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论文

肝靶向米托蒽醌白蛋白微球的研究

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摘要:

用乳化—热固化法制备了米托蒽醌白蛋白微球,并对其形态、大小及其分布、微粉学性质、载药性能、体外释药、稳定性和体内分布进行了研究。结果表明,该载药微球的平均算术径为0.99μm,平均表面径为1.24μm,平均容积径为1.44μm;表观载药量为2.558%±0.101%;有效载药量为1.503%±0.127%;包封率为92.82%±4.60%;体外释药符合双相动力学规律,释药方程为$1-Q=0.6428e^{-0.2132t}+0.3988e^{-0.00150t}$($\gamma_1=-0.9951$,$\gamma_2=-0.9982$);$T_{1/2}\alpha=3.250h$,$T_{1/2}\beta=461.7h$;室温放置3个月,微球形态、药物含量等均无明显变化。HPLC测定表明,小鼠尾iv该微球20min内即有77.6%±1.38%的药物浓集于肝脏,具有明显的肝靶向性。提示米托蒽醌白蛋白微球有可能提高米托蒽醌的抗肝癌效果和降低其全身毒副作用。

关键词: 米托蒽醌 白蛋白微球 高效液相色谱法 靶向给药系统

STUDY ON MITOXANTRONE ALBUMIN MICROSPHERES FOR LIVER TARGETING

ZR Zhang and WJ Qian

Abstract:

An optimum procedure was established for preparing mitoxantrone albumin microspheres (DHAQ-BSA-MS) with emulsion-heating solidification. The morphology, diameters, drug loading, release characteristics, stability and its distribution *in vivo* of the drug loaded albumin microspheres were studied. The results showed that the surface was regular, the average diameter was 0.99 μm, mean surface diameter was 1.24 μm and mean volume diameter was 1.44 μm, apprarent drug loading was 2.558±0.101 μg·mg^{-1}(n=5), effective drug loading was 1.503%±0.127%(n=5), embeding ratio was 92.82%±6.48% (n=5), and the release characteristics were in accord with "iphase kinetics equation": $1-Q=0.6428e^{-0.2132t}+0.3988e^{-0.00150t}$($\gamma_1=-0.9951$,$\gamma_2=-0.9982$);$T_{1/2}\alpha=3.250$ h,$T_{1/2}\beta=461,7$ h. The stability of the drug loadeled albumin microspheres was good after three months storage at room tempreture. The results determined by HPLC showed that the drug accumulated about 77.6%±1.38% of the dose in the liver 20 minutes after intravenous injecton to mice. This indicates that DHAQ-BSA-MS showed remakable targeting for liver, and it seems to have important value for increasing the antihepatoma effect and decreasing the toxicity of mitoxantrone.

Keywords: Albumin microspheres HPLC Targeting drug delivery system Mitoxantrone

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