UNIT

Introduction to Herbal Formulations

I

CHAPTER

Herbal Formulation

1

DEFINITION

Herbal drug formulation is defined as dosage form consisting of one or more herbs or processed herb(s), herbal preparations quantified to provide specific nourishing and beautifying benefit to diagnose, treat, mitigate diseases of human beings or animals and/or to alter the structure or physiology of human beings or animals. Herbal drug formulations are the means by which plant drug or preparation or isolate is delivered to sites of action within the body through topical, parental, nasal, and ophthalmic routes of administration.

Different herbal formulations are extracts, granules, tablets, emulsions, ointment, tinctures, juices and exudates. The herbal substances subjected to various methods like extraction, distillation, expression, fractionation, purification, concentration or fermentation include comminuted or powdered to obtain herbal formulation. Whole, fragmented or cut plants, plants parts, algae, fungi, lichen in an unprocessed, usually dried form but sometimes fresh were used in the preparations of herbal formulations. Herbal substances are precisely defined by the plant part used and according to the taxonomical classifications (Fig. 1.1).

AYURVEDIC FORMULATIONS

Ayurveda, the "science of life," or longevity, is more than 5,000 years old holistic alternative science from India. Ayurveda often called **Mother of all Healing** and is aimed at the physical, mental and spiritual wellbeing of human beings. According to tradition, Ayurveda is one of the great gifts of the sages of ancient India to mankind, the teachings of Ayurveda were recollected by *Brahma-*, the Lord of Creation, as he awoke to begin the task of creating the universe. It stems from the ancient Vedic culture and was taught from many thousands of years in an oral tradition from accomplished masters to their disciples. Ayurveda is the original contribution of India

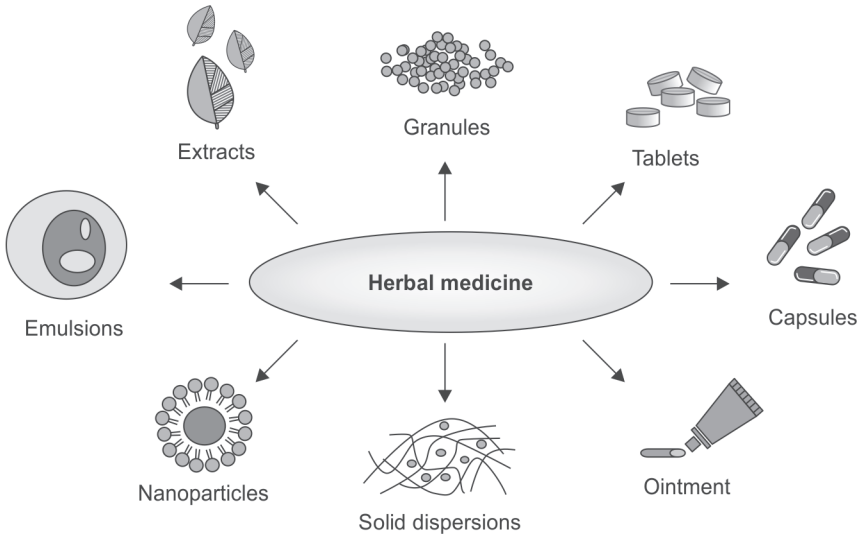

Fig. 1.1: Herbal drug formulations

(Bharatha) to the world and treats man as a whole, which is a combination of body, mind and soul. Ayurveda transcends the period of this universe, stretching beyond the concept of time itself, having no beginning and no end. *Brahma*- taught this knowledge to *Daksa Prajapati* (the protector of all beings), whom in turn taught it to the *Asviný Kumaras* (the twin holy physicians), who in turn taught it to *Indra* (King of the Gods). Ayurveda is a complete medical system. It places a great emphasis on prevention and encourages maintenance of health in all its aspects; physical health, mental balance, spiritual well-being, social welfare, environmental considerations, dietary and lifestyle habits, daily living trends, and seasonal variations in lifestyle, as well as treating and managing specific diseases. Ayurveda teaches respect for nature, appreciation of life and the means to empower the individual. It is holistic medicine at its best. *Ayurveda* is one of the Indian traditional medicinal systems with well-recognized history for centuries based on philosophy of preventing unnecessary suffering and living a long healthy life. It involves the usage of natural elements to eradicate the root cause of the illness by restoring balance and creating a healthy lifestyle to prevent the recurrence of imbalance, it is combination of *ayu*r (life) and *veda* (science or knowledge), which means "the science of life mainly focusing on bringing harmony and all areas of life including spirit, mind and body." Ayurveda is based on *Panchamahabhutas* or the five elements: *Vayu* (air), *Teja* (fire), *Aap* (water), *Prithvi* (earth) and *Akasha* (aether) which build up the living microcosm (human beings) and the macrocosm (external universe). When pooled collectively in pairs, the *Panchamahabhutas* form *Tridosha* or the three humors, namely *Vata* (responsible for body movement), *Pitta* (responsible for bodily chemical reactions such as metabolism and temperature) and *Kapha* (responsible for growth, protection, lubrication and sustenance).

