ABSTRACT

The present work was aimed to develop, explore & compare the use of ligand anchored PEGylated nanoparticles (drug loaded) made of the conjugate poly (lactide-co-glycolide) (PLGA) - polyethylene glycol (PEG) & – folic acid, Heparin, Galactose with PEGylated nanoparticles (made from mPEG-PLGA copolymer) & PLGA nanoparticles (NPs) (drug loaded) for targeting solid tumors. For that first optimum cytotoxic concentration of PLGA (polymer) and cisplatin (drug) were optimized through MTT assay. The optimum size and percent entrapment efficiency were found to be 181±2.5 nm and 78.6±1.2 % for FPNPs, 180±1.63 nm and 77.9±1.66% for GPNPs, 182±1.24 nm and 78.4±1.31% for HPNPs, 179±1.02 nm and 79±1.96% for PEGyNPs, & 171±1.6 nm and 79.8±2.4% for PLGA NPs. The in vitro cytotoxic activity of targeted, PEGylated nanoparticles (FPNPs, GPNPs, HPNPs) and non targeted nanoparticles (PEGyNPs, PLGA NPs) were investigated & compared with drug solution (cisplatin) on different cancer cells (i.e. MDA-MB-231 breast cancer cells, HeLa cells and, A 549 cells), which revealed that targeted, PEGylated nanoparticles were more cytotoxic in a time dependent manner. The rhodamine B isothiocyanate loaded NPs (PEGyNPs & PLGA NPs) and targeted, PEGylated nanoparticles (FPNPs, GPNPs, HPNPs) were prepared & compared for cell uptake studies which conformed that targeted NPs (FPNPs, GPNPs, HPNPs) were more taken up by the cancer cells as compared to non targeted nanoparticles. In one another study to determine the effect of ligand (folic acid) on internalization, cells were incubated with FPNPs, HPNPs, GPNPs, PEGyNPs, PLGA NPs and 10 fold excess folic acid with FPNPs. Results confirmed that the presence of ligand gradually increases internalization of carriers and exhibited maximum uptake of FPNPs whereas, little difference was observed on uptake between PLGA NPs, PEGyNPs and excess folate treated cells. Results suggesting that targeted, PEGylated nanoparticles are promising approach for targeting solid tumor & to achieve deeper cellular internalization.