Preparation, physicochemical characterization and In Vitro cytotoxicity effect of folate targeted nanoparticles

S. Heydaryan¹*, K. Derakhshandeh², H. Adibi³, L. Hoseinzadeh⁴,

¹Students Research Committee, Faculty of Pharmacy, Kermanshah University of Medical Sciences, Kermanshah, Iran
²Department of pharmaceutics, Faculty of Pharmacy, Kermanshah University of Medical Science, Nano Technology Research Center, Kermanshah, Iran
³Department of Medicinal Chemistry, Faculty of Pharmacy, Kermanshah University of Medical Sciences, Kermanshah, Iran.
⁴Department of Toxicology and Pharmacology Medical Services, Faculty of Pharmacy, Kermanshah University of Medical Sciences, Kermanshah, Iran.

Background and Aims: In this study, we have developed folate-decorated biodegradable poly (lactide-co-glycolide) (plga) nanoparticles for targeted drug delivery to cancer cells. The receptor for the vitamin, folic acid, is overexpressed on a number of human tumors, including cancers of the ovary, kidney, uterus, testis, brain, colon, lung and myelocytic blood cells. Folate has been popularly employed as a targeting moiety of various anti-cancer agents to avoid their non-specific attacks on normal tissues as well as to increase their cellular uptake within target cells, as studied in several previous studies.

Methods: PLGA-FOL nanoparticles were prepared by nanoprecipitation method, adapting PLGA as a drug carrier, folic acid (FA) as a targeting ligand and 9-nitrocampthotecin as a model anticancer drug. The average size and encapsulation efficiency of the prepared PLGA-FOL nanoparticles were found to be around 115 nm and 57%. In vitro release profile displayed that nearly 40% of 9-NC was released in the first 48 hours.

Results: The intracellular uptake tests of the nanoparticles (NPs) in vitro showed that the PLGA-FOL NPs were more efficiently taken up by MCF-7 cells compared to non-folate-mediated nanoparticles. In addition, PLGA-FOL NPs showed greater cytotoxicity to MCF-7 cells than other treated groups.

Keywords: Targeted drug delivery; PLGA-FOL nanoparticles; 9-NC; Physicochemical properties; In-vitro cytotoxicity