International Journal of Research in Engineering, Science and Management
Volume 7, Issue 5, May 2024
https://www.ijresm.com | ISSN (Online): 2581-5792

A Review on Pharmacosomes as Novel Drug Delivery Systems

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***Abstract*: Pharmacosomes are drug-lipid complexes that can form ultrafine vesicular, micellar, or hexagonal aggregates based on their chemical structure. It is based on the concept that a drug binds covalently to a lipid, with the resulting molecule being the carrier and the active chemical are present at the same time. The physicochemical qualities vary depending on both the medication and the lipid. Pharmacosomes: As offer advantages over conventional vesicles, making them a promising alternative. Encapsulating drugs in small amphiphilic vesicles prolongs their circulation, decreases toxicity, and increases efficacy. Cell wall transfer and the solubility of a poorly water-soluble chemical. This review covers Pharmacosomes' composition, synthesis, characterization, and therapeutic applications. Drug pharmacosomes are an effective method of delivering the medication directly to the infection site, which contributes a decrease in drug toxicity without harmful effects. They also reduce the cost of therapy by increasing the drug's bioavailability, especially for poorly soluble drugs. Pharmacosomes are suitable for incorporating both hydrophilic and lipophilic medication. Pharmacosomes have been developed for a variety of anti-inflammatory drugs, including nonsteroidal, neurological drugs.**

***Keywords*: pharmacosomes, covalently, phospholipid, amphiphilic, vesicular.**

1. Introduction

The term "new medicine delivery system" (NDDS) refers to expressions, technologies, and systems for safely conveying pharmaceutical composites in the body to carry out the intended remedial goods. Safely innovative medicine administration is vastly superior to traditional lozenge forms. The new drug delivery system should meet the ensuing conditions

- The medicine is delivered at a rate determined by the demands of the body over the duration of treatment.
- The active medicine is also delivered to the targeted point of action [1].

Pharmacosomes:

Pharmacosomes are a unique vesicular drug delivery method. Vesicular systems consist of concentric lipid bilayer assemblies produced by certain amphiphilic structural components. Water comes into contact with components. Pharmacosomes are colloidal dispersions of drugs covalently bonded to lipids. These methods effectively deliver medications to the target site, reducing toxicity and lowering therapy costs by improving bioavailability, particularly for poorly soluble compounds. Pharmacosomes can enhance the solubility, bioavailability, and reduce gastrointestinal toxicity of both hydrophilic and lipophilic medicines. Pharmacosomes are made up of a drug (pharmakon) and a carrier (soma) [4]. Pharmacosomes help oxidative destruction and maintain the chastity of natural phospholipids [11], [12]. The drug combines hydrophilic and lipophilic parcels, performing in amphiphilic characteristics. analogous to other vesicle- forming factors, it reduces interfacial pressure and displays brawny gestes at compounding attention [2], [3], [8]. Pharmacosomes are zwitterionic, amphiphilic, stoichiometric complexes formed by polyphenolic chemicals and phospholipids.

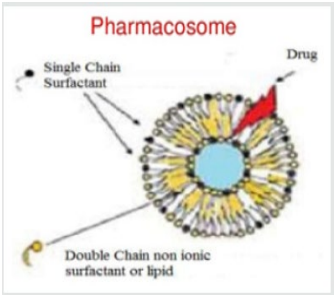

Fig. 1. Structure of pharmacosomes [21]

Advantages of pharmacosomes:

1. Pharmacosomes can include both hydrophilic and lipophilic drugs [2].
2. The phase transition temperature of pharmacosomes in the vesicular and miceller states significantly impacts their interactions with other members [2].
3. Excessive and predetermined drug loading [1]
4. Deliver the medicine straight to the location of infection [5]
5. Reduced unwanted effects and toxicity [1]
6. Pharmacosomes can remain intact with biomembranes, improving the transmission of active ingredients [2]
7. Amphiphilicity improves the bioavailability of medications that are weakly lipid and water soluble [1]
8. Covalent association provides stability and efficiency [1].

Disadvantages [14]:

1. Pharmacosomes can only abstract water- undoable

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medicinals in small hydrobic areas inside the membrane bilayer, rather than on a broad face.
2. During storehouse, pharmacosomes sustain emulsion, aggregation, and chemical hydrolysis.

