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两性霉素B聚氨基丙烯酸正丁酯纳米粒的制备及小鼠体内分布研究 [点此下载全文](#)

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摘要:

目的: 制备携载两性霉素的聚氨基丙烯酸正丁酯纳米粒(AmB-PBCA-NP), 研究其对血脑屏障的透过能力。方法: 孵化法制备AmB-PBCA-NP, 以聚山梨酯-80进行表面修饰, 建立高效液相色谱分析法, 流动相选择乙腈-水(40:60)及4%乙酸, 405 nm处检测。小鼠分3组, 每组28只, 分别注射AmB粉针剂、脂质体(AmB-L)及纳米制剂(AmB-PBCA-NP), 通过检测小鼠脑组织等脏器中的药物浓度, 评价其主动靶向作用。结果: AmB-PBCA-NP平均粒径94.38 nm, 平均包封率为82%, 载药量56.1%。给药后AmB粉针剂组小鼠脑内未能检测出药物, AmB-L组于3 h后测得微量浓度, 而AmB-PBCA-NP组小鼠30 min即测得可观浓度, 3 h后达133 ng/g。结论: 聚山梨酯-80修饰的AmB-PBCA-NP具有主动靶向作用。[

关键词: [脑膜炎](#) [隐球菌性](#) [两性霉素B](#) [聚氨基丙烯酸正丁酯](#) [血脑屏障](#)

Preparation of amphotericin B-polybutylcyanoacrylate-loaded nanoparticles and its distribution in mice [Download Fulltext](#)

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Abstract:

Objective: To prepare amphotericin B-polybutylcyanoacrylate-loaded nanoparticle (AmB-PBCA-NP) and to assess their ability to pass the blood-brain barrier. Methods: AmB-PBCA-NP was prepared by incubation, with the surface modified by polysorbate 80. High-performance liquid chromatography (HPLC) method was established using acetonitrile and water (40:60, 4% of acetic acid) as mobile phase; detection was done at 405 nm. Mice were divided into 3 groups: AmB-injected, AmB-lipid-injected, and AmB-PBCA-NP-injected group. Brain-targeting ability of AmB-PBCA-NP was then evaluated by determination of AmB concentrations in mice brain and other specimens. Results: The mean diameter of the prepared AmB-PBCA-NP was 94.38 nm; the mean entrapment efficiency of the particles was 82%; and the drug loading rate was 56.10%. It was found that AmB alone failed to pass the blood-brain barrier; only very low level of AmB was detected in AmB-lipid group 3 h after injection; moderate concentration of AmB was detected 30 min after injection of AmB-PBCA-NP and the concentration peaked at 133 ng/g 3 h after injection. Conclusion: AmB-PBCA-NP modified by polysorbate 80 can pass the blood-brain barrier

Keywords: [meningitis](#) [cryptococcal](#) [amphotericin B](#) [polybutylcyanoacrylate](#) [blood-brain barrier](#)

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