Application of ASES to Improve the Water Solubility of Itraconazole

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Abstract

Itraconazole is an antifungal agent which has a broad-spectrum activity against mycotrophy infections. Since the efficacy of itraconazole(ITR) can severely limited by their poor solubility in aqueous solutions, In this study, inclussion com[plexes of ITR were prepared using an aerosol supercritical extraction system (ASES). A binary system of ITR/HP-β-CD and ternary system of ITR/HP-β-CD/polymer (HPMC) were employed. ASES experiments were carried out at 35℃ of temperature and 140bar of pressure with 3%(w/v) concentration of solution. The observed using various contents of HPMC in total solubizer (HP-β-CD+HPMC). The experimental result obtained for the solubility and dissolution rate in buffer solution of pH 1.2 showed that the presence of solubizer results in a significant increase of the solubility and the dissolution rate of ITR. The water solubility of ITR increased as the content of HPMC in the solubilizer increased from 0 to 25%(w/w). the maximum solubility of 1200μg/mL was obtained when used of 25% HPMC in solubilizer. Furthermore, the addition of HPMC significantly reduced the amount of HP-β-CD needed for solid dosage forms. The present results suggest that the ASES process is a promising method for the preparation of drug formulation which is enhanced the water solubility.

References

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