

木豆素制剂药理作用研究

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摘要 木豆素制剂具有显著的抗炎症作用,其作用优于水杨酸,且随着剂量的增加抗炎作用也增强;木豆素制剂对腹腔毛细血管通透性有明显的抑制作用同时还有镇痛作用。

关键词 木豆素制剂 抗炎 抗渗出 镇痛

木豆 *Cajanus cajan* (L.) Millsp 是豆科木豆属植物,又名柳豆、豆蓉、扭豆等,分布于东南亚、印度各国及我国云南、四川、江苏、广东和广西地区^[1],海南岛各地有野生或栽培,资源丰富。木豆嫩叶嚼烂用于治疗口疮,压汁内服可消黄疸,捣烂的浆汁对外伤和疮毒有祛腐生肌作用,叶的煎剂对咳嗽、腹泻等有效^[2]。近年来,海南人民医院根据民间经验,用木豆叶治疗外伤、烧伤感染和褥疮等取得了较好的疗效^[3]。为了开发这一药用植物资源,寻找新的抗炎药物,对木豆叶有效成分木豆素进行了研究。

1 实验材料

药物: 木豆素(cajanin制)剂由本所化学室陈迪华教授提供样品。制剂中木豆素含量在0.4%~0.55%之间。实验时用少量吐温-80增溶制成适当浓度的混悬液。乌头碱由化学室李从军博士提供。

动物: 昆明种小鼠 $20 \pm 2\text{g}$,雌雄兼用,购于中国医学科学院实验动物中心。

2 方法与结果

2.1 木豆素制剂抗炎作用: 将雄性小鼠禁食过夜,次日随机分为木豆素制剂组,水杨酸组(作阳性对照)及溶剂对照组,各组灌胃给药1h之后,小鼠左耳用巴豆油致炎液以0.05ml/只致炎,4h后用打孔器打取左右相应部位耳片,称重。左右耳片重量差为肿胀程度^[4],统计结果见表1。木豆素制剂,水杨酸均有抗炎作用,木豆素制剂的抗炎作用比水杨酸强。

2.2 木豆素制剂抗炎作用的量效关系: 雄性小鼠40只,随机分为对照组及木豆素制剂3个剂量组(478、239、120mg/kg),皮下给药30min后小鼠耳廓致炎,方法同上。4h后处死小鼠,用打孔器在双耳对称处取下耳片,称重。将结果进行统计处理(见图)。木豆素制剂的抗炎作用随剂量的增加抗炎作用也增强。

2.3 木豆素制剂对血管通透性的作用: 将雄性小鼠随机分成2组,禁食过夜,次日灌胃给药30min后尾静脉注射2%靛蓝胭脂红0.2ml/10g。即时腹腔注射0.2ml/10g(0.41%醋酸),继之30min之后,腹腔注射蒸馏水2ml/10g,即时处死。按摩40次/只。取腹水1ml,加0.1ml

表1 木豆素制剂对巴豆油引起的小鼠耳部炎症的作用(n=12)

组别	剂量 (mg/kg)	两耳重量差 (mg)($\bar{x} \pm \text{SD}$)	抑制率 (%)
对照组	等量溶剂	16.89 ± 2.36	—
木豆素制剂	120	$9.89 \pm 1.64^{**}$	41.44
水杨酸	118	$12.55 \pm 1.37^{*}$	25.7

与对照组比较 ** $P < 0.01$ * $P < 0.05$

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三氯醋酸，离心，取上清液，于721型光电比色计660nm波长比色，灵敏度1°。结果见表2。木豆素制剂对血管通透性作用与对照组相比有明显的降低，并且有显著性差异。

2.4 木豆素制剂对小鼠扭体反应的影响：将体重20g左右的雄性小鼠按表3随机分为2组，禁食过夜，次日灌胃给药，随同溶剂对照组，给药1h后，注入小鼠腹腔内0.3%醋酸0.2ml/只，观察15min内小鼠扭体次数。结果如表3所示，灌胃剂量为120mg/kg时，小鼠扭体次数明显减少， $P<0.01$ 。抑制率为59.76%。

