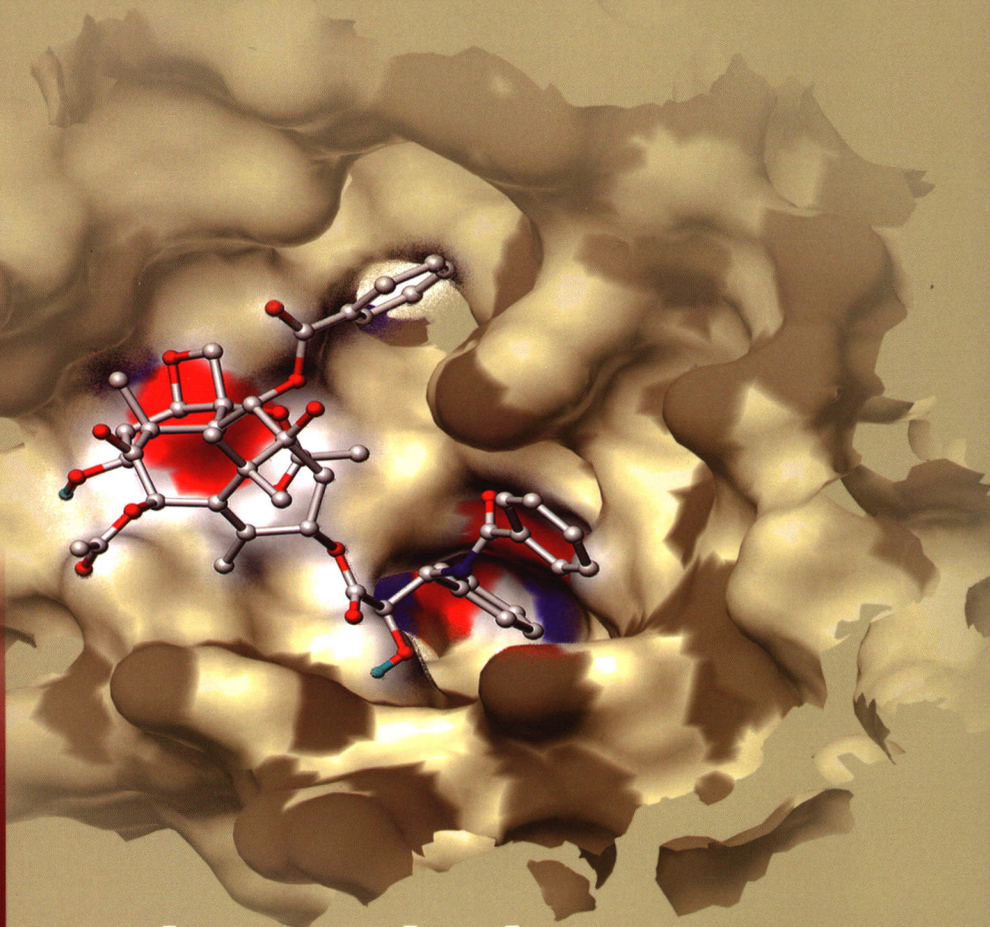




# 药 学 学 报

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### 专题报道

欧阳淑群, 何蓉蓉等

铁死亡主要检测方法及其应用的  
研究进展  
万方数据

刘江涵子, 何蓉蓉等

Omega-6型多不饱和脂肪酸增加急性心  
肌缺血损伤“易感性”的机制研究



中国药学会  
中国医学科学院药物研究所

# 药 学 学 报

第 57 卷 第 6 期 2022 年 6 月

## 图 文 摘 要

### 专题报道：疾病氧化应激损伤机制与药物干预研究

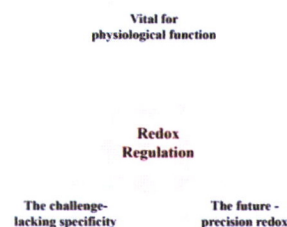
1541

#### 精准氧化还原调控

陈畅<sup>1,2</sup>

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本文分析了抗氧化剂研发和应用中长期面临的挑战和背后的主要原因, 提出细胞和机体具有精准氧化还原属性, 因而氧化还原干预策略必须精准。精准氧化还原调控是未来发展方向。



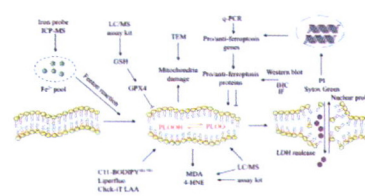
1544

#### 铁死亡主要检测方法及其应用的研究进展

欧阳淑桦<sup>1,2,3</sup>, 吴燕萍<sup>1,2,3</sup>, 孙万阳<sup>1,2,3</sup>, 闫昌誉<sup>1,2,3</sup>, 栗原博<sup>1,2,3</sup>, 李怡芳<sup>1,2,3</sup>, 何蓉蓉<sup>1,2,3\*</sup>

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基于铁死亡细胞特殊的形态学、基因学及生物化学变化而设计的检测方法, 已广泛应用于铁死亡相关研究, 本文主要综述了目前常规使用的铁死亡检测方法及其应用, 并对它们在铁死亡检测中的优缺点进行总结和讨论。



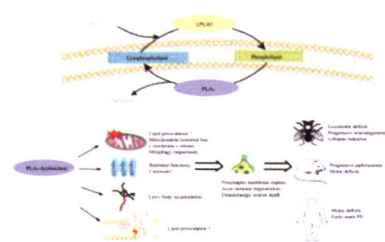
1557

#### 磷脂重塑与帕金森病

王萌<sup>1,2,3</sup>, 栗原博<sup>1,2,3</sup>, 李怡芳<sup>1,2,3</sup>, 段文君<sup>1,2,3\*</sup>, 何蓉蓉<sup>1,2,3</sup>

