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UNIT-III

Topic-2

HERBAL EXCIPIENTS

Herbal Excipients

The Herbal or natural excipients have a great advantage over their synthetic analogues as these are non-toxic, less expensive and freely available. The increasing awareness about these herbal excipients, which are manly polymers of natural origin, the pharmaceutical industries is getting more inclined towards their use in formulation development. The plant derived gums, mucilage's from natural sources like carrageenan, thaumatin, lard, storax, agar, gum acacia, tragacanth and many more to name comply with many requirements of pharmaceutical excipients. These can be preferred for formulation development as being stable and involving less regulatory issues as compared to their synthetic counter parts. They can also be easily modified to meet the specific needs, thereby being a potent and economic vehicle for delivering active pharmaceutical ingredient in formulation. Thus present study aims to throw light on the potential of natural excipients which can be proposed to be used as diluent, binder, Disintegrants as well as lubricant in various types of formulations as they are biocompatible and capable of giving additional nutrition to the developed dosage form.

Excipients are defined as 'the substance used as a medium for giving a medicament. The specific application of natural polysaccharide polymers in pharmaceutical formulations include to aid in the processing of the drug delivery system during its manufacture, protect, support or enhance stability, bioavailability or patient acceptability, assist in product identification, or enhance any other attribute of the overall safety, effectiveness or delivery of the drug during storage or use . Several pharmaceutical excipients of plant origin, like starch, agar, alginates, carrageen an, guar gum, xanthan gum, gelatin, pectin, acacia, tragacanth, and cellulose find applications in the pharmaceutical industry as binding agents, disintegrates, sustaining agents, protective's, colloids, thickening agents, gelling agents, bases in suppositories, stabilizers, and coating materials. As plants sources are renewable and can be cultivated or harvested in sustainable manner, can supply constant availability of raw material. Waste from food industry can be achieved as a raw material to extract herbal excipients. These are other reasons for increase in demand of herbal material as excipients. However, substances from plant origin also pose several potential challenges such as being synthesized in small quantities and in mixtures that are structurally complex, which may differ according to the location of the plants as well as other variables such as the season. This may result in a slow and expensive isolation and purification process. Another issue that has become increasingly.

Pharmaceutical Excipient

Pharmaceutical excipients can be defined as non-active ingredients that are mixed with therapeutically active compounds to form medicines. The ingredient which is not an active compound is regarded as an excipients. Excipients affect the behavior and effectivenessof thedrug product more and more functionality and significantly. The variability of active compounds, excipients and process are obvious components for the product variability.

Classification of Excipients

Excipients are commonly classifiedaccording totheir application and function in the drug products-

- Binder and Diluent
- Lubricants,Glidants,Disintegrants
- Polishing film former,Coating Agents
- Plasticizer,Colouring
- Suspending Agent, Preservatives
- Flavourig, Sweeteners, Taste Improving Agent
- Printing Ink, Dispersing Agent Gum

Advantage of Herbal Excipients

1. **Biodegradable-** Naturally occurring polymer produced by all living organisms. They show no adverse effects on the environment or human being.
2. **Biocompatible and Nontoxic-** Chemicallynearly all of these plant materials are carbohydrates in nature and composed of repeating monosaccharide units. Hence they are non-toxic.
3. **Economic-** They are cheaper and their production cost is less than synthetic material.
4. **Safe and devoid of side effect-**They are from a natural source and hence, safe and without side effects.
5. **Easy availability-**In many countries they areproduced due to their application in man.

Disadvantages of Herbal Excipients

1. **Microbial contamination–** During production, they are exposed to external environment and hence, there are chances of microbial contamination.
2. **Variation–** Synthetic manufacturing is controlled procedure with fixed quantities of ingredients while production of natural polymers is dependent on environment and various physical factors.
3. **The uncontrolled rate of hydration—**Due to differences in the collection of natural materials at different times, as well as differences in region, species, and climate conditions the percentage of chemical constituents present in a given material may vary.
4. **Slow Process–** As the production rate is depends upon the environment and many other factors, it can't be changed. So natural polymers have a slow rate of production.
5. **Heavy metal contamination–** There are chances of Heavy metal contamination often associated with herbal excipients.

7.2 Significance of substances of natural origin as excipients

Pharmaceutical excipients can be defined as non-active ingredients that are mixed with therapeutically active compounds to form medicines. The ingredient which is not an active compound is regarded as an excipient. - Excipients affect the behavior and effectiveness of the drug product more and more functionality and significantly. The variability of active compounds, excipients and process are obvious components for the product variability Natural excipients and derivatives occur ubiquitously throughout the plant and animal kingdoms. Examples of polymers or derivatives that have been used or investigated as vaccine adjuvants are Individual saponins derived from the South American tree Quillaja saponaria. Keyhole limpet hemocyanin, a non-heme copper containing protein found in arthropods. MPL, a monophosphoryl derivative of the Lipid a molecule found in gram-negative bacteria. Leishmania elongation initiation factors, a protein produced by the parasite leishmania. Ricin, a potent immunotoxin obtained from the seeds of castor bean plants.

