

论文

中药大黄的生化研究.XI X.蒽醌衍生物对线粒体呼吸链的抑制部位

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摘要:

本实验结果表明:大黄素、大黄酸和芦荟大黄素是线粒体呼吸链电子传递的抑制剂。(1)三药对NADH脱氢酶有不同程度的抑制作用,(2)大黄素对琥珀酸脱氢酶有较强的抑制作用,而大黄酸和芦荟大黄素对此酶仅有轻微的抑制作用;(3)三药对辅酶Q-细胞色素C还原酶及细胞色素C氧化酶也仅有轻微的抑制作用;(4)动力学观察表明:三药对NADH脱氢酶的抑制均为竞争性的。

关键词: 大黄酸 大黄素 芦荟大黄素 大黄

BIOCHEMICAL STUDY OF CHINESE RHUBARB.XI X.LOCALIZATION OF INHIBITION OF ANTHRAQUINONE DERIVATIVES ON THE MITOCHONDRIAL RESPIRATORY CHAIN

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Abstract:

The effects of anthraquinone derivatives on the four electron transport complexes of mitochondrion have been investigated. The results showed that emodin, rhein and aloemodin acted as inhibitors of some enzymes of the mitochondrial electron transport system. (1) Rhein, emodin and aloemodin specifically interfered with the redox function of the NADH dehydrogenase (complex I). Rhein, emodin and aloemodin showed strongest, moderate and weak inhibition, respectively. The concentrations for 50% inhibition were 22 μg/ml, 38 μg/ml and 72 μg/ml, respectively. (2) The kinetics of the inhibition of rhein, emodin and aloemodin on the membrane-bound NADH dehydrogenase was studied. The results indicated that the inhibition was competitive with respect to substrate, with Ki values of 35 μmol, 60 μmol and 110 μmol at 25°C, respectively. (3) Emodin significantly inhibited the activity of succinate dehydrogenase (complex II). The concentration for 50% inhibition was 55 μg/ml, but aloemodin and rhein showed no marked effect on this enzyme. (4) Emodin, rhein and aloemodin showed no significant effect on coenzyme Q-cytochrome C reductase (complex III) and cytochrome C oxidase (Complex IV). The results were in agreement with previous finding that emodin showed strongest antibacterial and anticancer activities, while rhein showed moderate effect and aloemodin showed only weak effect. It appears that inhibition of mitochondrial respiratory chain is one of the mechanisms of antibacterial and anticancer actions of Chinese rhubarb.

Keywords: Emodin Aloe-emodin Rhubarb Rhein

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