Herbal Excipients

Prepared By: ATHIRA .A
Assistant Professor
Devaki Amma Memorial College Of Pharmacy

Introduction

Excipients can be defined as non active ingredients that are mixed with therapeutically active compounds to form medicines. The excipients are the substance which are used as a medium for giving a medicament.

These help in processing of the drug delivery system during its manufacture,protect,support or enhance stability, bioavailability or patient acceptability.

Classification of Excipients

Colorants	• Henna,indigo,caramel, chorophyll, Amaranth
Sweeteners	• Glychyrrhiza, honey, stevia
Binding Agents	• Acacia,gelatin.,tragacnth,starch
Viscosity enhancer	• Pectin ,tragacanth,c ellulose, guar gum
Diluents	• Lactose ,starch,mannitol,sucrose
Disintegrating agents	• Starch, isapgol husk,CMC
Ointment bases	• Lanolin, bees wax
Emulsifying agent	• Acacia, agar, guar gum
Flavoring agents	• Cardamom, Vanilla ,lemon oil, orange oil
perfumes	• Rose ,lavender, sandal wood

Advantages of Herbal Excipients

Eco-friendly: Naturally occurring polymer produced by all living organisms. They show no adverse effects on the environment or human being

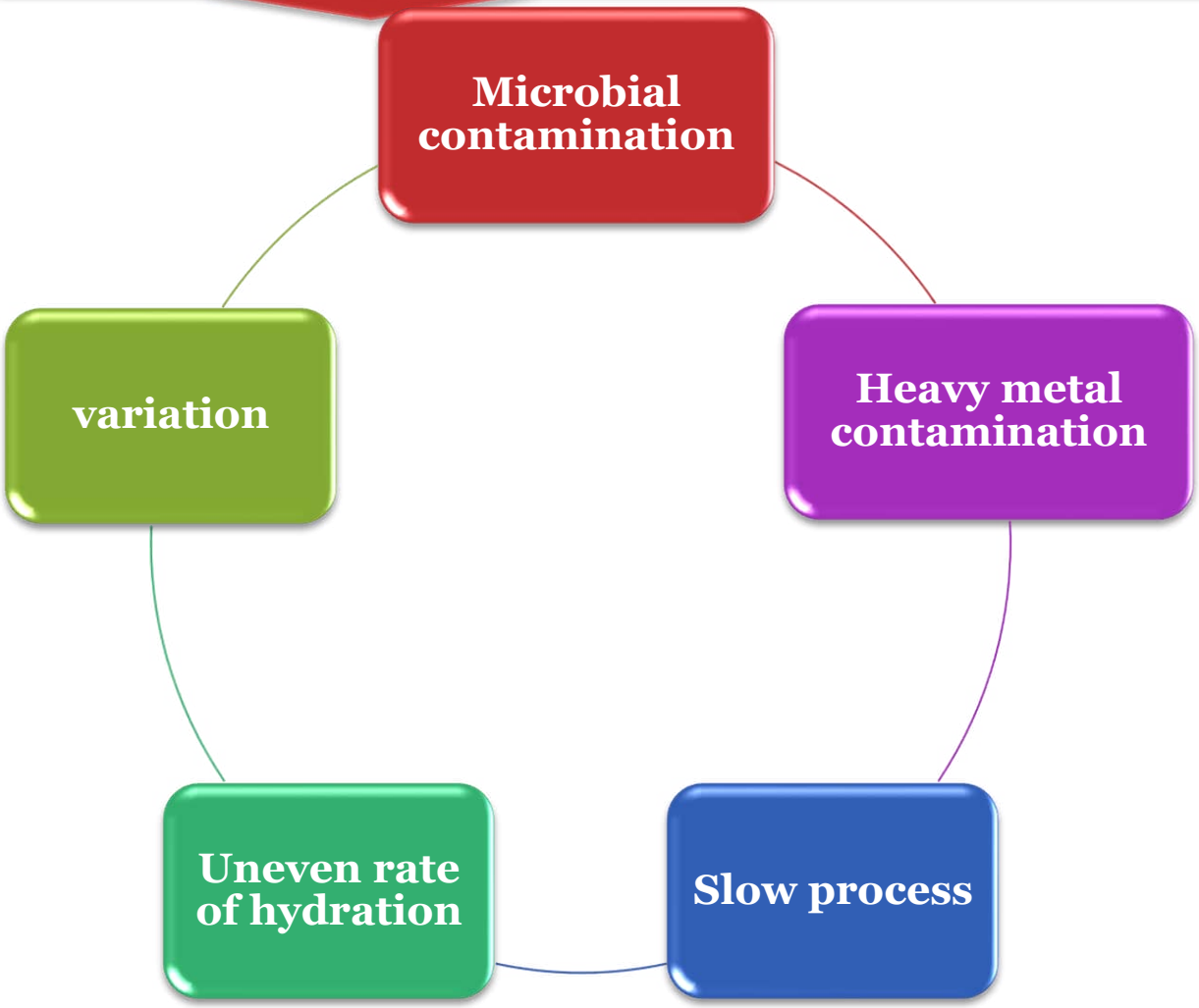
Biocompatible and non-toxic: Chemically nearly all of these plant materials are carbohydrates in nature and composed of repeating monosaccharide units. Hence they are non-toxic.

