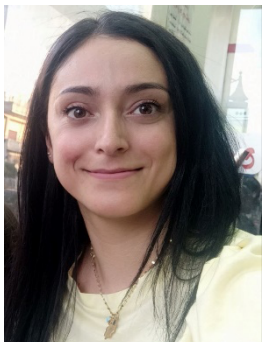
OP-27

DOI: 10.14232/syrptbrs.2024.48



Formulation and optimization of rifampicin-loaded niosomes for ocular delivery system

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Rifampicin (RIF) is a broad-spectrum antibiotic BCS class II drug, which is a critical first-line therapy for the treatment of tuberculosis which could affect extrapulmonary sites including the eyes, brain, kidneys, intestines, and bones.
In this study, we aimed to formulate, optimize, and characterize rifampicin-loaded niosomes (RIF-NIO), prepared by ethanol injection method, as a novel ocular drug delivery system to increase corneal permeation and bioavailability of RIF. Different niosomes formulations were optimized by using a Box-Behnken design investigating the effect of the volume of aqueous media (X1), amount of cholesterol (X2), and amount of Span® 60 (X3) on hydrodynamic diameter, PDI, zeta potential, and encapsulation efficiency (EE%), while the amount of Pluronic® F108 was kept constant during the study. After that, the optimized formulation was characterized regarding to *in vitro* drug release, *in vitro* corneal permeability (corneal-PAMPA), and *ex vivo* permeation study on porcine cornea followed by RAMAN-mapping.
The optimized RIF-NIO formulation consisted of cholesterol (50 mg): Span® 60 (50 mg): Pluronic® F108 (50 mg) in 15 mL of PBS (pH 7.4). RIF-NIO showed particle size of 148.06 ± 4.84 nm, narrow size distribution (0.26 ± 0.01), with a negative zeta potential (-49.37 ± 3.71 mV) and high EE % of 93.65 % ± 1.91. RIF-NIO had higher drug release in simulated tear fluid and blood conditions (pH = 7.4) than initial RIF. *In vitro* permeability study results showed enhancing in the solubility and the permeability of RIF through the cornea, and that was confirmed through the *ex vivo* study and RAMAN-mapping results which showed improving in the absorption and penetrating into the corneal tissue compared to initial RIF.
The use of niosomes to deliver RIF through the corneal tissue for the treatment of ocular tuberculosis could be promising for enhancing the permeability and bioavailability of RIF.

Acknowledgment: Project No. TKP2021-EGA-32 was implemented with the support provided by the Ministry of Innovation and Technology of Hungary from the National Research, Development, and Innovation Fund, financed under the TKP2021-EGA funding scheme