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P-541: Physical PEGylation of PLGA and PCL Nanoparticles Enhanced the Cytotoxicity of Loaded 5-Flurouracil

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03:30 PM - 04:00 PM
Sheraton Boston Hotel - Hynes Convention Center, Hall D

Physical PEGylation of PLGA and PCL Nanoparticles Enhanced the Cytotoxicity of Loaded 5-Flurouracil

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Introduction: The high metabolic rate and the short biological half-life of 5-flourouracil (5-FU) required a continuous administration of large doses and consequently resulting in high profile of adverse effects. Incorporation of 5-FU into biodegradable nanoparticles (NPs) would enhance the therapeutic efficacy through prolongation of the biological half-life and the duration of tumour exposure to 5-FU. This study aimed to monitor the effect of physical incorporation of PEG on the attributes of 5-FU-loaded polymeric NPs.

Methods: An emulsification-solvent evaporation technique was employed for the preparation of 5-FU-loaded NPs using polylactic-co-glycolic acid (PLGA) and polycaprolactone (PCL). The effect of incorporating polyethylene glycol (PEG_{6000}) was also investigated. The prepared NPs were evaluated for their particle sizes and morphology and characterized by FTIR and X-ray diffraction. The *in vitro* drug release profiles were evaluated and the anticancer activity was assessed utilizing MTT assay Daoy, HepG2, and HT29 cancer-cell-lines.

Results: The NPs average sizes were between 176±6.7-253.9±8.6 nm and zeta potential between -7.13± 0.13 and -27.06±3.18 mV. The 5-FU %EE of ranged between 31.96-73.54% and enhanced significantly by PEG incorporation. The SEM images showed spherical particles with smooth surfaces. The in vitro release studies showed an initial rapid 5-FU release up to 8 h followed by a slow release ranging from 36 to 84% after 72 h. The higher was the ratio of PEG, the faster was the drug release rate. Significant % cell death was achieved with all the prepared NPs in the three tested cancer cell lines after 48 and 72 hours incubations. The PEG ratio correlated well with the magnitude of cell death in both Doay and HepG cells only.

Conclusion: The physical PEGylation resulted in significant increase in the entrapment and loading efficiency of 5-FU in both PLGA and PCL NPs. They also improved both the drug release profile and the extent of in vitro cytotoxicity in both Doay and HepG2 cancer cell lines.

Learning objectives:

1. Differentiate between physical and chemical PEGylation of NPs
2. Evaluate the enhanced entrapment efficiency of 5-FU with the increase of PEG_{6000} ratio.
3. Contrast cytotoxicity of 5-FU-loaded NPs with increasing the extent of physical PEGylation.

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