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Herbal excipients

Herbal excipients are the substances which are inert & have little or no therapeutic value but are essential in the manufacture of various pharmaceutical dosage forms such as tablet, capsules & syrups. Excipients are mixed with the active ingredients to make up the volume or improve the stability or mask the bitter taste or improve the appearance, odour & other characteristics of dosage forms.

Advantages of Herbal excipients

- ① Biocompatible
- ② Economic
- ③ Easily available
- ④ Less toxic
- ⑤ Have soothing action & have non-irritant action
- ⑥ Safe & biodegradable & chemically modified.

Disadvantages of Herbal excipients

- ① Natural excipients are mainly carbohydrates & during manufacturing they come in contact with external environment & hence are prone to microbial contamination.
- ② They are obtained from natural resources which are varying in environmental conditions, regions & climates. Hence, yield & chemical composition of natural excipients vary in diff. conditions.
- ③ The process of manufacturing the natural excipients depends on various cultivation, collection, processing

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Storage factors.

Classification of herbal excipient

(1) Classification based on sources of excipients

- (a) Products from animal sources eg \Rightarrow Bees wax, Honey, Lanolin, Gelatin etc
- (b) Products from vegetable sources eg \Rightarrow Starch, peppermint oil, cardamom, vanilla
- (c) Products from mineral sources eg \Rightarrow Kaolin, paraffin, Talc, Fuller's earth.
- (d) Products from marine sources eg \Rightarrow Agar, Chitin, Alginate etc.
- (e) Synthetic products eg \Rightarrow Polyethylene glycol, Polysorbates, Povidone

[2] Classification based on chemical nature

- (a) Alcohol eg \Rightarrow volatile oil, lanolin, polyphenolic compds.
- (b) Esters, Ethers, Aldehydes, carboxylic acid eg \Rightarrow Fixed oil, citric acid, vanillin.
- (c) Glycerides & waxes eg \Rightarrow Beeswax, lanolin
- (d) Carbohydrates eg \Rightarrow Gum & mucilage.
- (e) Hydrocarbons & halogen derivatives eg \Rightarrow Paraffin.
- (f) Polymers eg \Rightarrow Cellulose, pectin.
- (g) Minerals eg \Rightarrow Bentonite, talc, calamine
- (h) Proteins eg \Rightarrow Gelatin, soybean
- (i) Preservatives, dyes, sweeteners, surfactant eg \Rightarrow Honey, Henna, stevia, Antioxidant, Polysorbates, emulsifying waxes.

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Different types of natural excipients

Natural colourants These are highly coloured compounds capable to impart colour to fabric, paper, leather, drugs, cosmetics & plastic. Dye is compound which get absorbed by the material & give permanent colour.

Advantages of natural colourants

- ① Natural colourants are soft, lustrous & soothing
- ② Wide ranges of colours are available
- ③ Natural dyes are usually renewable so no disposal problem
- ④ Ecofriendly & stable.
- ⑤ Non-hazardous to human health.

Disadvantages of synthetic colourants

- ① They can produce allergies
- ② May be carcinogenic in nature
- ③ They can provide toxic effects
- ④ As per WHO report, synthetic colourants can cause problems like immune system problems, low temp to frustration.

Natural colourants = Henna, Turmeric ✓

Annatto

Synonyms Lipstick tree, Chili tree

Biological source It consists of dried seeds of *Bixa orellana* belonging to family - Bixaceae.

Geographical source It is indigenous to central & south america & grown in India also

Chemical constituents It consists of bixin (70-80%), trans-bixin, cis-norbixin, pigment (4.5-5.5%).

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Identification: Annatto dissolved in acetone it shows absorbance max. at about 425, 427, 487 nm.

Uses: (1) It acts as colouring & coating agent for solid & liquid pharmaceutical dosage forms.

- (2) It is used as colouring agent in food & dairy products.
- (3) It is also used in the manufacture of wood stains, varnishes & silk dyeing.

Indigo

Synonyms: Neel

Biological source: It is obtained from *Indigo tinctoria*, belonging to family - Leguminosae.

Geographical source: It is found in India, America, Europe & Africa.

Chemical constituents: It contains glycoside indican, rotenoids, deguelin, dehydrodeguelin, rotenol, rotenone, tephrosin & sumatrol.

Applications: (1) It is used as colourant in pharmaceutical & food industry.

- (2) It is used in dyeing in jeans.
- (3) It is used in textile industries.