Cost effective: They are cheaper and their production cost is less than synthetic material.

Safe and without side effects: They are from a natural source and hence, safe and without side effects.

Easy availability: In many countries they are produced due to their application in man.

Disadvantages of Herbal Excipient



Colorants and coloring agents

1) Colorants are natural dyes which are obtained from plants, animals, minerals or invertebrates.
2) The common colorants obtained from vegetable dyes derived from plant source like roots, barks, leaves, wood and other biological sources like fungi and lichens
3) Synthetic colorants are produced in laboratories and are not found in nature
4) The colorants from natural sources are proved to be safe due to their non-carcinogenic ,non-toxic and biodegradable nature.
5) There are several active constituents in plants which act as a colorants like different color pigments such as anthocyanins, carotenoids, betalains, crocin, anthraquinone

Classification of colorants

Based on chemical structure

- Indigoids
- Pyridine dye
- Carotonoids

Based on source

- Plant origin
- Animal origin
- Mineral origin

Based on methods of application

- Mordant dye
- Acid dyes
- Basic dyes

Ideal properties of natural coloring agents

- Nontoxic, have no physiological activity and free from harmful impurities.
- It is a definite chemical compound because then only its colouring power will be reliable, its assay will be practicable and easier.
- Its colouring power should be high so that only small quantities are required.
- Unaffected by light, tropical temperatures, hydrolysis and micro-organisms and, therefore, be stable on storage.
- Unaffected by oxidizing or reducing agents and pH changes.
- Compatible with medicaments and not interfere with them.
- Ready solubility in water is desirable in most cases but some oil-soluble and spirit-soluble colours are necessary.
- Does not interfere with the tests and assays to which the preparations containing it are subject. Should not be appreciably adsorbed on to suspended matter.
- Free from objectionable taste and odour.
- Readily available and inexpensive

Example of natural colorants

Plant	Biological source, family	pigment
Turmeric	Dried rhizomes of the plant *curcuma longa* Linn,Zingiberaceae	Curcuminoids-curcumin
Saffron	Dried stigmas and upper parts of style of plants *Crocus Sativus* Linn,Iridaceae	Crocin
Safflower/Natural red	*Carthamus tinctorius* L., Asteraceae	Carthamin (Water Insoluble Red Dye) and carthamidin(water soluble yellow dye)
Beet root	Herbaceous biennial plant of *Beta vulgaris*,Chenopodiaceae	Betacyanins(red) of which betanine
Henna	Fresh dried leaves of the plant *Lawsonia inermis*,Lam, Lythraceae	Lawsone

Sweetners

- Sweeteners are used to improve palatability and shelf life of food products.
- Impart sweet taste to the formulations
- They don't contribute to the weight gain, don't cause cavities and don't raise blood sugar levels.
- Sweetness reduces or masks bitterness, sourness and saltiness.

Ideal properties of natural Sweetners

- Effective in small concentration
- Stable at wide range of temperature
- Prolong use of these agents should not produce any carcinogenic effects
- Low or no calorific value
- Compatible with other ingredients in formulation
- Readily available and inexpensive
- They should not vary batch to batch

Example of natural sweetners

Plant	Biological source, family	Sweetners
Stevia 	Dried roots and leaves of plant stevia rebaudiana , asteraceae	Stevioglycosides –Which have 30 to 50 times sweeter than sugar
Liquorice	It cosist of root and stolons of the plant Glycyrrhiza glabra (Leguminosae)	Glycyrrhizin is a pentacyclic triterpenoid saponins glycoside. It is 50-100 times more sweeter than sucrose
Honey	Honey is a sugar secretion deposited in honey comb by the bees called Apis mellifera, Apis dorsata , Apis indica= family Apidae	**1.Natural invert sugars-** i) Glucose ii) Fructose iii) Sucrose **2. Carbohydrates** i) Maltose ii) Gum iii) Dextrin

Plant	Biological source, family	Sweetners
Bitter orange	Fruits of *Citrus aurantium* ,Rutaceae	Neohesperidin 330 time more sweeter than sucrose
Abrus precatorius Indian liquorics	Leaves of abrus precatorius (leguminosae)	Glycyrrhizin

Binders

Binders are the excipients ,the dry powders or liquid, which is used to bind or hold all ingredients used in formulation of dosage form.
Binders are mixed in formulation to convey plasticity or to increase the bonding strength between the particles in formulation.