Types of Ayurvedic Formulations

1. **Classical Ayurvedic Medicines:** Traditional ayurvedic textbooks as Charaka and Sushruta Samhita contain the procedural information and formula to formulate these preparations.
   Examples: Ark, Asava, Aristha, Ghrita and Bhasma, etc.
2. **Proprietary Medicines:** These types of medicines are also known as modern ayurvedic medicine or patent medicines.

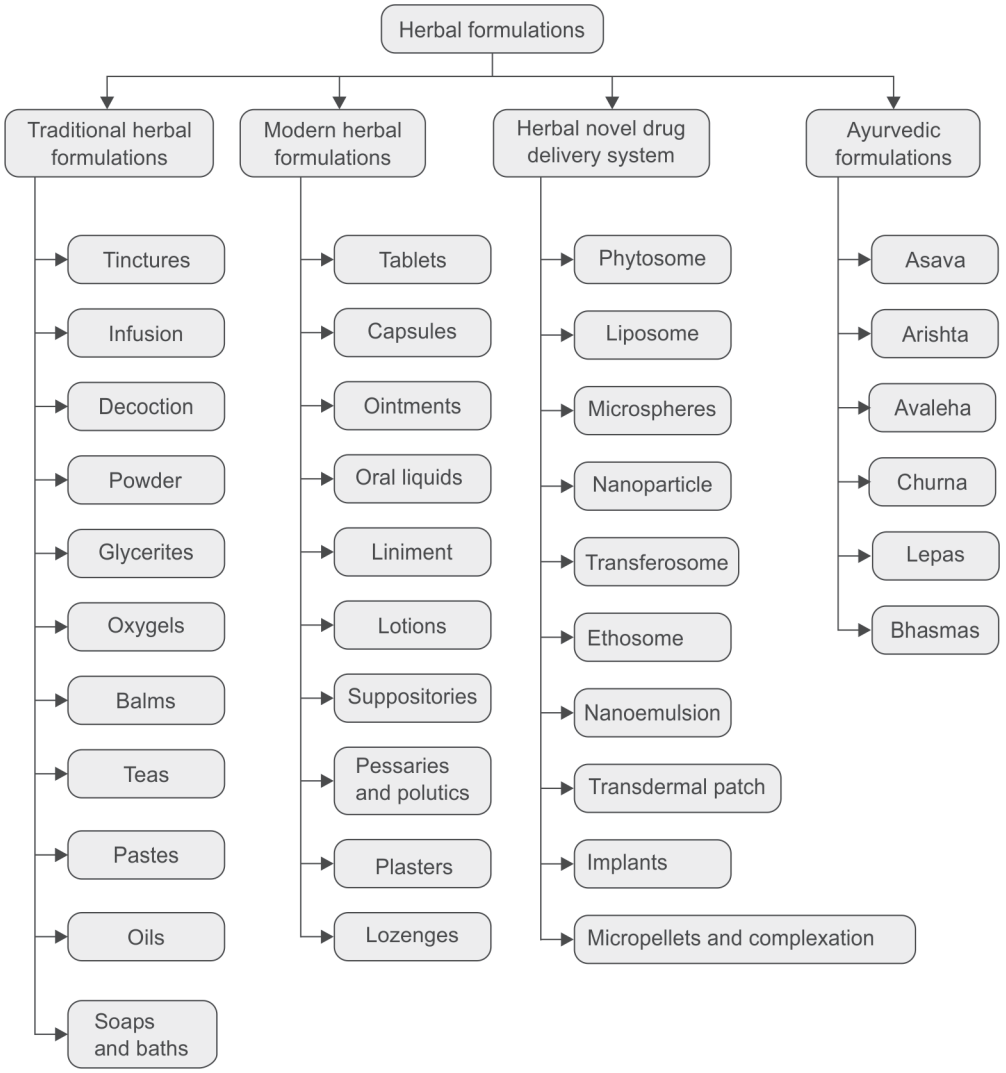

Fig. 1.2: Classification of herbal formulations

The ingredients used to prepare such medicines are not available in ayurvedic textbooks. The manufacturing company decides its formula, mode of preparation and dosage form.

