Idarubicin Loaded Nanoparticles for Breast Cancer

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Extended Abstract

The development in targeted drug delivery involving nanotechnology is one of the leading research area in the treatment of cancer. The nanoparticles play a key role because of their efficiency in transporting, providing imaging markers and selective release of drugs and carrier systems [1]. Anthracyclines, such as doxorubicin and idarubicin, are an important class of chemotherapeutic agents. Unfortunately, their efficacy in treating cancer is limited by a cumulative dose-dependent cardiotoxicity, which can cause irreversible heart failure [2]. Particularly nanoparticle system has shown to be very promising for the improvement of the therapeutic effects of these, mainly due to the longer targeting and retention time in solid tumors, while minimizing systemic exposure, improving drug efficacy and reducing non-specific toxicity [3] [4]. The objective of this work is to develop polymeric nanoparticles encapsulated with idarubicin. An aqueous solution of Idarubicin (1mM) was mixed with 45mL of poly(dimethylsiloxane)-graft-polyacrylates (0,1% w/v) with pH 8.2 for solubilisation. The sample was spray-dried using an atomization membrane with 4 μm of porosity. The particles were collected by an electrostatic chamber and characterized using a zeta-sizer and SEM. Drug release experiments were also carried out. The drug release was carried out in 0.1M HCl solution (pH 1.0) and phosphate buffer (pH 8.4, respectively in order to mimic the gastric and intestinal environment. It was observed a slower release in acidic pH as compared to alkaline pH. According to zeta-sizer data the particles have ±450 nm. SEM images showed that idarubicin was efficiently encapsulated. Drug-release studies are still on-going. Abstract: The development in targeted drug delivery involving nanotechnology is one of the leading research area in the treatment of cancer. The nanoparticles play a key role because of their efficiency in transporting, providing imaging markers and selective release of drugs and carrier systems [1]. Anthracyclines, such as doxorubicin and idarubicin, are an important class of chemotherapeutic agents. Unfortunately, their efficacy in treating cancer is limited by a cumulative dose-dependent cardiotoxicity, which can cause irreversible heart failure [2]. Particularly nanoparticle system has shown to be very promising for the improvement of the therapeutic effects of these, mainly due to the longer targeting and retention time in solid tumors, while minimizing systemic exposure, improving drug efficacy and reducing non-specific toxicity [3] [4]. The objective of this work is to develop polymeric nanoparticles encapsulated with idarubicin. An aqueous solution of Idarubicin (1mM) was mixed with 45mL of poly(dimethylsiloxane)-graft-polyacrylates (0,1% w/v) with pH 8.2 for solubilization. The sample was spray-dried using an atomization membrane with 4 μm of porosity. The particles were collected by an electrostatic chamber and characterized using a zeta-sizer and SEM. Drug release experiments were also carried out. The drug release was carried out in 0.1M HCl solution (pH 1.0) and phosphate buffer (pH 8.4) respectively in order to mimic the gastric and intestinal environment. A slower release at acid pH was observed compared to the alkaline pH. This can be explained by the functional polymer

employed that presents high solubility and allows a fast release and dissolution of the active in the alkaline pH solution. According to the zeta-sizer data, the particles have 450 nm. SEM images showed that idarubicin was efficiently encapsulated. This nanoparticle demonstrated the technical feasibility of control of idarubicin release as a function of pH variation, showing that the release profile decreased its rate to a value close to 10% of the release in 0.1 M HCl solution, that is, in environments acids such as the stomach the active will be protected by the encapsulating material throughout the digestive process and after passage to the intestine, where the pH increases considerably, the encapsulates dissolves releasing the active in its highest concentration in the appropriate environment its chemical maintenance without degradation. These results show that the nanoparticles with idarubicin have their release as a function of pH and indicate a possible follow up of the studies for oral formulations.

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