Types of binders

1) On the basis of their source
i) Naural polymers: starch,gelatin,acacia,tragacanth and gums
ii) Synthetic polymers: PVC,HPMC,MC,EC,PEG
iii) Sugar : Sorbitol,Glucose

2) on the basis of their application

i) Solution binders : gelatin ,cellulose ,starch,PEG

ii) Dry binders: Methyl cellulose

Advantages of Binders

1. Have low toxicity
2. Biodegradable
3. Easily available
4. Low cost
5. Enhance stability
6. Prevent the breakage of dosage forms

Plant	Biological source, family	Binders
Starch	Obtained from the grains of maize Zea mays L. or of rice Oryza sativa L. or of Triticum aestivum L. (Graminae) or from the tubers of potato solamum tuberosum L.(solanaceae)	5-15% as binders,it contains mixture of two polysaccharides ,amylopectine (alpha – amylose)
Acacia	Dried gummy exudation obtained from of Acacia arabica Wild. (Leguminoseae)	Arabin(Complex mixture of Ca,Mg ,K salts of Arabic acid
Tragacanth	stem and branches of *astragalus gummifera* ,family- Leguminoseae)	Tragacanthin

Diluents

- Diluents are those ecxipients which are used to enhance the bulk of any solid formulation or to dilute any liquid formulation..
- Major function of diluents / fillers is that ,they provide a structural from and fill the size of dosage form and make them suitable for administration by enhancing the bulk volume
- It is used to improved cohesion, enhance the flow and adjust the weight of the tablet as per die cavity.

Types of Diluents

Chemical nature

- Organic materials-carbohydrates-lactose,mannitol
- Inorganic materials-dibasic calcium phosphate

Solubility

- Water soluble-sucrose,mannitol
- Water insoluble-starch, powdered cellulose, microcrystalline cellulose and calcium phosphate

Plant	Biological source, family	Diluent
Lactose 	Lactose comprise about 2-8% of milk by weight	Diasaccharide composed of galactose and glucose,bonded through beta -1,4-glucosidic linkage
Mannitol 	Saccharine exudation from stem of *fraxinus ornus*, oleaceae	Sugar alcohol (hexahydric alcohol)

Viscosity Enhancer

- A thickening agent is a substance which can increase the viscosity of a liquid without substantially changing its property.
- A viscosity modifier can decrease the thickness of a liquid to improve pour ability and ultimately make it more palatable.
- Some thickening agents may also function as stabilizers when they are used to maintain the stability of emulsion.

Types of viscosity Enhancers

Natural Thickeners

Cellulose-HEC used in shampoo or body wash e.g Xanthan gum

Mineral thickeners

Bentonite .Mg. silica and alumium silicate

Advantages

1) Inhibit the crystal growth
2) Enhances the physical stability

Disadvantages

1) Hinders redispersibility of the sediment
2) Retards the absorption of the drug
3) Creates problem in handling of the material during manufacturing.

Plant	Biological source, family	Viscosity enhancer
Carrageenan (red seaweeds) 	It is sulphated polysaccharide ,which is obtained from the seaweed called irish moss ,the red algae Chondrus crispus Linn,family –Gigratinaceae.	Galactan-carageenan 0.1-0.5%
Xanthun gum 	Natural gum derived as an excretion product from bacteria *Xanthomas campestris,* Xanthomonadaceae	Used between 0.1-0.5% .Composed of pure polysaccharides (sugar) Constituted of glucose ,mannose and glucoronic acid.

Disintegrants

Disintegrating agents accelerate the swelling or disintegration of tablet once it comes in contact with a fluid.

Disintegrates are added to the formulation as it breaks the dosage form into smaller particles when it comes in contact with the liquid ,smaller fragments have greater surface area which will increase the dissolution of the drug. E.g. – starch, cellulose.

Characteristics of Disintegrates

- Poor solubility
- Poor gel formation
- Good hydration capacity
- Good compressibility and flow property
- No tendency to form complexes with the drug

Plant	Biological source, family	Disintegrants
Starch 	Obtained from the grains of maize Zea mays L. or of rice Oryza sativa L. or of *Triticum aestivum* L. (Graminae) or from the tubers of potato *solamum tuberosum* L.(solanaceae)	3-15% as disintegrants –it contains mixture of two polysaccharides, amylopectin,α –amylose
Guar gum 	Obtained from refined endosperm of the seeds of *Cyamopsis tetragonoloba L.* Family-Leguminosae	1% as a disintegrant-Galactomannan polysaccharide, made up of galactose, mannose linked by β-1,4- linkage.

Flavors

- Flavors can be used to mask unpleasant tasting active ingredients and improve the acceptance that the patient will complete a course of medication .