Examples: Syrups and capsules.

The ayurvedic formulations are described as follows:

Ark: These are obtained by distillation of herbs. It is light in nature and very easy assimilated in system. Distillation has not much to do with solubility but rather vaporization points. It allows a volatile substance to be separated from a non-volatile substance.

Asava and arishtas: Asava is ayurvedic preparations prepared with natural fermentation using sugar, water and herb, whereas arishta is prepared by herbal decoctions. These preparations have longer shelf life. The main difference between two is involvement of heat.

Asava and arishta are unique liquid dosage forms that contain self-generated alcohol. Former is made with decoctions of herbs in boiling water while later is prepared by

directly using fresh herbal juices or decoction to undergo fermentations. Arishtas are classical Ayurvedic preparations typically used as digestive and cardiotonic. The arishta (fermented decoction) and asava (fermented infusion) are considered as a unique and valuable therapeutics in ayurveda, due to their medicinal value, sweet taste and easy availability.

Asava–Arishta formulations are better known as hydro-alcoholic formulations, self-generated alcohol during this biomedical fermentation process can reach in between 4–12% v/v, and due to its improved aromatic properties and palatability, these formulations have better patient acceptability. These traditionally fermented formulations have several merits over other Ayurvedic medicines, as self-generated alcohol has better preservation quality.

Preparation of Asava is carried out by Hima (cold decoction)/Jala, Swarasa (expressed juice) process. In the preparation of Asava the drug is coarsely powdered and added to water, to which the prescribed quantities of honey, jaggery/sugar are added. It contains dilute solutions of the readily soluble constituents of crude drugs. Arista is prepared by soaking the drugs in water for a period of time (8 hours) before decoction, which facilitates the better extraction of active principles into kasaya (decoction) and thereby increase in potency. It involves boiling of crude drugs in a specified volume of water for a defined time period. Then it is allowed to cool and filter. This decoction is called "quath" or "kwatha". For kwatha preparation, the percentage of water depends upon the nature (hardness) and quantity of the drug. The ratio of crude drug to water is generally 1:4 or 1:16. During boiling the volume is reduced to one-fourth of its original volume. Then, the concentrated extract is filtered and used as such or processed further. Kasaya is transferred to Sandhana patra and sugar, jaggery or honey is added to it followed by fermentative agent and Prakshepa dravya.

Benefits of Asava–Arishta Formulations

1. Self-generated alcohol and hydrolyzing enzymes released by yeasts aids extraction of phytochemicals from raw herbals.
2. Self-generated alcohol gives pleasing organoleptic properties and dramatically improves palatability of the preparation.
3. Palatability improvement ensures patient compliance and hence clinical outcome.
4. Alcohol is considered as "Yogvahi" meaning facilitate faster and even distribution of drug in body.
5. Self-generated alcohol improves bio-availability of drug molecules.
6. Self-generated alcohol acts as a preservative, Asava–Arishta preparation lasts for several years, without special storage conditions.
7. Preservation benefits availability of herbs independent of seasonal availability of the botanical drugs.
8. Microbial transformation may reduce toxicity of phyto ingredients.
9. Microbial transformation increases chemical diversity in the formulation, which is crucial for its clinical action over the raw drug.
10. Biochemical transformation makes the drug available in its metabolized or activated form, which translates into quick action.

Examples: Dasamoolaarishtam, Amruthaarishtam, Kutajaarishtam, Draakshaarishtam Abhayaarishtam, Balarishtam, Devadaarvaarishtam, Asokaarishtam, Jeerakaarishtam Khadirashtam, Karpoorasavam, Pippalyaaadi asavam, Punarnavaasavam, Usiraashtam Aravindaarishtam, Patrangaasavam.

Avaleha or Lehya: Avaleha or Lehya is a semi-solid preparation of drugs prepared by the addition of jaggery or sugar candy and boiled with prescribed drug juices decoction. Honey, if required, is added when the preparation is cold and mixed well. Ghee or oil is added when preparation is hot. The Lehya should neither be hard nor a thick fluid. When pulp of the drugs is added and ghee or oil is present in

the preparation, this can be rolled between the fingers.

These preparations generally have:

1. Kasaya or other liquids,
2. Madhur Dravya (sweetening agents) like jaggery, sugar, sugar candy and honey
3. Prakshepa Dravyas (additives): These are herbals added to the Avaleha to increase its potency, palatability or to improve organoleptic properties. There are two types of Prakshepa Dravya: Kasthoushadhis (herbal) and Rasoushadhis (metallic).
4. Powders or pulps of certain drugs,
5. Sneh Dravyas (fats) like ghee, oil.

