

论文

载药对pH敏感凝胶溶胀和释药行为的影响

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摘要:

目的:研究载药对苯乙烯(St) —甲基丙烯酸二乙氨基乙酯(DEA) 共聚物凝胶的溶胀和释药行为的影响。方法:以弱碱性的9-氨基吖啶(9AA)或弱酸性的荧光黄(FL) 为模型药物,用显微镜观察法测定载药和未载药凝胶的溶胀比,用分光光度法测定载药凝胶的药物释放量。结果:即使9AA 和FL 的水溶性很小,载有9AA的凝胶在溶胀介质的pH 接近凝胶的相转变pH 时,溶胀速度大大提高,从而对凝胶的释药行为产生重要的影响。载有FL的凝胶溶胀速度大大降低,释药行为变得更为复杂。结论:水溶性很小的可离子化药物载入疏水性pH 敏感凝胶后,同样对凝胶的溶胀和释药行为有重要影响,凝胶的释药行为受载药后凝胶的溶胀所控制。

关键词: 载药 溶胀 药物释放 pH 敏感凝胶

EFFECT OF DRUG LOADING ON THE SWELLING AND DRUG RELEASE BEHAVIORS OF pH SENSITIVE GELS

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Abstract:

AIM: To investigate the effect of drug loading on the swelling and drug release behaviors of hydrophobic pH sensitive gel beads based on styrene (St) and diethylaminoethyl methacrylate (DEA). METHODS: An optical microscope was used to observe the change of the size of gel bead during swelling, and the amount of drug released in buffer solutions was measured spectrophotometrically. RESULTS: With 9 aminoacridine (9AA), a basic drug very slightly soluble in water, as a model drug, the rate of swelling of the loaded gels in buffers was found to be greatly accelerated at pH close to the point where the swelling transition occurs. With a weakly acidic fluorescein (FL) as model drug, the loaded gels showed a much lower swelling rate compared with that for unloaded ones. In all cases, the release behavior of the loaded gels correlated well with the swelling behavior of the loaded gels. CONCLUSION: In despite of the very low solubility of 9AA or FL in buffer solution, both 9AA and FL, when they are loaded into the hydrophobic pH sensitive gels, exert an important influence on the swelling and release behaviors of the gels, and the drug release is controlled by the swelling of the loaded, not the unloaded gel.

Keywords: swelling drug release pH sensitive gels drug loading

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