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磷脂重塑通过改变磷脂中脂肪酸成分实现新生磷脂向成熟磷脂的转化, 磷脂重塑失调会影响细胞的生理学功能, 进而参与包括神经退行性疾病在内的许多病理学过程。本文综述了磷脂重塑的基本过程及参与磷脂重塑的关键酶, 尤其是*iPLA2β*在帕金森病发生发展的重要角色, 有助于了解磷脂重塑在帕金森病中的作用。



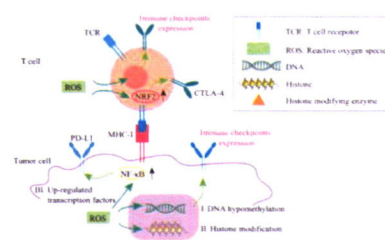
1565

#### 活性氧对肿瘤免疫检查点的调控作用及机制研究进展

李晓凤<sup>1</sup>, 王圆<sup>2</sup>, 韦淑颖<sup>2</sup>, 邹伟<sup>2</sup>, 罗欣<sup>2</sup>, 李佳怡<sup>2</sup>, 韦忠红<sup>2</sup>, 余苏云<sup>2</sup>, 李晓曼<sup>2</sup>, 陈文星<sup>2</sup>, 王爱云<sup>2</sup>, 赵杨<sup>1</sup>, 陆茵<sup>2,3,4</sup>, 吴媛媛<sup>2\*</sup>

(1. 南京中医药大学, 整合医学学院, 江苏 南京 210023; 2. 南京中医药大学药学院, 江苏省中药药效与安全性评价重点实验室, 江苏 南京 210023; 3. 南京中医药大学, 江苏省中医药与再生医学研究国际合作联合实验室, 江苏 南京 210023; 4. 南京中医药大学, 江苏省中医药防治肿瘤协同创新中心, 江苏 南京 210023)

活性氧 (ROS) 在肿瘤微环境中对免疫检查点的调控作用。ROS 一方面通过 DNA 低甲基化、组蛋白修饰上调免疫检查点的表达; 另一方面通过转录激活上调 PD-L1、CTLA-4 等免疫检查点。

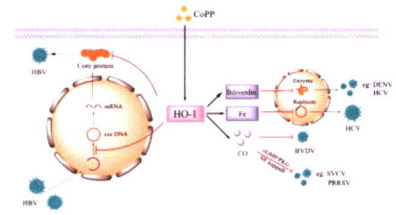


1574

血红素加氧酶-1 在病毒感染过程中的保护作用研究进展

孙白荷, 王艺婷, 陆雨霏, 马琳琳\* (上海健康医学院医学技术学院, 上海 201318)

血红素加氧酶-1 (heme oxygenase-1, HO-1) 是一种细胞保护酶, 近年来被发现具有抑制多种病毒的生物学作用。本综述阐述了 HO-1 对病毒的抑制和机体的保护作用主要包括 3 种机制: HO-1 及下游产物对病毒复制的直接抑制作用; 通过 HO-1 激活宿主细胞 I 型干扰素相关的先天免疫对抗病毒复制; HO-1 及其下游产物抑制病毒感染引起的炎症损伤等。

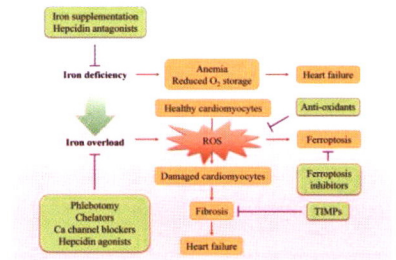


1584

铁代谢及其在心力衰竭治疗中的作用机制研究进展

韦晓丽, 周吉超, 张晓伟\* (中国医学科学院、北京协和医学院药物研究所, 天然药物活性物质与功能国家重点实验室, 中国医学科学院代谢紊乱和肿瘤发生相关机制和靶点发现重点实验室, 北京 100050)

铁代谢紊乱促进了心衰的发生发展, 靶向铁代谢紊乱有望为治疗心力衰竭提供新的选择。

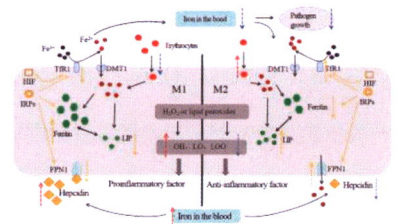


1593

铁转运平衡在“铁-炎”稳态偶联中的研究进展及治疗探讨

杨丽娜, 杜欣珂, 刘丽, 李曼菁, 冉庆森, 杨庆, 孙立东, 李玉洁, 陈颖, 朱晓新\*, 李琦\* (中国中医科学院中药研究所, 北京 100700)

铁稳态与炎症“促进-消散”平衡之间具有明确的偶联关系, 巨噬细胞的铁转运平衡在此整合单元中发挥着重要的调控作用。

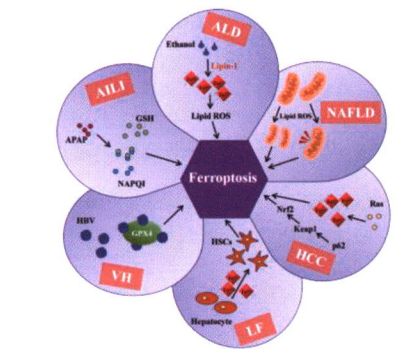


1604

铁死亡在肝脏疾病中的作用及治疗策略

王家琪<sup>1</sup>, 李惠怡<sup>1</sup>, 黄于乔<sup>2</sup>, 翁琦青<sup>1</sup>, 王桂香<sup>2</sup>, 兰天<sup>1\*</sup> (1. 广东药科大学中医药研究院, 广东 广州 510006; 2. 广东药科大学药学院, 广东 广州 510006)