Method of Preparation

1. Jaggery, sugar or sugar-candy is dissolved in the liquid and strained to remove the foreign particles and boiled over a moderate fire.
2. It should be removed from fire, when pressed between fingers it becomes thready (Tantuvat), or when it sinks in water without getting easily dissolved.
3. Powdered drugs are then added in small quantities and stirred continuously to form a homogeneous mixture.
4. Ghee or oil is added and mixed well.
5. Finally, honey is added when the preparation becomes cool and mixed well.

Storage: The Lehya should be kept in glass or porcelain jars. It can also be kept in a metal container which does not react with it. Normally, the Lehya should be used within one year.

Examples: Dashamulaharitaki, Bilvadileha, Vasavaleha, Citraka Haritaki, Chyavanaprasa, Kusmandaka Rasayana, Vyaghri Haritaki, Kalyanaka Guda, Ashvagandhadi Lehya, etc.

Tailas: Tailas are also known as medicated oils forming a group of drugs in ayurvedic system of medicine with the principle is to extract the therapeutic compounds into oil.

Preparation: The method of preparation requires heating of oil with prescribed kashayas (decoction) and Kalkas (powdered drugs) according to formula. They are generally used for Abhyanga (external application). It consists of:

1. Drava [any liquid medium as prescribed in the composition]
2. Kalka [fine paste of the specified drug]
3. Sneha Dravya [tailas]
4. Gandha Dravya [perfuming agents]

The medicated Tailas will have the odour, color and taste of the drugs used in the process. If a considerable amount of milk is used in the preparation, the Tailas will become thick and may solidify in cold seasons. Tailas are preserved in good quality of glass, steel or polythene containers. These medicated preparations retain the therapeutic efficacy for sixteen months.

Examples: Mahanarayana taila, Ksheerabala taila, Dhanwantaram tailam, Maharaja Parsarini Taila, Bhringaraja Taila, Anu-taila.

Churnas

Churna is defined as a fine powder of drug or drugs in Ayurvedic system of medicine. Drugs mentioned in Patha, are cleaned properly, dried thoroughly, pulverized and then sieved. The Churna is free flowing and retains its potency for one year, if preserved in airtight containers. The Churna consisting of fine powder of herbs in appropriate ratio was subjected to standardization by means of various physical, chemical and microbiological methods.

Storage: The packed materials should be stored in cool, dry and dark conditions.

Preparation: Churna is prepared by drying ingredients. These dry ingredients are powdered by using crusher of grinder type. These powders in exact proportions are blended together in stainless steel cone blender.

After testing these are filled in glass/plastic containers.

Examples: Hingwashtaka Churna, Talisadi Churna, Dashamoola kashaya Churna, Sarshapadi Churna, Ajamodadi Churna,

Jatiphaladi Churna, Pushyanuga Churna and Narasimha Churna.

Standardization of Churnas

It generally involves the following parameters:

1. Determination of sieve size
2. Loss on drying/moisture content
3. TLC
4. Total ash
5. Acid-insoluble ash
6. Water-soluble ash
7. Extractive value in water, alcohol and other solvents
8. Phytoconstituents
9. Microbial contaminations
10. Heavy metal limit test for mercury, arsenic, cadmium, and lead
11. Microscopic analysis

Lepas

Lepas are semi-solid preparations intended for external application to the skin or certain mucous membranes for emollient, protective, therapeutic or prophylactic purposes where a degree of occlusion is desired. They usually consist of solutions or dispersions of one or more medicaments in suitable bases. The base should not produce irritation or sensitization of the skin, nor should it retard wound healing; it should be smooth, inert, odorless, physically and chemically stable and compatible with the skin and with incorporated medicaments. The proportions of the base ingredients should be such that the ointment is not too soft or too hard for convenient use. The consistency should be such that the ointment spreads and softens when stress is applied.

Standardization of Lepa

- Loss of drying
- Ash values
- Extractive values
- pH
- Thin layer chromatography

Examples: Dosaghna Lepa, Dasanga Lepa, Sinduradi Lepa and Pathyadi Lepa.

Ghrita

Ghrita are preparations in which ghee is boiled with prescribed Kasayas (decoction) and kalkas of drugs according to formulation as per ayurvedic formulary.

Also known as Snehkalpa. It solidifies on cooling and takes color, odor and taste of ingredient used for preparation. These are preparations of internal composition which remain stable for sixteen months.

Examples: Brahmi ghrita, Asoka ghrita, Sukumara ghrita and Nirgundi ghrita.

