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Optimization of phospholipid vesicles for the treatment of sexually-transmitted bacterial infections

D. Amidžić Klarić[1], Z. Rukavina[1], N. Škalko-Basnet[2], J. Filipović-Grčić[1], Ž. Vanić[1]*

*[1]Department of Pharmaceutical Technology, Faculty of Pharmacy and Biochemistry, University of Zagreb, A. Kovačića 1, 10000 Zagreb, Croatia; [2]Drug Transport and Delivery Research Group, Department of Pharmacy, Faculty of Health Sciences, University of Tromsø The Arctic University of Norway, Universitetsveien 57, 9037 Tromsø, Norway; *Phone: +3851 6394 762, email: zvanic@pharma.hr*

Aim: This study has focused on optimization of phospholipid-based vesicles for the vaginal treatment of recurrent bacterial infections. Different elastic and conventional liposomes entrapping azithromycin were prepared and characterized for size and surface properties, phospholipid bilayer elasticity/rigidity, encapsulation efficiency and release profile in conditions mimicking vaginal environment.

Methods: Elastic propylene glycol-embedding liposomes were prepared by varying ratio of egg phosphatidylcholine (EPC), egg phosphatidylglycerol (EPG) and monoacyl phospholipid (LPC-80) [1]. Conventional liposomes with more rigid bilayers were prepared by proliposome method [2] using mixtures of EPC, EPG and hydrogenated phospholipid (SPC-3), while the ratio of azithromycin was kept constant for all the preparations. Physicochemical investigations of all azithromycin liposomes included size and surface charge assessments by dynamic light scattering, determination of bilayer elasticity [1] and *in vitro* stability/release in simulated vaginal conditions [2].

Results: Regardless of the presence of LPC-80 or EPG, hydrodynamic diameters of all elastic propylene glycol-containing liposomes were of approx. 200 nm, while conventional liposomes were larger (240-420 nm), depending on the type and ratio of the phospholipids used. Zeta potentials of all the vesicles were in the range from -17 mV (elastic EPC/LPC-80 liposomes) up to -61 mV (conventional EPC/EPG/SPC-3 liposomes). Encapsulation of azithromycin into elastic liposomes was approx. 44%, while the lower values (31%) were obtained using rigid phospholipid bilayer constituents. Performed *in vitro* release studies demonstrated influence of pH, vaginal fluid components and lipid bilayer ingredients on the drug release profile.

Conclusions: EPC/EPG/LPC-80 propylene glycol-embedding liposomes are considered as optimal elastic liposome formulation entrapping azithromycin for further investigations.

Keywords: phospholipids, azithromycin, liposomes, propylene glycol, physico-chemical characterization, vaginal drug delivery

References:

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