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论文

石蒜科生物碱的药理研究 Ⅰ.力可立敏与加兰他敏的神经药理作用

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摘要:

近年来,由于加兰他敏(galanthamine)对小儿麻痹症等疾病有一定的疗效,力可立敏(lycoramine,加兰他敏的二氢衍生物)的药理作用也开始受人注意.我所从国产紫花石蒜(*Lycoris squamigera* Maxim)中分离出10余种生物碱,其中有加兰他敏和力可立敏.本文証明力可立敏具有胆碱酯酶抑制剂的药理特性,但作用强度比加兰他敏弱.力可立敏对小自鼠、家兔和猫的急性毒性作用此加兰他敏小2—8倍,其治疗指数接近加兰他敏.力可立敏与加兰他敏都能在胃腸道内被很好地吸收.用阿託品、东莨菪碱及地阿齐能有效地对抗加兰他敏引起的死亡,但不能消除力可立敏的致死作用.以国产加兰他敏与尼瓦林(保加利亚出品的加兰他敏)同时此較观察,証实它們的药理作用与毒性是相符合的.因此它同样也具有临床使用价值.

关键词:

STUDIES ON THE PHARMACOLOGIC ACTIONS OF THE AMARYLLIDACEAE ALKALOIDS I. NEUROPHARMACOLOGIC ACTIONS OF LYCORAMINE AND GALANTHAMINE

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Abstract:

Galanthamine has been used in clinics for treatment of infantile paralysis, myasthenia gravis and other diseases. Recently, 19 alkaloids were isolated at this Institute from *Lycoris squamigera* Maxim, cultivated in China. Among these alkaloids were galanthamine and lycoramine and the content of the latter compound was found to be about 9 times that of the former. It is known that lycoramine is the dihydro derivative of galanthamine, but until now its pharmacologic action has received little attention. In the present paper some neuropharmacologic actions and toxicity of these alkaloids were described. Experiments carried out *in vivo* and *in vitro* showed that lycoramine hydrobromide possessed an inhibitory effect on cholinesterase, and its potency was weaker than that of galanthamine hydrobromide. In mice, rabbits and cats, galanthamine hydrobromide was 2-8 times more toxic than lycoramine hydrobromide. The therapeutic index of the two alkaloids was similar. These alkaloids were found to be easily absorbed from the gastro-intestinal tract. Pretreatment with atropine sulfate, scopolamine or diazyl () protected mice from death produced by a single subcutaneous injection of lethal dose of galanthamine hydrobromide, but did not antagonize the toxicity of lycoramine hydrobromide. In our experiments the pharmacologic actions of galanthamine prepared at this Institute resembled those of nivalin (galanthamine prepared in Bulgaria). It should also have practical value for clinical use.

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