- FDA defines a natural flavor as the " the essential oil, oleoresin, essence or extractive protein hydrolysate, distillate or any product of roasting ,heating or enzymolysis, which contains the flavoring constituents derived from a spice, fruit or fruit juice or vegetable juice,herb,barks,bud,root ,leaf etc

Types of flavors

- **Natural flavors-** e.g-Basil,mint, clove, cardamom, cumin,anise,Orange, lemon
- **Processed flavors-** e.g-caramel
- **Added flavors-** Natural flavors are added to increase its acceptability e.g-Essential oil,essence extracts

Plant	Biological source, family	Flavor
Cardamom oil 	Dried ripe seeds of *Elletaria Cardamom* maton,family-Zingiberaceae	α, β-piene, sabinene,myrcene, α-Phellandrene,limonene,1,8-cineole, y-teroinene,p-cymene
Orange oil 	It is obtained from fruits of *Citrus sinesis,*L,Rutaceae	α-piene, β-piene, sabinene, myrcene, limonene,citronellal
Peppermint oil 	Oil is otained from the leave of *mentha piperita* ,L. Lamiaceae	Acetaldehyde,amyl alcohol,menthyl esters,limone,phellandrene

Perfumes

The word comes from the Latin word meaning a sweet smelling fluid containing the essence of flowers and other substances.
Perfume is a mixture of fragrant essential oil and aroma compounds
Fragrances used for external applications such as spray perfumes, body care, home care, cosmetics, soaps and detergents .

Natural sources

1) Essential oils-Citronella oil, lemon grass oil, sandal wood oil, orange oil
2) Semisynthetic materials -origin will be natural-subject to reactions – vanillin, hydroxy citronellal.
3) Animal origin- Musk ,(musk deer *Moschus moschiferus*, Moschidae) Civet (*Civettictis civetta* , Viverridae)

Plant	Biological source, family	Perfume
Sandal wood oil 	By steam distillation of wood of *santalum album* L, Santalaceae	α, β-Santalol,
Rose oil 	Extracted from the flowers of the *Rosa galica*,Mill, Rosaceae	Citronellol, geraniol, farnesol
Jasmine oil 	Obtained from the flowers of *Jasminum officinale* ,L. Oleaceae	Jasmine,eugenol,linalool, hexanyl acetate, benzyl acetate

Introduction

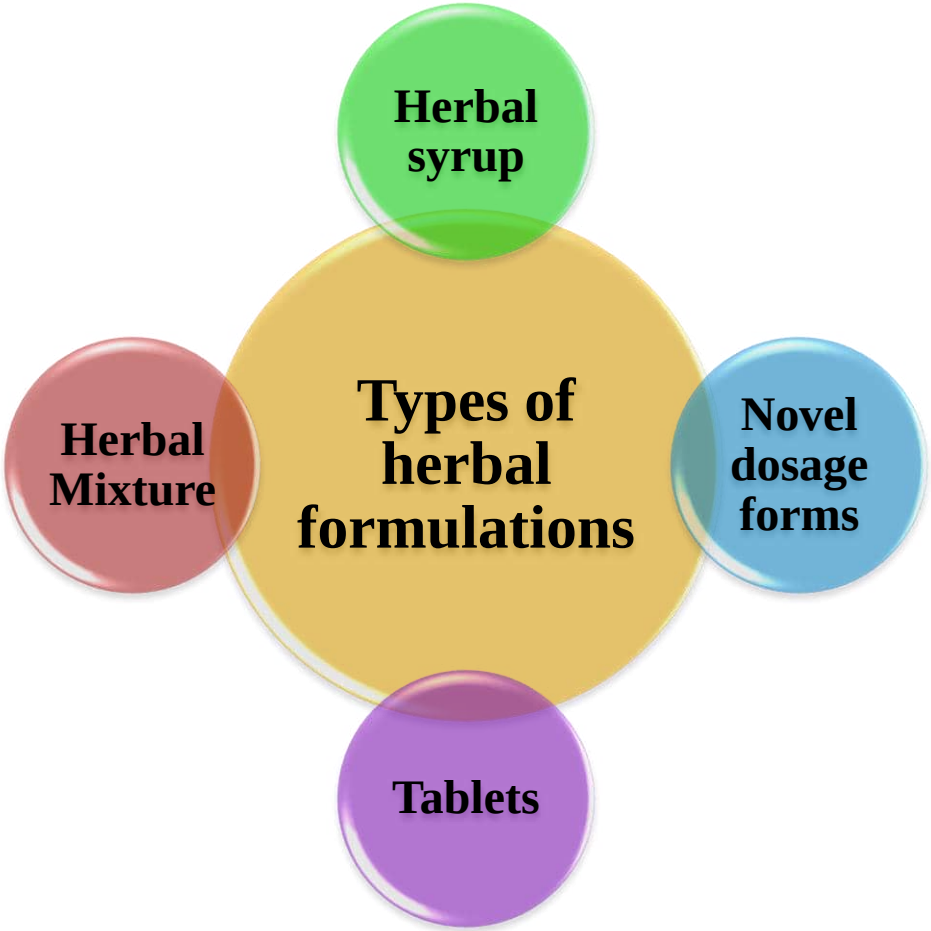
Herbal formulations is a dosage form consisting of one or more herbs or processed herbs in particular quantities to provide specific nutritional, cosmetic benefits and are meant to diagnose,treat,alleviate disease of human beings or animals ,alter the structure of human beings or animals.