本文将铁死亡的调控机制、研究现状及其在肝脏相关疾病中的作用作一综述, 为铁死亡的深入研究和肝脏疾病的治疗提供新的理论基础和研究思路。

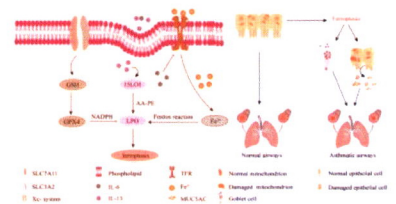


1614

气道上皮细胞铁死亡在哮喘中的作用: 现状与展望

陈雪梅<sup>1,2</sup>, 梁娟<sup>1,2</sup>, 宋秀玲<sup>1,2</sup>, 刘小花<sup>1,2</sup>, 薛楚鹏<sup>1,2</sup>, 黄宇戈<sup>1</sup>, 李文<sup>1\*</sup> (1. 广东医科大学附属医院儿科, 广东 湛江 524001; 2. 广东医科大学研究生学院, 广东 湛江 524001)

由脂质过氧化、铁积累和抗氧化系统失衡诱导的气道上皮细胞铁死亡促进哮喘的发展, 并加重哮喘的症状。

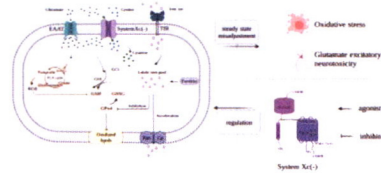


1621

**胱氨酸/谷氨酸反向转运体作为药物靶点的研究进展**

蒋楠<sup>1,2</sup>, 杜立达<sup>3</sup>, 孔德文<sup>2</sup>, 庞晓斌<sup>1</sup>, 杜冠华<sup>1,2\*</sup>

(1. 河南大学药学院, 河南 开封 475004; 2. 中国医学科学院、北京协和医学院药物研究所, 北京 100050; 3. Department of Surgery, University of Toronto, Toronto M5S1A8, Canada)



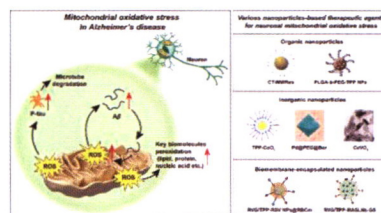
本文对胱氨酸/谷氨酸反向转运体 [system Xc(-)] 的结构、功能进行阐述, 分析该转运体在生理和病理中的作用, 讨论其在不同疾病中的作用及机制, 探讨 system Xc(-) 作为药物靶点的具体研究进展。

1630

**阿尔茨海默病的线粒体氧化应激及靶向递送系统研究进展**

周玲玲, 钱康, 杨鹏, 张奇志\*

(复旦大学药学院, 教育部智能化递药重点实验室, 上海 201203)



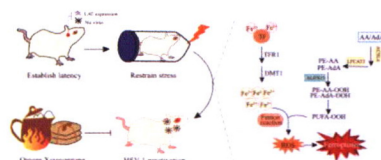
本文介绍了线粒体氧化应激在阿尔茨海默病发病机制网络中的重要作用, 并重点阐述了以神经元线粒体氧化应激为靶点的药物递送系统设计及干预策略。

1641

**清热消炎宁抑制潜伏I型单纯疱疹病毒激活的作用研究**

姜珊<sup>1,2</sup>, 牛杰<sup>1,2</sup>, 欧阳淑桦<sup>1,2,3</sup>, 江涛<sup>4</sup>, 彭红英<sup>4</sup>, 罗卓<sup>1,2</sup>, 栗原博<sup>1,2</sup>, 李怡芳<sup>1,2</sup>, 何蓉蓉<sup>1,2,3\*</sup>

(1. 暨南大学, 广东省疾病易感性及中医药研发工程技术研究中心, 广东 广州 510632; 2. 暨南大学药学院中药及天然药物研究所, 广东 广州 510632; 3. 暨南大学中医学院, 广东 广州 510632; 4. 广州白云山敬修堂药业股份有限公司, 广东 广州 510130)



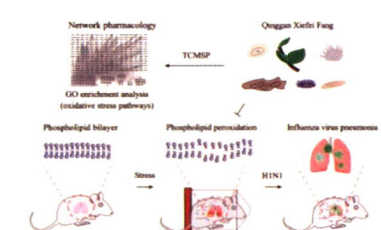
清热消炎宁能抑制情志应激诱导的I型单纯疱疹病毒 (HSV-1) 激活复发, 其作用机制可能与干预铁死亡有关。

1649

**清肝泻肺方治疗肝火犯肺增加流感病毒易感性的作用研究**

陆钰辉<sup>1,2,3</sup>, 欧阳淑桦<sup>1,2,3</sup>, 翁竞玉<sup>1,2,3</sup>, 刘佩<sup>1,4</sup>, 陈新星<sup>1,2,3</sup>, 栗原博<sup>1,2,3</sup>, 李怡芳<sup>1,2,3\*</sup>, 何蓉蓉<sup>1,2,3</sup>

