Alpha-Bisabolol Entrapped into Chitosan Particles for Antimicrobial Applications

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ABSTRACT:

Alpha-bisabolol entrapped into chitosan particles was prepared by ionotropic gelation. The particles were characterized by particle size and entrapping efficiency. The particles showed good sphericity and entrapping efficiency pointed out that alpha-bisabolol can be loaded in good amounts in chitosan particles.

INTRODUCTION:

The resistance of the bacteria and fungi to the innumerous antimicrobial agents constitutes one of the great challenges in the treatment of infections, conditioning to the necessity of searching and finding new sources of substances with antimicrobial properties to be used in the combat of microorganisms[1].

Essential oils, complex mixtures of volatile, lipophilic substances obtained from different pats of plants, have shown therapeutic properties among which antimicrobial activity[2]. Essential oils obtained from Rutaceae family, with this therapeutic profile, have been described in the literature[3]. Among them, several species of *Zanthoxyllum* are located at northeast of Brazil. A great part of them is used in folk medicine against inflammatory disturbs and infections, and secondary metabolites from this genus have shown antimicrobial activity[4].

Natural oils contain terpenes and sesquiterpenes, which in general oxidize readily in the air with the development of unpleasant odors and flavors. In addition, oils can present toxicity and low solubility in aqueous media, limiting their pharmaceutical applications[5]. Microencapsulation technology is an alternative to improve stability, solubility and biological activity of volatile lipophilic substances and to minimize their negative side effects[6].

Chitosan is a natural biopolyaminosaccharide obtained by alkaline deacetylation of chitin, has been gaining increasing importance in the pharmaceutical field. Properties such as biodegrability, low toxicity, good biocompatibility and mucoadhesivity make the chitosan suitable for development of drug delivery formulations such as films, beads and microspheres[7].

In order to develop pharmaceutical formulations for controlled release of antimicrobial natural product, in this work we have studied the preparation and characterization of chitosan particles entrapping, one of the major components of *Zanthoxyllum tingoassuiba* essential oil, alpha-bisabolol, which has been associated to the anti-inflammatory, antibiotic and anti-spasmodic activity of several essential oils.[8-10]

EXPERIMENTAL METHODS:

The aerial parts of the plant were distilled by using of a steam apparatus. The major components of the Z. tingoassuiba essential oil were determined by ^{13}C and ^{1}H NMR and mass spectrometry.

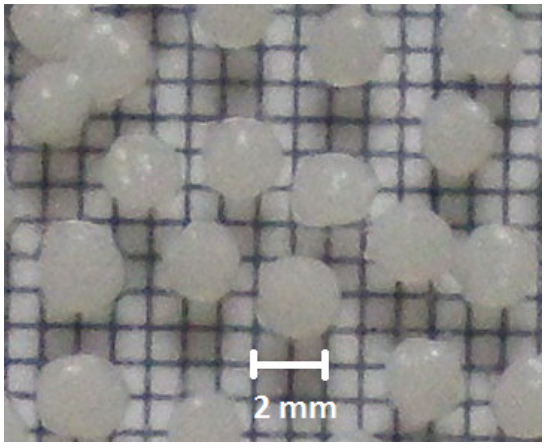
The chitosan particles were prepared by ionotropic gelation. Chitosan solution were prepared by dissolving it in 1%(v/v) acetic acid and Tween 80 (2% v/v) was added into the solution as a surfactant. Alpha-bisabolol was dissolved in dichloromethane. This oil phase was mixed with aqueous phase (1:10) at 8,000rpm for 1 min. The W/O emulsion was dropped into crosslinking tripolyphosphate agent solution (pH 5.0) under stirring for 60min. Then particles were dried for 24h under room temperature.

The loading efficiency was determined by a validated HPLC method using UV detector.

RESULTS AND DISCUSSION:

Distillation of *Z. tingoassuiba* leaves gave a yellow essential oil (EO) in good yield (0.6 ± 0.2%). The analysis of EO by ^{13}C and ^{1}H NMR and mass spectrometry showed that oil composition is a mixture of mono and sesquiterpenoids. The main constituents are methyl N-methyl anthranylate and alpha-bisabolol. These compounds represent more than 60% of mass of the essential oil.

The alpha-bisabolol loaded-chitosan particles had a mean diameter of approximately 2,2 ± 0,3mm. The particles showed spherical shape. The results of entrapping efficiency pointed out that alpha-bisabolol can be loaded in good amounts in chitosan particles.



The alpha-bisabolol entrapping efficiency was about 95%. These results confirm the efficacy of the process of entrapping volatile lipophilic substances and justify our intending for encapsulating *Z. tingoassuiba* essential oil in chitosan particles in other preparations.

CONCLUSION:

Results obtained indicated that alpha-bisabolol has been successfully incorporated into chitosan particles. These carriers particles can be useful for preparate *Z. tingoassuiba* formulations. So, chitosan particles seem to be a promising and suitable delivery system for treating infectious diseases by using of essential oils. Further experiments are currently being carried out regarding the *in vitro* release profile of bisabolol from chitosan particles and antimicrobiologic activity.

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