

The feasibility of formation and the change of bitterness on inclusion complex of poorly water-soluble drug with β -cyclodextrin

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In this study, the feasibility of formation and the bitterness change of drug/ β -CD complex for poorly water-soluble drugs such as Diphenhydramine(DPH), Cetirizine (CTZ), dl-chlorpheniramine(CPA), Loperamide(LPM), Valsartan(VAL), and Tetracycline (TTC) were studied. The effects of β -CD on drugs were studied by introducing NMR tools to figure out one to one binding between drugs and β -CD. 2D-ROESY spectrum of drug/ β -CD complex showed the feasibility of forming inclusion complexes. Furthermore, the equation modified by Hanna-Ashbaugh was plotted to calculate the value of association constant. To compare the effects of manufacturing process of the inclusion complexes on bitterness, three methods (physical mixing, freeze-drying, and ASES process) were used. The change of bitterness was analyzed by taste perception test which showed that some drug/ β -CD inclusion complex products indicated decreased bitterness compared to pure and physical mixture except for ASES processed LPM which the bitterness increased. From the results, there were no correlation between the value of association constant and the change of bitterness.