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· 药 学 ·

黄连花茎化学成分和药理作用的研究进展 *

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摘要:传统中药材黄连因其含有黄连素、黄连碱、黄藤素、药根碱等生物碱类,黄酮类和酚类活性物质,而具有清热解毒、抗炎抗病毒、降血糖血脂血压、保护胃肠道、抗肿瘤等作用。近些年体内和体外研究发现,黄连花茎与黄连具有相似的化学活性物质,在体内内外具有广泛的药理作用。本文就近几年黄连花茎的化学成分和药理作用做一综述,为黄连花茎的综合开发和合理应用提供思路。

关键词:黄连;黄连花茎;化学成分;药理作用;研究进展

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Advances in the Study of Chemical Composition and Pharmacologic Action of Coptis Chinensis Inflorescence*

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ABSTRACT: Coptis chinensis franch of traditional Chinese medicine contains alkaloids (including the berberine, coptisine, palmatine, and jatrorrhizine, et al.), flavonoids, and phenolic active substances. It can heat-clearing and detoxicating, anti-viral and anti-inflammatory, lowering blood sugar/fat/pressure, protecting the gastrointestinal tract, and anti-tumor. In recent years, studies have found that Coptis chinensis inflorescence has similar chemical active substances with Coptis chinensis Franch, and has a wide range of pharmacological effects in vitro and in vivo. This review is to summarize the current research of chemical composition and pharmacological action of coptis chinensis inflorescence, to provide potential candidates for synthetic exploitation and its reasonable application.

Key words: Coptis chinensis franch; Coptis chinensis inflorescence; Chemical composition; pharmacologic action;

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黄连花茎是毛茛科(Ranunculaceae)传统中药黄连(Coptis chinensis Franch.)的花序,春季开花,摘除能增产黄连根茎,在黄连生产基地除留种外皆摘除丢弃,造成了大量的资源浪费。现已将黄连花茎开发成黄连花茶饮品供应于市,取得了一定的经济效益。近年大量研究发现,黄连花茎含有大量的生物碱、黄酮和酚类活性物质,具有降血脂血糖血压、抗氧化、促进小肠蠕动、促进排便和保护心肌缺血再灌注损伤等作用,为黄连花茎资源的综合开发和合理应用提供了新的发展方向。

1 黄连花茎的化学成分

近年研究发现,黄连花茎含有大量的生物碱,如黄连素、黄连碱、黄藤素、药根碱等;黄酮类和酚类活性物质;以及大量的氨基酸和矿物质;对黄连花茎的深入研究和综合开发具有重要意义。众所周知,黄连为一种非常重要的传统中药,常用于治疗各种炎症、出血、高血糖和高血压等疾病,这些药理作用与其含有黄连素、黄连碱、黄藤素、药根碱等生物碱类活性物质有关^[1]。黄连花茎为黄连的副产品也含类似的生物碱类活性物质

^[2-4]。研究证明^[2],黄连花茎和叶与黄连根茎一样,含有大量的黄连素、总生物碱和氨基酸,黄连花、叶和根茎中三者的含量分别为 1.16%、1.76%和 5.42%, 2.02%、2.94%和 10.12%, 20.63%、12.18%和 5.82%。Yuan LJ 等^[3]也报道,黄连花茎水提取物干浸膏中含有大量的生物碱类物质,并且黄连素占到了总生物碱的 40%,即 100g 干浸膏中含 8.11g 总生物碱、3.34g 黄连素、1.08g 大黄藤碱和 0.66g 药根碱,以及大量的氨基酸和矿物质。进一步研究研究发现^[4],黄连花茎富含多种人体必需氨基酸,并且所含的 7 种必须氨基酸占总氨基酸的 39.42%,而所含氨基酸占花茎的 17.44%;黄连花茎富含的矿物质有 K、Ca、Fe、Mg、Cu、Zn、Mn、Ni 等,含量分别是 2893、870.33、572.5、1137、16.33、208.67、0.013 mg/100g。屠大伟等^[5]通过对黄连同花期的花茎进行总生物碱和盐酸黄连素含量的比较发现,初花期的花茎中的总生物碱和盐酸黄连素含量最高,分别为 3.95%和 0.52%。Ban XQ 等^[6]研究报道,黄连花茎 70%乙醇、正丁醇、乙酸乙酯、环己烷和氯仿五种溶剂的提取物的提取率分别是 38%、8.78%、7.46%、2.59%和 10.76%;前三种溶剂提取物中含有大量的酚类化合

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物,后三种溶剂提取物中含有黄酮类物质,其中 70%乙醇提取物酚类物质占到了 87.66,乙酸乙酯提取物黄酮类物质占到了 1.48。

2 黄连花茎的药理作用

黄连单味或组方治疗咯血、呕血、炎症、脑和消化道出血、高血压、高血糖等疾病。近年研究表明,黄连花茎因含有大量的生物碱类、黄酮类和酚类活性物质而在体内外具有降血脂血糖血压、抗氧化、促进小肠蠕动、促进排便和保护心肌缺血再灌注损伤等作用。