Natural Sweeteners

Sweetening agents are the substance which are added to drug formulation to mask its bitter taste. These are of 2 types

Nutritive sweeteners: These are mainly sugar or sugar alcohols which contain calories eg \Rightarrow Sorbitol, mannitol

Non-nutritive sweeteners: These are artificial sweeteners

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without any calories or carbohydrates. eg \Rightarrow Aspartame, Saccharin.

Advantages / significance of natural sweeteners

- ① They are non-caloric in nature
- ② They have less possible side-effects
- ③ The quality & quantity can be improved by modern tech.
- ④ Economic, No rise in blood sugar level, avoid decay of teeth

Disadvantages of natural sweeteners

- ① Bad after taste
- ② It could lead to many health issues such as weight gain, poor nutrition, tooth decay & increased level of blood glucose level.

Natural sweeteners \Rightarrow Stevia

Synonyms \Rightarrow Honey leaf, sugar leaf.

Biological source \Rightarrow It is natural sweetener extracted from plant *Stevia rebaudiana* belonging to family - Compositae.

Geographical source \Rightarrow It is cultivated in Japan, south east Asia, USA, South Brazil, Paraguay.

Chemical constituents \Rightarrow It contains stevioside A, B, Steviolbioside, Rebaudioside A, B, C, D, E & Dulcoside A, calcium, magnesium hydroxide or magnesium carbonate.

Uses \Rightarrow Stevia is used as natural calorie free sweetener in liquid or solid food, beverages as a substitute for conventional, sugar.

- ② It is used as a sweetening agent of choice for diabetes patients.

Liquorice

Synonyms \Rightarrow Mulethi, Madhuka, Yashtimadu.

Biological source \Rightarrow It consists of dried root & rhizome of *Glycyrrhiza glabra* belonging to family - Leguminosae.

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Chemical constituents - It contains glycyrrhizin (6-14%), glycyrrhetic acid, glucuronic acid, asparagine, sugar, resin, fat, liquiritin, isoliquiritin, glycycomarin, Umbelliferone (coumarin), starch.

Geographical source - It is cultivated in England, Spain, Iraq, France, USA, India. In India, it is cultivated in Punjab, Adaman Island.

Chemical test - Drug + 80% sulphuric acid → deep yellow colour.

- Uses
- ① It is used as sweetener, flavouring agent
 - ② It is used as expectorant, demulcent, anti-inflammatory, gastric & duodenal ulcers, relieving stress
 - ③ Externally, the root is used in the treatment of herpes, eczema

Serendipity Berry

Synonyms - Monellins

Biological source - It is obtained from *Dioscoreophyllum volkensii* belonging to family - Menispermaceae.

Geographical source - Plant is native of tropical Africa

Chemical constituents - The fruit of the plant contains intensely sweet protein. Monellin is 3000 times sweeter than sucrose. It consists of two polypeptides contain 45 & 50 amino acid residue.

- Uses
- ① It is used as anticancer drugs, diabetes
 - ② It is sweeter

Thaumatococcus

Synonyms Talin, Katemfe fruit

Biological source It is obtained from the fruit of Thaumatococcus danielli belonging to family - Marantaceae

Geographical source It mainly grows in West Africa

Chemical constituents It contains Thaumatin I & II which are intensely sweet. They contain 207 amino acids, protein, sucrose.

Uses ① It act as low caloric sweetener & flavouring agent.

② It is used to mask bitter astringent taste & off flavours.

Natural Binders.

Binders are the excipient which binds all ingredients used in formulation to form suitable dosage form. They give plasticity & increase bonding strength of particles particularly in case of tablets. Binders are used in solid or semi-solid dosage form. They are used in various formulation such as tablets, pills, paste etc. Binders can be used in wet & dry forms.

Binders are of 2 types.

(a) Solution binders These are used in solution form after dissolving it in suitable solvent. eg → Gelatin, cellulose

(b) Dry binders These are directly added as the powder. eg → Methyl cellulose, Polyethylene glycol.

Advantages of Natural Binders ① It is less toxic

② It is easily available & abundantly, economic

③ Enhance stability & texture to dosage forms.

Disadvantages of Synthetic Binders ① Increases hardness of formulation & reduce dissolution properties

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- ② Sometimes synthetic polymers adversely affect formulation stability.

Tragacanth, Gelatin, Acacia

Starch

Synonyms - Amylum

Biological source - It consists of polysaccharide granules obtained from the grains of maize (*Zea mays*), rice (*Oryza sativa*), wheat (*Triticum aestivum*) belonging to family - Gramineae & from the tubers of potato (*Solanum tuberosum*) belonging to family - Solanaceae.

