?-Cyclodextrin Inclusion Complex to Improve Physicochemical Properties of Pipemidic Acid: Characterization and Bioactivity Evaluation

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The aptitude of cyclodextrins (CDs) to form host-guest complexes has prompted an increase in the development of new drugs formulations [1,2]. In this study, the inclusion complexes of pipemidic acid (HPPA), a therapeutic agent for urinary tract infections [3], with native ?-CD were prepared in solid state by kneading method and confirmed by FT-IR and 1H NMR. The inclusion complex formation was also characterized in aqueous solution at different pH via UV-Vis titration and phase solubility studies [4] obtaining the stability constant. The 1:1 stoichiometry was established by a Job plot [5] and the inclusion mechanism was clarified using docking experiments. Finally, the antibacterial activity of HPPA and its inclusion complex was tested on P. aeruginosa, E. coli and S. aureus to determine the respective EC50 and EC90. The results showed that the antibacterial activity of HPPA:?-CD against E. coli and S. aureus is higher than that of HPPA. Furthermore, HPPA and HPPA:?-CD, tested on human hepatoblastoma HepG2 and MCF-7 cell lines by MTT assay, exhibited, for the first time, antitumor activities and the complex revealed a higher activity than that of HPPA [6]. The use of ?-CD allows to increase the aqueous solubility of the drug, its bioavailability and then its bioactivity [7].