

论文

萱草根的研究 III. 治疗血吸虫病有效成分的初步分离和鉴定

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摘要:

百合科萱草属萱草根(Hemerocallis thunbergii Baker),系一种治疗血吸虫病的中药。经过氯仿提取,通过氧化铝层分离,能获得一种黄色粉末(萱草根成分 I),在243℃时变棕色,266—269℃时熔融(分解)。用小白鼠测毒试验,LD50为0.95毫克/20克,同时出现疗效。用甲酰二甲胺重结晶,得橘红色结晶(萱草根成分 II),在240℃时变棕色,268—269℃时熔融(分解),毒性与疗效却大大降低,但当剂量增大时,毒性与疗效又同时出现。继以碱液溶解结晶,加酸酸化,又得黄色粉末(萱草根成分 III),在240℃时变棕色,268—269℃时熔融(分解),LD50为0.34毫克/20克。萱草根成分 I, II, III虽然毒性大小有差别,但是,在化学上均为弱酸性物质,它们的溶解情况、显色反应和熔点等都相同;而且,相互间的混合熔点也不降低;在红外线吸收光谱上,所呈现的吸收峰也相一致;纸上层析试验,在三种不同的溶解系统中,均得相似的一个斑点;它们在相同条件下进行乙酰化,分别获得熔点为240—241℃的白色板状结晶,相互间的混合熔点也不降低,红外线吸收光谱也相一致。根据上述结果,从化学上来看,萱草根成分 I, II, III可能是同一种物质,这种物质系治疗血吸虫病的有效成分。经药理试验证明,成分 I, II, III的药理作用尚有程度上的不同,这种现象可能与化学结构有关,尚待更多实验阐明。这个化合物暂定名为萱草根素(hemerocallin),分子式为C16H14O4。

关键词:

STUDIES ON HEMEROCALLIS THUNBERGII BAKER—III. ISOLATION AND CHARACTERIZATION OF ACTIVE PRINCIPLE AGAINST SCHISTOSOMIASIS JAPONICA CHEN CHANG ZHENG XIAN-YU QIAN YI-FEN XIAO SHU-HUA SHAO BAO-RUO HUANG LAN-SUN

Abstract:

The active principle of Hemerocallis thunbergii Baker was isolated by extraction from the pulverized drug with chloroform in Soxhlet apparatus. By chromatography on aluminium oxide, a yellow powder (sample I) was obtained, which became brownish and sintered above 243°C, and finally melted at 266—269°C under decomposition. Its LD50 to mice was 0.95 mg/20 g body weight. Recrystallization from dimethyl formamide yielded orange red crystals (sample II), which also became brownish, sintered above 240°C and melted at 268—269°C under decomposition. Its effect and toxicity were greatly dropped; the LD50 could not be determined below 60 mg/20g. But the effect and the toxicity reappeared when the dose was greatly increased. Dissolve the orange red crystals in sodium hydroxide solution and then acidify by diluted hydrochloric acid, a yellow powder (sample III) was again obtained, which also became brownish, sintered above 240°C, and melted at 268—269°C under decomposition. Its LD50 was 0.34 mg/20 g. The results of experimental therapy of schistosomiasis japonica in mice indicated that the effect of the drug was parallel to its toxicity. The samples I, II and III showed no depression on the determination of the mixed melting point. Their infrared spectrum and that of their acetyl derivatives were all identical. Paper chromatographic tests with three different solvent systems showed in each case only one reddish-violet spot on spraying with ferric chloride reagent. These results indicated that the samples, I, II and III may be the one and same compound whose empirical formula is C16H14O4. The name "hemerocallin" was suggested for the active principle obtained.

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