

论文
无环鸟苷亲脂性前体药物脂质体的制备及体外抗病毒活性(英文)

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摘要:

本文通过将无环鸟苷(acyclovir,简称ACV)2'位羟基分别与月桂酰氯或棕榈酰氯进行酯化反应,制得亲脂性前体药物无环鸟苷月桂酸酯和无环鸟苷棕榈酸酯(分别简称为C₁₂-ACV和C₁₆-ACV),使脂质体包封率从ACV的29.9%提高到C₁₂-ACV的95.6%和C₁₆-ACV的97.1%;漏泄实验表明在4℃透析60h后,一半以上的ACV从脂质体中漏泄,而C₁₂-ACV和C₁₆-ACV的滞留率分别为70%和80%;体外抗疱疹病毒的试验中,在最低试验浓度0.044μmol/L时,ACV不显示抗病毒活性,而C₁₆-ACV脂质体抑制细胞病变率达75%,说明前体药物通过与脂质体脂膜的结合增加了药物的进入细胞能力,从而提高了ACV的抗病毒能力。

关键词: 无环鸟苷 脂质体 亲脂性前体药物 抗病毒活性

PREPARATION AND *IN VITRO* ANTIVIRAL ACTIVITY OF LIPOSOMES OF LIPOPHILIC ESTERS OF ACYCLOVIR

P Tong;XP Hou;S Shao;YM Zhang;CH Zhang

Abstract:

The long chain acyclovir such as the acyclovir laurate and acyclovirpalmitate were prepared directly from acyclovir by application of the usual esterification meth-ods with appropriate acyl chlorides. The lipophilic prodrugs were found to be retained easier byliposomes whereas acyclovir escaped readily from liposomes.When assayed in African green mon-key cell cultures against herpes simplex virus type I strain, the acyclovir palmitate liposomesproved to be more active compared with the parent drug and its liposome, suggesting an en-hanced compatibility between the ester and liposomal lipids and an increased uptake ofencapsulated prodrug by infected cells.

Keywords: Liposomes Lipophilic prodrug Antiviral activity Acyclovir

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