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LIPOSOMAL DRUG TARGETING: FROM CONCEPT TO REALITY

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Liposomes (phospholipid-based vesicles consisting of water surrounded by lipid bilayers) have developed into a viable pharmaceutical dosage form. Dependent on the type of lipids used and the production protocol, liposomes can have quite different properties, both in vitro and in vivo. Because of their structural versatility in terms of size, composition, surface charge, surface hydrophilicity, bilayer fluidity and ability to incorporate almost any substance regardless of solubility and to carry on their surface specific homing devices, liposomes have the potential to be tailored in a variety of ways to ensure the production of formulations that are optimal for clinical use.

Over the past two decades, significant progress has been made in the ability to control retention of entrapped compounds in the presence of biological fluids, to control vesicle residence in the blood circulation or other body compartments, and to enhance vesicle uptake by target cells. One of the persisting key research issues is how to achieve significant cytoplasmic delivery of molecules (for example proteins and DNA) which themselves have unfavorable physicochemical characteristics for being transported across biological membranes.

Obviously, the ability to target selective tissues or cell populations is an essential prerequisite for many applications and is being tackled in different ways, including the use of *immunoliposomes* (liposomes bearing covalently coupled antibodies as homing device) which may also be endowed with long-circulation properties, and tissue-selective route of administration, e.g., inhalation to target the lung epithelium. Clearly, vital progress has been made in recent years in the development of *long-circulating liposomes* that are not immediately recognized and removed by cells of the mononuclear phagocyte system (in particular the macrophages localized in liver and spleen). The availability of long-circulating liposomes has opened a new realm of therapeutic opportunities to target drugs to pathological sites (e.g., tumors, sites of infection and inflammation), and it is expected that a multitude of novel applications will emerge in the near future. *Cationic liposomes* represent the youngest member of the liposome family. They are front-line runners among the delivery systems under development for improving the delivery of genetic material. This presentation intends to summarize the current status of the field of liposomal drug targeting systems (1-3).

References:

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