Goggulu

Ayurvedic medicines prepared by the exudates, and obtained from the plant *Commiphora mukul*, are known as Goggulu. There are five different varieties of Goggulu in Ayurvedic Shastra but usually two varieties, mahiskasa and kanaka are preferred for medicinal preparation. Exudates in small pieces are taken in a piece of cloth and boiled in gomutara or Dugdha or Triphala kasayua until the exudates pass into the fluid through the cloth to the maximum. The fluid after filtering is boiled till it forms a mass. After drying, the mass is formed into a paste by adding ghee till it becomes waxy.

Rasa Rasayan

Ayurvedic medicines containing mineral drugs as main ingredients are called Rasa rasayan or Ras-yoga. They are in pill form or in powder form/forest, minerals such as Anrala, Swarna, Rajata, Tamra, etc. and sulphur impurified state is used to convert bhasma form, called kajuali, then other drugs are added in small quantities, mixed well and grounded to form fine powder.

Vati or Gutika

Medicines prepared in the form of tablets or pills are known as vati or gutika, these are made of one or more drugs of plant, animal or mineral origin.

Examples: Pranda Gutika, Lasunadi Gutika, Marma Gutika, Sankha Vati and Sanjivani Vati.

Bhasmas

The Bhasmas are the powder of substances obtained by calcinations. The preparation of Bhasmas include following stages:

1. Purva Karma: Sodhana (purification).
2. Pardhana Karma: Marana (incineration/ calcination).
3. Paschat Karma: Amritikarna, Lohitikarna.

Sodhana (Purification)

It is prepared from purified minerals, metals, marine and animal products. The following changes are observed: After purification, the materials become free from impurities and become fine as well.

Second Stage (Marana)

The purified drug is mixed with Kasaya of drugs, and it is ground with mortar and pestle for specified period of time. The cakes are prepared and their size and thickness depends on heaviness of the drug. The cakes are dried on the sunlight and placed in Sarava (shallow earthen plate) and closed with other plate and sealed with clay smeared cloth and dried. The pit is dug in open space and sealed plates and cow dung are filled in the pit. Fire is put on all the sides and when burning is over, allowed to cool. The earthen pot is removed and seal is opened and contents are taken out. The medicine is ground into fine powder in a Khalva (mortar and pestle). The process of triturating is repeated as many times for proper finess and quality.

Examples: Gold (Swarna) Bhasma, Mukta Shouktic Bhasma, Mandura (iron) Bhasma and Copper (Tamra) Bhasma.

TRADITIONAL HERBAL FORMULATIONS

Tinctures

Tinctures are normally alcohol and water extracts of plant materials dissolve more easily in a mixture of alcohol and water than in pure water. The preparation of tinctures by maceration of herbal parts in water-ethanol solutions results in the extraction of many structurally diverse compounds with varying polarities. There is the added advantage of the alcohol in a tincture being a preservative, allowing the extract to be kept for several years. The alcohol content of the finished extract needs to be at least 20%v/v to adequately preserve it. Most commercially produced tinctures have a minimum alcohol content of 25% v/v recommended for water-soluble constituents like tannins, mucilage and certain flavonoids and some saponins, while an alcohol concentration of 45–60% v/v is required for alkaloids, essential oils, some glycosides and most saponins, and 90% v/v alcohol for resins and oleoresins. The use of the right ethanol concentration is important in maximizing the quality of the herbal preparations. When kept properly, most tinctures have a shelf life of around five years (Fig. 1.3).

Fennel Tincture

Fennel seed tincture (1:5, 60% alcohol)	3 parts
Licorice root tincture (1:5, 50% alcohol)	1 part

15–30 drops as needed for acute gastritis or simple dyspepsia.

Respiratory Tincture

Red root	4 parts
Myrrh	2 parts
Bayberry	2 parts

Make from the individual tinctures, add 5% glycerin. Take ½ teaspoon in 2 tablespoons of hot water, gargle well and swallow every 2 hours.

