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CHARACTERIZATION OF RISPERIDONE LOADED NANOSTRUCTURED LIPID FOR DRUG DELIVERY TO THE BRAIN

Hristina Litovin[1], Blagorodna Koprivica[1], Monika Stojanovska[2], Gjorgji Petrusevski[2], Maja Simonoska Crcarevska[1], Marija Glavas Dodov[1]

[1]Institute of Pharmaceutical Technology, Ss. Cyril & Methodius University-Faculty of Pharmacy, Skopje, [2]Institute for Research and Development, Alkaloid AD, Skopje (Macedonia)

Drug delivery to the CNS poses a formidable challenge. The BBB and the blood-cerebrospinal fluid barrier can hamper effective transport of drugs into the brain. The main objective of the study was to formulate and characterize risperidone (RISP) loaded nanostructured lipid carriers (NLC's) as a parenteral delivery carrier with prolonged circulatory time which favors interaction and penetration into brain endothelial cells.

Different formulations of NLC's loaded with RISP were prepared by phase inversion temperature (PIT) method. Particle size and particle size distribution, zeta potential encapsulation efficiency (EE), drug loading (DL), drug release, and thermal behavior of the prepared samples were determined. Optimized NLC's were surface-modified with Tween 80, PEG 400 and Solutol HS 15 (3.5 – 4.5%) to assess whether they show reduction of total protein adsorption thus leading to site-specific accumulation (targeting) into the brain.

By using 19.96% lipid matrix constituents (56% cetyl palmitate and 44% Miglyol 812 as oil), 5% RISP, 1.5% phospholipid, 17% Solutol HS 15 as hydrophilic emulsifier, nanoparticles with an average diameter of 133 nm (PdI~0.4) with unimodal narrow size distribution were prepared, zeta potential -13.8 mV, EE (89.24%), DL (31.3 mg/g) and gradual drug release after 96 h up to 81.87%. DSC scans and calculated recrystallization index showed that the lipid phase in formulated NLC`s remained in crystalline state with RISP solubilized in lipid matrix. Optimized NLC's formulation showed BSA adsorption of 0.75 mg/g lipid.

Present study reveals potential of RISP loaded NLC's as drug delivery system for parenteral administration with prolonged blood circulation time, thus favoring site-specific brain delivery.