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Preparation, characterization and in vitro release kinetics of polyaspartamide-based conjugates containing antimalarial and anticancer agents for combination therapy

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ABSTRACT:

Malaria is treated by combination of two drugs in order to overcome drug resistance. Antimalarials have been found to be more effective by combining them with low doses of anticancer drugs. Polymer-drug conjugates containing aminoquinoline and ferrocene derivatives were prepared from polyaspartamides polymers. These conjugates physicochemical properties were characterized. FTIR and 1H NMR confirmed the successful incorporation of aminoquinoline and ferrocene analogues onto the polyaspartamides polymers. The XRD thermograms indicated the amorphous nature of the polymer-drug conjugates and the successful incorporation of the drugs onto the polymer carriers. It further indicated the absence of free 4-aminoquinoline and ferrocene analogues. The rate of release of the bioactive drugs was slow at pH 7.4 and fast at pH 1.2. The release mechanism of ferrocene analogue from the carrier was super case II whereas the release mechanism of the 4-aminoquinoline from the carrier was a case II. Zero order release mechanism dominated the first 24 h. The release mechanisms of the bioactive drugs and physico-chemical properties from the polyaspartamide-based carriers suggested that they are potential drug delivery which can be used to overcome drug resistance that is common with the presently used antimalarials.