Liposomal Technology

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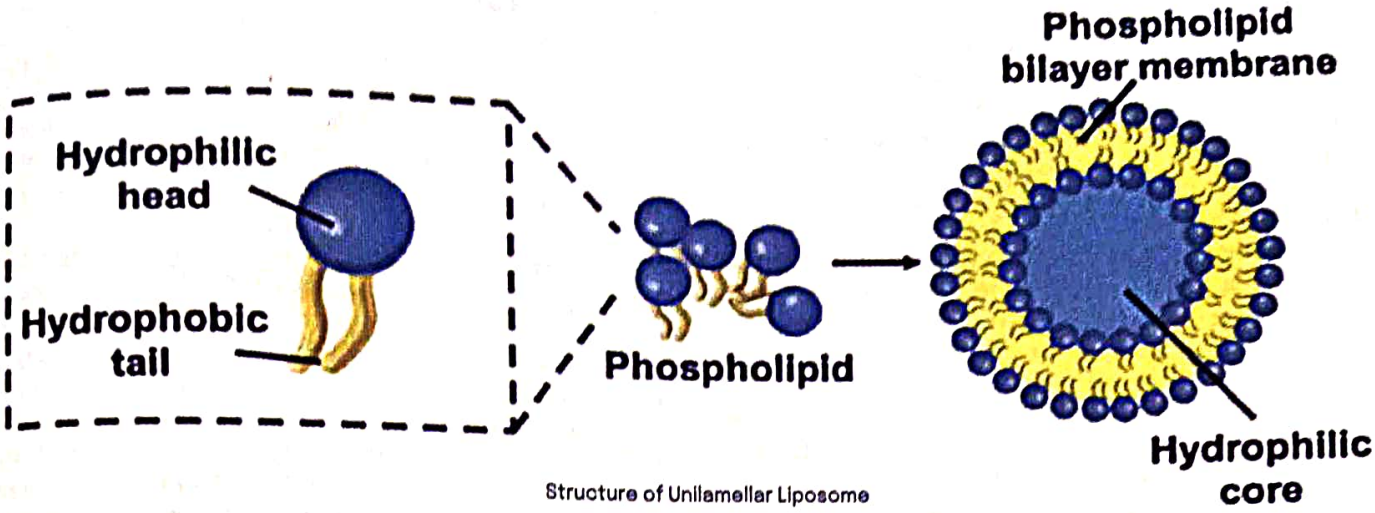

Structure of Unilamellar Liposome

LIPOSOMES are tiny phospholipid vesicles composed of one or more phospholipid bilayers, utilised to encapsulate and deliver drugs, nutrients and other bioactive ingredients into the human body more effectively. Liposomes encapsulate both water- and fat-soluble molecules. It can carry water-soluble drugs in the aqueous compartment and fat-soluble substances within the bilayer membrane itself. Liposomes protect sensitive ingredients from breakdown in the digestive system, allowing for more direct absorption into the desired cells. Liposomes can be designed to deliver contents to specific tissues or cells. By encapsulating drugs, liposomes can minimise exposure to nontarget areas, thus reducing side effects.

Liposomes are versatile drug delivery systems, which are categorised by composition and surface modifications. They can be conventional liposomes, stealth liposomes (PEGylated for immune evasion), cationic liposomes (positively charged for gene delivery), or immunoliposomes (targeted delivery via antibodies). Smaller liposomes enhance cell penetration, whereas stealth liposomes ensure prolonged circulation, and cationic liposomes are key in gene therapy. Structurally, liposomes comprise phospholipid bilayers, which are composed of amphiphilic molecules with hydrophilic heads and hydrophobic tails. When in water, phospholipids naturally form bilayers, positioning their heads outward and tails inward. Cholesterol is often added to increase membrane stability and reduce permeability. Surface modifiers such as PEG chains and targeting ligands can be employed for stealth features and specific targeting, respectively.

Liposome Formation

When phospholipids are hydrated, the liposomes will form spontaneously. This is due to the hydrophobic effect, where the free energy is minimised by the water forcing the hydrophobic tails together, and a bilayer will form. This may require the addition of energy (sonication/extrusion) to control the size and number of bilayers formed. So this allows a bilayer to form from an amphiphilic molecule, allows the liposome to deform and fuse with cells because of its flexibility, allows the body to naturally break down the phospholipids as natural phospholipids are biodegradable with enzymes like phospholipase, and they are biocompatible, which results in low immunological reactions as long as it is designed well. Their behaviour mimics the cell membrane, allowing cell internalisation of the drug. The behaviour of these liposomes can also be altered further by altering the surface with various things, such as PEG or ligands. Drug release can be prolonged by making them release contents over a prolonged period, or it can be made to release the contents upon a response to stimuli, such as a change in pH, temperature, or enzyme attack. These improve the therapeutic duration of action and decrease the dose required. A diagram is provided showing a "stealth" liposome which is covered by PEG attached to the outer heads. Or other drugs attached to the outside of the liposome to actively target specific cells.

Entrapment of Drugs within Liposomes

Drug entrapment in liposomes reveals how a drug or active molecule is loaded into or associated with the liposomal structure for protection, sustained release, and targeted delivery. The drug entrapment may involve: (i) Passive Loading: the drug is incorporated during liposome assembly (e.g., hydration step), and this is common for hydrophilic molecules. (ii) Active Loading: After liposomes are formed, a gradient (like pH or ion gradient) drives the drug inside the vesicle. This is highly efficient for certain drugs, especially weak bases.

Hydrophobic drugs prefer the phospholipid bilayer while hydrophilic drugs prefer the aqueous core. Cholesterol content can affect membrane permeability and drug retention. Thin-film hydration, reverse-phase evaporation, microfluidics, etc.,