Herbal formulation contain a herbal substance or in combination with one or more herbal substances to process like extraction,distillation,fractionation, fermentation include powder.

Polyherbal formulations means the use of more than one herb in a therapeutic preparation.

In Ayurveda multiple herbs in a particular ratio may be used in the treatment of illness.

Types of Herbal Formulations



Herbal Syrup

Syrup have aqueous preparations having a sweet taste and a viscous consistency.

These preparations are formulated by incorporation of sugar vegetable Infusions,decoctions,expressed juices fermented liquors or simple water solutions are called as syrup.

The sweet taste can also be obtained by using polyols or sweetening agents.

- The syrup is made with equal proportion of the herbal infusion or decoction and honey or unrefined sugar .

- when making infusion or decoction for syrup ,it needs to be infused or simmered for long time in order to optimize its medical action .

- Infusion should be for 15 min and decoction for 30 min.
- Then soaked herb was pressed through the strainer or sieve to remove as much as liquid as possible .

- A small amount of tincture can be added to increase effectiveness .

- The syrup may also be made with tinctures instead of infusion or decoctions.
- 500 gm of honey or unrefined sugar is combined with 250 ml of water .It is Gently heated until all the sugar or honey has dissolved and the mixture has thickened
- Once cooled ,one part of the tincture, mixture of tincture is stirred into three parts of the syrup and stored.

Advantages of Herbal syrup

- Mask bad taste of drugs
- Soothing effect on irritated tissues due to viscous nature
- Used for pediatric formulations

Methods for the Preparation of syrup

Solution with heating

❖Used when constituent is heat stable and nonvolatile

❖The sucrose is added to the purified water and heated until solution is formed

❖Ten it is strained and sufficient purified water is added to make up the volume

Agitation without heating

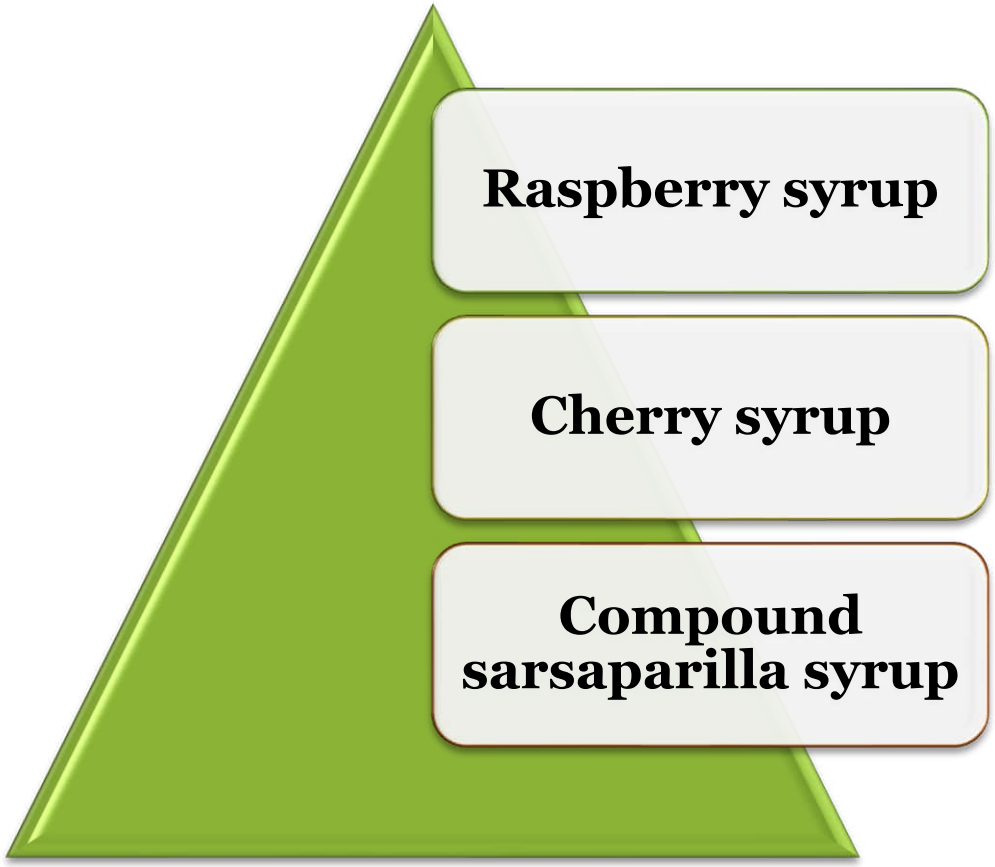
❖Used in case of heat labile and volatile constituents.

