

Lipid nanoceuticals: Current status and future perspective

Administration of lipophilic drugs by oral route is a challenging task due to multiple factors which include poor solubilization of a drug in the gastrointestinal tract, P-glycoprotein efflux, significant first pass elimination attributed to predisposition through cytochrome P450 enzymes, all of which result in poor *vivo* bioavailability. Over the recent years, research has been directed toward the design of lipid-based carrier systems for delivery of hydrophobic drugs. These formulations comprise of a suitable combination of natural lipids with surfactants, cosurfactants and cosolvents.^[1]

These lipidic formulations systems obviate the dissolution step upon oral administration and can be broadly categorized into two group's namely liquid emulsions (LE) which include lipid solution, emulsions, micro emulsions, self-emulsifying drug delivery system, self-micro emulsifying drug delivery system or micellar systems and solid lipid nanoparticles (SLN). These lipid-based systems differ from each other with respect to the surfactant and other ingredients used as well as the particle size of the dispersed phase.

A wide variety of synthetic, as well as herbal drugs, e.g., amphotericin B, quercetin, fenofibrate, curcumin, resorcinol and many anticancer drugs have been successfully formulated as lipid-based nanoformulations for the treatment of a number of ailments like cardiovascular diseases, malaria, etc.^[2-4]

In spite of the immense potential associated with the delivery of lipophilic drugs with enhanced bioavailability using lipid-based nanoceuticals, these systems have some inherent short-comings, which restrict their commercial exploitation and market potential.

The LEs suffer from poor drug loading capacity, restriction on usage level of formulation excipients, e.g., surfactants and cosolvents, and the possibility of drug precipitation upon aqueous dilution *in vivo* thereby resulting in failure in bioavailability improvement. Besides this, the toxicity induced by the surfactants and cosolvents used at high doses restricts their per day and per dose uptake level.^[5] Another serious drawback associated with these formulations is

transformation of formulation lipids to a more ideal and perfect configuration, thereby minimizing the space to incorporate drug molecules, resulting in the expulsion of entrapped drugs during storage.

Advancements in formulation of lipid nanoceuticals led to the advent of novel lipid nanocarriers, commonly referred to as second generation SLN, which unlike LEs and SLN are composed of a mixture of incompatible liquid lipids and solid lipids in appropriate and permissible proportions.^[6-8] This incompatibility between the formulation lipids forms the basis for enhanced drug encapsulation and loading with enhanced colloidal stability during long-term.

Another advantage of these formulations is that maximum drug loading can be achieved in them with minimum levels of surfactants. Reports suggest that the incorporation of surfactants such as Cremophor EL and Solutol HS 15, which can modulate efflux pump activity, have enhanced the use of these carriers for the delivery of drugs that are P-gp substrates.

Research has also explicitly proved beyond doubt that absorption of drugs such as tamoxifen, vinpocetine, simvastatin, and lovastatin, which are extensively metabolized in the liver is significantly enhanced due to the lymphatic uptake of intact colloidal nanosized nanostructured lipid carriers (NLCs).^[1,9]

Application of NLCs as dermal controlled release vehicles can also not be ignored. Examples of drugs successfully delivered include coenzyme Q10, tocopherol, and retinol, tocotrienol. Many creams have also been commercialized in Germany and France e.g. Of which is IOPE super vital extra moist cream containing nanolipid carriers, NLC deep effect eye serum, NLC deep effect repair cream etc.^[10]

Nanostructured lipid carriers, as per reports take only 6 years from invention to market, which further justifies their formulation. In the view of the above facts, formulation of drugs as NLC, will not only improve their bioavailability, but would also be commercially viable alternative for the formulators.

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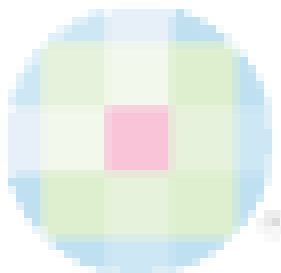
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