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A REVIEW ON PHARMACOSOMES FOR TARGETTED DRUG DELIVERY

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ABSTRACT

The enhancement of solubility presents significant challenges. Pharmacosomes are an innovative and advanced medication delivery device composed of lipids. Micelles, spheres, or hexagonal arrangements of liquid pharmaceutical dispersions constitute the fundamental components of pharmacosomes. Pharmacosomes are chemically conjugated to the phospholipid. Due to their unique attributes, including compact size, dual affinity for water and oil, active drug loading capability, high capture efficiency, and stability, they are exemplary candidates for employment as carriers in the administration of therapeutic agents. Besides reducing treatment expenses, the risk of drug leakage and toxicity, enhancing the solubility of poorly dissolving medications, and aiding in the healing process, these particles facilitate the controlled release of pharmaceuticals at the site of their therapeutic action. This delivery method now encompasses the provision of numerous plant-based treatments alongside pharmaceuticals for cancer, cardiovascular conditions, inflammation, and protein delivery. Consequently, pharmacosomes complicate yet simultaneously facilitate the development of innovative drug delivery techniques to the colon.

1 Introduction

In the complex form of a Pharmacosome, the ideal proportion of polyphenols to phospholipids results in the formation of a molecule that is electrically neutral and has both positive and negative charges. In lipoidal drug delivery systems, the conjugation of medications with lipids may take place via the sharing of electron pairs, the development of electrostatic interactions, or the formation of hydrogen bonds. The terms "Pharmakon" (meaning "medication") and "soma" (meaning "carrier") are where the English word "Pharmacosome" comes from. It is believed that the medication and the vehicle for its administration are combined in a single vesicular system. Depending on the intricate structure, these lipid-linked vesicles may take the form of micelles, vesicles, or hexagonal assemblies that include functional hydrogen atoms. Alternatively, they may not take any shape at all. A prodrug is formed when a lipid's hydroxyl group is used to transform a drug molecule with a free carboxylic or functional hydrogen atom, like an amino group, into an ester. Using a spacer chain could help you achieve your goal. The prodrug is a molecule that is soluble in both lipids and water. In spite of these characteristics, prodrugs are able to increase bioavailability by reducing interfacial tension and increasing the surface area of contact between the drug and the body. They said that the process of expulsion took place via the connective tissues, cell walls, and cell membranes of the organism. When the concentration is increased to a specific point, the chemical may undergo a phase transition into a state between a liquid and a crystal. When these prodrugs come into contact with water, they produce pharmacosomes that might have a single layer, many layers, or no layers at all. The bulk and surface characteristics of the drug-lipid conjugate were taken into consideration when designing the system (1-3).

Colon Cancer

The colon, sometimes called the "big intestine," is the last and most distal portion of the digestive system. It is also known as the "large intestine." When this is done, digestion is completed, certain essential vitamins are produced, and waste products are eliminated. People often refer to the portion of the digestive system that comes after the "ileum" but before the "anus" as the "large intestine." This is because the "large intestine" arrives before the "anus." At its most expansive point, it measures 6.50 centimetres across and measures 1.5 metres in length. The anal canal, the rectum, the cecum, and the colon are all sections of the large gut that contribute significantly to its overall composition.The ileocecal sphincter is a mucous membrane that may be found in the large intestine. Its primary function is to separate the ileum and the cecum from one another. This has the potential to hasten the movement of food from the small to the large intestines, which would be beneficial. The cecum is a sac that is around six centimetres in length and is located in the lowest portion of the small intestine. It is important to notice that it is located in close proximity to the ileocecal valve. The vermiform appendix is around 8 inches in length and joins to the cecum. The exposed end of the cecum is where the beginnings of all four of the colon's branches come together (4).

