

PHYTOSOMES: AN EMERGING HERBAL DRUG CARRIER SYSTEM

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ABSTRACT

The expression “phyto” means plant while “some” means cell-like. Phytosomes are little cell like structure. It is frequently known as herbosomes. This is advanced forms of herbal formulations which contains the bioactive phytoconstituents of herb extract surrounds and bound by a lipid. Many of the bioactive constituents of phytomedicines are water-soluble compounds like flavonoids, glycosides; terpenoids in which flavonoids are a noteworthy class of bioactive compounds possesses broad therapeutic activities. Due to water soluble herbal extract and lipophilic outer layer phytosomes elicits better absorption

and so improves bioavailability and actions than the conventional herbal extracts containing dosage form. The innovation has enhanced pharmacokinetics and pharmacological parameters. It has a lot of potential in the field of medicine, pharmaceuticals and cosmetics. Phytosome innovation has been effectively used to enhance the bioavailability of many popular herbal extracts including milk thistle, ginkgo biloba, grape seed, green tea, hawthorn, ginseng etc and can be developed for various therapeutic uses or dietary supplements. These drug-phospholipid complexes can be formulated in the form of solution, suspension, emulsion, syrup, lotion, gel, cream, aqueous microdispersion, pill, capsule, powder, granules and chewable tablet.

KEYWORDS: Phytosome, drug-phospholipid complexes, phytoconstituents.

INTRODUCTION^[1-3]

Preparations of plants or parts of them were widely used in popular medicine since ancient times and till today the use of phytomedicines is widespread in most of the world's population. During the last century chemical and pharmacological studies have been

performed on a lot of plant extracts in order to know their chemical composition and confirm the indications of traditional medicine. It has often been observed that the separation and purification of the various components of an extract may lead to a partial loss of specific activity for the purified component. Phytosome is a patented technology developed by a leading manufacturer of drugs and nutraceuticals, to incorporate standardized plant extracts or water soluble phytoconstituents into phospholipids to produce lipid compatible molecular complexes, called as phytosomes and so vastly improve their absorption and bioavailability. The Phytosomes process produces a little cell because of that the valuable components of the herbal extract are protected from destruction by digestive secretions and gut bacteria. Phytosomes are better able to transition from a hydrophilic environment into the lipid-friendly environment of the enterocyte cell membrane and from there into the cell finally reaching the blood. Phytosomes have improved pharmacokinetic and pharmacological parameter which in result can advantageously be used in the treatment of the acute and chronic liver disease of toxic metabolic or infective origin or of degenerative nature. It can also be used in anti-inflammatory activity as well as in pharmaceutical and cosmetic compositions. Phytosomes are advanced herbal products produced by binding individual component of herbal extract to phytolipid mainly phosphatidylcholine resulting in a product that is better absorbed and produces better results than the conventional herbal extract.

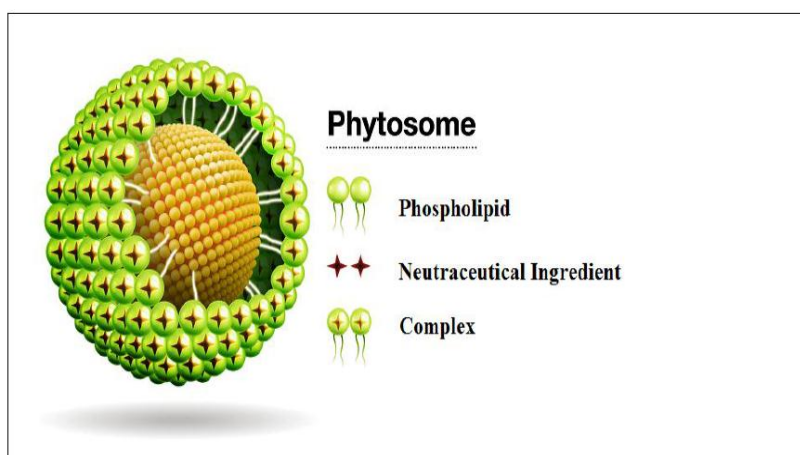


Figure 1 : Phytosome

BENEFITS OF PHYTOSOMES^[4]

1. Marked enhancement of bioavailability.
2. Phytosome process produces a little cell whereby the valuable components of the herbal extracts are protected from destruction by digestive secretions and gut bacteria.
3. Assured delivery to the tissues.

4. No compromise of nutrient safety.
5. Dose requirement is reduced due to absorption of chief constituent.
6. Entrapment efficiency is high and more over predetermined because drug itself in conjugation with lipids is forming vesicles.
7. No problem of drug entrapment.
8. Phytosomes shows better stability profile because chemical bonds are formed between phosphatidylcholine molecules and phytoconstituent.
9. Phosphatidylcholine used in the phytosome process besides acting as a carrier also nourishes the skin, because it is essential part of cell membrane.
10. Phytosomes are also superior to liposomes in skin care products.
11. Significantly greater clinical benefit.
12. The particular structure of phytosome elicits peculiar properties and advantages in cosmetic application.

METHOD OF PREPARATION^[4]

Phytosomes are prepared by reacting natural or synthetic phospholipids with active components like bioflavonoid, flavolignan and polyphenolic constituents. Solvent Evaporation method is the most common technique used for the preparation of phytosomes. Phytosomes of ginsenoside, puerarin and kushenin are prepared in this manner. Mechanical Dispersion method is used for the preparation of marsupsin-phospholipid complexes. Phospholipids is dissolved in a suitable solvent and active ingredient is added drop by drop while sonicating the solution. Phospholipid complex is sometimes prepared under reflux and stirring conditions to effect complete interaction. Curcumin phospholipids complexes are prepared by adding the phospholipids to the ethanol solution of the hydroalcoholic extract of turmeric rhizomes, under reflux and with stirring. Prepared complex called phytosome can be isolated by precipitation with nonsolvent, lyophilization, spray drying or vacuum drying.