表2 木豆素制剂对血管通透性的作用

组别	动物数	剂量 (mg/kg)	吸收度值 ($\bar{x} \pm SD$)	抑制率%
对照组	8	等量溶剂	0.14 ± 0.01	—
木豆素制剂	10	120	0.09 ± 0.04*	38.96

与对照组比较 * $P<0.05$

2.5 木豆素制剂对小鼠痛阈的影响：雌性昆明种小鼠50只，随机分为5组（表4），给药前预先测定每只小鼠的痛阈，禁食12h，按表4给药，1h后置55℃热板上观察舔足反应^[4]。结果见表4。腹腔注射乌头碱及灌服120，200mg/kg的木豆素制剂，均能延长小鼠痛阈（与给药前自身对照比较），延长倍数超过1倍。同时也可以看出给药后，乌头碱阳性对照组和灌服120、200mg/kg的木豆素组的痛阈延长也明显超过给等量溶剂的正常对照组。证明木豆素有镇痛作用。

2.6 急性毒性实验：按孙氏改良寇氏综合法^[4]，将雌雄各半的50只小鼠随机分为5组，给药途径为皮下注射，观察1周，测定结果：LD₅₀ = 2.39g/kg。

3 讨论

民间单方和验方多利用木豆叶治疗外伤创面，感染创面及烧伤感染等疾病。因此我们从木豆叶中分离出的10多种化学成分进行了研究，发现其中木豆素有明显的抗炎、抗渗出及镇痛作用，且毒性小，在有效剂量范围内动物无不良反应。且其最突出的特点为减少分泌物和镇痛。因此通过对木豆素进行深入的研 究，有可能开发出一种新的抗炎药物。

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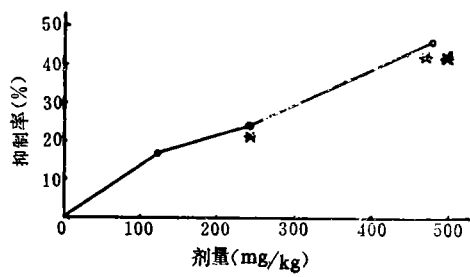


图 木豆素制剂抗炎作用量效关系 (n = 10)
与对照组比较 * $P<0.05$ ** $P<0.01$

表3 木豆素制剂对醋酸引起小鼠疼痛的影响 (n = 8)

组别	剂量 (mg/kg)	扭体反应次数 ($\bar{x} \pm SD$)	扭体反应抑制率%
对照组	等量溶剂	23.42 ± 9.57	—
木豆素制剂	120	9.42 ± 2.76**	59.76

与对照组比较 ** $P<0.01$

表4 木豆素制剂对小鼠痛阈的影响(n = 10)

组别	剂量 (mg/kg)	给药 途径	药后痛反应 延长时间(s) ($\bar{x} \pm SD$)	药后痛反应 延长倍数
空白溶剂	0	ig	6.18 ± 6.91	0.3544
乌头碱	0.025	ip	30.56 ± 9.63***	1.2768
木豆素I	72	ig	3.34 ± 2.46	0.1817
木豆素II	120	ig	20.00 ± 14.09*	1.2176
木豆素III	200	ig	28.56 ± 9.90***	1.6237

与空白溶剂组比较 * $P<0.05$ *** $P<0.001$

Qian hu (*P.harry-smithii* var.*subglabrum*) and Baihua Qianhu (*P.praeruptorum*) was carried out. Results revealed that the former two Qianhu produced in Gansu are similar to Baihua Qian hu in their main ingredients. Thus the two Qianhu are worthy for further research and development. At the same time, it was observed that Baihua Qianhu Produced in Gansu is of inferior quality and the content of EtOH extract of its root is slightly lower than that from elsewhere in China.

(Original article on page 129)

Determination of Schizandrin A and Tanshinone I_A in Wulingwan with TLC-Scanner Method

Wang Xiaojuan, Guo Huifang, Wang Jianpo, et al

TLC-scanner method was used to determine the content of schizandrin A and tanshinone I_A in Wulingwan. The average recovery of both schizandrin A and tanshinone I_A are 98.31% (CV=2.1%) and 99.15% (CV=1.1%) respectively. This method is simple and rapid. Its reproducibility is satisfactory.