❖ Glass–lined tanks with mechanical agitators , for dissolving sucrose are used for making syrups in large quantities.

Preservations and storage

1. Syrups should be made in quantities that can be consumed within few months in those cases where preservation is done at low temperature
2. Syrups may contain preservatives like,glycerin,methyl paraben ,benzoic acid and sodium benzoate
3. Any simple syrup can be preserved be preserved by substituting glycerin for a certain portion of syrup
4. They can be stored in dark glass bottles with cork tops in a cool place for upto 6 months
5. They should be stored at temperature not exceeding 30 ° C
6. Dosage -1-2 teaspoonful, Three times a day

Example of some syrup preparations



Evaluation of polyherbal syrup

1. Evaluation of physical constant-1)Determination of PH 2) Total solid content
2. Phytochemical screening
3. Quantitative Estimation of phytoconstitunts like phenols,flavonoids,alkaloids
4. Quantitative Estimation of Heavy metals
5. Microbial Load Analysis
6. HPTLC finger –printing of polyhebal syrup
7. Accelerated stability testing of Polyherbal syrup

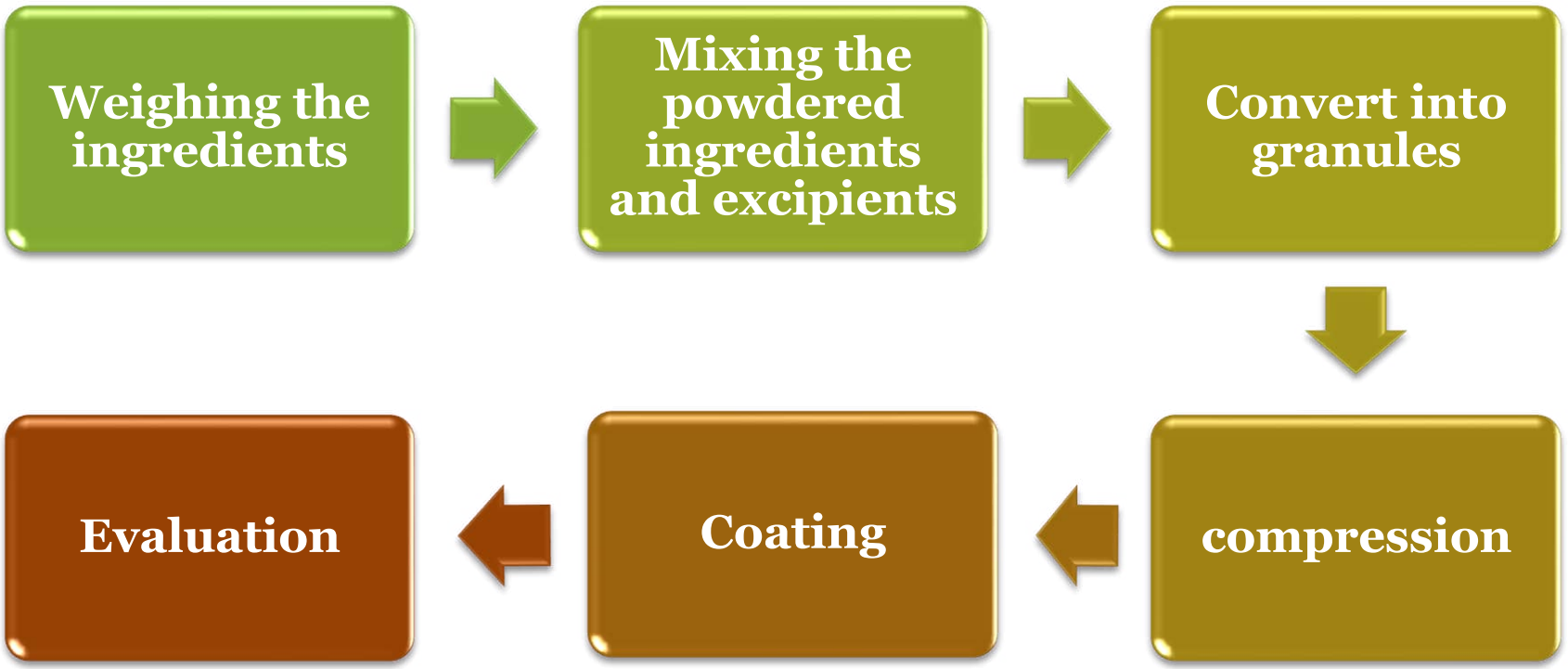
Herbal Mixtures

These preparation made by adding more number of powdered drugs with different quantities selected randomly to express the pharmacological activity.
After addition of number of drugs ,these herbal mixtures sometimes can be subjected to extraction processes.
Such formulation should be subjected for all standardization procedures to check the quality and quantity of phytoconstituents.