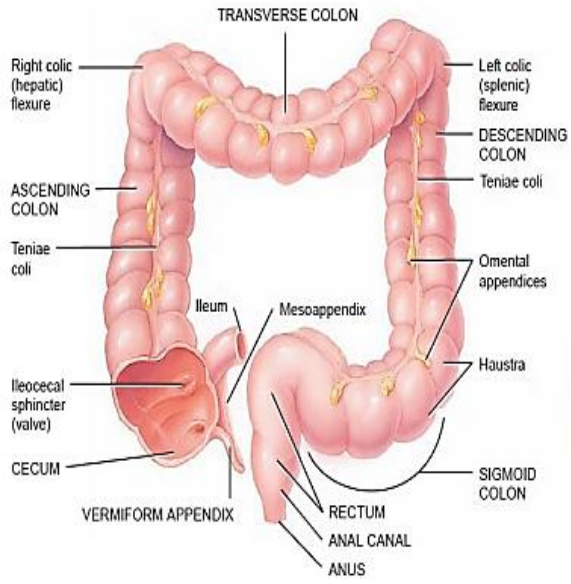

Figure 1 Large intestinal structure

Pharmacosomes

The formation of pharmacosomes involves the formation of covalent bonds between phospholipids and active substances. Recently, there has been a rise in the utilisation of pharmacosomes as a result of their superior efficacy to that of ordinary vesicles in a variety of contexts. Because of their diminutive size, amphiphilic composition, and drug-vesicle coating, they are able to transport molecules that do not dissolve very well in water. This makes them particularly useful for drug delivery. This results in a longer period of time for the medication to be distributed throughout the body's systemic circulation, which in turn lessens the toxicity of the drug. Since the beginning of recorded history, people have been looking for and implementing new and improved methods to accomplish their goals. This will continue until a medication is discovered that not only achieves its intended purpose but also does not produce any of the undesirable side effects often associated with such medications. The limited window of efficacy that many medications, particularly chemotherapeutic regimens, have places a severe constraint on their clinical relevance and diminishes their effectiveness. Therefore, their efficacy as medications improves when they are produced in a manner that is more efficient. In recent years, there has been a lot of attention paid to NDDS, which stands for innovative drug delivery systems (5).

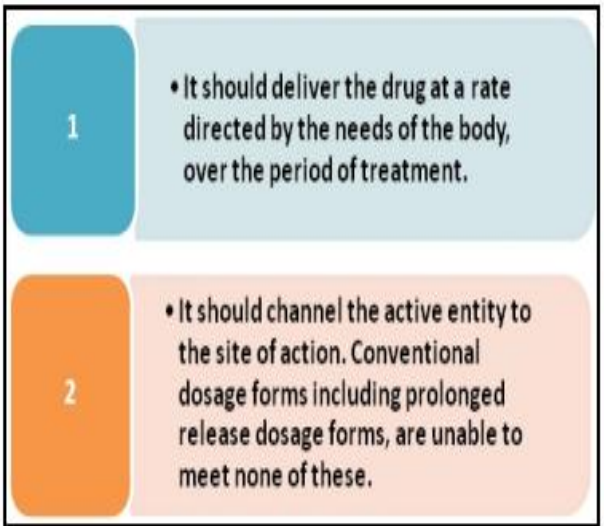

Figure 2 Two requirements for NDDS

Pharmaceutical Carriers

Particulate type carrier also known as a colloidal carrier system. Which includes

- Lipid Particles (Low and High Density Lipoprotein-LDL and HDL, Respectively),
- Microspheres,
- Nanoparticles,
- Polymeric Micelles and vesicular Like Liposomes, Niosomes Pharmacosomes, Virosomes etc.

When certain amphiphilic construction materials are dissolved in water, vesicular systems emerge as highly organised assemblages of one or more concentric lipid bilayers. Vesicular systems are the building blocks of many microorganisms. The construction of vesicles is possible using a wide variety of amphiphilic building materials in their various forms. The usage of phrases like as "synthetic bilayers" and "similar terminology" suggests that these vesiculogenes did not originate from a biological process. The biological origin of these vesicles, which Bingham termed "Bingham bodies," was

originally noticed by Bingham in the year 1965. Since that time, a significant amount of water has flowed through (6-8). The cutting-edge method of dispensing medications is always being developed further. Vesicles have recently taken the lead as the method of choice, surpassing other drug delivery techniques in the process. Recent studies in immunology, membrane biology, diagnostic techniques, and genetic engineering have demonstrated the usefulness of lipid vesicles. Potential applications for vesicles include the transport and targeted delivery of active compounds, as well as the simulation of biological membranes. In recent years, many new types of vesicles have been developed and used, including liposomes, niosomes, transfersomes, and pharmacosomes.