2.1 降血脂血糖血压作用

有资料表明,血浆中的 TC 的增加,特别是低密度脂蛋白胆固醇(LDL-C)及其氧化衍生物,是冠状动脉粥样硬化的一种严重病理学改变,并且在动脉粥样硬化过程中扮演着重要的作用;而通过饮食疗法或药物疗法降低血浆中的胆固醇可减少冠状动脉疾病的发病率和死亡率^[7-12]。研究发现^[13],黄连花茎提取液能显著降低高脂血症大鼠血清中总胆固醇(TC)、甘油三酯(TG)、LDL-C,升高高密度脂蛋白胆固醇(HDL-C),抑制腹腔和肝脏脂肪的富集,从而发挥其降血脂的作用,对预防和治疗脂肪肝和动脉粥样硬化具有一定的作用。Yuan LJ 等^[14]报道,给用含 18%酪蛋白、1%胆固醇和 0.5%胆酸饮食诱导的高胆固醇血症老鼠黄连花茎水提物灌胃,可显著的降低 TC 和 LDL-C 的水平,并且成剂量依赖关系;给用四氧嘧啶诱导的高血糖症老鼠黄连花茎水提物灌胃三周,可显著降低血糖。黄连着为一种传统中药,单用或组方降血糖作用可能与黄连多糖保护胰腺的胰岛和 β 细胞,从而增加胰岛素的分泌有关。有研究发现,黄连素可通过刺激胰岛素分泌、调节脂质代谢、抑制肠黏膜对葡萄糖的吸收和增加胰岛素的利用率来降低血糖作用^[14,15]。

2.2 抗氧化

活性氧(Reactive Oxygen Species, ROS)是指化学性质活跃的含氧原子或原子团,如超氧自由基($\cdot\text{O}_2^-$)、过氧化氢(H_2O_2)、羟自由基($\cdot\text{OH}$)等。当细菌或异物进入机体,ROS 可以杀灭细菌和清除异物,而过多的 ROS 可以氧化不饱和脂肪酸、蛋白质和 DNA 等而造成损伤,使机体发生病变。正常情况下机体内的超氧化物歧化酶、过氧化氢酶等可以清除 ROS,使机体在清除细菌和异物的同时免遭氧化损伤。

众多研究发现,黄连花茎及提取物和黄连单药或方剂具有相似的化学活性物质,在体内外能够清除 ROS 发挥其抗氧化作用。谢云峰等^[16]和王利津等^[17]报道,黄连解毒汤中黄连、黄芩、黄柏和栀子四味中药均具有直接清除活性氧的作用,其汤体不但能明显抑制红细胞自氧化或 H_2O_2 的所致红细胞溶血,并能抑制小鼠肝匀浆自发笱或 Fe^{2+} -Vit C 诱发的脂质过氧化反应,对 H_2O_2 所产生的羟自由基亦有直接的清除作用。黄连提取物不但对小鼠红细胞溶血和脂质过氧化具有明显的抑制作用,还具有极强的清除超氧化和羟自由基清除活性^[19,20],而黄连的止泻解毒作用也可能与其抗氧化和自由基清除活性有关^[21]。黄连甲醇提取物含有落叶松脂素和反式阿魏酸,二者对羟基苯乙酯具有清除作用,且表现出超氧化物歧化酶样作用,前者清除自由基清除活性比抗体坏血酸更强^[22-24]。Ban XQ 等^[6]研究发现,黄连花茎 70%乙醇提取物含有大量酚类物质,在体内外具有强烈的抗氧化活性,进一步研究发现其发挥抗氧化活性与其增加超氧化物歧化酶(SOD)、过氧化氢酶(CAT)和[还原型谷胱甘肽(GSH)]的表达,使机体清除自由基的能力增加,从而减少机体

蛋白质和脂质等的氧化,进而减少机体的氧化损伤。屠大伟等^[26]用水杨酸法测定黄连花茎的抗氧化能力时发现,黄连花茎水浸出物具有清除羟自由基($\cdot\text{OH}$)、超氧自由基($\cdot\text{O}_2^-$)和过氧化氢(H_2O_2)的能力。

2.3 其它

黄连花茎或提取物除了具有降血脂血糖血压和抗氧化作用外,还具有其它作用。如黄连花茎复配物在地芬诺酯引起小鼠便秘模型中表现出促进小肠蠕动和促进排便的功效^[25];在酵母致大鼠发热模型的解热实验中表现出解热的功效^[26]。付晓春等^[27]报道,黄连解毒汤能通过抑制心肌核因子 I κ B 诱导激酶和 I κ B 激酶 β 水平的表达,减少 I κ B α 蛋白的磷酸化降解,进而抑制 NF- κ B 的过度活化途径来保护心肌缺血再灌注的损伤作用。

3 小结与展望

在我国黄连作为传统名贵中药药,已有悠久的栽培、炮制和应用历史,如今我国年产量 170~220 万公斤。黄连移栽后第二年开始,每年春季开花,为使黄连丰产,除留种外常将花茎摘除弃去,在各生产基地大量的黄连花茎抛弃田间地头。近年的大量的研究发现,黄连花茎具有降血脂血糖血压、抗氧化、促进小肠蠕动、促进排便和保护心肌缺血再灌注损伤等作用,为黄连的综合开发提供思路;石柱黄连生产基地和科研单位合作,在黄连花茎综合开发利用这方面已作出了尝试,现已将黄连花茎开发成黄连花茶饮品供应于市,取得了一定的经济效益。但在黄连资源的综合开发和合理利用上还不够理想,仍需各大生产基地和广大学者不断去努力,如尝试将黄连花茎开始发成抗衰老和预防相关疾病的保健食品或作为抗氧化剂加以使用,将具有重要的经济价值和社会价值。

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