PREPARATION, CHARACTERIZATION AND ANTIMICROBIAL ACTIVITY OF USNIC ACID:CYCLODEXTRIN INCLUSION COMPLEXES

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The aim of this study was to develop, characterize and evaluate the antimicrobial activity of usnic acid (UA) and 2-hydroxypropyl-β-cyclodextrin (HPβCD) complexes. UA:HPβCD complexes were prepared using physical-mixture, co-precipitation and freeze-drying methods. Drug-complexes was characterized using thermal-analysis, X-ray, Infrared and H^1NMR, phase-solubility and dissolution test (performed at pH 7,4). The antimicrobial activity of UA:HPβCD was evaluated on *Streptococcus mutans* (ATCC 25175), *Enterococcus faecalis* (ATCC 14508) and *Actinobacillus actinomycetecomitans* (Y4-FDC) using disc-diffusion method in comparison with free UA. The *freeze-dried* UA:HPβCD product presented NMR and IR spectral modifications in comparison with UA or HPβCD spectra. A highest degree of amorphization observed in X-ray and the disappearance of UA fusion peak in DSC suggested the formation of drug-cyclodextrin complexes. The phase-solubility diagram showed an A_L curve with an apparent constant $K_{1:1}1.14 \times 10^3$ M^{-1}. UA:HPβCD presented a solubility 50% higher than free UA. Inhibitory zone diameter for UA:HPβCD and UA was: 9.3 ± 1.1 and 9.6 ± 0.5 (*S. mutans*), 15.0 ± 0.5 and 13.6 ± 0.5 (*E. faecalis*), 15.6 ± 1.1 and 13.6 ± 2.5 (*A. actinomycetecomitans*) respectively. In conclusion, drug-complexes were obtained and no differences on the antimicrobial activity were observed between UA:HPβCD and UA, supporting that the inclusion process had no interference on its antimicrobial activity.

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Key words: Cyclodextrin, Inclusion Complexes, Usnic Acid