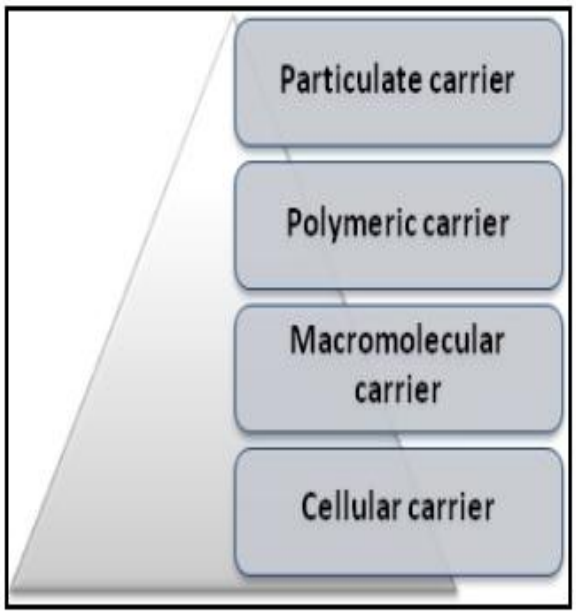

Figure 3 Different types of Pharmaceutical Carrierse

Salient Features of Pharmacosomes

- Trapping is not only very efficient, but also well defined. Vesicles are produced by the body when the drug is combined with lipids.
- Medicine may be extracted from the combination without the need for a laborious and time-consuming method, unlike liposomes.
- Since the medicine is now chemically bound, there will be no more drug waste from spills. Hydrolysis, on the other hand, might result in a loss.
- The medicine is being absorbed normally by the patient's body (9).
- The amount of space pharmacosomes take up or how medications interact with the bilayers have little to no effect on how successfully pharmacosomes capture pharmaceuticals. However, when combined with liposomes, these variables significantly alter trapping efficiency.
- The rate of drug release and the stability of the system are both affected by the quantity of lipids contained inside the liposomes, which in turn is affected by the flexibility of the membrane. According to research by Vivekanand et al. (2014), the rate at which a drug is released from pharmacosomes is independent of the phase transition temperature of the drug-lipid complex. This is because the medication is firmly attached to the lipids through a covalent bond (10).
- The drug is released from the Pharmacosome after the molecule is degraded (perhaps by enzymes).
- Phospholipid trafficking and HDL dissolution both decrease. The physical and chemical stability of pharmacosomes is affected by characteristics of the drug-lipid combination.
- The amphiphilic properties of these systems allow them to undergo paired endocytosis and exocytosis inside the cellular membrane. After being handled, they are able to make numerous translocations across lipophilic membrane systems or tissues.
- How quickly an inactive drug molecule is transformed into an active one depends on a number of factors, including the drug's size, the functional groups it contains, the length of the lipid chain, and the gap. These may be modified with a reasonable degree of

precision to enhance the drug's pharmacokinetics in vivo (11).

- They may be injected, sprayed topically, or taken orally, depending on the circumstance.
- The prodrug has a mesomorphic lyotropic action and forms supramolecular structures when its concentration exceeds the critical micelle concentration (CMC). When the CMC is approved, certain events take place. The impact of the diglycerides prodrug on the interfacial tension was shown by Mantelli and co-workers to be comparable to that of the commonly used cleanser dodecyl amine hydrochloride. Analysis of the molecular conformation of freshly synthesised prodrugs is often performed using techniques such as thin-layer chromatography (TLC), melting point analysis, infrared (IR), nuclear magnetic resonance (NMR) spectrophotometry, partition coefficient, surface tension, and prodrug hydrolysis (12).