Geographical source - Starch contain chemically two diff. polysaccharides - amylose (β -amylose) & amylopectin (α -amylose) in the proportion 1:2.

Amylose is water soluble & amylopectin is water insoluble but swell in water & is responsible for the gelatinizing property of the starch. Amylose gives blue colour with Iodine while amylopectin gives bluish black colour.

Identification test - ① Boil starch with water, cool. The translucent viscous jelly is produced.

- ② The above jelly turns deep blue by the addition of solution of Iodine. The blue colour disappears on warming & reappears on cooling.

Uses - ① It is used protective & absorbent action

- ② Extensively used in preparation of dusting powder, suppositories, binding, diluent, disintegrating agent in pills & tablets & also used as lubricant for surgery gloves.

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Natural Diluents

Active Pharmaceutical ingredients having the therapeutic effect can't administered directly. It should be combined with suitable excipient to get a desired dosage form for patients compliance. They are used in solid, liq or semisolid dosage forms such as tablets, pills, paste, soⁿ & suspensions.

Advantages of natural Diluents They are biodegradable

- (2) They don't have side effect, no toxicity, easily availability economic, no environmental damaging effects.

Disadvantages of synthetic Diluents

- (1) They are costly
- (2) It may affect environment
- (3) It may have toxic effects & may be incompatible with few ingredients.

Cellulose

Synonyms of Arbocel, Elcema, Sanacel

Biological source It is polysaccharide & is structural compd found in the cells of plant, algae & few bacteria

Chemical test Drug + Schülze reagent → purple colour

Uses (1) It is used to act as diluent for tablets, filler for hard gelatin capsule

- (2) It is used in suspending agent, suppositories, cosmetics & food industry.
- (3) It is used in Pharmaceutical industry.

Lactose

Synonyms of Milk sugar, Lactin, Lactosum

Biological source It is a natural disaccharide of animal origin consisting of galactose & glucose & obtained from milk of most of mammals.

Chemical constituents It contain O-beta-D-galactopyranose

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Syl-(1-4)- α -D-glucopyranose

Chemical test \nearrow when lactose is mixed with water & heated on water bath with ammoniac it gives red colour.

Uses \nearrow It is widely used in food & pharmaceutical industries.

It is used as an excipient in oral, solid-dose pharmaceutical formulation.

Mannitol

Synonyms \nearrow D-mannite, mannogem, manna sugar.

Biological source \nearrow It is exudation from the stem of *Fraxinus ornus* belonging to family - Oleaceae

Chemical constituents \nearrow It consists of mannitol, dextrose, mucilage, mannose, mannateose, & small amount of fluorescent substance fraxin.

Uses \nearrow It acts as sweetening agent, thickening

(2) It is also used to manufacture like chewable tablets

(3) It granules with mannitol dry quickly so it is suitable for formulations such as antacid, vit prepⁿ.

Viscosity Builders.

These are added aqueous solⁿ to increase its viscosity without altering properties like taste.

Advantages of viscosity Builders \nearrow

- (1) They inhibit the crystal growth
- (2) Improves physical stability

Disadvantages of viscosity Builders \nearrow High viscosity may interfere with re-dispersibility of the sediments.

It may slow down drug absorption. Handling & manufacturing of highly viscous formulation is difficult.

Viscosity builders are of following types:

- ① Natural gums eg \Rightarrow Acacia, Gum, Tragacanth, sod. alginate
- ② Cellulose derivatives eg \Rightarrow Methyl cellulose, ethyl cellulose, Carboxymethyl cellulose, Chitosan.
- ③ Synthetic polymers eg \Rightarrow Carbomers, polyvinyl alcohol.
- ④ Clay eg \Rightarrow Magnesium aluminium silicates, bentonite

Xanthan Gum.

Synonyms Corn sugar gum, Kelcrol, Rhodigel.

Biological source It is a polysaccharide produced by fermentation using the bacterium *Xanthomonas campestris* belong to family - Ruminococcaceae.

Chemical constituents It contains D-glucose, D-mannose, D-glucuronic acid with short side chains, sodium or potassium salts.

Chemical test Mixture of xanthum gum & locust bean gum is heated at 60°C with vigorous stirring for 30 min. then it is cooled at 40°C . The rubbery & firm gel is observed, which isn't observed in case of Xanthan gum alone.

Uses ① It acts as good emulsifying agent, thickener, viscosity enhancer for oral & topical Pharmaceutical formulation.

- ② It is used in cosmetics, foods, & also used in ophthalmic liquid dosage forms.

