

论文  
番荔枝内酯类化合物对肝细胞耗氧呼吸的抑制作用及其构效关系

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摘要:

关键词: 番荔枝内酯 耗氧呼吸 构效关系 肝细胞

INHIBITION OF OXYGEN CONSUMPTION BY ANNONACEOUS ACETOGENINS IN LIVER CELL RESPIRATION AND THEIR STRUCTURE-ACTIVITY RELATIONSHIP

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Abstract:

AIMTo study the inhibition of oxygen consumption by annonaceous acetogenins (ACG) and their structure-activity relationship (SAR). METHODSThe inhibition of oxygen consumption in chicken liver cell respiration by different structural ACG was studied by using oxygen electrode technique. RESULTSSix ACG showed potent inhibitory effects like rotenone which was a classical inhibitor of mitochondrial complex I, and was more potent than complex IV inhibitor KCN. The IC<sub>50</sub> values of six ACG for inhibiting oxygen consumption suggested that bis-tetrahydrofuran (THF) ACG was 7~11 times more active than non-THF ACG, and A<sub>1</sub>-type ACG was more potent than A<sub>2</sub>-type ACG. CONCLUSIONThe terminal  $\gamma$ -lactone was crucial for the inhibition of oxygen consumption. The distance between THF and  $\gamma$ -lactone, the hydroxyl groups in the alkyl chain, were the important factors of SAR, but the 4-OH group possibly played some negative role in the exhibit of potent activity.

Keywords: respiration of oxygen consumption structural activity relationship liver cell annonaceous acetogenins

收稿日期 2001-11-18 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者: 徐志防

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