Immune Stimulant

Echinacea root	4 parts
Red root	3 parts
Myrrh gum	2 parts
Capsicum	1 part

Antidepressant Tincture

Hypericum (fresh)	6 parts
Aralia Berries (fresh)	5 parts

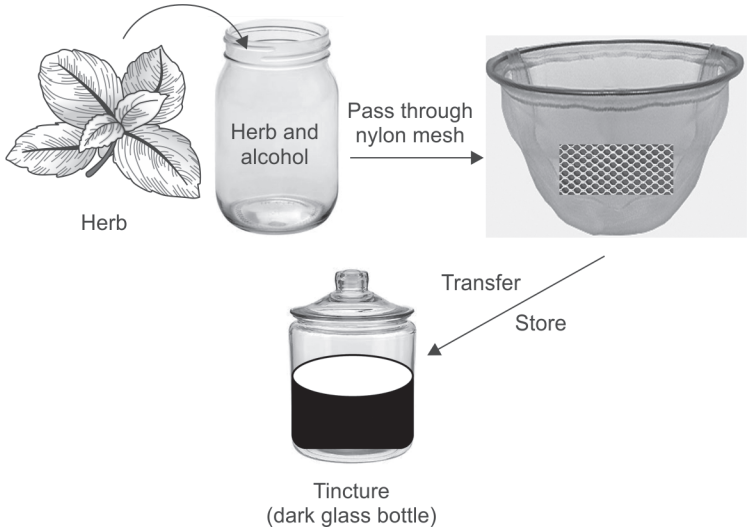

Fig. 1.3: Diagrammatic representation of preparation of tincture

Licorice root	4 parts
Oplopanax (fresh)	2 parts
Panax quinquefolium	1 part

Mix as tinctures. Dose: 60–90 drops up to four times a day. For morbid depression with congestion and dry mucosa.

Infusion (Fig. 1.4)

Infusion is the process of extracting chemical compounds or flavors from plant material in a solvent such as water, oil or alcohol, by allowing the material to remain suspended in the solvent over time. Fresh infusions are prepared by macerating the crude drug for a short period of time with cold or boiling water. These are dilute solutions of the readily soluble constituents of crude drugs.

GASTROINTESTINAL FORMULATION

Laxative Tea

Psyllium seed	3 parts
Liquorice	3 parts

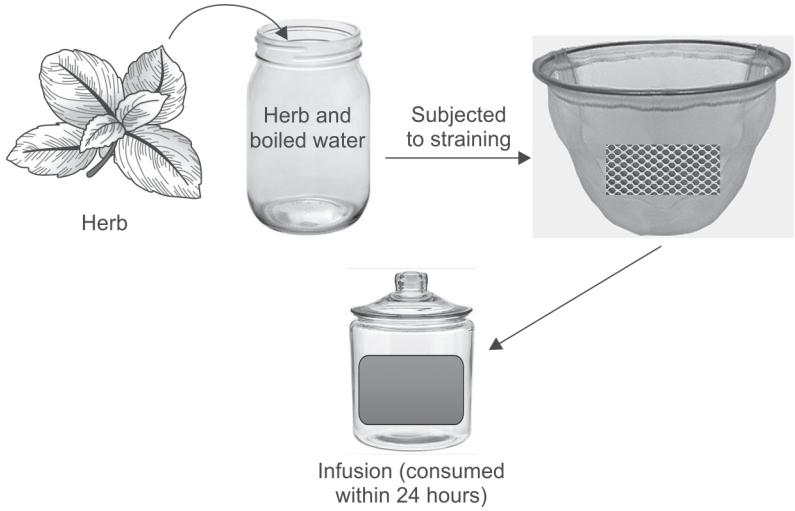

Fig. 1.4: Diagrammatic representation of preparation of infusion

Rhubarb root	2 parts
Senna pods (crushed)	2 parts
Angelica root	2 parts

Drink as a simple infusion in evening.

Stomach Tea

Star Anise	3 parts
Ginger root	2 parts
Papaya leaf	2 parts
Fennel seed	2 parts
Chamomile	2 parts
Comfrey leaf	2 parts
Peppermint (or Poleo)	2 parts
Angelica or Calamus	1 part

As a simple infusion as needed for dyspepsia or mild gastritis.

Decoctions (Fig. 1.5)

These preparations are prepared by boiling the herb in water for specific time to extract soluble constituents out of it. The process mainly done with hard plant materials like bark and roots. The water decoction of a mixture of 2–12 herbal materials is the commonest traditional herbal dosage form. Decoctions are normally intended for immediate use, ideally within a 24-hour period, with about a 72-hour maximum limit if stored in a very cool place. The decotions are mainly preserved by addition of preservatives to avoid spoilage.

In addition, various syrup or honey may be used as sweeteners. In this case, the stability of the preparation should be conducted to determine the shelf-life of the product at a particular storage condition. The concentration ratios of major active components found in the individual herbs were different from those in the decoction indicating the influence of the decocting process in the difference in the amount of active components.

Formulations

Glycyrrhiza glabra (Licorice) root. Strong decoction, used in sodium retention.

Smilax (*Sarsaparilla*) root and rhizome. Cold infusion or strong decoction.

