

论文

醋酸棉酚——PVP固体分散体研究及体外杀精子活性的观察

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摘要:

本文对溶剂法制备醋酸棉酚—PVP固体分散体作了改进。以二氯甲烷为溶剂在45℃常压下完成,结果与Waller方法一致,并具有更大的安全性。经溶出实验筛选确定以1:7醋酸棉酚—PVP配比可比Waller等人的1:4配比提高药物溶出速率8倍,不同比例的固体分散体释药曲线均服从Q—t<sup>1/2</sup>规律,且速度常数随固体分散体中PVP比例增加而线性递增。利用X射线衍射和<sup>1</sup>H NMR研究了固体分散机制,认为是形成了间充型固体溶液,且PVP与醋酸棉酚之间有氢键结合。1:7棉酚—PVP抑动精子实验结果表明10<sup>-3</sup>M(0.052%)时20秒钟可抑制全部精子的向前运动。棉酚和醋酸棉酚结果一致,无统计学差异。PVP与生理盐水结果一致,表明PVP本身无抑制精子运动作用。

关键词: 醋酸棉酚 固体分散体

STUDY ON THE PREPARATION AND STABILITY OF SOLID DISPERSION OF GOSSYPOL ACETIC ACID—PVP AND ITS SPERMICIDAL ACTIVITY *IN VITRO*

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Abstract:

The solid dispersion of gossypol acitic acid-PVP was prepared at 45°C and atmospheric pressure using dichloromethane as a solvent. The same result was achieved as using Waller's method, but our improved method was safer and easier than that of Waller's. The mechanism of gossypol acitic acid-PVP solid dispersion was investigated by using X-ray diffraction and <sup>1</sup>H NMR. It was found that hydrogen bonds were present as a binding force between gossypol and PVP. The X-ray diffraction spectra of the solid dispersion showed the typical characteristics of an interstitial solid solution. The dissolution rate of solid dispersion of 1:7 gossypol-PVP is eight times higher than that of the 1:4 product reported by Waller. All dissolution curves follow the rule of Q-t<sup>1/2</sup>. There is a linear relationship between the proportion of PVP and dissolution rate constant. The effect of different concentrations of gossypol-PVP and gossypol acitic acid-PVP on human spermatozoa were investigated in vitro. The percentage of motility was observed by counting the motile spermatozoa under the microscope. The forward motility of human spermatozoa were completely inhibited at a concentration of 10<sup>-3</sup> (0.052%) in 20 seconds. PVP itself has no spermicidal properties.

Keywords: Solid dispersion Gossypol acitic acid

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