DIFFERENCE BETWEEN PHYTOSOMES AND LIPOSOMES^[4]

Table 1: Difference Between Phytosomes And Liposomes

Phytosomes	Liposomes
In phytosomes active chemical constituents molecules are anchored through chemical bonds to the polar head of the phospholipids.	In liposomes, the active principle is dissolved in the medium of the cavity or in the layers of the membrane. No chemical bonds are formed.
In phytosomes, phosphatidylcholine and the individual plant compound form a 1:1 or 2:1 complex depending on the substance.	In liposomes, hundreds and thousands of Phosphatidylcholine molecules surround the water soluble molecule.
It has much better bioavailability and absorption	Its bioavailability and absorption is lesser than phytosome.

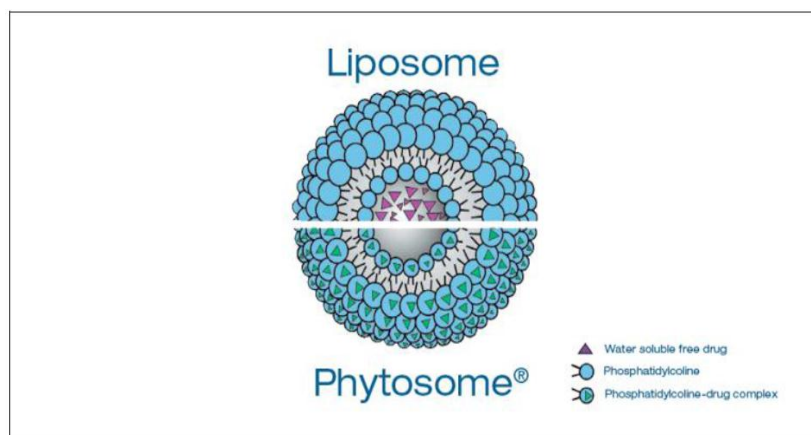


Figure 2: Difference Between Liposomes And Phytosomes

PROPERTIES OF PHYTOSOMES^[1]

Physico Chemical properties: Phytosomes is a complex between a natural product and natural phospholipids, like soy phospholipids. Such a complex is obtained by reaction of stoichiometric amounts of phospholipids and the substrate in an appropriate solvent. On the basis of spectroscopic data it has been shown that the main phospholipid-substrate interaction is due to the formation of hydrogen bonds between the polar head of phospholipids (i.e. phosphate and ammonium groups) and the polar functionalities of the substrate. When treated with water, phytosomes assumes a micellar shape forming liposomal structure.

Biological properties: Phytosomes are advanced forms of herbal products that are better absorbed, utilized and as a result produce better results than conventional herbal extracts. The increased bioavailability of the phytosome over the non complexed botanical derivatives has been demonstrated by pharmacokinetic studies or by pharmacodynamic tests in experimental animals and in human subjects.

EVALUATION OF PHYTOSOMES^[2, 4]

Various spectroscopic and in-vitro and in-vivo evaluations are applied on phytosomes.

- These complexes can be characterized by Transmission Electron Microscopy (TEM), ¹H-NMR, ¹³C-NMR, ³¹P-NMR and FT-IR.
- A chemical spectral characteristic is determined in phospholipids complexes using IR and UV spectroscopic study.
- Liquid chromatography/atmospheric pressure chemical ionization mass spectrometry (LC/APCI-ITMS) proved to be a very powerful tool for pharmacokinetic studies of

phytochemicals. This technique is applied to evaluate the levels of ginkgolides A and B and bilobalide in plasma of volunteers after administration of Ginkgo biloba extracts in free (Ginkgoselect) or phospholipid complex (Ginkgoselect Phytosome) forms.

➤ The effects of Ginkgo biloba dimeric flavonoids in Phytosome form on the vasomotor activity and skin microcirculation of the cheeks, hands, limbs and female breast are studied in human subjects by Infrared-Photo- Pulse-Plethysmography, Laser Doppler Flowmetry, High Performance Contact Thermography, Computerized Videothermography, and Optic Probe Videocapillaroscopy.

➤ In-vivo studies are performed on Beagle dogs, rodents, wistar rats to compare pharmacokinetics parameters between pure extracts and its phospholipid complex.

HERBAL DRUGS AND THEIR PHYTOSOMES^[2, 5]

Table 2 : Herbal Drugs and Their Phytosomes

Herbal Drug	Phytosomes	Phytoconstituents complex	Uses
Crataegus oxyacantha	Hawthorne Phytosomes	Flavonoids	Antioxidant, cardioprotective, Food product
Curcuma Longa Linn	Curcumin Phytosome	Curcumin (polyphenols)	Cancer chemopreventive agent.
Olea europaea	Oleselect Phytosome	Polyphenols	Antioxidant, inhibit harmful oxidation of LDL cholesterol, anti-inflammatory.
Curcuma Longa Linn	Curcumin Phytosome	Curcumin (polyphenols)	Cancer chemopreventive agent.

CONCLUSION

The article thus reviews the benefits, physical characteristics, chemical properties and method of preparation of the phytosomes. Technology is having a lot of commercial application. Phytosomes enables pharmaceutical manufacturers to provide new pharmaceutical products using water soluble drugs and provides new developments in medical industry. Presently phytosomes are used primarily in cosmetics to deliver water soluble substances to the skin. The technology can effectively deliver the product by topical and oral route. Many areas of phytosomes are to be revealed in future in the prospect of pharmaceutical application.

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