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CarraageenanSynonyms Chondrus, Irish mossBiological source It is polysaccharide obtained from red-algae Chondrus crispus belonging to family - Rhodophyllaceae.Geographical source It is produced at North Atlantic coast of Europe, North America, France, Spain, Denmark & USA.Chemical constituents It mainly consists of potassium, sodium, calcium, magnesium & ammonium sulfate ester of galactose, 3, 6-anhydrogalactose co-polymersChemical test ① Carraageenan becomes translucent & gelatinous when soaked in cold water.

② 3% solution in boiling water forms a thick jelly on cooling.

Uses ① It is used to prepare various pharmaceutical such as suspensions, emulsions, gels, creams, lotion, eye drops, suppositories, tablets, capsules.② It is used to make hard & soft capsule shells, toothpastes & cosmetic prepⁿ.

③ It is applied in the form of wound dressing.

Guar GumSynonyms Guarana, Guar flour, jaguar gum.Biological source It is derived from ground endosperm of seeds of Cyamopsis tetragonoloba belonging to family - Fabaceae.Geographical source It is mainly cultivated in Australia, Africa, US, Pakistan, India mainly in Gujrat, Maharashtra, Karnataka, Rajasthan.

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Chemical constituents It is divided into two parts water soluble & water insoluble part. water soluble fraction constituting about 85% of the gum known as guaran. Guarana on hydrolysis yield 35% of galactose & 65% mannose also contain 5-7% protein. water insoluble part in alcohol.

Chemical test ① with solⁿ of ruthenium red; the gummy solⁿ doesn't acquire pink colour.

② About 2% solⁿ of lead acetate gives ppt with the solⁿ of guar gum.

Uses ① It is used as binding agent

② It is used as a emulsifying, thickening & suspending agent.

Disintegrating agents

Disintegrating agents help in dispersion or disintegration of tablets & release contents of capsules into smaller fragments when added to the drug formulations disintegrating agents causes quick dissolution.

Swelling They swell & break tablet into pieces.

Porosity water starts penetrating inside tablet by capillary action & break interparticulate bonds.

Deformation During compression, disintegrating agents deformed. when they come in contact with water, they get back to their normal structure. It facilitates break up of tablet.

Repelling Particles repel each other causing tablet to disintegrate.

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Advantages of synthetic Disintegrants

- ① They are effective in lower concentrations.
- ② They don't affect compressibility & flowability.
- ③ They are more effective at intragranular region.

Disadvantages of synthetic Disintegrants

- ① Highest cost
- ② They can cause toxicity or side effects.
- ③ They may cause environmental pollution problems during synthesis.

Chitosan

Synonyms - Deacetylated chitin

Sources - It is a natural polysaccharide obtained from crab & shrimp shells. It is produced commercially by deacetylation of chitin present in these organisms.

Chemical constituents - Chitosan is made up of two units mainly β (1-4)-linked D-glucosamine (deacetylated units) & N-acetyl-D-glucosamine (acetylated units).

Chemical test - ① With iodine & 10% sulphuric acid solⁿ, Chitosan forms deep violet colour.

- ② With 50% nitric acid, Chitosan forms spherical crystals of Chitosan nitrate.

Uses - ① It can be used in gels, tablets, coating.

- ② It is used in tablet binders, viscosity-increasing agents.

Pregelatinized Starch

Synonyms - Compressible Starch, Instastarch, Pharma-Gel

Source - It is obtained from modification of potato starch.

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Chemical tests ^{of} starch It mainly consists of 5% free amylose, amylopectin (15%), 80% unmodified starch. Chemical test When solⁿ of pregelatinised starch is treated with iodine solⁿ, it forms reddish violet to blue colour.

Uses ① It is mainly used as disintegrating, binder, diluents in tablets & capsules.

② It is used in pharmaceutical industry.

Microcrystalline cellulose.

Synonyms Avicel, Celex, Cellulose gel

Biological sources It is obtained from partial depolymerisation of cellulose.

Chemical constituents It contain monosaccharides such as glucose, mannose, galactose, xylose, arabinose as well as 4-O-methylglucuronic acid galacturonic acid & traces of lignin, hemicellulose, oxy cellulose, furans & water.

Chemical test ① Microcrystalline cellulose is heated with phosphoric acid & solⁿ of catechol in phosphoric acid is added. On heating for 30 min. it produces red colour.

② With phloroglucinol & HCl, microcrystalline cellulose doesn't produce red colour.

Uses ① It is used as lubricant & disintegrating agent

② It is applied as binder or diluent in oral tablet & capsule formulation & is suitable for both wet-granulation & direct compression method.