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Formulation and Characterization of Long Circulating Liposomes of Anti Fungal Drug

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Abstract: Posaconazole is an effective antifungal drug, used as first line treatment for Invasive Aspergillosis. The present work focusses on formulation of PEGylated liposomes to achieve longer circulation in the blood, prevent the liposomes from opsonisation by mononuclear phagocytic system of RES. The higher plasma concentration of Posaconazole leads to visual disturbance and dermatological side effect, which are minimized by PEGylation. Compatibility studies like FTIR (Fourier Transform IR) and DSC (Differential Scanning Calorimetry) showed that lipids or drug do not interact and are highly compatible. Liposomes were prepared by thin lipid film hydration method, applying 32 full factorial design with various molar ratio of phospholipids like DPPC /Cholesterol /DSPE mPEG2000. Vesicular size and zeta potential was found within desired range of 100-300 nm to effectively pass through intra venous circulation. Long circulating liposomes were found to have entrapment efficiency of 75-85%. TEM (Transmission Electron Microscopy) showed distinctive spherical shaped vesicles. Long circulatory effect was confirmed from biodistribution study. Stability studies of long circulating liposomes were carried out and Pegylated liposomes successfully showed long circulatory action inside the body and minimized side effect of drug with appreciable antifungal activity determined by microbiological assay (zone of inhibition).

Keywords: Posaconazole, Invasive aspergillosis, Long Circulating Liposomes, Thin film hydration method

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