Advantages of Pharmacosomes

- Because the drug, when conjugated with lipids, produces vesicles, entrapment efficiency is not only great but also predictable because of this property. This is an effect that results from the way the chemical operates.
- When using nanoparticles, as opposed to liposomes, it is not essential to go through the difficult and time-consuming process of extracting the unencapsulated drug from the formulation. This is in contrast to liposomes.
- Due to the fact that the medications are chemically linked together, there is a very little chance that any of the drugs may break free and cause a problem. The hydrolysis process is one method that may be used to help one lose weight.
- According to the research carried out by Goyal et al. (2012), there was no need to be concerned about the integration of drugs. The capacity of the pharmacosomes to catch the drug is unaffected by the amount of drug that is caught or by the manner in which the drug interacts with the bilayer. When it comes to liposomes, the quantity of material that can be encapsulated efficiently is very much dependant on the values that are assigned to these parameters (13).
- The number of lipids contained inside the liposomes is what defines the fluidity of their membrane, which in turn has an influence on the pace at which the drug is delivered as well as the physical stability of the system. According to Kumar et al. (2012), the drug's rate of release is unaffected by the phase transition temperature of the drug lipid complex since the drug is covalently linked to the lipid complex. However, the temperature at which the phase transition occurs has an effect on the fluidity of the membranes of pharmacosomes.
- After the pharmacosomes have been broken down (perhaps by enzymes), the drug may then be absorbed by the body.
- The solubilisation of phospholipids by HDL and the transport of phospholipids across cells are both hindered to a lesser degree. Because of their amphiphilic nature, these systems make it possible to carry out recurrent transfers across membrane systems or tissues that, following treatment, are lipophilic. There is a possibility that the physicochemical stability of the drug-lipid complex will have an effect on the physicochemical stability of the pharmacosomes. Additionally, endocytosis and exocytosis are able to ride shotgun inside the cellular walls as a result of these processes (14).
- When it comes to determining the rate at which these molecules breakdown into active drug molecules after being absorbed, the size and functional groups of the drug

molecule, as well as the length of the lipid chain and the spacer, all play key roles in the process. These are able to undergo highly exact modification in order to achieve the goal of improving in vivo pharmacokinetics.

- They may be taken intravenously, orally, topically, or extravasally, amongst other possible administration methods. Starting the preparations and the process of creating the characters: These amphiphilic compounds typically form aggregates in aqueous solutions, and the size of the aggregates is directly related to the concentration of the solution.

Disadvantages of Pharmacosomes

- The water-insoluble pharmaceuticals can only be encapsulated by pharmacosomes in very tiny hydrophobic patches inside the membrane bilayer, rather than on the comparatively wide surface area.
- During the process of storage, pharmacosomes go through a process that involves fusion, aggregation, and chemical hydrolysis.

Method of Preparation of Vesicle

In most cases, pharmacosomes are capable of vesiculation on their own. The two methods that have been shown to be effective in the manufacture of pharmacosomes are:

Hand-shaking method

After employing the hand-shaking method to rehydrate the dried drug lipid complex film with aqueous medium, vesicular suspension may be formed very immediately. In order to lower the surface tension of therapeutic lipid compounds and ensure that the complex retains its superior surface wetting qualities after being reconstituting in an aqueous medium, lecithin is routinely added to these compounds. The aqueous phase is almost often represented by water.

Ether injection method

Utilising the ether injection approach to progressively introduce the organic solution of the drug lipid complex into the aqueous medium allows for the creation of vesicles with little exertion required on the part of the researcher. After being mixed with the lipid complex of the medicine to act as a carrier, ether is then gradually injected into aqueous medium, which causes the formation of vesicles (15).

Colon Specific Drug Delivery Systems

It is important to wait until the colon before beginning the process of drug absorption and release, as well as the breakdown of bioactive molecules. The chemical agent must arrive in the colon in pristine condition in order for it to perform its intended function. When treating illnesses of the colon or large intestine, such as ulcerative colitis, diarrhoea, or colon cancer, the CDDS may be beneficial in administering proteins and peptides that are broken down by digestive enzymes in the stomach and small intestine. If they were available in a form that was palatable enough, these medications could be taken orally. It is possible that the peptide's bioavailability may be increased to a therapeutically relevant level by shielding it from the digestive enzymes and acid found in the stomach. Because of the high water absorption capacity of the colon, the very viscous contents of the colon, and the interaction of these three factors, the absorptive membrane is unable to absorb many medications. There are around 400 distinct bacterial species that may be found in the human colon, and one gramme of human colon contents has the potential to contain up to 1010 different kinds of bacteria. The enzymatic cleavage of glycosides is one of the many tasks that are performed by the bacteria that live in the digestive tract (16). These bacteria also have the ability to degrade azo compounds, which is yet another one of their functions. As a result of these metabolic operations, the quality of several drugs may

decline. In addition, similar methods might be used for the oral delivery of peptide-containing macromolecules, such as insulin, to the colon. Antibodies may be rapidly produced in the colon by mast cells and other lymphoid tissue in response to antigen stimulation. This strategy has the potential to increase the number of people who are immunised. The colon is regarded to have a more favourable environment owing to its lower unpredictability and activity level when compared to the stomach and the small intestine. This is because the colon has a larger surface area. According to Philip et al.'s (2009) research, this is due to the fact that their colons are much larger (17).

