Chapter 5
Vesicular Drug Delivery Systems:
A Special Emphasis on Pharmacosomes

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ABSTRACT

Pharmacosomes are the colloidal dispersions of drugs covalently bound to lipids and may exist as ultrafine vesicular, micellar or hexagonal aggregates, depending on the chemical structure of the drug lipid complex. The term pharmacosomes is explicitly used to describe the zwitterion, amphiphilic stoichiometric complexes of polyphenolic compounds with phospholipids. The system is formed by linking a drug (pharmakon) to a carrier (soma), they are called pharmacosomes. Pharmacosomes can pass through biomembranes efficiently and possess advantages over the use of other vesicular systems such as transferosomes liposomes and noisome. Pharmacososmes are design to avoid the unusual problems associated with the liposomal entrapment of polar drug molecules like low drug incorporation, leakage and solubility. This chapter includes the basic introduction, applications, method of preparation, characterisation, advantages, some research experiences and future prospects of pharmacosomes.

INTRODUCTION

Pharmacosomes are the novel drug delivery system in which drugs are covalently bound to lipids and exist as vesicular, micellar or hexagonal aggregates, according to the chemical structure of the drug lipid complex. The term pharmacosomes is used to describe the zwitterion, amphiphilic stoichiometric complexes of polyphenolic compounds with phospholipids. The pharmacosomes are formed by linking a drug (pharmakon) to a carrier (soma). Pharmacosomes can be able to cross the biomembrane efficiently and having lots of advantages other vesicular systems such as liposomes, niosome and transferosomes.

Pharmacosomes possess better biopharmaceutical properties of the drug resulting in improved bioavailability. Till date various pharmacosomes containing formulations of non-steroidal anti-inflammatory drugs, proteins, cardiovascular and antineoplastic drugs have been prepared. By the development of

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pharmacosomes the drugs absorption can improve and gastrointestinal toxicity can minimise. Pharmacosomes can interact with biomembranes and perform better transfer of drugs. By this interaction the phase transition temperature of bio membrane can changed, and membrane fluidity is improved, leading to enhanced permeation. Pharmacosomes can reduce problems associated with the entrapment of polar molecules like low drug incorporation, solubility and leakage.

Amphiphilic drug lipid complexes or pharmacosomes may have approach for maximizing therapeutic efficacy of the drugs by improving the bioavailability (mprovement in solubility in gastro-intestinal fluid and permeation across the biomembrane).

According to Langer (1998) drug delivery can be achieved via drug's formulation, and it involves drug-device combination or medical devices products. Drug delivery is a concept integrated with dosage form and route of administration. Kumar (2008) described drug delivery refers to formulations, technologies, approaches and systems for delivering a pharmaceutical compound in the body when needed to achieve its therapeutic. It can perform scientific site-targeting within the body, or it can facilitate systemic pharmacokinetics; it is concerned with quantity and duration of drug release.

Wang (2008) coated that currently the development of targeted delivery is in progress, in which the drug shows its effect only in the target site of the body and sustain release in which the drug is released over a period of time in a predetermined manner. In case of targeted delivery, the formulation must avoid the host's defence mechanisms and reaches the site of action. There are many sustained release formulations such as liposomes, microspheres, drug loaded biodegradable and drug polymer conjugates.

Park (1997) and Bertrand et al., (2011) show drug delivery technologies works by modifying drug release profile, and its absorption, distribution metabolism and elimination for improving products efficacy and safety, as well as patient compliance. Drug release is from: diffusion, degradation, swelling, and affinity-based mechanisms. Some common routes of administration include the preferred non-invasive peroral, topical, transmucosal and other systems such as nasal, buccal, and inhalation routes. Park and Mersny, (2000) discuss that as peptide and protein, antibody, vaccine and gene based drugs, in general might be susceptible to enzymatic degradation or cannot be absorbed into the systemic circulation properly due to charge issues and molecular size to be therapeutically effective. For this reason many protein and peptide drugs delivered by injection or a nanoneedle. For example, many immunizations for delivery of protein drugs and are delivered done by injection.

In case of carrier mediated drug delivery system Juliano, (1980) suggested that it is a powerful technique for the treatment of various diseases. The therapeutic index of conventional and novel drugs is increased via the increase of specificity by the use of drug targeting to a particular cell or intracellular compartment, the management of release kinetics, the active agent or a combination of the above. Nanoparticles (NPs) were announced as drug carriers from about 30 years ago and have been growing day by day, mainly because of its stability, high loading capabilities and improved physicochemical properties. The pathophysiology of tumours may passive accumulation of NPs at sites of action upon intravenous injection. NPs with long circulation times are more effective in reaching tumour tissue. Langer and Goldberg (1983) supported that in addition to systemic administration, localized drug release may be achieved using macroscopic drug depots close to the target site. Among maximum systems considered for this, in situ-forming biomaterials in response to environmental stimuli have gained considerable attention, because of non-invasive character, less side effects with systemic administration and good controlled bio-distribution.