



A REVIEW ON PHARMACOSOMES: CURRENT UPDATE

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Abstract :

Pharmacophores are amphiphilic drug complexes composed of dynamic hydrogen molecules and phospholipids supported by covalent bonds. Pharmacophores have some range of motion over other vesicles and can be selective. Drugs are contained in small-sized and amphipathic vesicles, which delay drug diffusion in the base, reduce toxicity, increase cell-dividing power, and increase the solvation power of inert water-solvent atoms. This review describes all aspects of pharmacophores, including their design, preparation, characterization techniques, and recovery applications. Pharmacophores have been developed for different nonsteroidal sedative drugs, proteins, cardiovascular, and antineoplastic drugs.

Keywords: Pharmacosomes, Lipid, Solvent, Amphiphilic, Phospholipids.

INTRODUCTION:

In the past few years, the development of new drug delivery systems has attracted great interest. This controls the amount of drug administered, the duration of the treatment effect, and targets the drug to the desired location. These new drug delivery systems achieve the following goals: [1].

- ✓ Precise Dose Administration.
- ✓ Maintaining Optimal Drug Levels for Prolonged Effect.
- ✓ Optimal Dose-Efficacy Balance.
- ✓ Minimizing Toxicity or Side Effects.
- ✓ Fewer Doses Required .
- ✓ Improving Patient Adherence.

In the past few years, many researchers have been working on new drug delivery systems with the aim of improving them further. The main purpose of developing new drugs can explain the advantages and commercialization of these systems [2]. The best delivery of a new drug must primarily meet two requirements: First, it should distribute the drug according to the body's needs, and second, it should guide people towards the goal of the task [3]. One way to change the biodistribution of the drug is to encapsulate microscopic drugs such as liposomes, transosomes, vesicles, polymeric nanoparticles, serum proteins, immunoglobulins, microspheres, red blood cells, reverse micelles, monoclonal antibodies, and physical pharmacophores [4]. In recent years, the discovery of lipid vesicles has been useful in the fields of immunology, pathology, screening systems, and more recently genetic engineering [5]. The vesicle structure is a system that increases the duration of drug residence in the body and reduces toxicity through selective uptake (6). These vesicles, first reported by Bingham in 1965 and called "Bingham bodies", play an important role in biofilm formation and in the transport and targeting of active agents [7].

Since the beginning of humanity; the journey continues towards the truth and other better options and if there is a drug situation, it will continue until an adequate and unresponsive drug is found. Many drugs, especially chemotherapy, have a tight therapeutic window and their clinical use is limited and is intervened by limiting certain toxicities. In this direction, the rejuvenation of existing drugs can be developed by being well prepared. The development of new drug delivery systems (NDDS) has been a focus of attention over the past few years.

There is currently no drug delivery method that would work in a perfect world, but significant efforts have been made to use them with many new sedation delivery methods [8].

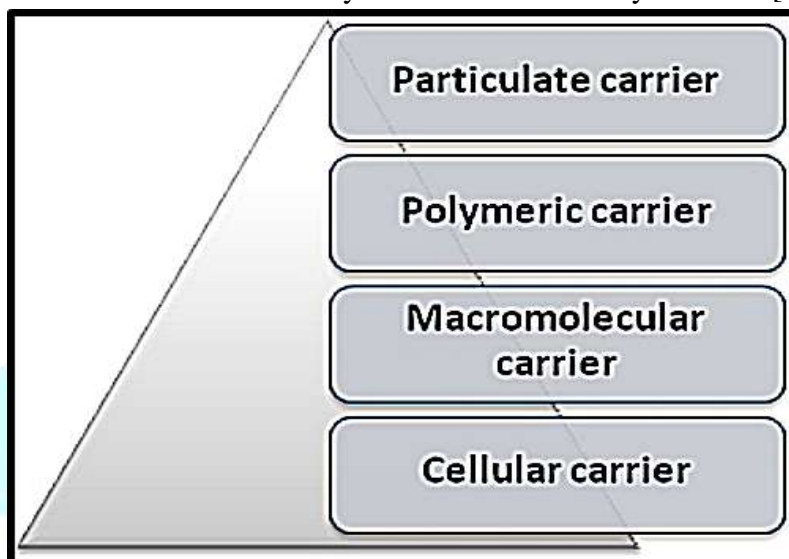


Figure 1: Different types of pharmaceutical carriers

Advantages

Since the drug is covalently bonded to the carrier, drug leakage does not occur [9].

