Preparation of Drug Liposomes by Thin-Film Hydration and Homogenization

Bai Xiang and De-Ying Cao

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Abstract

Among the methods for liposome preparation, thin-film hydration is one of the most commonly used methods, which will produce heterogeneous multilamellar vesicles (MLVs). Depending on this process, two types of model molecules, including lipophilic drugs and hydrophilic cargoes, have been mainly reported to be incorporated into liposome. The former can be dissolved together with the lipids prior to the formation of thin film, and the latter, such as oligonucleotide-based hydrophilic ingredients, can be dissolved in the hydration mediums, and then passively incorporated into liposomes via hydration procedure. Following the operation of thin-film hydration, two homogenization methods, sonication and extrusion, have been most usually applied to generate liposomes with optimal

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size and polydispersity, large unilamellar vesicles (LUVs) or small unilamellar vesicles (SUVs). Here, we initially introduce the thin-film hydration and homogenization, and describe the preparation methods for liposomal products entrapping two types of various cargoes above.

Keywords
Liposomes · Thin-film hydration · Homogenization · Sonication · Extrusion

1 Introduction

It has been nearly half of century since it was observed that intense dispersal of purified phospholipids in water led to the formation of microscopic vesicles with closed membrane (Bangham 1968). These artificial membranes were referred to as liposomes in which one or more aqueous compartments are completely enclosed by amphipathic lipid molecules (Sezer 2012). In the lipid bilayer, molecules line up with their polar head groups being exposed towards the water space. Parts of hydrophobic hydrocarbon adhere together and form close, concentric, bimolecular lipid leaflets which separate aqueous compartments (Ranade and Hollinger 2005). In terms of the special structure of liposomes, incorporating both hydrophobic and hydrophilic layers alternatively, hydrophobic materials can be contained within the bilayer and water-soluble molecules within the aqueous compartments. As a delivery system for drugs, liposomes can encapsulate highly nonpolar drugs within the nonpolar bilayer, whereas entrapping more polar molecules within the aqueous core (Wang 2005).

1.1 Thin-Film Hydration

Thin-film hydration is one of the most commonly used methods for the liposome preparation. The standard process to prepare liposomes in the laboratory scale, starting from the selection of the lipids, making a film of the mixed ingredients (such as lipids and drugs) by organic solvent evaporation, drying the film under reduced pressure, dispersing the film, and the subsequent procedures (homogenization, sterilization, etc.) (Douroumis and Fahr 2013). Strategy for loading drug into liposomes relies on the properties of the drug. Lipophilic drugs can be dissolved together with the lipids during liposome preparation. Hydrophilic drugs can be passively incorporated into liposomes during liposome formation.

On the basis of thin-film hydration, liposomes have been usually applied on encapsulation of two category active substances: lipophilic drug molecules (Chang et al. 2015; Manjappa et al. 2013; Zhai et al. 2010; Xu and Meng 2016; Luo et al. 2013; Jangde and Singh 2014; Shavi et al. 2016; Wang et al. 2015; Habib et al. 2014; Begum et al. 2012; Mattheolabakis et al. 2012; Chen et al. 2009; Vanaja et al. 2013; Umrethia et al. 2007; Hatziantoniou et al. 2006; Ramana et al. 2010;