Carbohydrate Lyotropic Liquid Crystals Materials as Stabilizer and Permeation Enhancer for Microemulsion Based Drug Delivery System

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Abstract

Lyotropic liquid crystals (LC) having amphiphilic properties are promising materials as co-surfactants in emulsion formulations. A carbohydrate lyotropic liquid crystal, hexadecyl-β-D-glucopyranoside, was synthesized by linking D-glucose to cetyl alcohol via acetylated glucoside and its ability to stabilize microemulsions was investigated. The synthesized compound was characterized by using Nuclear Magnetic Resonance Spectroscopy (NMR) and Fourier Transform Infrared Spectroscopy (FTIR). Both acetylated and deacetylated compounds were found to exhibit thermotropic and lyotropic liquid crystal behaviour. The critical micelle concentration (CMC) value of 1.53×10^{-5} mol dm^{-3} obtained for hexadecyl-β-D-glucopyranoside from both UV-visible spectroscopic and turbidity methods suggests its non-ionic surfactant properties. Calculated HLB value of 8.86 indicates that it is suitable for making self-emulsifying oils and water in oil (W/O) emulsions.

ME systems were prepared using Olive oil, water and the nonionic lipophilic surfactant Sorbitan monooleate (Span 80) by selecting suitable compositions of both W/O (water in oil) and O/W (Oil in Water) emulsion systems from the phase diagram constructed at 70 °C. By introducing optimum amount of 0.05 wt.% of synthesized glycolipid, macro emulsions formulated was successfully converted into microemulsions. Then, hydrophilic drug, Diclofenac sodium (DS) (1.00% (w/w)) was introduced to the selected W/O and O/W emulsions separately and in vitro release of drugs through a pig ear (ear epidermis) fitted to a Franz diffusion cell system was observed with and without glycolipid (hexadecyl-β-D-glucopyranoside) (0.05% (w/w)) as permeation enhancer. Aqueous solution of DS (1% (w/w)) was used as the control.

The optimized ME formulations which contain DS as model drug show higher amount of release of 76.35% and 63.52% for W/O and O/W respectively. Those systems exhibit 6-10 nm nano-scaled aggregates which improve the permeation and its large surface area enhances the quick release of both sparingly soluble and highly soluble drugs in water. Finally, it is clearly understand that incorporating hexadecyl-β-D glucopyranoside in ME formulations shows significant potential as a delivery vehicle in the cosmetics and pharmaceutical industry.