Hexadecyl-β-D-glucopyranoside: a liquid crystal with surfactant properties for pharmaceutical applications

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A microemulsion system is defined as a system of water, oil and amphiphile which is a single phase optically isotropic and thermodynamically stable liquid solution. Lyotropic liquid crystals (LLC) having amphiphilic properties are promising materials as co-surfactants in pharmaceutical applications.

The aim of this research is to synthesize a carbohydrate lyotropic liquid crystal having surfactant properties and investigating its ability to form microemulsions, especially, stabilization of emulsions for transdermal delivery of drugs. Carbohydrate liquid crystal, hexadecyl-β-D-glucopyranoside, was synthesized by linking D-glucose to cetyl alcohol via acetylated glucoside. The compound, hexadecyl-β-D-glucopyranoside, was characterized by using Nuclear Magnetic Resonance Spectroscopy (NMR) and Fourier Transform Infrared Spectroscopy (FTIR). The thermotropic and lyotropic liquid crystal behavior of the compound were studied using optical polarizing microscope. Both acetylated and deacetylated compounds were found to exhibit thermotropic and lyotropic liquid crystal behavior. The critical micelle concentration (CMC) value of 1.53 $x10^{-5}$ mol dm^{-3} obtained for Hexadecyl-β-D-Glucopyranoside from both UV visible spectroscopic and turbidity methods suggests its non-ionic surfactant properties. The HLB value of the nonionic surfactant determines the potential application in the practical uses. Calculated HLB value 8.86 indicates that it is suitable for making self emulsifying oils and water in oil emulsions.

Optimized microemulsion systems were prepared using Olive oil, water and the non ionic lipophilic surfactant Sorbitan monostearate (Span 80) by selecting suitable compositions of W/O (water in oil) emulsion system from the phase diagram constructed at 70 ºC. The *in vitro* release of a model drug, diclofenac sodium (DS), through a pig ear skin fitted to a Franz diffusion cell system was investigated and the permeation enhancement and the release profile of DS were observed with and without LLC material (0.05% (w/w)). The transdermal delivery of DS has been compared with DS formulations in the market which are gel formulations containing 1% (w/w) of DS. Aqueous solution of DS (1% (w/w)) was used as the control. It was found that the optimized microemulsion formulation with LLC shows higher permeability (K_p) and significantly higher amount of drug (76.35%) was permeated than that in the commercial formulation (45.00%) and microemulsion system (74.00%) without LLC. Incorporation of lyotropic liquid crystal material in the microemulsion shows an increment of 1.177 in the enhancement ratio (E_r) with respect to the control as well.

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Key words: Diclofenac sodium, skin permeation, Franz diffusion cell, microemulsion, thermotropic, lyotropic