

Research article

Herbal Excipients: Pharmaceutical Applications

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ABSTRACT

As in every field there is a transformation to improve the performance and satisfy the demand of consumer, in pharmaceutical word, need of "Excipient" have been changed from inert and cheap substance which forms bulk of formulation to a material which has potential to be placed in formulation as it accomplished the desirable objective of treatment. Herbal excipients are plant and plant-based materials, extracted from various parts of plant. Herbal excipients are beneficial as freely available, less expensive, stable and easily biodegradable and can be used to overcome the trouble of toxicity, chemical in compatibility of synthetic excipients in various drug delivery systems. Extracted materials from plants can be processed to certain extent and incorporated in dosage form to achieve the specific performance by formulation. The article reviews on herbal excipients which includes plant polymers, herbal penetration enhancers.

Key words: Herbal excipients, plant polymers, herbal penetration enhancer.

INTRODUCTION

Excipient in past mainly used to form bulk of formulations as it contain potent drugs which could not be taken alone and to assure uniformity of drug in dosage form. Wide varieties of excipients are used in different pharmaceutical dosage forms. With corresponds to various route of administration, state of formulation, strength of formulation excipients are added in different concentrations. Excipient is used as stabilizing agent for active ingredient in formulation; make sure the active compound as "active" and stable essentially till the shelf-life of the product to compete with other products in market. Excipients can also improve patient compatibility by masking unpleasant taste or texture and enable to guarantee, that required amount of the active constituent reached the right place of the body at estimated time^{1, 2}. Due to advancement in drug delivery system, there is need of novel excipients to fulfill the multi-functional role like affecting release pattern, improvement of bioavailability and stability, enhancement of patient acceptability. For these purpose researchers have been investigated both natural and synthetic excipients. However, disadvantages of synthetic excipients like toxicity, expensive, environmental issues, and incompatibility led to give more emphasis on extensive investigation of natural excipients. Herbal excipients are of plant origin extracted and isolated from plant parts. Being natural the herbal excipients are affordable, non-toxic, biodegradable with some exception, biocompatible, eco-friendly and can be modified chemically thus attract the consumers. 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 excipient. These are other reasons for increase in demand of herbal material as excipient³.

Plant Derived Polymers: Due to multiple applications of plant based polymers in pharmaceuticals as diluent, binders, thickener, suspending agent, disintegrant, gelling agent as well as utilize in cosmetics, textile and paper industry gained tremendous interest by researches^{4, 5}. Gums and mucilages obtained from plants accessed the release and rate kinetics of drug or drugs in formulation⁶. Pathological products formed by plants as results of injury or unfavorable conditions like drought are Gums. Further mucilage is products of metabolism, no trigger required for their formation. When refers to property; gums are natural water soluble non-starch polysaccharide substances and their structurally modified derivative. While mucilage refers to slimy aqueous dispersion produced by plants, animals and microbes; mainly consists to have soluble polysaccharides with starches and modified starches. Plant based polymers mainly are from different plant parts include gums, mucilages and resins which contains polysaccharides, polymers of tannins and other molecules. Classification of plant polymer based on property and plant part source given below:

Plant Polysaccharides

Tamarind seed gum: This gum is obtained from insertion of endosperm of seeds of *Tamarindus indica* of belonging to *leguminosae* family also known as known as Tamarind kernel powder. Though the seeds have lots of uses, it is a by-product of commercially used fruits of tamarind. The biodegradable polysaccharide present in gum called tamarind xyloglucan, responsible for high