Senna Pods: 1–2 gm, fresh ginger: 1 gm, used in constipation.

Withania somnifera, root, 10 gm, used to relieve stress.

Powders

Powders are finely divided powders that contain one or more plant drugs or dried extracts with or without auxilliary substances including, where specified, flavouring and coloring agents. They are simple to prepare,

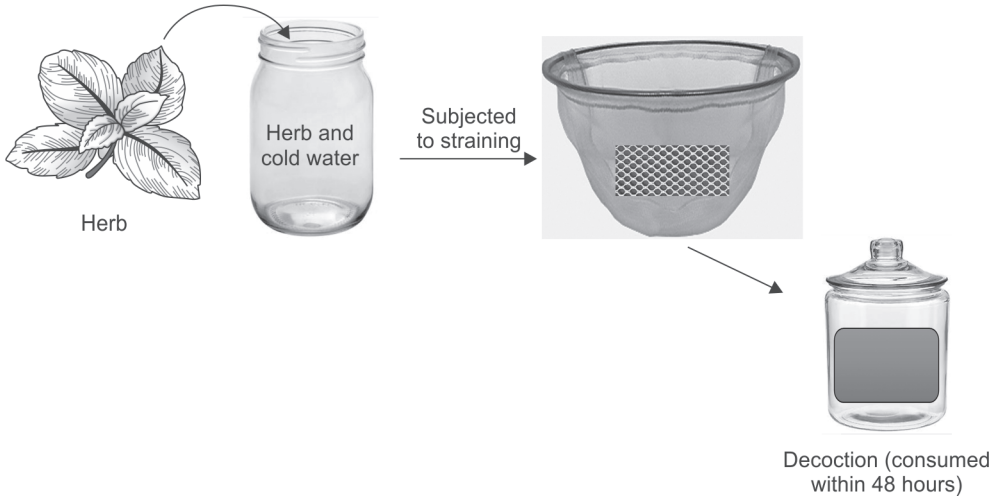

Fig.1.5: Diagrammatic representation of preparation of decoction

less perishable than decoctions, rapidly absorbed, and fast acting. They are intended to be taken internally with or without the aid of water or any other suitable liquid. Patient compliance is better with powders than with decoctions because they are easier and faster to prepare. Powders contain the whole herb; therefore, patients rely on good digestion to break down the herbal matter to release the active constituents. Powders require a smaller dose, generally, than is prescribed for most decoctions. This is due to the type of conditions, typically functional, treated with powders, and because more of the active ingredients are released due to the increased surface area of powders compared to bulk herbs. Also, there is less binding of constituents and less damage to heat sensitive compounds as happens with the prolonged boiling of decoctions.

Powders may be single dose or multiple dose preparations. For single dose powders, each dose is enclosed in a separate container, e.g. a sachet, a paper packet or a vial. With multiple dose powders it may be necessary to provide a measuring device capable of delivering the quantity prescribed. These are preparations that come as powdered herbal materials meant for direct use or by incorporation into foods, beverages for drinking, insufflations, and wounds. They may be finely sifted herbal materials from various parts of plants meant for a particular therapeutic effect. The stability of the powder depends on the type and nature of the herbal material as well as the moisture content of the powder in the bags and packaging (Fig. 1.6).

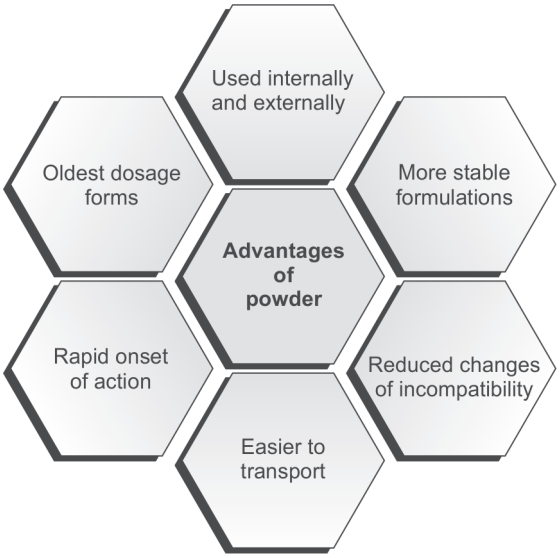

Fig. 1.6: Advantages of powder

Preparation of Powder

In the manufacture of powders, means are taken to ensure a suitable particle size with regard to the intended use of the product. Plant materials or extracts are dried and pulverized into uniform particle size separately and mixed appropriately as per prescribed formula. The precaution should be taken during manufacturing, packaging, storage and distribution of oral powders by suitable means to ensure microbial quality. Store in containers protected from moisture.