(1. 暨南大学, 广东省疾病易感性及中医药研发工程技术研究中心, 广东 广州 510632; 2. 暨南大学, 中药及天然药物研究所, 广东 广州 510632; 3. 暨南大学, 广东省中药药效物质基础及创新药物研究重点实验室, 广东 广州 510632; 4. 澳门科技大学中医药学院, 中药质量研究国家重点实验室, 澳门 999078)



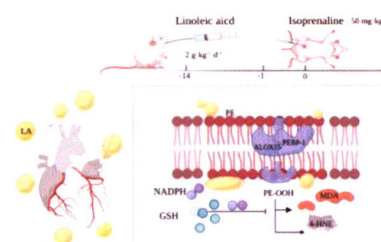
清肝泻肺方通过抑制磷脂过氧化降低情志应激诱导的流感病毒易感性, 缓解病毒性肺炎症状。

1657

**Omega-6 型多不饱和脂肪酸增加急性心肌缺血损伤“易感性”的机制研究**

刘江涵子<sup>1,2,3</sup>, 马晓慧<sup>1,2,3,4</sup>, 袁天慧<sup>5</sup>, 孙万阳<sup>1,2,3</sup>, 李怡芳<sup>1,2,3</sup>, 栗原博<sup>1,2,3</sup>, 何蓉蓉<sup>1,2,3\*</sup>

(1. 暨南大学, 广东省疾病易感性及中医药研发工程技术研究中心, 广东 广州 510632; 2. 暨南大学药学院, 中药及天然药物研究所, 广东 广州 510632; 3. 暨南大学, 广东省中药药效物质基础及创新药物研究重点实验室, 广东 广州 510632; 4. 新疆医科大学中医学院, 新疆 乌鲁木齐 830054; 5. 广州中医药大学第一附属医院, 广东 广州 510405)



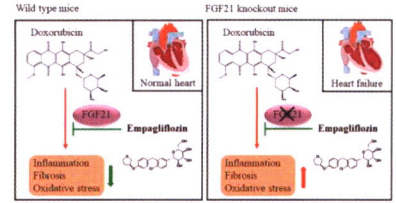
本研究主要通过亚油酸负荷异丙肾上腺素的小鼠模型探讨 omega-6 型多不饱和脂肪酸对心肌缺血的影响。实验结果表明, 过量摄入亚油酸会增加心肌细胞膜磷脂侧链的不饱和度, 为异丙肾上腺素诱导上调的磷脂氧化酶 ALOX15 提供有效氧化底物, 从而增加心肌缺血损伤的“易感性”。

1664

**FGF21 在依帕列净抑制心衰中的作用和机制研究**张梦雪<sup>1</sup>, 王垣钰<sup>2</sup>, 段亚君<sup>3</sup>, 张爽<sup>1\*</sup>

(1. 合肥工业大学食品与生物工程学院, 安徽 合肥 230601; 2. 北京生物医药研究所, 北京 100091; 3. 中国科学技术大学附属第一医院 (安徽省立医院), 安徽 合肥 230022)

在野生型小鼠中, 依帕列净 (EMP) 通过纤维母细胞生长因子 21 (FGF21) 抑制多柔比星 (Dox) 诱导的心衰, 而在 FGF21 敲除小鼠中, 由于 FGF21 表达缺失, 导致 EMP 通过 FGF21 发挥抗炎、抗纤维化、抗氧化应激的作用减弱, 因此对于 Dox 诱导的心衰的改善效果显著减弱。

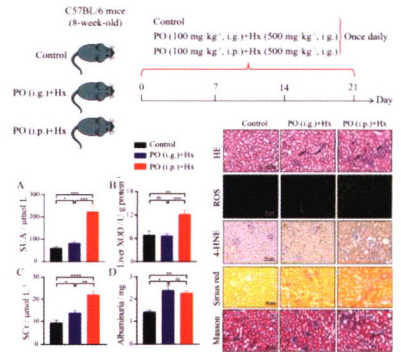


1673

**高尿酸血症肾病小鼠模型的优化及效果评价**李明慧<sup>1</sup>, 吴铠初<sup>1</sup>, 陈哲<sup>1</sup>, 孙蕾妍<sup>1</sup>, 黄晓其<sup>2</sup>, 胡旭光<sup>1</sup>, 兰天<sup>1\*</sup>

(1. 广东药科大学, 广东 广州 510006; 2. 广州中医药大学, 广东 广州 510006)

本研究证实, 采用氧嗪酸钾腹腔注射给药方式较之灌胃给药方式更易形成高尿酸血症肾损伤模型。

**综述**

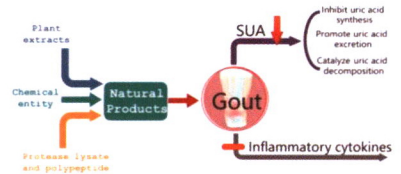
1679

**具有降尿酸或抗痛风活性的天然产物研究进展**

张志姣, 梁瑞鹏, 赵彤, 徐淑静, 刘新泳\*, 展鹏\*

(山东大学药学院药物化学研究所, 化学生物学教育部重点实验室, 山东 济南 250012)

本文综述了具有降尿酸或抗痛风药理作用的天然产物及其机制研究, 以期作为药物研发提供参考。



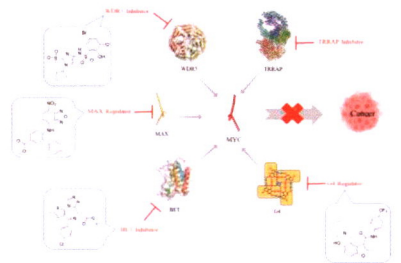
1689

**靶向 MYC 小分子抑制剂的研究进展**徐俊杰<sup>1,2</sup>, 尤启冬<sup>1,2\*</sup>, 郭小可<sup>1,2\*</sup>

(1. 中国药科大学, 江苏省药物分子设计与成药性优化重点实验室, 江苏 南京 211198;

