material may collect on the top of the liquid, imparting a burning taste to the first dose.
4. Many of the aroma-bearing solutes, as well as the terpenes, are oxidizable compounds. Oxidative degradation, involving dissolved atmospheric oxygen, is likely. This autoxidation can be catalyzed by light and trace quantities of metal ions such as iron (III) and copper (II). For example chloroform water is stored in light-resistant bottles since light catalyze the oxidation of chloroform to the poisonous gas, phosgene. Other example, bitter almond water, deposits crystals of benzoic acid which result from the autoxidation of benzaldehyde.

Terpeneless oils

- Terpeneless oils are commercially available. They are prepared by fractional distillation and/ or extraction.
- They are concentrated products which, therefore, are stronger in aroma and more soluble; also, they may be more stable than the natural essential oil.
- Their use in the preparation of aromatic waters should result in less difficulties in clarification, but the greater cost.