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Formulation and in vitro-in vivo evaluation of risperidone liposomes for brain targeting

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R isperidone is widely used as an anti-psychotic drug to treat schizophrenia and bipolar disorder. It has significant first-pass metabolism with an oral bioavailability of 70% and a half-life of 3 hours. It produces extrapyramidal side effects dependent on dose. Hence in the present study surface modified liposomes of Risperidone were prepared for brain targeting through nasal administration. Nasal administration will avoid the first pass metabolism also provides targeting to the receptor site and bypasses the blood-brain barrier thereby enhancing bioavailability. Liposomes were prepared by lipid film hydration followed by sonication using soya phosphatidylcholine and cholesterol (molar ratio 8:1). The lipid/drug ratio was10:1. The formulation was modified in its composition by addition of a lipid DSPE-mPEG (2000) (0.05 to 0.2 molar ratio) for long time circulation. Liposomes were evaluated for Mean vesicle size, Poly dispersibility index (PDI), Zeta potential, Encapsulation Efficiency, *in vitro* release and plasma-brain pharmacokinetic studies. The average particle size and PDI was found to be 98 to 115nm and 0.17 to 0.19 respectively. The zeta potential was -28 to -36 indicating stable formulation. DSPE-mPEG (2000) containing liposomes showed higher entrapment (54%) compared to conventional liposomes (49.6%). Also DSPE-mPEG batch released maximum amount of drug 57.12 % at the end of 4hrs following Korsmeyer-Peppas model and shows diffusion controlled release. The lower T_{max} values for brain (0.25h) when compared to blood (0.5h) also may be due to nose to brain transport by passing the blood brain barrier. C_{max} and AUCO-t were found to be significantly higher compared to pure drug, in particular DSPE-mPEG showed better performance compared to conventional liposomes.

Biography

Usha Y Nayak has completed her PhD from Manipal University. She is working an Assistant Professor (Senior) at Manipal College of Pharmaceutical Sciences, Manipal University, India. She has published more than 25 papers in reputed journals and presented papers at various conferences and received best paper award. She has filed one Indian Patent.

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