1. Encapsulation efficiency is high and is not affected by volume and chemical bilayer [10].
2. Suitable for hydrophilic and lipophilic drugs.
3. There is no problem in drug incorporation [11].
4. The physical and chemical stability of the capsule depends on the physical and chemical properties of the drug-lipid complex [12].
5. Drugs can be delivered directly to the workplace.
6. Increases bioavailability, especially in the case of poorly soluble drugs.
7. In the case of liposomes, there is no need to remove free drug that is not included in the formulation when necessary.
8. Adverse reactions and toxicity are reduced.
9. Medical expenses are reduced.

Limitations

Synthesis of compounds depends on their amphiphilic nature.

1. Requires interactions between lipids and drugs [13].
2. Covalent bonding is required to prevent drug leaching.
3. During storage, fusion and aggregation as well as hydrolysis occur [14].

Components of pharmacosomes

The three terms for this presentation are drug, solvent, and carrier (lipid).

1. Drug

Any chemicals containing hydrogen atoms (-COOH, -OH, -NH₂, etc.) can be esterified with lipids, chained or unchained, to form amphiphilic complexes. Synthetic amphiphilic complexes (drug bodies) facilitate membrane, tissue, or cell wall translocation in bacteria [15].

2. Lipids

Phospholipids are the main molecular components of cell membranes. The two most common phospholipids are phosphoglycerides and sphingolipids. The most common phospholipid is the phospholipid choline molecule [16].

3. Solvents

Analytical grade and moderately polar organic solvents are used to form drug vesicles. It should be of high purity and volatility. Phospholipids and drugs should be dissolved in the selected solvent. The choice of solvent depends on the polarity of the drug and lipid [17].

METHODS OF PREPARATION

1. Ether injection method:

In this method, the drug containing the drug-lipid complex is thoroughly mixed and slowly injected into medium-hot water through a gauze needle, which causes the formation of Voluntary simple vesicles [18].

2. Evaporation process / hand-holding method:

Dissolve the drug mixture and lipid in a volatile organic solvent. The solvent is then evaporated at the round bottom of the bottle using a field evaporator, leaving a thin film of the mixture on the wall of the bottle. The dried film is hydrated with an aqueous medium for easy removal of vesicles [19].

3. Anhydrous co-solvent lyophilization method:

first dissolve the drug and phospholipids in a solution of dimethyl sulfoxide containing glacial acetic acid. The mixture is then stirred to obtain a clear liquid and then freeze-dried overnight at condenser temperature. The resulting complex is flushed with nitrogen and stored at 4°C [20]. At this time, the mixture enters the nozzle mixing chamber. [21].

Evaluation of pharmacosomes

1. Determination of complexity

The formation of complexes and conjugates can be determined by correlating the spectra of the complex structure with the individual components and their mixtures with the help of FTIR spectroscopy [22].

2. Surface morphology

Wood morphology can be observed with the help of scanning electron microscopy (SEM) or transmission electron microscopy (TEM). The purity level of the phospholipids affects the shape and size of the pharmacophore with different processes such as rotation speed, vacuum used or the method used.

3. Drug Content:

To determine the chemical content of the drug-PC complex, weigh the equivalent of the chemical and add it to a beaker containing the appropriate solvent. Stir the solution on a magnetic stirrer. After 24 hours, the content of the diluted solution was determined using ultraviolet spectrophotometry [23].

4. Differential Scanning Calorimetry (DSC)

This calorimetry method is used to determine the association or interaction of drugs with excipients. Interactions can be determined by extracting endothermic peaks, the appearance of peaks, and changes in peak shape and threshold, temperature/melting point, and relative area or enthalpy.

5. X-ray power diffraction (XRPD) uses the relative combination of reflection peaks to determine crystallinity.

The composition is derived from the area under the XRPD pattern curve, which represents the properties of the sample [23].

6. Fourier Transform Infrared Spectroscopy (FTIR)

With the help of infrared spectroscopy, the composition of a complex can be confirmed by comparing its spectrum with the spectrum of individual components and their mechanical mixtures.

7. In Vitro Studies

Perform in vivo and in vitro model tests of bioactive components according to clinical needs.

