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Ameliorative effect of dextrose coated rebamipide liposomes for liver cirrhosis: in vitro and in vivo characterization

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Abstract

Background Liver diseases such as hepatitis, cirrhosis, hepatocellular carcinoma etc. are the health problems occurring worldwide due to limited number of curative options and some others drawbacks of existing dosage form such as insufficient amount of therapeutic agent and non-specific drug delivery leads to unwanted side effects. Rebamipide is anti-ulcer agent but recent studies showed anti-fibrotic effects. Dextrose coated liposomal formulation was evaluated for various parameters such as particle size, polydispersity index, zeta potential, entrapment efficiency, in vitro drug release as well as surface morphology (FESEM, TEM, AFM), thermal analysis (DSC, TGA), chemical characterisation (FTIR). In vivo study, CLSM was performed on Wistar rats. For analysing the safety for formulations in vitro cytotoxicity against the HSC-LX2 cell line was performed.

Results Dextrose was chosen as the coating material. 2% dextrose coating was done to target the liver and avoid drug leakage from the liposomal formulation. The particle size of DCR-Liposomes was found to be 164.967 ± 1.701 nm. The PDI value of DCR-Liposomes was found to be 0.254 ± 0.003. The Zeta potential of optimised R-Liposomes and DCR-Liposomes was found to be − 29.133 ± 1.115 mV and − 32.367 ± 1.563 mV respectively. Drugs and polymers are found to be physically and chemically stable as confirmed by FTIR, DSC, FESEM, AFM and TGA.

Conclusion Liposomes and Coated liposomes exhibited narrow size distribution, good polydispersity index, high entrapment efficiency, and slow drug release compared to liposomes and drug solution. IC_{50} of coated formulation showed significant difference, compared to standard drug. In vivo results revealed that coated liposomes have shown increased therapeutic efficacy of drug and histopathological analysis and biochemical tests again confirmed the better targeting efficacy of coated liposomes. The current research supports repurposing Dextrose-coated Rebamipide liposomes to cure liver cirrhosis.

Keywords Box-behnken design, Liposomes, Dextrose, Liver cirrhosis, Cytotoxicity

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