Silent features of pharmacosomes [6]:

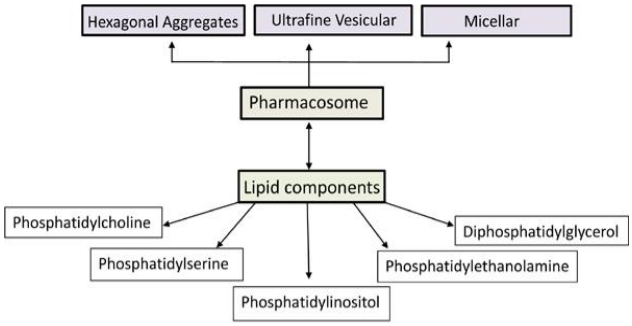

Fig. 2. Features of pharmacosomes [22]

1. Pharmacosomes can be delivered orally, extravascularly, or intravenously.
2. The medication conjugates with lipids and achieves high entrapment efficacy in a specified manner.
3. There is no issue when the medication is incorporated into the lipid.
4. They have both hydrophilic and lipophilic qualities, allowing them to easily move through cell membranes, walls, or tissues via endocytosis or exocytosis.
5. The physicochemical properties of the drug-lipid combination influence the stability of pharmacosomes. (figure 2).

2. Components of Pharmacosomes

Pharmacosome production requires three important components.

Drug:

1. Pharmacosomes require an active hydrogen atom in the medication, such as -COOH, -OH, or -NH2.
2. The drug salt was transformed into an acid state to create an active hydrogen site for com. Pharmacosomes require an active hydrogen atom in the medication, such as plexation.
3. Drug phospholipid complexes, such as Pindolol maleate, Bupronolol hydrochloride, Taxol, and Acyclovir, boost therapeutic efficacy due to their amphiphilic nature [2], [3], [11].

Lipid:

1. Lipids are the building blocks of the cell membrane.
2. Pharmacosomes typically include three forms of phospholipids: Phosphoglycerides, sphingolipids', and phosphatidylcholine.
3. The most prevalent phospholipid is the phosphatidylcholine molecule. Phosphatidylcholine is a bifunctional molecule, with the phosphatidyl moiety being lipophilic and the choline moiety being hydrophilic. When complexed with drugs, it yields an amphiphilic product [2], [3], [11].

Solvents:

1. Preparing Pharmacosomes requires a very pure, volatile, and intermediate polar solvent [2], [3], [11].

Preparation of pharmacosomes:

- Hand shaking method.
- Ether injection method.
- Anhydrous co-solvent lyophilization.
- Solvent evaporation method.
- Super critical Fluid process.

1) Handshaking method:

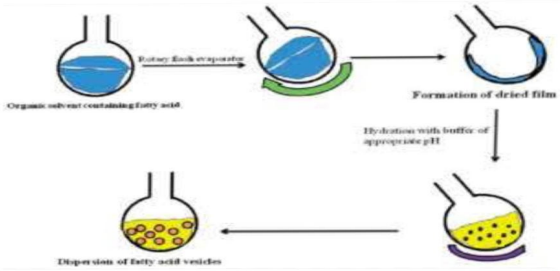

Fig. 3. Hand shaking method [21]

- The medication and lipid were combined in a round bottom flask with organic solvent.
- The organic solvent was removed by spinning the mixture in a rotary vacuum evaporator at 100 rpm
- for 45 minutes.
- A thin film is formed and then hydrated with a suitable solvent, resulting in vesicular suspension [7].

2) Ether injection method:

- Dissolve the drug and lipid in ether.
- Slowly inject the mixture into preheated distilled water at 55-60°C to produce pharmacosomes vesicles [9]

3) Anhydrous co-solvent lyophilization method

- Dissolve the drug and phospholipids in a solution of dimethyl sulfoxide and glacial acetic acid.
- Agitate the mixture to produce a clear liquid, which is then freeze dried overnight.
- Store the obtained complex at 4°C after flushing with nitrogen [10].

4) Solvent Evaporation Method

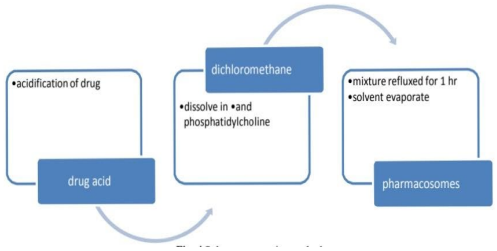

Fig. 4. Solvent evaporation method [21]

- The medication is first acidified so that the active hydrogen can be released for complexation.
- The drug acid is then removed using chloroform and recrystallized.
- Place the precisely weighed PC and drug acid in a 100ml round bottom flask and dissolve in an appropriate volume of dichloromethane.
- After refluxing for 1 hour, the solvent is evaporated at

40°C using a rotary vacuum evaporator.

- The dried residues are then collected and deposited in a vacuum desiccator for full drying [13], [14], [15].

5) The supercritical fluid process

- To create pharmacosomes, the drug and lipid are mixed in a supercritical carbon dioxide fluid and passed through a nozzle mixture chamber.
- The turbulent flow of the solvent and carbon dioxide results in quick mixing and the of pharmacosomes [10].

Characterization of Pharmacosomes: [15], [16], [17]

1) Size

The vesicles are within the nanoscale range in size.

