Cellular uptake, cytotoxicity and in-vivo evaluation of Tamoxifen citrate loaded niosomes

Dalia Samuel ,Dalia S. Shaker, Mohamed A. Shaker, Mahmoud S. Hanafy.

Professor of Pharmaceutical Sciences

Abstract

One of the main challenges in Tamoxifen cancer therapy is achieving localized, efficient and sustained delivery without harming normal healthy organs. This study focused on evaluating Tamoxifen Citrate (TMC) niosomes for localized cancer therapy through in-vitro breast cancer cytotoxicity as well as in-vivo solid anti-tumor efficacy. Different niosomal formulae were prepared by film hydration technique and characterized for entrapment efficiency % (E. E), vesicle size, morphology, and in-vitro release. The cellular uptake and anti-cancer activity were also tested in-vitro using MCF-7 breast cancer cell line. Moreover, in-vivo anti-tumor efficacy was examined in Ehrlich carcinoma mice model through reporting solid tumor volume regression and tissue TMC distribution. The obtained niosomes prepared with Span 60: cholesterol (1: 1 molar ratio) showed a distinct nano-spherical shape with EE up to 92.3% ± 2.3. Remarkably prolonged release of TMC following diffusion release behavior was detected. The optimized formula showed significantly enhanced cellular uptake (2.8 fold) and exhibited significantly greater cytotoxic activity with MCF-7 breast cancer cell line. In-vivo experiment showed enhanced tumor volume reduction of niosomal TMC when compared to free TMC. Based on these results, the prepared niosomes demonstrated to be promising as a nano-size delivery vehicle for localized and sustained TMC cancer therapy.

Int J Pharm - 2015, July