Application of Pharmacophores

Pharmacophores increase stability and long shelf life. They have the ability to improve drug absorption and transport. Chemicals can increase the permeation rate by increasing the fluidity of the membrane. The temperature change of vesicles in the form of vesicles and micelles will have a significant effect on the interaction of vesicles with biological organisms, thus improving the transfer of drugs. Improve the therapeutic properties of drugs. Analysis of formulated geniposide pharmacobodies and their properties. Pharmacosomes are more selective in their effects on specific targets [25]. Raikhman et al. defined a physical pharmacophore as a material that can transport biological materials such as nucleic acids and proteins [26]. Semalty et al. developed

and analyzed the pharmacophore of diclofenac and found that the solubility of the pharmacophore (22.1 g/mL) was increased compared to diclofenac (10.5 g/mL). The drug release also increased from 60.4% in diclofenac to 87.8% of the diclofenac pharmacophoric body after a 10-h dissolution study [27]. Zhang et al. used the designed base compound to produce and analyze the physical properties of 3',5'-dioctanoyl-5-fluoro-2'-deoxyuridine and found that the physical properties had good targeting in vivo and improved the drug's ability to cross the blood-brain barrier [28] Yi-Guang et al. prepared the acyclovir pharmacophore in the study and found that plasma proteins in the blood absorbed the pharmacophore and interfered with the interaction of red blood cells, thus reducing the hemolytic reaction [29].

CONCLUSIONS

Like other vesicular system pharmacophores, vesicular drug delivery has many limitations and plays an important role in target selection and distribution control. Pharmacophores are more efficient and effective than other vesicles. The effect of spacers and linkers should be carefully evaluated to improve the fate and bioactivity of drugs to achieve therapeutic targets. This process requires more efforts to study the non-bilayer stage and explore the mechanism. The pharmacophore body not only has a high encapsulation function, but also can determine the child because the drug itself binds to lipids and forms vesicles. Since pharmacophores are highly efficient, further studies on these systems are needed to achieve better results.

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REFERENCES:

- 1]. De Pintu Kumar, De Arnab, pharmacosomes: a potential vascular drug delivery system, international research journal of pharmacy, 2012; 102-105.
- 2]. Ping A, Jin Y and Da-wei C. Preparation and in vivo Behavior of Didanosine Pharmacosomes in Rats, Chin. J. Pharm. 2005, 3, 227-235.
- 3]. Vaizoglu M O, Speiser P P. Pharmacosomes-a novel drug delivery system, Acta Pharm. Suec. 1986, 23(3), 163-172.
- 4]. Prasanthi NL, Manikiran SS, Rao NR. Pharmacosomes: An alternative carrier system for drug delivery. The pharma review. 2010: 93-96.
- 5]. Doijad RC, Bhambere DS, Fakirappa MV, Deshmukh NV, Formulation and characterization of vesicular drug delivery system for anti-HIV drug, Journal of Global Pharma Technology. 2009, 1(1), 94-100.
- 6]. Pozansky MJ, Juliano RL, Biological approaches to the controlled delivery of drugs: a critical review, Pharmacological Reviews. 1983 36 (4), 277-336.
- 7]. Vaizoglu MO, Speiser PP. Pharmacosomes. A novel drug delivery system. Acta Pharm Sc. 1986; 23: 163-172.
- 8]. J.R. Robinson & V.H.L. Lee, "Controlled Drug Delivery: Fundamentals and Applications", Marcel Dekker, Inc., New York, 1987.
- 9]. Samuni A, Chong P, Barenholz LGY. Physical and chemical modifications of adriamycin complex by phospholipid bilayers. Cancer Res 1986; 46: 594-599.
- 10]. Bangham AD, Standish MM, Weissmann G, The action of steroids and streptolysin S on the permeability of phospholipid structures to cations. J Mol Biol 1965; 13 (1): 253-259.
[https://doi.org/10.1016/S0022-2836\(65\)80094-8](https://doi.org/10.1016/S0022-2836(65)80094-8)
- 11]. Ogihara U, Sasaki T, Toyama H, Sneha OM, Nishigori H, Rapid diagnostic imaging of cancer using radio labelled liposomes. Canc Det Prev J 1997; 21: 490-496. PMID: 9398989
- 12]. Varshosaz J, Talari R, Mostafavi SA, Nokhodchi A. Dissolution enhancement of gliclazide using in situ micronization by solvent change method. Pow Tech 2008; 187(3): 222-230.
<https://doi.org/10.1016/j.powtec.2008.02.018>