- A device called the Zetasizer XS is typically used to measure the size of the vesicles [18].
- This device operates on the scattering of light principle.
- The solution containing the vesicles is exposed to the light beam.
- The dispersed light is then used to calculate the vesicle size.

2) Complex Determination

Fourier's assistance transforms infrared spectroscopy, in which a corresponding spectrum discovered in a complex sample determines the development of the complex or conjugate with their combination and with distinct components.

3) Stability of Pharmacosomes

This association of the solid-state constant denotes a different point in time than the dispersion continuously being studied in water made of microscopic particles; this helps to assess the system's stability when the sample is lyophilized.

4) Scanning electron microscopy/transmission electron microscopy

To identify the pharmacosomal surface morphology, a scanning electron microscope (SEM) recording of the complex was made. Pharmacosomal surface morphology is detected by scanning electron microscopy.

5) X-ray powder diffraction

Crystallinity is measured via X-ray powder diffraction. The degree of crystallinity is measured based on the reflection peak's relative integrated intensity [3], [11].

6) Compatibility of drugs and liquids

- A thermoanalytical technique called differential calorimetry scanning is used to evaluate drug-lipid compatibility and any potential interactions between the two Separate samples will be heated in a closed sample bath in order to analyse the thermal response.
- A particular heating rate maintains the temperature within a predetermined range while the nitrogen gas is purged [15], [16].

Physicochemical stability of pharmacosomes:

Like other vesicular systems, are classified according to several characteristics such as size and size distribution, nuclear magnetic resonance (NMR) spectroscopy, entrapment efficiency, in vitro release rate, stability studies, and so on. The method has successfully improved the therapeutic performance of a variety of medicines, including pindolol maleate, bupropion hydrochloride, taxol, and acyclovir [16]. Kaiser investigated the effects of several electrolyte media on the physicochemical stability of Bupronolol hydrochloride Pharmacosomes. Because the polar hydrophilic head group is highly sensitive to different electrolytes, spontaneous aggregation was seen at varying concentrations depending on the valency of the electrolyte. Aggregation in the presence of non-electrolytes is moderate to indifferent. The optimal candidate for isotonization was discovered to be 5% glucose [20].

Pharmacosome Applications: [10], [19]

1. Pharmacosomes have a longer shelf life and are more stable than other vesicular drug delivery systems
2. Drug absorption and penetration can be improved by forming the drug into pharmacosomes.
3. Drugs are transported across biological membranes by vesicles, which can interact with the membranes by changing the transition temperature from vesicle to micelle.
4. Phacosomes can deliver drugs to specific sites by changing the temperature there, particularly when it comes to cell-specific drug vehicles. Examples of drugs that have demonstrated increased pharmacological action by forming pharmacosomes include pindolol diglyceride, amoxicillin, taxol, cyclobine, dermatansulfate, and bucanolol hydrochloride.
5. Pharmacosomes can be used to study non-bilayer phases and the mechanisms of action of medications. Pharmacosome synthesis in current study involves PEGylation and Biotinyzation. Pharmacokinetic and pharmacodynamic activities of phytoconstituents like flavonoids, glycosides, xanthones, etc., are increased in ophthalmic drug delivery with a modified corneal drug transport and release by diluting with tears where the drug should be of an amphiphilic character.
6. The capacity of pharmacosomes to transfer biological components like proteins and amino acids.
7. Pharmacosomes were used to construct the Tetrahydrofuran injection method and tested in rats' in-vitro behaviour for didanosine, revealing that pharmacosomes have extended effect in both the targeted site and the liver.
8. Semalty and colleagues investigated the construction of pharmacosomes to examine the efficacy of faceclofen. The 1:1 aceclofenac phospholipid complex had a greater drug content of 91.88% (w/w), compared to the 2:1 aceclofenac phospholipid complex, which had 89.03%. Aceclofenac pharmacosomes exhibit higher solubility than aceclofenac. Furthermore, the drug release after 4 hours of dissolution testing was only 68.69% for free aceclofenac, compared to 79.78% for 1:1 aceclofenac pharmacosomes and 76.17% for 2:1 aceclofenac pharmacosomes for the same time span.

3. Conclusion

Pharmacosomes bind drugs to lipids through covalent, vanderwaal, and hydrogen bonding mechanisms. The medication has high trapping efficiency with negligible leakage. Pharmacosomes, like other vesicular drug delivery systems, enable targeted and regulated drug delivery. Pharmacosomes minimise drug toxicity and enhance therapeutic action. The physicochemical characteristics of the drug-lipid combination determine the physicochemical stability of the pharmacosomes Drugs can be directly targeted to their site of action to prevent hazardous and undesirable effects on other sites. They can also be used to improve the bioavailability of drugs that have low bioavailability, to reduce the dose of drug supplied, and to boost the pharmacological action of the drug [10], [11], [12].

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