



马钱子总生物碱复合磷脂脂质的药剂学性质研究

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作者中文名	作者英文名	单位中文名	单位英文名	E-Mail
陈军	CHEN Jun	南京中医药大学 药学院, 江苏南京 210046 江苏省中药炮制重点实验室, 江苏南京 210029	College of Pharmacy, Nanjing University of Chinese Medicine, Nanjing 210046, China Jiangsu Key Laboratory of Chinese Medicine Processing, Nanjing 210029, China	
张勇	ZHANG Yong	南京中医药大学 药学院, 江苏南京 210046	College of Pharmacy, Nanjing University of Chinese Medicine, Nanjing 210046, China	
蔡宝昌	CAI Baochang	江苏省中药炮制重点实验室, 江苏南京 210029	Jiangsu Key Laboratory of Chinese Medicine Processing, Nanjing 210029, China	becai@126.com
陈明磊	CHEN Minglei	南京中医药大学 药学院, 江苏南京 210046	College of Pharmacy, Nanjing University of Chinese Medicine, Nanjing 210046, China	
方芸	FANG Yun	南京大学医学院 附属鼓楼医院药剂科, 江苏南京 210008	Department of Pharmacy, Affiliated Drum Tower Hospital of Nanjing University Medical School, Nanjing 210008, China	

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中文摘要:目的: 制备了以氢化大豆磷脂(HSPC)和普通大豆磷脂(SPC)为膜材的马钱子总生物碱复合磷脂脂质体,并与相应的单一磷脂脂质体比较药剂学性质。方法:采用浸渍法提取马钱子总生物碱并进行了纯化,采用硫酸铵梯度法和隐形脂质体技术制备了马钱子总生物碱复合磷脂脂质体和相应的2种单一磷脂(HSPC、SPC)脂质体,比较了3者的包封率、粒径、电位、释放度等性质。结果: HSPC-SPC(1:3)为载药效果最好的复合磷脂比例。当药脂质量比为1:6时,马钱子总生物碱复合磷脂、SPC和HSPC脂质体的包封率分别为(73.6±2.9)%、(62.9±1.8)%和(54.7±1.0)%($n=3$)。与2种单一磷脂脂质体相比,马钱子总生物碱复合磷脂脂质体粒径明显减小但电位没有差别。释放度显著提高,但加入大鼠血浆后,复合磷脂脂质体的释放度显著低于SPC脂质体。结论:考虑到包封率提高、血液中稳定以及磷脂的价格,作为中药抗肿瘤药物载体,复合磷脂脂质体比相应的单一磷脂脂质体更具有开发价值。

中文关键词: 马钱子 总生物碱 隐形脂质体 释放度 氢化大豆磷脂 大豆磷脂

Pharmaceutical properties of novel liposomes containing total alkaloids from seed of *Strychnos nux-vomica*

Abstract: Objective: To prepare the novel liposomes composed of hydrogenated soybean phosphatidylcholine (HSPC) and soybean phosphatidylcholine (SPC) containing the total alkaloids from seed of *Strychnos nux-vomica*, and to compare the pharmaceutical properties of the novel liposomes with the corresponding HSPC or SPC liposomes. Method: The total alkaloids were extracted from seeds of *S. nux-vomica*, and further purified. Novel liposomes containing the total alkaloids were prepared by ammonium sulfate transmembrane gradients and stealth liposome technique. Pharmaceutical properties such as encapsulation efficiency (EE), size, zeta potential and drug release profile of novel liposomes and corresponding HSPC or SPC liposomes were compared intensively. Result: For novel liposomes, HSPC-SPC(1:3) was the best ratio which has the highest EE. At the drug/lipid weight ratio of 1:6, the EE of novel SPC and HSPC liposomes were (73.6±2.9)%、(62.9±1.8)% and (54.7±1.0)% ($n=3$), respectively. Compared with the corresponding SPC or HSPC liposomes, the size of novel liposomes was obviously decreased but the zeta potential was not different. The results of drug release showed that the novel liposomes were more stable than the SPC liposomes in the presence of rat plasma. Conclusion: Taken together, high encapsulation efficiency improved stability in blood, and relative low price of phospholipids of the novel liposomes, indicate that the novel liposomes may act as promising carriers for anti-tumor traditional Chinese medicine.

keywords: *Strychnos nux-vomica* total alkaloids stealth liposomes drug release profile HSPC SPC

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