

论文

克拉霉素漂浮-生物粘附微囊的制备及性能研究

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摘要:

选用乳化-溶剂挥发法制备乙基纤维素载药微球(EMs), 并通过内部凝胶化法进行包衣制得海藻酸钠-乙基纤维素载药微囊(AEMs), 最后通过离子交联法进一步包衣制得壳聚糖-海藻酸钠-乙基纤维素载药微囊(CAEMs). 研究克拉霉素漂浮-生物粘附微囊的制备工艺, 并考察微囊的体外漂浮性能、粘附性能及体内滞留性能. 结果表明, CAEMs球形度较好, 药物包封率为72.3%~78.2%, 载药量为7.1%~12.7%. 在pH=5的醋酸缓冲液中, 6 h时的累积释放率为56.6%~70.6%, 漂浮率大于70%, 4 h时的体内滞留率为60.5%. CAEMs有望通过延长药物胃内滞留时间, 在临床用于根除幽门螺旋杆菌, 从而降低消化道溃疡的复发率.

关键词: 克拉霉素 漂浮-生物粘附微囊 体外漂浮性能 胃内滞留性能 幽门螺旋杆菌

Preparation and Characteristics of Floating-bioadhesive Microcapsules Containing Clarithromycin

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Abstract:

Floating-bioadhesive microcapsules containing clarithromycin were prepared by a combined method of emulsion solvent diffusion and internal/ion gelation for the treatment of *Helicobacter pylori*. Ethylcellulose microspheres(EMs) were prepared by the emulsion solvent diffusion method. EMs were coated with alginate and chitosan by the internal/ion gelation method to get alginate-ethylcellulose microcapsules(AEMs) and chitosan-alginate-ethylcellulose microcapsules(CAEMs). The drug efficiency and drug content of clarithromycin in CAEMs were determined to be 72.3%—78.2% and 7.1%—12.7%, respectively. CAEMs showed an obviously sustaining effect for more than 6h in vitro, and more than 70% of CAEMs floated in acetate buffer solution for 8 h in vitro. Furthermore, the in vitro remaining percentage of CAEMs in the stomach 4 h after the administration was 60.5%. The results suggest that floating-bioadhesive microcapsules might be a promising drug delivery system for the treatment of *Helicobacter pylori* infection.

Keywords: Floating-bioadhesive microcapsules In vitro floating characteristic Gastric residence characteristic *Helicobacter pylori*

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