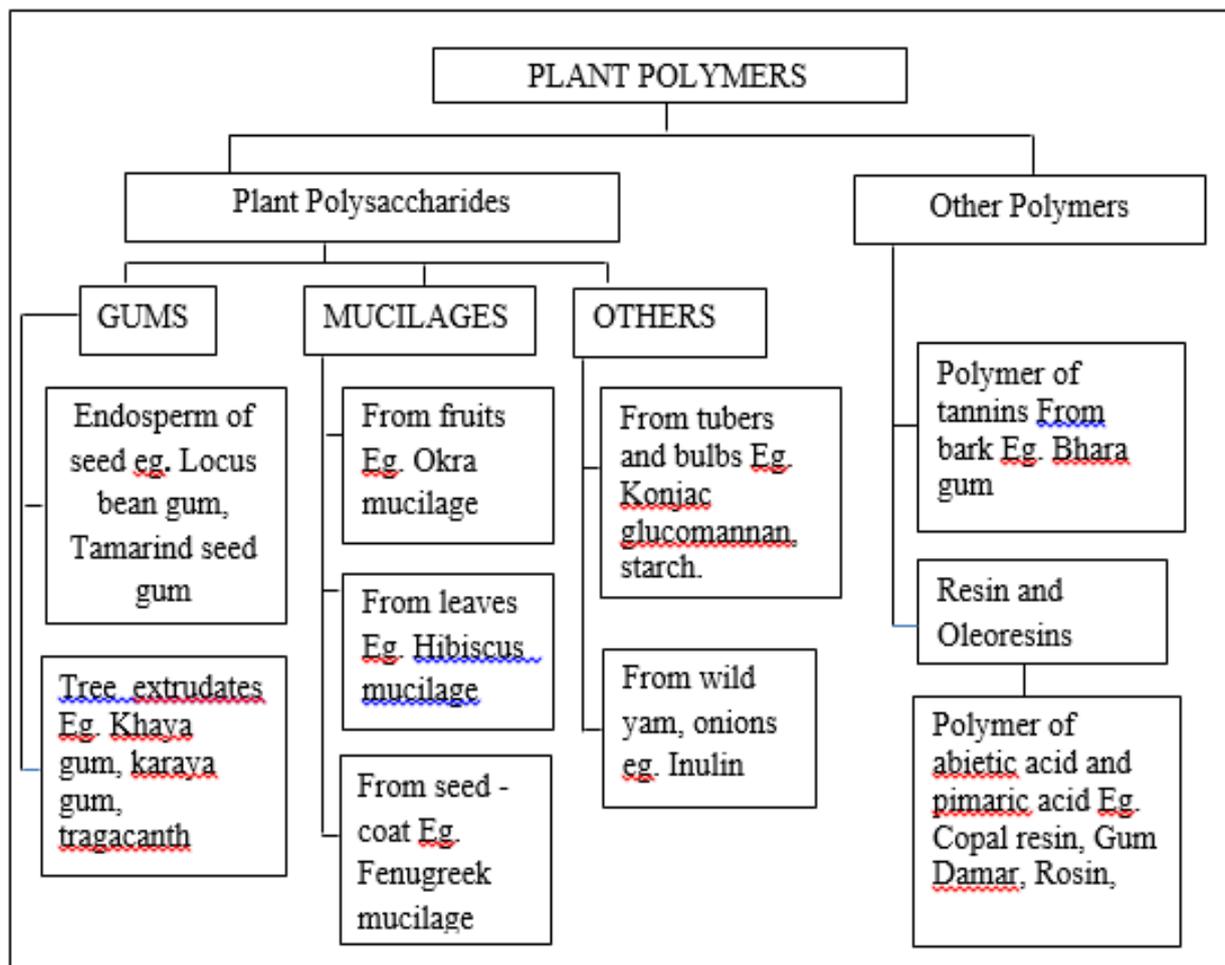


Fig.1: Classification Of Plant Polymer

viscosity, adhesivity⁷. The purified tamarind seed polysaccharide has partial chemical similarity with mucin MUC-1 and Epsialin⁸. Capsules made from solid dispersion of Aceclofenac, Atorvastatin, Irbesartan with Tamarind Seed Polysaccharide (TSP) in ratio of 1: 3 as solubilizer; enhanced solubility and increased in dissolution characteristics as compared to pure drug without hampering the stability of formulation⁹. In vitro drug release study evaluated matrix tablet of ibuprofen using Tamarind Seed Polysaccharide reveals that degradation of polysaccharide take place in simulated colonic fluid having rat caecal content and about 81.7% drug released in colon. This concluded that tamarind seed polysaccharide useful for colonic drug delivery system¹⁰.

Locus bean gum: Locus bean gum is produced from seeds of legumes of the plant *Ceratonia siliqua* Linn. get cultivated in mediterranean region. Penwest Pharmaceuticals Company developed TIMERx[®] tablet system, commercially available; using locust bean gum and xanthan gum exhibited both *in vitro* and *in vivo* controlled release profile^{11, 12}. Viscogum[™] LBG is available in market.

