Novel Approaches for Promoting Drug and Gene Transdermal Permeation

Dalia Samuel ,Dalia S Shaker

Professor of Pharmaceutical Sciences

Abstract

Objective: This study focused on evaluating Tamoxifen Citrate (TMC) niosomes compared to free TMC regarding In-Vitro cellular uptake and cytotoxicity. Methods: Different niosomal formulae were prepared by thin film hydration technique and evaluated for entrapment efficiency % (E.E. %), vesicle size, vesicular morphology, DSC, in-vitro release and the optimized formula was assessed for its in-vitro cellular uptake and cytotoxicity. Results: The niosomal formulation composed of span 60: cholesterol (1:1 molar ratio) using lipid concentration 1% (w/v) showed the highest E.E. % (92.3 %) and exhibited significantly ($P<0.05$) lower vesicular size (200 nm) with a distinct nano-spherical shape. DSC revealed the conversion of crystalline TMC to amorphous form. Remarkably prolonged release of TMC for 6 days following Fickian diffusion release behavior was detected .The optimized formula showed significantly enhanced cellular uptake in MCF-7 breast cancer model cell line. However three days cytotoxicity study showed insignificant difference between niosomal TMC and free TMC regarding lethal effect. Despite our finding that only 60% of TMC released from niosomes and became available for the cells to exhibit similar lethal effect to free TMC, after 3 days of the experiment, which represents the maximum experimental time for cytotoxicity study. Conclusion: The optimized formula could contribute in increasing cellular uptake and cytotoxicity.

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