2. 中国药科大学药学院, 江苏 南京 211198)

本文概述了靶向 MYC 小分子抑制剂的研究进展。



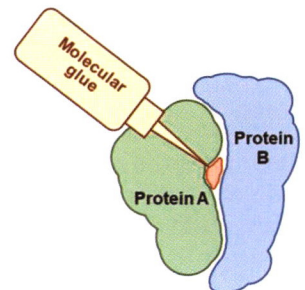
1702

**基于天然产物及其衍生物的分子胶水的研究进展**

何佳\*, 宋坤玲#, 郭祖奉\*, 党永军\*

(重庆医科大学生命科学研究院, 新靶标与化学干预研究中心, 重庆 400016)

“分子胶水”修饰蛋白质表面以赋予其“获得性功能”, 这可能是一种针对不可成药蛋白的独特且有前景的疗法。



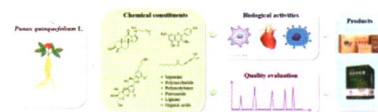
1711

**西洋参化学成分、生物活性、品质评价及产品开发研究进展**

吴首蓉, 郭晓宇\*, 屠鹏飞, 姜勇\*

(北京大学药学院, 天然药物及仿生药物国家重点实验室, 北京 100191)

本文系统综述了近年来西洋参在化学成分、生物活性、品质评价及产品开发方面的研究进展, 以便为西洋参今后的综合开发利用指明方向。



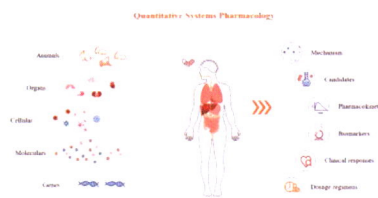
1726

**定量系统药理学在抗肿瘤药物研究中的进展**

杨迪虹<sup>1,2</sup>, 王琛瑀<sup>1</sup>, 方罗<sup>2</sup>, 焦正<sup>1\*</sup>

(1. 上海市胸科医院, 上海交通大学医学院附属胸科医院, 上海 200030; 2. 中国科学院大学附属肿瘤医院 (浙江省肿瘤医院), 浙江 杭州 310005)

定量系统药理学通过定量描述药物与体内分子、细胞和组织在时间与空间多维度相互作用的网络关系, 可以更好地理解抗肿瘤药物的作用机制、遴选合适生物标志物、有效预测药物的疗效、毒性、耐药, 筛选优势治疗人群, 制定精准的治疗方案。



1734

**植物代谢组学在药材质量评价中的研究进展与展望**

田淑云<sup>1#</sup>, 廖朝华<sup>2#</sup>, 周紫薇<sup>1</sup>, 唐琴<sup>1</sup>, 李凤琴<sup>1</sup>, 宋松平<sup>1</sup>, 胡生福<sup>1\*</sup>, 徐艳琴<sup>1\*</sup>

(1. 江西中医药大学药学院, 江西 南昌 330004; 2. 江西康恩贝天施康药业有限公司质量部, 江西 鹰潭 335200)

本研究系统阐述植物代谢组学结合化学计量学分析在药材质量控制和评价中的应用和成效。植物代谢组学方法核心是全面获取代谢物信息, 进而筛选鉴定差异代谢物或特异性标志化合物。



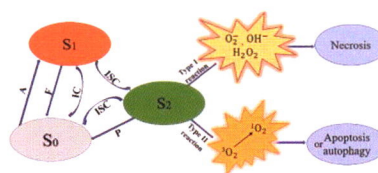
1750

**光敏剂在胶质母细胞瘤光动力治疗中的研究进展**

赵红诚<sup>#</sup>, 王栋清<sup>#</sup>, 李青芸, 邓昊, 谭潇\*, 刘晓雯\*

(三峡大学肿瘤微环境与免疫治疗湖北省重点实验室, 三峡大学医学院, 湖北 宜昌 443003)

各类光敏剂介导的光动力疗法辅助治疗胶质母细胞瘤的研究前景。



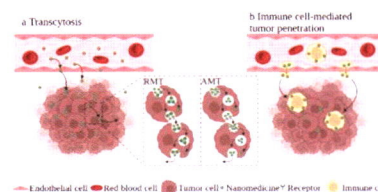
1758

**促进抗癌纳米药物肿瘤渗透的新型策略**

黄婧, 邹俊娜, 任欢欢, 王珊\*

(中南大学化学化工学院制药工程系, 湖南 长沙 410083)

本文综述了促进抗癌纳米药物肿瘤渗透的两种新型策略: 主动转胞吞策略和免疫细胞介导的肿瘤渗透策略。



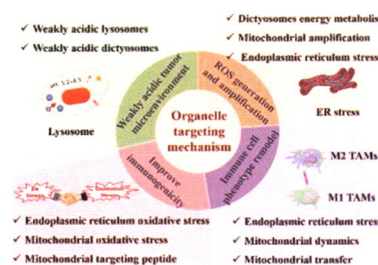
1771

**肿瘤微环境调节型细胞器靶向递药系统的研究进展**

吴诗洋<sup>1#</sup>, 常爽<sup>1#</sup>, 陈晴<sup>2</sup>, 史梦浩<sup>1</sup>, 赵明<sup>1</sup>, 胡海洋<sup>1\*</sup>, 陈大为<sup>1\*</sup>

