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氟哌啶醇季铵盐衍生物的合成及其扩冠活性的研究

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【摘 要】 目的: 合成氟哌啶醇季铵盐衍生物并研究其对冠状动脉的作用。方法: 以氟哌啶醇为原料, 将其与卤代烃在回流的情况下进行反应而得到其季铵盐衍生物。采用猪冠脉条生物测定法和 Langendorff 灌流法研究这些衍生物对冠状动脉的作用。**结果:** 合成了 7 个新化合物(1-7), 其中化合物 7 量效依赖地压低 KCl 所致的猪冠脉条收缩曲线, 阻断较大剂量所致的冠脉条痉挛, 并量效依赖地拮抗脑垂体后叶素所致的离体豚鼠心脏冠脉流量减少。**结论:** 化合物 7 显示了良好的扩张冠状动脉的作用, 值得进一步研究。

【关键词】 合成; 季铵盐; 氟哌啶醇; 冠状动脉

【基金项目】 国家自然科学基金资助项目(No. 30070304); 国家新药基金资助项目(No. 969010523); 中华人民共和国教育部及广东省博士基金资助项目(No. 974216)

°校园信息°

“世行贷款——21 世纪初药学人才培养模式研究与实践”
课题通过教育部组织的鉴定

2003 年 11 月 26 日, 教育部组织专家对我校吴晓明校长主持的教育部“世行贷款——21 世纪初高等教育教学改革项目”重点课题——“21 世纪初药学人才培养模式研究与实践”(项目编号: 1291B17211)进行了鉴定。校长助理姚文兵教授代表课题组做了项目完成情况汇报, 并现场回答了专家组的提问。会上还集中展示了近年来我校教学改革和教学研究等方面取得的丰硕成果, 得到了与会专家和兄弟院校同行的一致好评。专家组经过认真审议, 一致认为我校的这项研究课题成果显著, 特色突出, 具有明显的创新性、时代性、科学性、先进性、实用性和示范性。其研究的新型高等药学教育人才培养模式在全国高等药学教育界具有很好的推广价值和指导意义, 在同类研究中处于领先地位, 并建议该项目研究成果申报国家级教学成果奖。

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Monocrotalic acid 从脱氢野百合碱的释放及其鉴定

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【摘要】 目的: 为进一步研究野百合碱的代谢和毒理, 制备其相应的代谢产物。方法: 采用氮氧化和脱氢化反应将野百合碱分别转化为其氮氧化物和脱氢产物, 其中后者在氯仿溶液中发生水解并释放出一个酸性化合物。结果: 所得3个化合物经UV, IR, MS和NMR分析确证为 dehydromonocrotaline, monocrotaline *N*-oxide 和 monocrotalic acid。结论: Monocrotalic acid 为首次从野百合碱的吡咯酯(dehydromonocrotaline)的自然降解中获得, 但未同时得到其碱基部分 dehydronetronecine, 这证明了吡咯里西啉生物碱的吡咯酯具有很高的化学反应活性, 故在代谢研究中往往不是直接的代谢产物; 对所得3种化合物的氢谱和碳谱数据首次进行了全归属。

【关键词】 野百合碱; Dehydromonocrotaline; Monocrotalic acid; 核磁共振; 释放; 鉴定

【基金项目】 国家自然科学基金杰出青年科学家资助项目(No. 39825129)

。校园信息。

我校“现代中药”重点实验室获教育部批准立项建设

我校申报的教育部“现代中药”重点实验室已获国家教育部批准立项建设, 这是我校历史上第一个教育部重点实验室建设项目, 对整合我校科技资源, 推进我校科技创新体系的建设具有重要的意义。

我校新药筛选中心参加科技部结题报告会

12月1日, 我校新药筛选中心就所承担的国家“863”计划项目现代农业和生物技术领域—高通量药物筛选模型的建立、靶向化合物库合成及筛选研究(课题编号: 2001AA 234011)参加了科技部召开的结题答辩报告会。经过三年的不懈努力, 圆满完成了课题合同任务, 相关成果受到了与会专家和科技部领导的肯定。作为国家重点建设的5个国家级新药筛选重点实验室之一, 我校新药筛选中心注重通过课题研究锻炼队伍, 加强科研基础建设, 为下一步工作的开展准备了条件

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Study on the Lipid Regulating Effects of Total Saponins from *Polygala aureocauda* Dunn.