Factors affected in the design of Colon Specific Drug Delivery System

Colon pH

The pH of the GIT may fluctuate both within an individual and from person to person. What you consume, as well as whether or not you are unwell, may have an effect on the pH of the liquids that are found in the digestive tract. It is now feasible to provide medication to the stomach in a manner that is tailored to its unique pH environment. This is made possible by the fact that the pH fluctuates throughout the digestive tract. According to the results of radio tracking, the pH is at its maximum near the very end of the ileum (7.5 ±0.5).

Colonic microflora and enzymes

Both aerobic and anaerobic microbes may be found residing in the digestive tract of a human being. Enzymes in the digestive system are responsible for the production of many different medications. There are many different types of bacteria that may be found in the colon that are responsible for the production of these enzymes. These enzymes are used to break down the protective layers or matrices that are present on the prodrug. This is done in order to liberate the active component of the drug, which was previously connected to the prodrug by chemical bonds. The genus Bacteroides is home to between twenty and thirty percent of the about 400 species of bacteria that are now known to science.

Transit of material in the colon

The rate of movement in the stomach is very slow in comparison to that of the rest of the digestive system. Moving, anxiety, sickness, and the need for medication are just a few examples of the kinds of things that may have a significant impact on the total amount of time spent commuting. Since the previous time they had used the loo, it had been between 50 and 70 hours. The patient's health deteriorated, which resulted in his faeces being more solidified and bulkier.

Drug absorption in the colon

Both the paracellular and the transcellular routes are responsible for the process of passive drug absorption. Transcellular absorption, as opposed to paracellular absorption, in which the medication is carried across the small junction between cells, is employed for the vast majority of lipophilic pharmaceuticals. Paracellular absorption involves the transfer of the drug across the cell membrane. This is in contrast to the more prevalent kind of absorption known as paracellular. Because of the mucosa of the colon's slower rate of transit compared to that of the much smaller small intestine, there is a larger likelihood that medication will be absorbed by the mucosa of the colon (18).

Criteria for selection of drug for CDDS

Continuous drug delivery systems are great when it comes to administering medicines, and peptides in particular, since these substances have a low rate of absorption in the stomach or intestines. Diarrhoea, ulcerative colitis, colon cancer, and inflammatory bowel disease (IBD), also known as inflammatory colon disease (UC), may all be treated using medications that are administered locally in the colon. This may be effective in the treatment of these conditions. The CDDS is also impacted by the kind of transportation that is utilised to carry the drug.

It's possible that the optimal carrier will change depending not only on the physiochemical composition of the item being given but also on the conditions under which the delivery mechanism was designed (19).

Summary and conclusion

Pharmacosomes are able to improve the oxidation resistance, stability, and purity of transfersomes, niosomes, and liposomes, which allows them to mitigate the negative effects of these other types of liposomes. It is not impossible for pharmacosomes to discharge hydrophilic or lipophilic pharmaceuticals at the site of action (20). They have the potential to increase the water permeability of medications that are hydrophilic and the solubility of pharmaceuticals that are lipophilic. It may be applied topically, taken orally, administered extravasationally, or given intravenously, among other possible delivery methods. The pharmaceutical industry might stand to benefit from the use of vesicular systems, which are a kind of carrier system. They continue to be a significant approach due to the fact that they may be fused and aggregated (21) and, as a result, they provide concentrated as well as sustained drug release. By improving spacer groups and connections, it may be possible to change the way the medicine is metabolised as well as its biological action. Nevertheless, more research into the mechanism of action and the non-bilayer phases is required. As a consequence of this, pharmacosomes show a great deal of potential as a means of improving the distribution of active components that are either naturally occurring or manufactured synthetically. Targeting cells is now a popular subject of discussion in the scientific community, and a wide range of strategies are being investigated (22).

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