Quality Control Tests for Powder

1. Particle size and shape determination
2. Surface area
3. Density: Bulk density, true density and granular density
4. Granule strength and friability
5. Flow properties: Angle of repose, percentage compressibility and Hausner's ratio
6. Moisture content
7. Percentage fine
8. Uniformity of content
9. Uniformity of weight

Herbal Glycerites (Fig. 1.7)

Fill a clean jar with clean, chopped fresh plant material, glycerites are sweet herbal tinctures, which use vegetable glycerin to extract the active constituents and flavor from an herb. Glycerites are made like tinctures only difference is that glycerine is used in the extraction process in its place of a mixture of alcohol and water. A glycerite is kept till concentration of glycerine is at least 50% to 60% in the finished product. Glycerites have a shelf life of approximately one to two years if stored in a cool, dark place. Glycerine is a good preser-

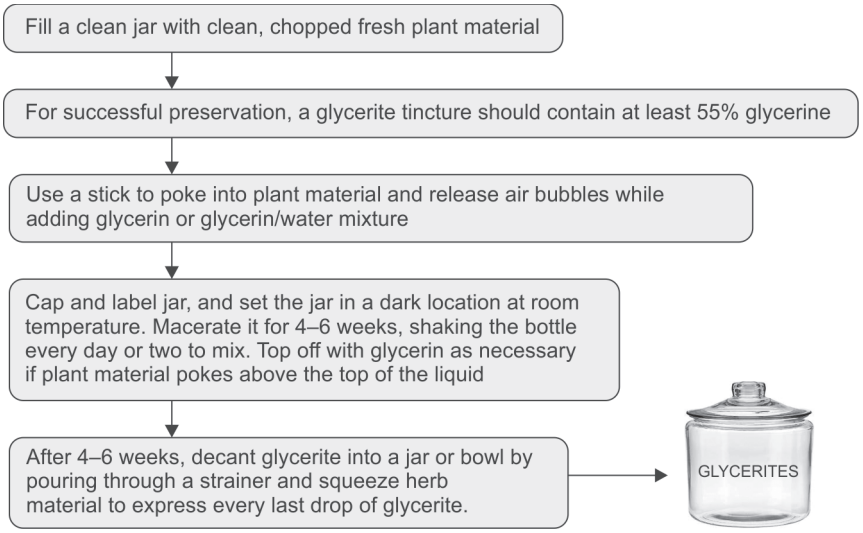

Fig. 1.7: Preparation of glycerites

vative for fresh plant juices, in which half fresh plant juice and half glycerine are mixed, as it keeps the juice green and in suspension better than alcohol. Vegetable glycerin is a clear, odorless liquid produced from vegetable oils such as palm, soy, or coconut oil using high temperature and pressure to split the glycerin molecule from the fatty acids. While sweet, it is not metabolized by the body like sugar. Glycerites are normally less potent than alcoholic extracts and have a shorter shelf life.

Examples: German Chamomile flowers, Echinacea sp, Fennel, Ginger, Hawthorn berry, Elderberry flowers and Lavender.

Oxymels

An oxymel is just a sweet and sour herbal syrup. It contains vinegar, honey and herbs. The word oxymel means acid (oxy) and honey (mel). Oxymels were used to treat a wide, nearly never-ending variety of complaints, from digestion and respiratory diseases to circulation, fevers and sore throats. Oxymels are used as a gargle or as a vehicle for intense herbal aids such as garlic, cayenne, and lobelia.

Cold method: Fill a small jar about half to three-fourths full of herbs. Pour honey over them, then vinegar. Use about one-third of the jar filled with honey to two-thirds of the rest vinegar. Both honey and vinegar act as preservatives, Stir it all together. Just stir or shake it every day for about two weeks, then strain the herbs out, bottle it up and store in a cool place or the refrigerator.

Hot method: Simmer your herbs and vinegar together for ten to twenty minutes. Strain out and stir in honey while the vinegar is still warm. Oxymel is used for sore throats, thick congested coughs or as a general treatment to combat cold and respiratory symptoms. The shelf life for oxymels is about nine months to one year.

Rosemary-Sage Oxymel

- Rosemary sprigs q.s.
- Sage leaves q.s.
- One-third of the jar filled with honey
- Topped off with apple cider vinegar

HERBAL BALMS

These may be classified as ointments meant for massage into the skin for relief of body aches and pains. They normally contain herbal materials which provide a rubefacient effect on the skin and by so doing cause relief of pain. The stability of herbal balms may be compared