Tablet

Tablets are the solid dosage form of powdered herbs , herbal extracts or their constituents prepared by moulding or compression .
Certain additives are also to the medicaments in the formulation of tablets. Tablets are usually circular in shape and may be flat or biconvex.

Method of preparation



Weighing of ingredients

If crude drugs are used they must first be ground into fine powder and passed through a no.100 mesh sieve.

Mixing

All the medicaments and excipients are mixed uniformly so that uniform tablets can be manufactured. The mixing of ingredients should be done in an ascending order of their weight.

Converting the mixed into granules

1) Wet granulation
2) Dry granulation
3) Granulation by preliminary compression

Compression of tablet into granules

The dried granules obtained above are compressed into tablets by using a tablet punching machine.
The compression is achieved by filling the required quantity of granules into die and then compressing them between the lower punch and upper punch .

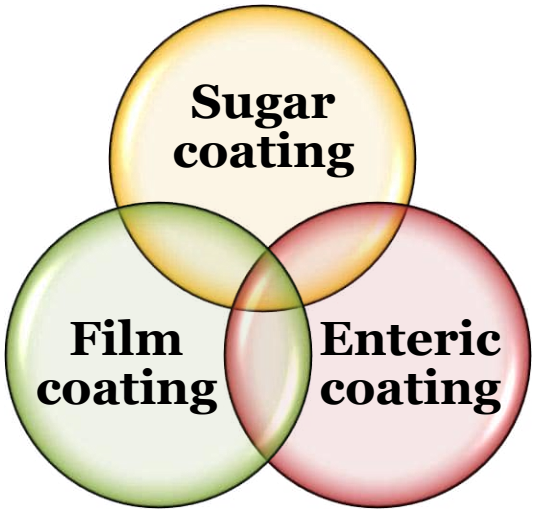
Coating of tablet

Coating of a tablet is required-

1) To mask the unpleasant taste and odour
2) To improve the appearance of the tablet
3) To protect the medicaments from atmospheric effects,
4) To control the site of action of drugs and to produce sustained release of the product

❖The coating is generally carried out either by using pan or press coating.

❖Pan coating is used for -



Novel Dosage forms

❖Now a days significant attention has bees concentrated on the development of novel drug delivery system (NDDS) for herbal drugs.

❖Conventional dosage forms are not capable to satisfy the requirements of holding the drug component at a distinct rate all through the period of holding the drug component at a distinct rate all through the period of treatment and are not target specific.

❖In phyto formulation research ,development of a nano-sized dosage forms has number of advantages for herbal drugs, like –

1) Improvement of solubility and bioavailability
2) Increase stability
3) Improving distribution of drug in tissues
4) Sustained delivery
5) Protection from physical degradations

Phytosome

The word Phyto means plant and some means cell like .
Phytosomes are small cell like structure.

A phytosome is a complex made up of natural active ingredients or drug and a phospholipid mostly lecithin.

Phytosome include herbal drug which is loaded in vesicles and is available in the nano form.

The phytosome provide a coating around the active constituent of drug and due to this main constituent of herbal extract remains safe from degradation by digestive secretion and bacteria.