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【ABSTRACT】 AIM: To study the blood lipid regulating effects of the total saponins from *Polygala aureocauda* Dunn. (PTS). **METHOD:** The rats were fed with high fat diet to form the experimental hyperlipidemic model. In prevention study, the rats were raised with high fat diet for three weeks, and at the same time administered with various doses of PTS. Then rats were raised with normal diet for another two weeks and administered with various doses of PTS. The concentration of total cholesterol (TC), triglyceride (TG) and malondialdehyde (MDA) in serum was determined in 21 d and 35 d; In the therapeutic study, the rats were raised with high fat diet for three weeks, then rats were raised with normal diet for another two weeks and administered with various doses of PTS. In the last time, the concentrations of TC, TG, MDA, HDL-C, LDL-C and activity of SOD in serum and the concentrations of TC and TG in liver were determined. **RESULT:** The experimental hyperlipidemic rat models were established after being fed with high fat diet for three weeks. In prevention study and treatment study, PTS can decrease the serum TC and TG remarkably. It can also decrease the serum LDL-C, MDA and raise the serum HDL-C and SOD. **CONCLUSION:** These results suggest that PTS has significant blood lipid regulating effects and anti-oxidative effects on hyperlipidemic rats. **【KEY WORDS】** Hyperlipidemia; *Polygala aureocauda* Dunn.; Hyperlipidemic rats; Saponin; Lipid regulating effect

。校园信息。

2003 年我校获得国家自然科学基金项目资助项目创历史新高

国家自然科学基金是我国设立的资助自然科学基础和应用基础研究的最高层次基金, 近年来我校积极鼓励教师申报本项基金, 随着我校科研水平的提高和教师的认真准备, 今年我校共申报了国家自然科学基金 40 项, 并有 10 项获得资助, 创我校获得该项基金资助的历史新高。

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Danshen Inhibiting Isoproterenol Induced Cardiac Hypertrophy and Fibrosis in Mice and its Mechanisms

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【ABSTRACT】 AIM: To study the effects and mechanisms of Danshen (*Radix Salviae miltiorrhizae*) on isoproterenol induced cardiac hypertrophy and fibrosis in mice. **METHOD:** Cardiac hypertrophy and fibrosis in mice were induced by subcutaneous administration of isoproterenol. Enalapril (10 mg/kg·d⁻¹) and the water extract from Danshen (5, 10 g/kg·d⁻¹) were administered by gavage to treat the mice. The morphological changes and weight of the heart ventricle and kidneys, the activity of angiotensin converting enzyme (ACE), the content of nitric oxide (NO) in the serum, heart and kidneys and the content of hydroxyproline (Hyp) in the heart and kidneys were determined after 14 days of treatment. **RESULT:** The results showing significant cardiac hypertrophy and fibrosis in mice were induced by sc isoproterenol for 14 d. The weight of heart ventricle, ACE, Hyp increased and NO decreased in model group, which was significantly counteracted by both Danshen and enalapril. **CONCLUSION:** Danshen can reverse the cardiac hypertrophy and fibrosis induced by isoproterenol in mice.

【KEY WORDS】 Danshen; Cardiac hypertrophy; Fibrosis; Nitric oxide; Angiotensin converting enzyme; Isoproterenol

°校园信息°

我校国家新药筛选实验室 &江苏省新药筛选中心 参加江苏省高新技术产业化成果展示暨洽谈会

2003年10月27日~29日,由江苏省政府组织举办的“江苏省高新技术产业化成果展示暨洽谈会”在南京国际展览中心隆重举行。来自中国科学院,北京大学,清华大学以及沿江开发区的重点单位参加了本次展览会。我校国家新药筛选实验室 &江苏省新药筛选中心作为重点实验室的代表也参加了本次展览会。

参展期间,筛选中心接待了来自省内外各高校、研究所和医药企业的领导及代表百余名,就医药行业的发展及创新药物研发过程中的问题进行了讨论。代表们认为我校国家新药筛选实验室 &江苏省新药筛选中心在筛选模型的建立、化合物的筛选等方面居领先地位,并踊跃要求与筛选中心合作进行化合物活性的筛选。