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论著

齐多夫定脂质前药自组装体的制备及其在大鼠血浆中的稳定性

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摘要 目的 制备齐多夫定脂质前药自组装体，考察其在大鼠血浆中的稳定性。方法 用乙醇注入法制备齐多夫定脂质前药自组装体，透射电子显微镜和激光粒度测定仪观测其形态和粒度，高效液相色谱法测定齐多夫定脂质前药自组装体在大鼠血浆中降解情况。结果 齐多夫定脂质前药自组装体是球形囊泡，平均粒径为200 nm；在大鼠血浆中降解半衰期为3.68 h，降解产物为齐多夫定。结论 齐多夫定脂质前药自组装体在体外生物环境中能较快地降解出原药。

关键词 齐多夫定； 脂质前药； 自组装； 血浆； 药物稳定性

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Self-assemblies of zidovudine lipid prodrugs: preparation and stability in rat plasma

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Abstract

Objective To prepare self-assemblies of zidovudine (AZT) lipid prodrugs and investigate the *in vitro* stability in rat plasma. **Methods** Self-assemblies of AZT lipid prodrugs were prepared by an ethanol injection method. Morphology was observed by transmission electron microscope and particle size was measured by laser particle analyzer. Degradation of the self-assemblies of AZT lipid prodrugs in rat plasma was investigated by high performance liquid chromatography (HPLC). **Results** Self-assemblies of AZT lipid prodrugs were spherical vesicles whose mean particle size was about 200 nm. $t_{1/2}$ of the prodrugs in rat plasma was 3.68 h and the degradation product of the prodrugs was AZT. **Conclusion** Self-assemblies of AZT lipid prodrugs degrade into AZT rapidly in rat plasma.

Key words zidovudine lipid prodrugs self-assembly plasma drug

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