7.3 Colorants

Colorant/color additiveis a substance that is added or applied in order to change the Colour of a material or surface. Colorants can be used for many purposes including printing, painting, and for Colouring many types of materials such as foods and plastics. Colorants work by absorbing varying amounts of light at different wavelengths (or frequencies) of its spectrum, transmitting (if translucent) or reflecting the remaining light in straight lines or scattered.

Most colorants can be classified as dyes or pigments, or containing some combination of these. Typical dyes are formulated as solutions; while pigments are made up of solid particles suspended and are generally suspended in a vehicle (e.g., linseed oil). The color a colorant imparts to a substance is mediated by other ingredients it is mixed with such as binders and fillers are added, for example in paints and inks. In addition, some colorants impart Colour through reactions with other substances.Colorants, or their constituent compounds may be classified chemically as inorganic (often from a mineral source) and organic.

In India, there are more than 450 plants that can yield dyes. In addition to their dye-yielding characteristics, some of these plants also possess medicinal value. The use of natural products together with their therapeutic properties is as ancient as human civilization and for a long time, mineral, plant and animal products were the main sources of drugs.

Classification

- **Natural dyes obtained from plants -** Berry, flower, bark, leaf, seed etc. (e.g. Catechu, Indigofera, Myrobalan and Pomegranate).

- **Natural dyes obtained from insects** – Cochineal and lac.

- **Natural dyes obtained from animal –** Mollusk, murex snail, cuttlefish and shellfish.
- **Natural dyes obtained from mineral –** Clay, ochre and malachite.

7.4 Sweeteners

A sugar substitute is a food additive that provides a sweet taste like that of sugar while containing significantly less food energy than sugar-based sweeteners, making it a zero-calorie or low-calorie sweetener. Artificial sweeteners may be derived through manufacturing of plant extracts or processed by chemical synthesis. Sugar alcohols such as erythritol, xylitol, and sorbitol are derived from sugars. In 2017, sucralose was the most common sugar substitute used in the manufacture of foods and beverages.

Steviol glycosides are a gaggle of extremely sweet diterpene glycosides contained within the leaves of stevia. Mogrosides, extracted from monk fruit are a gaggle of cucurbitane-type triterpenoid glycosides. Glycyrrhizin is an oleanane-type triterpenoid organic compound derived from the underground elements of Glycyrrhiza plant. Dates are wonderful sweeteners loaded with K, copper, iron, manganese, metallic element and pyridoxal. The syrup is an excellent sweetener enriched in manganese, Calcium, Potassium, and Zn.

Stevia

Stevia rebaudiana is a small perennial growing up to 6580 cm tall, with sessile, oppositely arranged leaves. Different species of Stevia contain several potential sweetening compounds, with S. rebaudiana being the sweetest of all.

Chemical constituents

Eight ent-kaurene glycosides namely dulcoside A, rebaudiosides A to E, steviolbioside, and stevioside produce the sweet taste sensation. These glycosides are mainly compounds of the diterpene derivative steviol.

Pharmacological actions

Stevia is used in many parts of the world as a non-caloric sweetener. Along with sweetness, a bitter taste is also felt in humans. As an extract, this herb was found to have similar potency with regard to sweetness as a 10% sucrose solution at either pH 3.0 or 7.0.

Uses of Stevia

- Stevia is safe for diabetics, as it does not affect blood sugar levels.

- Stevia does not have the neurological or renal side effects as other artificial sweeteners.
- Stevia possess anti-fungal and anti-bacterial properties in addition to its other versatile uses. It can be safely used in herbal medicines, tonics for diabetic patients and also in daily usage products such as mouthwashes and toothpastes.
- Mild Stevia leaf tea offers excellent relief for an upset stomach.

7.5 Binders

Binder excipients are formulated to act as an adhesive to literally “bind together” powders, granules and other dry ingredients to impart to the product the necessary mechanical strength. They can also give volume to low active dose tablets. Commonly used in wet granulation, binders are added to create a more effective and predictable granule formation. Binders are classified according to their application. For example, solution binders are dissolved in a solvent, such as gelatin, cellulose, cellulose derivatives, polyvinylpyrrolidone, starch, sucrose and polyethylene glycol.

Binder’s square measure the agents utilized to impart cohesiveness or adhesion to the granules. This ensures that the pill remains intact when compressed in addition to the flow qualities by the formulation of granules of derived hardness and size. The employment of genus Dioscorea rotundata as a binder and disintegrant in pill formulation and therefore has the compressional propertiesa. The consequences of columbiform bird pea and plantain starches on the compressional, mechanical and disintegration properties of Paracetamol tablets are investigated. Starch 1500 has been tested as a wonderful binder, manufacturing a granulation that was compressible.