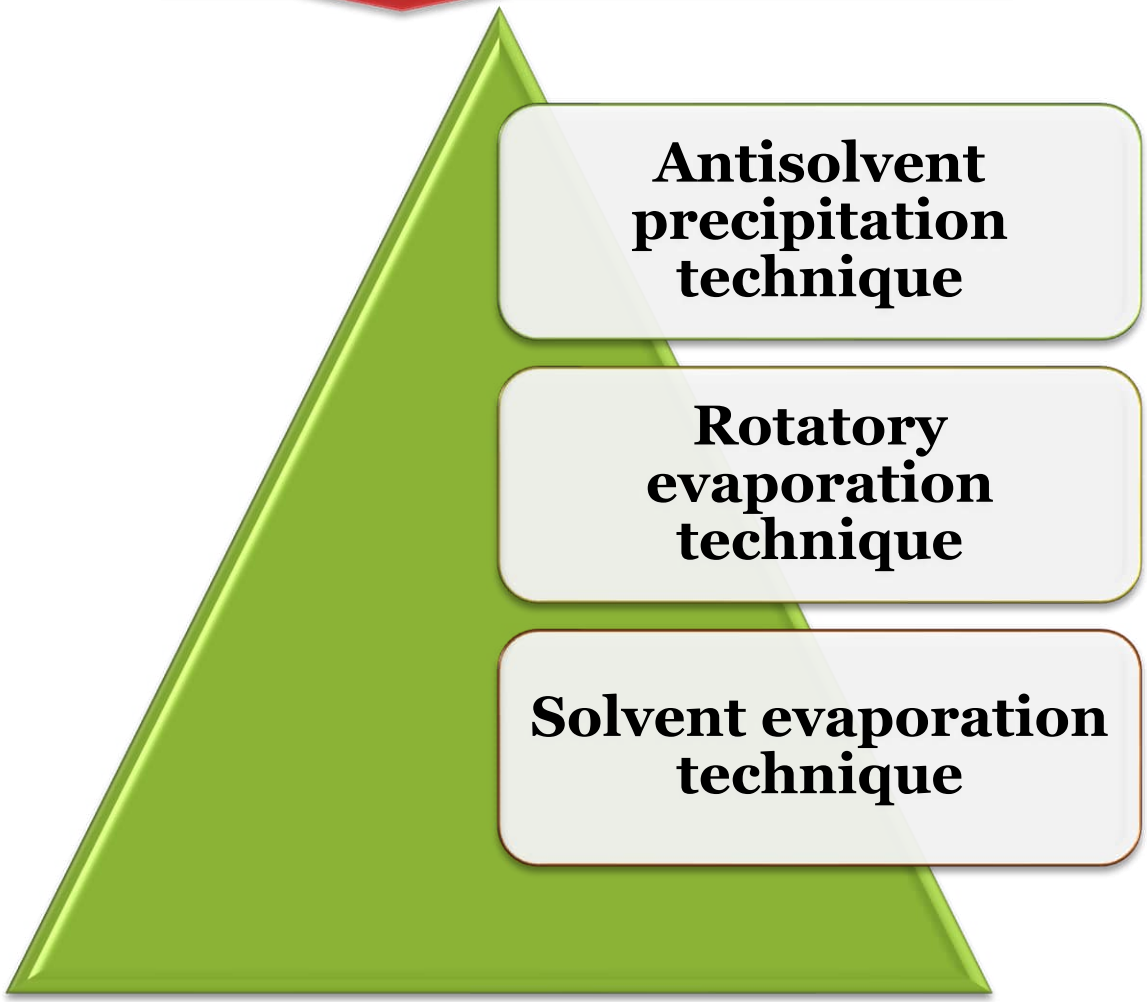
Phytosome is effectively absorbed from water loving environment of the cell membrane and finally reaching to the blood circulation.

It can be used in the treatment of various fatal disease without denaturating the active phyto compounds and also enhances bioavailability.

Preparation of phytosome

1. Phytosome prepared by adding accurate amount of phospholipids i.e soya lecithin with herbal extract in an aprotic solvent
2. Soya lecithin contain phosphatidylcholine which is having a double function
3. Phosphatidyl part is lipophilic in nature and choline part is hydrophilic in nature
4. The choline part is attached with hydrophilic constituent ,where as phosphatidyl part is attached with lipid soluble compound
5. It is result in the formation of lipid complex with better stability and bioavailability

Methods of preparation of phytosome



Properties of phytosmome

Physical properties

- Phytosome are complex between phytoconstituents and natural phospholoipids
- Interaction is due to formation of hydrogen bond
- Phytosome shows a cell like structure as a liposome

Biological properties

- Enhances absorption of active ingredients
- Better efficacy
- Better pharmacokinetics

Properties of phytosmome

Physical properties

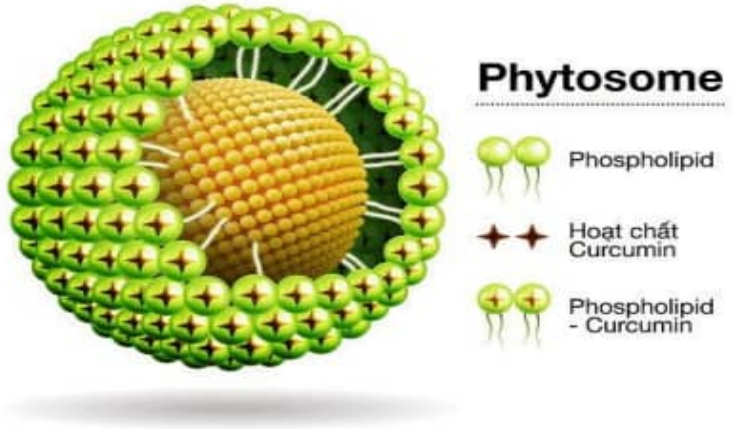
- Phytosome are complex between phytoconstituents and natural phospholoipids
- Interaction is due to formation of hydrogen bond
- Phytosome shows a cell like structure as a liposome

Biological properties

- Enhances absorption of active ingredients
- Better efficacy
- Better pharmacokinetics

Advantages

- In predeter mine rate delivery of drug
- Deliver the drug at the site of action
- Minimize the toxic effects
- Increases bioavaila bility
- Small dose produces desired result
- Better stability



Evaluation of Phytosomes

- Determination of % Yield
- Determination of particle size
- Determination of entrapment efficiency
- Determination of drug content
- Scanning electron microscopy

Thank you