Khaya gum: This polysaccharide obtained when incisions given to the trunk of tree *Khaya grandifoliola* of family meliaceae. The gum has hydrophilic potential therefore used as emulsifying agent. Studies done on

directly compressible matrix system using khaya gum shown potential results for controlled release tablets¹³. In one study demonstrated that khaya gum and albizia gum (1:1) mixture act as protective coating for paracetamol core tablet in environment of stomach and small intestine further in simulated colonic fluid the gums were degraded by colonic bacteria and released the drug. That concluded usefulness of combination gums for colonic drug delivery¹⁴.

Okra mucilage: *Abelmoschus esculentus* pods (family: Malvaceae) of flowering plant are called okra pods when soaked in water for sufficient time release the mucilage. Further to get amorphous mucilage alcohol is added. Okra mucilage as tablet binder in Diclofenac sodium matrix tablets had formulated; shown proper hardness and friability. The study revealed that increase in concentration of mucilage reduction in release of drug from matrix tablet and thus the mucilage shown excellent retarding effect on release of drug at very low concentration¹⁵. For sustain release of albendazole matrix tablet using okra mucilage shown 98.3% drug release at the end of 10hr. when used 30% of total tablet weight¹⁶.

Hibiscus mucilage: The mucilage is obtained from fresh leaves of *Hibiscus rosaninensis* linn after soaking in water and then separation using organic solvent. Mucilage is amorphous solid, transparent in appearance, slightly

acidic, having high swelling index¹⁷. The hibiscus mucilage was found to be excellent disintegrating agent than Ac-Di-Sol® when used at 4% concentration in dispersible tablets of Aceclofenac¹⁸. This mucilage alone and in combination with synthetic polymer proven to be effective matrix forming polymer for sustain release tablet formulation^{19, 20}. Mucilage also evaluated as suspending agent for pharmaceutical application²¹.

Fenugreek seed mucilage: Fenugreek is *Trigonella Foenum-graceum* of leguminosae family, widely used as food and food additive. The mucilage from the seed coat is obtained after soaking the defatted powder of fenugreek seed with water. The amorphous powder of mucilage is tasteless, off-white in color, with slide acidic in nature. Fast dissolving tablet of metformin Hydrochloride disintegrated in 15 seconds and shown 100% drug release within 18 min. at 4% concentration of fenugreek mucilage indicated good disintegrant property²². Nasal delivery of Diazepam using fenugreek mucilage was developed shown good polymer property than HPMC, carbopol 934 with respect to mucoadhesive strength, gelling and drug release²³. The mucilage was also evaluated for super-disintegrating property of sildenafil citrate fast dissolving tablet²⁴.

Mango peel pectin: Mango peel, result of the mango processing waste in food industry was found to be a good source for yielding 10-20% good quality pectin²⁵. Pectin is a complex hetro-polysaccharides which is a hydrophilic colloid. Study had been done to investigate that superdisintegrant property of mango peel pectin as it had shown comparatively lesser release of drug than synthetic superdisintegrant cannot be used alone as major disintegrant in formulaton but due to its good solubility and higher swelling index, it may be used in the formulation of fast dispersible tablets²⁶.

Konjac glucomannan: Found in tubers various species of *Amorphophallus* but present in high amount in tuber of *Amorphophallus konjac* belonging to family *Araceae*²⁷. Konjac glucomannan in combination with other polymer evaluated for controlled drug delivery system. Konjac glucomannan and xanthan gum in ratio of (1:1) produced strong gel which maintained its integrity and controlled the drug release for 8hr²⁸. Matrix tablet prepared by using konjac glucomannan evaluated for in vitro extended release of Diclophenac sodium. Result reported that the polysaccharide extended the release upto 12hr²⁹.

Inulin: This gluco-fructan polymer is obtained from various plant sources like onions, garlic, dandelion, wild yam, chicory and artichoke. Inulin esterified using methacrylic anhydride and succinic anhydride under UV irradiation formed non swellable and low degradable hydrogel in acidic medium but shown proper swelling and degradation when in contact with simulated intestinal fluid having inulinase, the specific enzyme³⁰.