(Original article on page 131)

Effect of Extract Zhonghuabie (*Amyda sinensis*) on Syntheses of DNA and Protein in Mice

Huang Tiangui, Tao Zhuliang et al

Extract *Amyda sinensis* raised the levels of Plasma proteins. Plasma albumin was raised from 2.67 ± 0.44 to 3.25 ± 0.34 g/dl and the total plasma protein from 5.34 ± 0.88 to 6.74 ± 1.38 /dl. ³H-TdR and ³H-Leucine incorporation techniques were used to measure the syntheses rate of DAN and protein. The rates was accelerated. The specific activities of DNA and protein of liver got up to 3.90 ± 1.41 from 2.42 ± 0.71 dpm/ μ g, and 21.69 ± 4.84 from 12.81 ± 5.83 dpm/ μ g, respectively. Those of spleen got up to 41.88 ± 18.47 from 19.04 ± 10.54 dpm/ μ g and 23.12 ± 4.38 from 16.34 ± 7.01 dpm/ μ g, respectively. Extract *Amyda sinensis* had no effect on DNA synthesis of bone marrow cells and did not raise the hemoglobin level in mice. The results suggest that Extract *Amyda sinensis* has bioactive substance that accelerate syntheses of DNA and protein.

(Original article on page 138)

Effects of Sini Decoction on Ischemic (Anoxic) Electrocardiogram

Wu Weikang Jin Wentao, Luo Canhua, et al

Effects of Sini decoction (SD) on ischemic (anoxic) electrocardiogram (ECG) and possible action mechanism of SD were studied.

Results indicate that SD significantly improves the pituitrin induced ischemic ECG of rabbits, significantly prevents S-T segment from descending and suppresses the elevation of T wave; SD can also lengthen significantly cardioelectric activity time of anoxic mice. The protective effects of SD on ischemic (anoxic) myocardium may be related to the significant increase of myocardial nutritional blood flow induced by administrating SD.

(Original article on page 141)

Studies on the Pharmacology of Cajanin Preparation

Sun Shaomei, Song Yumei, Liu Jian, et al

Cajanin preparation could significantly reduce the mouse pinna inflammation induced

by croton oil. The higher the dose, the stronger the effect. It also inhibited the infiltration increase of intraperitoneal blood capillary of mice led by acetic acid. In addition, the preparation had an analgesic effect. These experimental results indicated that cajanin may be hopefully developed to a new drug for the treatment of scald trauma, and infection.

(Original article on page 147)

Studies on Medicinal Fungi in Hunan

Zhou Ribao, Zhou Tianda, Shi Anmin

A brief survey of the resources of fungi in Hunan Province used for medicinal purposes was carried out by studying their distribution and cultivation. Their rational use and future development were briefly discussed.

(Original article on page 149)

A Preliminary Study on Leaf Smut of Rhubarb

Wang Shengrong, Bai Hongcai

A new disease of Rhubarb occurred in Gansu Province of China was reported. The disease appears along the veins of lower leaf surface of Rhubarb (*Rheum palmatum*) with swelling, and shows a reddish-purple color at the beginning and turns pale brown late. On the leaf appears yellowish reticulated spots, in Petioles the spots are tumourlike blisters arranged in rows. The growth of the smaller and in severe attacks the whole plant eventually withered. The causal pathogen was identified as a smut *Thecaphora schwarzmaniana* and seems to be the first reported case that occurs in China. Methods for its control are suggested.

(Original article on page 151)

Morphological and Microscopical Identification of Mongolian Drug Manchurian Tubergourd (*Thladiantha dubia*) and Its Adulterant

Japanese Snakegourd (*Trichosanthes cucumeroides*)

Wu Xiangjie, Yan Wenmei

Chipaozi, the dried mature fruit of *Thladiantha dubia* Bge. family *Cucurbitaceae*, has been found to be used in mixture with Wanggua, the fruit of *Trichosanthes cucumeroides* (Ser.) Maxim. of the same family. A comparative study on the morphological and characteristics of these two drugs were carried out and reported.

(Original article on page 153)

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