(1. 沈阳药科大学药剂系, 辽宁 沈阳 110016; 2. 美国爱荷华大学药理系, 爱荷华州爱荷华市 50011)

细胞器靶向递送系统在调节肿瘤微环境中的酸碱度、活性氧含量、免疫原性及免疫抑制方面的研究进展。



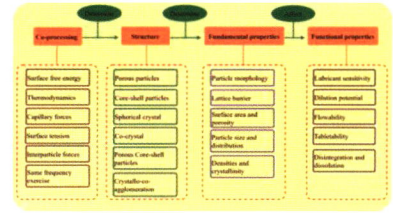
1781

基于粒子设计原理的中药粉体改性研究进展

朱卫丰<sup>1</sup>, 陈富财<sup>1</sup>, 刘文君<sup>2</sup>, 明良山<sup>1\*</sup>, 管咏梅<sup>1</sup>, 陈丽华<sup>1</sup>, 李哲<sup>1\*</sup>

(1. 江西中医药大学, 现代中药制剂教育部重点实验室, 高等研究院, 中医基础理论分化发展研究中心, 江西 南昌 330004; 2. 江中药业股份有限公司, 江西 南昌 330049)

本综述总结了粒子设计原理、粉体改性技术、粉体改性所用设备及其在中药粉体中的应用。



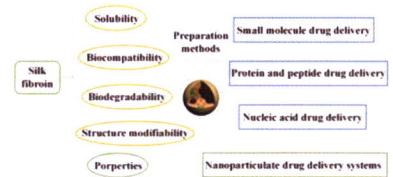
1792

基于丝素蛋白的纳米粒药物递送系统研究进展

陈智洋, 叶军, 王洪亮, 杨艳芳, 程佳玲, 周航, 刘玉玲\*

(中国医学科学院、北京协和医学院药物研究所, 药物传输技术及新型制剂北京市重点实验室, 北京 100050)

本综述总结了丝素蛋白 (SF) 的基本特征、SF 载药纳米粒的制备方法和 SF 在纳米粒药物递送系统的应用。



研究论文

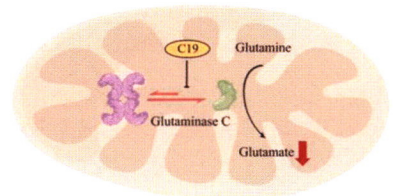
1801

化合物 C19 抑制谷氨酰胺酶 C 活性研究

杜婷婷, 刘羿晨, 张智慧, 王伟达, 季鸣\*, 陈晓光\*

(中国医学科学院药物研究所, 天然药物活性物质与功能国家重点实验室/创新药物非临床药物代谢及 PK/PD 北京市重点实验室, 北京 100050)

化合物 C19 通过直接作用于谷氨酰胺酶 C (GAC), 影响其四聚体的形成和酶催化活性, 进而降低肿瘤细胞内谷氨酸含量, 抑制肿瘤细胞增殖。



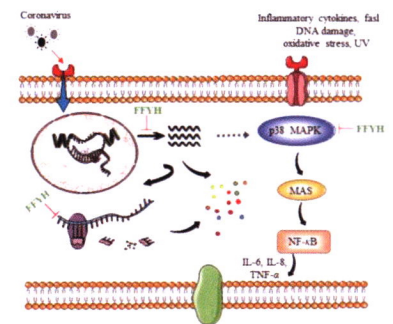
1808

复方银花解毒颗粒抗冠状病毒药效作用及初步机制研究

郑志慧<sup>1,2#</sup>, 王琨<sup>3#</sup>, 卫海琳<sup>1,2#</sup>, 王雯蕾<sup>1</sup>, 吴建雄<sup>4</sup>, 王荣花<sup>1</sup>, 苏勤<sup>1</sup>, 李玉环<sup>3</sup>, 张评滢<sup>1,2\*</sup>

(1. 扬州大学医学院, 转化医学研究院, 江苏省中西医结合老年病防治重点实验室, 江苏 扬州 225009; 2. 扬州大学, 江苏省人兽共患病重点实验室, 江苏 扬州 225009; 3. 中国医学科学院、北京协和医学院医药生物技术研究所, 中国医学科学院抗病毒药物研究重点实验室, 北京 100050; 4. 亿帆医药股份有限公司, 浙江 杭州 310000)

本文探究了复方银花解毒颗粒 (FFYH) 体外抗冠状病毒药效作用及初步机制。本研究表明, FFYH 不仅能通过抑制病毒 RNA 复制与病毒蛋白表达有效抑制冠状病毒的复制, 而且能从 mRNA 水平有效抑制冠状病毒感染所致炎症因子 IL-6、IL-8、TNF- $\alpha$  的表达, 其机制可能与 FFYH 抑制 MAPK 信号通路及 NF- $\kappa$ B 的核转位有关。



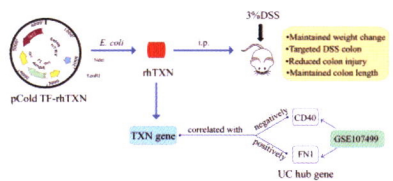
1816

人硫氧还蛋白治疗小鼠溃疡性结肠炎的药效学作用

周露露<sup>1</sup>, 刘兴<sup>2</sup>, 丁杨<sup>1</sup>, 林琳<sup>3</sup>, 华子春<sup>1,2,4\*</sup>