7.6 Diluents

Diluents act as fillers in pharmaceutical tablets to increase weight and improve content uniformity. Natural diluents include starches, hydrolyzed starches, and partially pre-gelatinized starches. Common diluents include anhydrous lactose, lactose monohydrate, and sugar alcohols such as sorbitol, xylitol and mannitol. Diluents provide better tablet properties such as improved cohesion or to promote flow. Mannitol is one of the costliest diluents, however, it is still often used due to the sensation it provides when it is used in chewable tablets. Diluents must be non-toxic, commercially available in acceptable grade, physiologically inert, and physically and chemically stable by themselves as well as in combination with active pharmaceutical ingredients (APIs).

7.7 Viscosity builders

Viscosity modifiers are designed to change the thickness or texture of pharmaceutical ingredients. Viscosity modifiers can include such products as thickeners, texturizers, gelation agents and stiffening agents. Many viscosity modifiers can be used to convert liquids to gels, pastes or powders to aid formulators in creating the ideal product for end users. A viscosity modifier can decrease the thickness of a liquid to improve pour ability and ultimately make it more palatable.

Various thickeners are found in nature or are derivatives of natural thickeners. These ingredients are polymers that absorb water to expand and increase viscosity. Polyose derivatives like hydroxyethylcellulose are often employed in products like shampoo or body washes. Gum is another example of a naturally derived thickener. Others embrace algarroba bean gum, xanthan gum, and gelatin. Plants and different gums are employed in sensible applications primarily to thicken or gel binary compound systems and to regulate water. They will conjointly operate as adhesives, foam stabilizers and impart different specific properties. These thickeners will be employed in any formula that contains a high level of water. Typically, they will be inconsistent, as a result of clear formulas to become cloudy, and feel sticky on skin. Xanthan gum is an associate example of high relative molecular mass additional cellular saccharide created by the fermentation of the gram negative bacteria genus campestris.

7.8 Disintegrants

Disintegrants are added to oral solid dosage forms to aid in their de-aggregation. Disintegrants are formulated to cause a rapid break-up of solids dosage forms when they come into contact with moisture. Disintegration is typically viewed as the first step in the dissolution process. Examples of Disintegrants include Crosslinked polymers, including crosslinked polyvinylpyrrolidone (crospovidone), crosslinked sodium carboxymethyl cellulose (croscarmellose sodium), and the modified starch sodium starch glycolate. Some of the natural disintegrating agents are shown below-

1. Lepidus sativum

Lepidium sativum (family-Cruciferae) known as asaliyo and widely used as herbal medicine and pharmaceutical excipient as disintegrating agent.

2. Isapghula Husk (Plantago ovata)

The seeds of Plantago ovata were soaked in distilled water for 48 hrs and then boiled for few minutes for complete release of mucilage into water. Mucilage of Plantago ovata at a

concentration of 2 % is also a good disintegratingagent having the additional advantage of being natural.

3. Hibiscus rosa sinesis linn.

Mucilage Hibisicus rosa-sinensis linn. Of the Malvaceae family is also known as the shoe flower plant, China rose, Chinese hibiscus. The plant is available in Indian in large quantities and its mucilage has been found to act as superdisintegrant. The plant contains cyclopropanoids, methyl sterculate, methyl-2-hydroxysterculate, 2- hydroxysterculate malvate and β-rosasterol.

7.9 Flavors & perfumes

Since primeval times Flavors and Fragrances has been an element of our life. We have been making it a part of life. By different means we all utilize perfumery and flavor materials, in our everyday life. Fragrances have a key part in religious ceremonies as it was considered to possess strengths to cure and protect from evil. We in our routine life starting from morning till night make different uses of products for personal care and cleanliness which have perfumes. Even consumables like confectionary contain some type of perfume or flavors. Most fragrance comes naturally form many plants. This smell is known as aroma which is a Latin word and those floras which have this aroma are known as aromatic plants. These aromas are extracted from some odoriferous material called essential oils. There is no dearth of aromatic plants in India. The country is famous for its rich endowment with aromatic plant. In fact the Vedic literature one can find many references of Ayurveda Gandhshastra the science of odor which deals with the cosmetics and fragrances.

Flavoring materials are received from a number of sources, and mostly from plants such as from flower, leaf, stem or bark. To be employed in food merchandise, the materials area unit typically extracted from the material to produce an isolate that is simply the flavor. These Flavourig agents have good importance within the business of medication, particularly in camouflaging with the medicines by their indispensable flavors. Thus, they are additionally referred to as “masking agents” or “bitter blockers”. The principal flavors employed in the dental merchandise area unit peppermint, spearmint, and wintergreen changed with different essential oils of anise, clove, caraway, pimento, eucalyptus and citrus fruits, menthol, nutmeg, thyme or cinnamon.