NANO AND MICRO TECHNOLOGY APPLIED FOR THE TREATMENT OF CHAGAS' DISEASE.

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INTRODUCTION
Chagas’ disease is a highly prevalent infection in the American Continent. The disease affects nearly 20 million people. Currently, there is no effective alternative for chronic cases, no vaccine, and no preventive treatment. In the acute, recent or congenital disease, the most important drugs available to control the disease are: nifurtimox and benznidazole (BZL) a nitroimidazole derivative. The only trypanocidal chemotherapies available for Chagas’ disease are solid dosage forms, but they have the disadvantages associated with oral absorption of poorly soluble drugs. (1).

The loading of BZL into biodegradable polymeric microparticles provides an attractive alternative to improve the drug solubility and bioavailability. Microparticles were prepared with chitosan (CH) by both ionotropic gelation, and a liquid-liquid phase separation with sodium lauryl sulphate and Na(OH), using two different methodologies: dripping and spraying. Then, physical chemical parameters such as yield, encapsulation efficacy (EE), size and morphology of the microparticles were evaluated. Also it was prepared pharmaceutical dosage forms with the solid systems (tablets) and they were characterized. (2-4)

MATERIALS AND METHODS
CH and BZL were solubilized in acetic acid (50% v/v). Na(OH) or sodium lauryl sulphate (SLS) were solubilized in water. Finally the acid solutions were sprayed or dripped on the basic ones and stirred for 24 h generating the microparticles. The polymeric particles were centrifuged and washed twice and collected in a drying chamber at 40 ºC. The pharmaceutical solid forms (tablets) were prepared by wet granulation method. The pharmacokinetic of the BZL-microparticles were evaluated in vivo employing Wistar rats, of 100 days old.

RESULTS AND DISCUSSION
The microparticles obtained by dripping technique exhibited a quasi-prismatic shape with a regular and flat surface. The use of a spray device led to a significant decrease in particle size range with showed an acceptable spherical shape whit a porous surface. The yield of the microparticles obtained employing both methodologies were high (70-80 %). The dissolution profiles obtained from different formulations was contrasted against isolated BZL without any treatment. The microparticles formulated showed an enhanced dissolution rate for BZL in comparison with the drug alone, confirming that the novel formulations conferred improved dissolution properties to the drug.

CONCLUSIONS
BZL microparticles were successfully prepared using CH as carrier and NaOH or SLS as a counterion in high yield. The EE employing spraying method was better than the obtained using dripping method and size of microparticles was smaller in the first case indicating that spraying is a good methodology to obtain it. The pharmaceutical dosage forms were successfully prepared and evaluated to improve the current therapeutic alternatives to control the Chagas’ disease.

REFERENCES


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