"Nanochemistry and nanobiotechnology"

Encapsulation of poorly soluble drugs into MOPEO-*b*-PCL copolymer micelles and their biological activity

S.V. Partsevskaya[1], T.B. Zheltonozhskaya[1], D.O. Klymchuk[2], V.F. Gorchev[3], V.I. Maksin[4], O.N. Yakubchak[4], M.V. Ignatovskaya[4]

[1] *Kiev National Taras Shevchenko University. Volodymyrska St, 60, Kiev-01033, Ukraine. E-mail: partsevskaya@ukr.net*

[2] *Institute of Botany, Natl. Acad. of Sci. of Ukraine. Tereshenkivska St, 2, Kiev-01601, Ukraine.*

[3] *Institute of Biochemistry, Natl. Acad. of Sci. of Ukraine. Leontovycha St, 9, Kiev-01601, Ukraine.*

[4] *National University of Life and Environmental Science of Ukraine. Heroyiv Oborony St, 17, Kiev-03041, Ukraine.*

Nanoscaled particulate systems, based on core-shell type micelles of amphiphilic block copolymers with immiscible biocompatible and biodegradable components, are of grate scientific interest due to their availability as drug carriers. The mechanism of drug encapsulation by block copolymer micellar carriers have several important features that should be determined: i) type of bonds, which are responsible for drug interaction with block copolymer micelles, ii) the changes in the size, morphology and stability of micellar carriers with encapsulated drug. The present work is dedicated to encapsulation of two insoluble drugs (prednisolon (PS) and stable form of vitamin E α-Tocopherol acetate (α-Toc)) by micellar structures of MOPEO-*b*-PCL block copolymers (DBCs) containing hydrophilic methoxypoly(ethylene oxide) (M_n=2.5; 4.54 kDa) and hydrophobic poly(ε-caprolactone) of a variable M_n. FTIR spectroscopy demonstrated the formation of strong H-bonds between PS hydroxyl groups and ester/ether groups of DBCs. Using DLS and CONTIN program the size and size distribution of DBC micelles (which revealed both ellipsoidal and spherical morphology on TEM images), PS and PS/DBC blends in H_2O/EtOH medium were determined. The quantity of encapsulated PS and α-Toc in DBC micellar carriers was calculated from UV-Vis spectra of α-Toc/DBC and PS/DBC blends and show amount from 70% to 95%.

The biological activity tests of DBC micellar nanocarriers with encapsulated α-Toc were successfully performed *in vivo* on mice and proved high efficiency of such systems due to suitable size of DBC carriers, that provide long-term circulation in blood stream and, as a result, high assimilability of α-Toc.