- [13]. Ivanov VE, Moshkovskii YS, Raikhman LM, Effects of temperature on cascade systems of pharmacosome fusion. *Pharm Chem J* 1981; 15(9): 619–621.
<https://doi.org/10.1007/BF00760659>
- [14]. Semalty A, Semalty M, Rawat BS, Singh D, Rawat MSM, Development and evaluation of pharmacosomes of aceclofenac. *Ind J Pharm Sci* 2010; 72 (5): 576–581.
<https://doi.org/10.4103/0250-474X.78523>
- [15]. Seema MJ, Pournima M, Manisha K, Vilasrao K. Novel vesicular system: an overview. *J Appl Pharm Sci* 2012; 2(1): 193-202.
- [16]. Goyal T. Pharmacosomes- opening new doors for drug delivery, *Int J Pharm Pharmac Sci* 2012; 4 (3): 20-21. <https://doi.org/10.22270/ujpr.v2i1.RW1>
- [17]. Kavitha D, Naga Sowjanya J, Shanker P. Pharmacosomes: An emerging vesicular system. *Int J Pharm Sci Review Res* 2010; 5(3):168-171. <https://doi.org/10.1155/2013/348186>
- [18]. Pandita A, Sharma P, Pharmacosomes: An emerging novel vesicular drug delivery system for poorly soluble synthetic and herbal drugs, *ISRN Pharmaceutics*. 2013, 1-10.
- [19]. Krishna SA, Pharmacosomes: a novel carrier for drug delivery, *Inn original Int J of Sci*. 2016, 3(6), 4-6.
- [20]. Solanki D, Patidar A, Kukde D, Pharmacosomes – a review, *International Journal of Pharmacy, Eng and Life Sci*. 2016, 1, 2(3), 70-78.
- [21]. Tanu Goyal, S.R. Ashwini, C. Meenakshi, “Pharmacosomes: Opening New Doors for Drug Delivery”, *International Journal of Pharmacy and Pharmaceutical Sciences*, Vol. 4, 2012, pp. 25-29.
- [22]. Rewar S, Mirdha D, Rewar P. A vital role of pharmacosome’s on controlled and novel drug delivery. *Asi J Res Biol Pharm Sci* 2014; 2(4): 163 - 170.
- [23]. Nagasamy VD, Kalyani K, Tulasi K, Swetha P, Shaik AA, Pharmacosomes: a potential vesicular drug delivery system. *Int J Pharm Sci Drug Res* 2014; 6(2): 90-94.
- [24]. Shaheda Sultana SK, Krishna ST, Parveen P, Mahathi K, An updated overview on pharmacosomes. *Intl J Univ Pharmacy Bio Sci* 2014; 3(3): 710-30.
<https://doi.org/10.22270/ujpr.v2i1.RW1>
- [25]. Yue PF, Zheng Q, Wu B. Process optimization by response surface design and characterization study on geniposide pharmacosomes. *Pharm Dev Tech* 2012; 17(1): 94-102
<https://doi.org/10.3109/10837450.2010.516439>
- [26]. Raikhman LM, Moshkovskii YS and Piruzyan LA. Pharmacosome concept: a new approach to drug preparation. *Pharm Chem J* 1978; 12(4), 431-434.
<https://doi.org/10.1007/BF00778137>
- [27]. Semalty A, Semalty M, Singh D, Rawat MSM. Development and physicochemical evaluation of pharmacosomes of diclofenac. *Acta Pharmaceutica* 2009; 59(3): 335-344. <https://doi.org/10.2478/v10007-009-0023-x>
- [28]. Zhang ZR, Wang JX, Lu J, Optimization of the preparation of 3',5'-dioctanoyl-5-fluoro-2'-deoxyuridine pharmacosomes using central composite design, *Yaoxue Xuebao* 2001; 36(6): 456–461.
[https://doi.org/10.1016/S0939-6411\(02\)00083-8](https://doi.org/10.1016/S0939-6411(02)00083-8)
- [29]. Yi-Guang J, Ping AI, Miao LI, Xin-Pu H. Preparation and properties of Acyclovir pharmacosomes. *Chinese J Pharma* 2005; 36(10): 617-620.
<https://doi.org/10.1155/2013/348186>