Other Polymers

Bhara gum: This yellow coloured natural gum obtained from plant *Bahera*; when extracted from bark of *Terminalia bellerica* belonging to family *combretaceae*. The main components which are giving film forming property to the gums are tannins. Bhara gum has wide

application as it is hydrophilic and biocompatibility, in various cosmetics it is used as emulgent³¹. Microencapsules of famotidine using bhara gum when examined by in vitro drug release profile shown slow release of drug over 10hrs thus could be used for sustained drug delivery system³².

Copal resin: The yellowish resinous material obtained from the plants belonging to araucariaceae and caesalpinaceae, family a subfamily of leguminosae. Copal resin contains mixture of organic acids, diterpenoids. The resin is used as binding media in dental products. Study was performed on natural copal resin to investigate as film forming natural material with ability as coating material for sustained release and colon targeted drug delivery³³.

Gum Dabar: This is also a whitish to yellowish resinous material obtained from plant *Shorea wiesneri* belonging to family Dipterocarpaceae. Microencapsules of ibuprofen and diltiazem hydrochloride prepared using Gum damar investigated for sustained drug delivery. Micro particles were formulated by oil-in-water emulsion solvent evaporation method. In case of diltiazem HCl which water soluble drug micro particle were bigger and releasing drug rapidly as low level of encapsulation. While in case of slightly water soluble drug i. e. ibuprofen micro particles were small having good encapsulation and thus exhibited sustain drug delivery³⁴.

Rosin: Rosin is oleoresin, a natural polymer obtained from pine trees, sources being *Pinus soxburghui*, *Pinus longifolium* and *Pinus toeda*. Rosin is mainly consists of abietic and pimic acids and has excellent film-forming properties³⁵. Polymerised rosin films containing hydrophobic plasticizers showed excellent potential as coating materials for the preparation of sustained release dosage forms³⁶.

Herbal Penetration Enhancer: When we use formulation for topical application or in case of transdermal drug delivery system penetration enhancer play vital role as it help the API to reach at the site. Terpenes, the naturally occurring volatile oils, are considered as clinically acceptable penetration enhancers as indicated by high percutaneous enhancement ability, reversible effect on the lipids of corneum and low cutaneous irritancy at lower concentrations (1–5%). Further, terpenes are 'Generally Regarded as Safe' (GRAS) when of natural origin³⁷.

Menthol: Menthol is organic compound can be produced synthetically, found in many branded mouthwashes and cough preparations. It has been evaluated as penetration enhancer for imipramine hydrochloride³⁸, caffeine, hydrocortisone, triamcinolone etc³⁹. A membrane-moderated transdermal therapeutic system (TTS) of nimodipine using 2% w/w hydroxypropyl methylcellulose (HPMC) gel as a reservoir system containing menthol as penetration enhancer with 60% v/v ethanol-water as solvent system was prepared and *in vivo* evaluation was done. Study reported that the menthol-based TTS patch of nimodipine provided steady plasma concentration of the drug with minimal fluctuations with improved bioavailability in comparison with the immediate release tablet dosage form⁴⁰.

D-Limonene: D-Limonene is obtained as a by-product of the citrus juice industry. It is the major component of the oil extracted from the rinds of citrus fruits. A limonene-based transdermal therapeutic system (TTS) was prepared to study its ability to provide the desired steady-state plasma concentration of nicorandil in human volunteers⁴¹. Piperine (Alkaloid): Piperine is known to improve the oral bioavailability of several drug and nutraceutical molecules. Piperine induces alteration in membrane dynamics and permeation characteristic of SC by lipid extraction and interaction with keratin, decrease the tendency of membrane lipids to act as steric constraints to enzyme proteins and thus modify enzyme conformation and thereby increased permeation of drug across human epidermal membrane. It is shown to possess bioavailability enhancing activity with various structurally and therapeutically diverse drugs⁴².

CONCLUSION

Some of polymers, preservatives, penetration enhancers and sweeteners from various plant sources have been reviewed and discussed. Herbal excipients are preferable as they not only full filling their role in formulation but provide health benefits by discarding the hazards of synthetic chemicals. More research effort should be provided for investigation on herbal materials to innovate no-toxic, biocompatible, patient acceptable, cost effective, eco-friendly excipient, suitable to be incorporated in pharmaceutical preparations.

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