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研究论文

罗布麻活性成分与人血清白蛋白结合的光谱学研究

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摘要 应用荧光和紫外光谱研究了人血清白蛋白与罗布麻活性成分槲皮素(QUE)、芸香苷(RUT)和儿茶素(CAT)的结合机理. 在QUE与蛋白质浓度比小于3.5时, 其荧光猝灭机理主要是静态猝灭, 在药物浓度较高时动态猝灭所占的比例增加; RUT在整个实验浓度范围内对蛋白质的荧光猝灭机理为静态猝灭; CAT与蛋白质之间不能形成复合物, 其荧光猝灭主要由动态猝灭产生. QUE和RUT分别与蛋白质形成1∶1的复合物, 结合常数分别为$(1.51\pm0.13)\times10^5$和$(0.81\pm0.08)\times10^5$ L•mol^{-1}. 由于激发态质子转移, 与蛋白质的相互作用引起QUE和RUT内源荧光发射峰强度的明显增加, 进一步证实了它们与蛋白质的结合. 与蛋白质的结合也引起了QUE紫外吸收带的明显红移, 说明药物分子中的酚羟基发生了解离, 以离子形式与蛋白质发生作用. RUT的紫外吸收谱带没有明显移动, 说明它主要以中性状态与蛋白质结合. 应用与蛋白质作用后药物分子紫外吸收光谱的二阶导数谱, 对药物与蛋白质的结合模式进行了深入探讨.

关键词 罗布麻活性成分 荧光光谱 紫外光谱 二阶导数谱

分类号

Spectroscopic Investigation of the Binding of the Active Components of *Apocynum venetum* L. to Human Serum Albumin

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Abstract Quercetin (QUE), rutin (RUT) and catechin (CAT) are main active components of *Apocynum venetum* L. The binding mechanisms of these active components to human serum albumin (HSA) have been investigated utilizing fluorescence and UV absorption spectra. The results revealed that the fluores-cence quenching arose mainly from static quenching by complex formation when the $c_{QUE}/c_{HSA}\leq3.5$, and the proportion of dynamic quenching increased in higher drug concentration; while the quenching mechanism was mainly static in the drug con-centration range studied for RUT. However, CAT cannot form complex with HSA. The binding site number was one for QUE and RUT, and the binding constants were $(1.51\pm0.13)\times10^5$ and $(0.81\pm0.08)\times10^5$ L•mol^{-1}, respectively. The intrinsic fluorescence of QUE and RUT conspicuously enhanced in the presence of HSA due to excited-state proton transfer (ESPT) and it further confirmed the complex formation of HSA with QUE and RUT, individually. The UV absorp-tion bands of QUE significantly red-shifted after interacting with HSA, which signified that the phenol group dissociated during the QUE-protein binding process and the binding was driven by electrostatic force. However, the combination of RUT and HSA did not induce obvious red shift of UV absorption bands of RUT, and their binding force originated probably from the hydrogen bonding between RUT and HSA. Based on the second derivative UV absorption spectra, the binding modes of QUE and RUT were discussed.

Key words active components of *Apocynum venetum* L. fluorescence spectra UV absorption spectra second derivative spectra

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