(1. 中国药科大学生物药物学院, 江苏 南京 211198; 2. 南京大学生命科学学院, 医药生物技术国家重点实验室, 江苏 南京 210023; 3. 江苏大学食品与生物工程学院, 江苏 镇江 212013; 4. 常州南京大学高新技术研究院和江苏靶标生物医药研究所有限公司, 江苏 常州 213164)

本研究在大肠杆菌中表达和纯化了重组人硫氧还蛋白 (rhTXN), 并证明对葡聚糖硫酸钠 (DSS) 诱导的小鼠溃疡性结肠炎模型有良好的治疗效果。此外, 还证明了人 TXN 基因与 GSE107499 数据集的溃疡性结肠炎 (UC) 枢纽基因 CD40 有显著负相关性, 与 FN1 有显著正相关性。

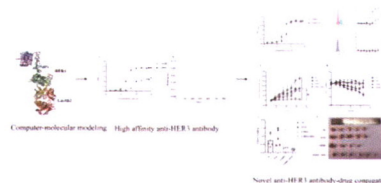


1825

**新型靶向 HER3 抗体偶联药物的抗肿瘤活性研究**耿晶<sup>1</sup>, 李新颖<sup>2\*</sup>

(1. 中国医学科学院医药生物技术研究所, 北京 100050; 2. 军事医学研究院毒物药物研究所, 毒理学与医学对策国家重点实验室, 北京 100850)

利用计算机虚拟筛选技术, 获得全新高亲和力靶向 HER3 人源化单克隆抗体 FD001, 偶联 DM1 后获得的全新靶向 HER3 ADCs 药物 FD001-DM1 能够特异性识别 HER3 抗原并有效杀伤 HER3 阳性 HT-29 结肠癌细胞。FD001-DM1 能够抑制小鼠 HT-29 移植瘤的增长。



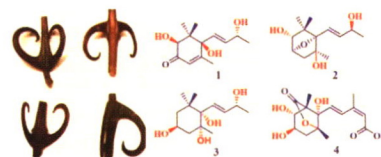
1832

**钩藤水提取物中 megastigmane 类成分**

宋乐苓, 王岳, 李若斐, 朱承根, 郭庆兰\*, 石建功\*

(中国医学科学院、北京协和医学院药物研究所, 天然药物活性物质与功能国家重点实验室, 北京 100050)

从中药钩藤水煎煮提取物中分离得到 5 个 megastigmane 类新化合物 1~5。其中, 3 和 4 的结构曾有报道, 但文献中的 NMR 谱数据有误或不能支持报道的结构。



1840

**月见草中一个新的核苷类化合物**刘娟娟<sup>1,2</sup>, 张靖柯<sup>1,2</sup>, 张钦钦<sup>1,2</sup>, 李孟<sup>1,2</sup>, 朱登辉<sup>1,2</sup>, 魏俊俊<sup>1,2</sup>, 郑晓珂<sup>1,2</sup>, 冯卫生<sup>1,2\*</sup>

(1. 河南中医药大学药学院, 河南 郑州 450046; 2. 河南省中药开发工程技术研究中心, 河南 郑州 450046)

从月见草中分离得到 7 个核苷类化合物, 其中化合物 9-(3'-carbonyl methyl)hydroxypurine (1) 为新化合物, 化合物 2~7 为首次从月见草中分离得到。化合物 1、2 可显著提高 TGF- $\beta$ 1 诱导 BEAS-2B 细胞的活力, 说明其具有潜在的抗肺纤维化活性。



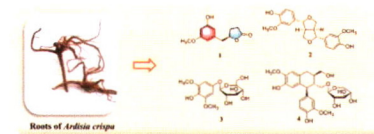
1845

**百两金中一个新的  $\gamma$ -戊内酯衍生物**

殷鑫, 胡瑞航, 周永强, 魏鑫, 朱蔚芊, 俸婷婷, 周英\*

(贵州中医药大学药学院, 贵州 贵阳 550025)

百两金中一个新的  $\gamma$ -戊内酯衍生物。



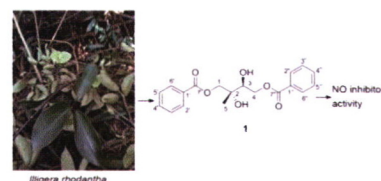
1849

**壮药红花青藤中抑制 NO 生成的活性成分研究**

甘杰, 韦微, 谭锦妮, 沈梦如, 谭钦刚\*

(桂林医学院, 广西 桂林 541199)

采用多种色谱方法对红花青藤化学成分进行了研究, 通过理化性质及波谱数据鉴定了 16 个化合物, 其中 1 个为新化合物, 5 个化合物对 LPS 诱导 RAW264.7 细胞生成 NO 均有显著的抑制作用。



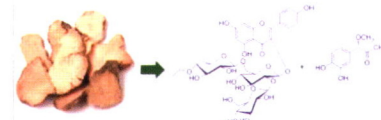
1855

**土茯苓中 2 个新化学成分**

彭财英, 程双, 熊艳芬, 刘建群, 黄慧莲, 舒积成\*

(江西中医药大学, 江西 南昌 330004)

从土茯苓 *Smilax glabra* Roxb. 乙酸乙酯部位中分离纯化 2 个新化合物, 并显示一定的抗炎活性。





1863

**破布木果中一对新的苯丙素对映异构体**魏沅<sup>1</sup>, 邓憬童<sup>2</sup>, 程海涛<sup>2</sup>, 庞克坚<sup>1\*</sup>, 杨新洲<sup>2\*</sup>

(1. 石河子大学药学院/新疆植物药资源利用教育部重点实验室, 新疆 石河子 832003; 2. 中南民族大学药学院, 湖北 武汉 430074)

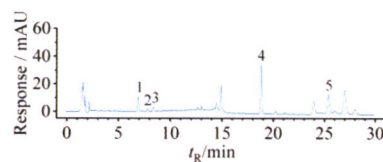


本文采用多种分离纯化方法从破布木果 85%醇提物的乙酸乙酯部位分离得到一对新的苯丙素对映异构体以及 9 个已知化合物, 通过手性柱对新化合物进行了拆分并结合 ECD 确定了新化合物绝对构型。

1868

**双外标校准高效液相色谱法同时测定中药牛膝中皂苷类成分和甾酮类成分**吴冰筱<sup>1</sup>, 金武燮<sup>1</sup>, 张留记<sup>3</sup>, 谷丽华<sup>1,2\*</sup>, 李林楠<sup>1,2</sup>, 杨莉<sup>1,2</sup>, 王峥涛<sup>1,2\*</sup>

(1. 上海中医药大学中药研究所, 中药标准化教育部重点实验室, 国家中医药管理局中药新资源与质量评价重点实验室, 上海 201203; 2. 上海中药标准化研究中心, 上海 201203; 3. 河南省中医药研究院河南省道地药材综合开发工程技术研究中心, 河南 郑州 450004)



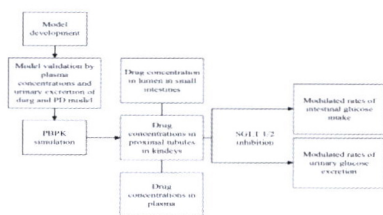
运用双外标校准法同时测定牛膝中  $\beta$ -蜕皮甾酮 (1)、25R-牛膝甾酮 (2)、25S-牛膝甾酮 (3)、牛膝皂苷 D (4) 和牛膝皂苷 C (5) 的含量。

1874

**基于生理药代动力学模型研究达格列净对肠道和肾脏 SGLT 蛋白抑制作用**张瑜<sup>1,2</sup>, 谢潘潘<sup>1</sup>, 李亚梅<sup>1,2</sup>, 何雪梅<sup>1</sup>, 刘岳<sup>1</sup>, 史爱欣<sup>1\*</sup>

(1. 北京医院临床试验研究中心国家老年医学中心, 中国医学科学院老年医学研究院, 北京 100730; 2. 沈阳药科大学生命科学与生物制药学院, 辽宁 沈阳 110016)

建立并优化健康成年人口服达格列净的生理药代动力学模型, 预测药物在不同组织的分布浓度, 为探索药理机制以及药物潜在毒性提供有意义的指导。

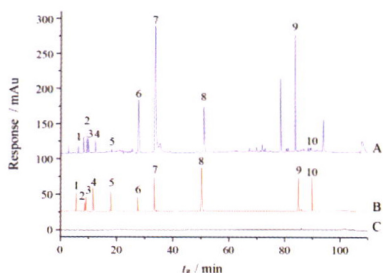


1880

**一测多评法同时测定冠心舒通胶囊中 10 个成分的含量**龙凯花<sup>1</sup>, 刘峰<sup>2,3,4</sup>, 张红<sup>1</sup>, 杜霞<sup>1</sup>, 王春柳<sup>1</sup>, 刘洋<sup>1</sup>, 杨东花<sup>5</sup>, 李晔<sup>1\*</sup>

(1. 陕西省中医药研究院, 陕西 西安 710003; 2. 陕西步长制药有限公司, 陕西 西安 710075; 3. 陕西国际商贸学院, 陕西 咸阳 712046; 4. 陕西省中药绿色制造技术创新中心, 陕西 西安 710075; 5. 青海省中医院, 青海 西宁 810000)

以原儿茶酸为内参物, 基于一测多评法建立高效液相色谱法同时测定冠心舒通胶囊中没食子酸、丹参素钠、原儿茶酸、原儿茶醛、香草醛、迷迭香酸、丹酚酸 B、丁香酚、隐丹参酮和丹参酮II<sub>A</sub>的含量。

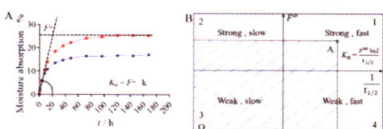


1887

**中药提取物吸湿性的动态二维表征技术及影响因素分析**宁汝曦<sup>#</sup>, 熊志伟<sup>#</sup>, 赵樱霞, 胡晓欣, 封亮<sup>\*</sup>, 贾晓斌<sup>\*</sup>

(中国药科大学中药学院, 江苏 南京 211198)

本研究建立了表征中药提取物 (CMEs) 吸湿性的动态二维表征技术, 并分析了 CMEs 物料性质与其吸湿性之间的相关性。

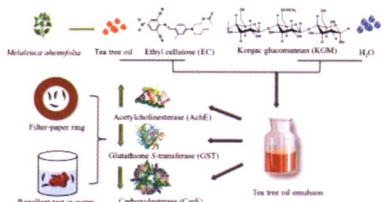


1895

**茶树油乳液对水蛭驱避作用的研究**胡静璐<sup>1,2</sup>, 刘一婧<sup>2,3</sup>, 杜丽娜<sup>1,2,3\*</sup>, 金义光<sup>1,2</sup>

(1. 河南大学药学院, 河南 开封 475004; 2. 军事科学院军事医学研究院辐射医学研究所, 北京 100850; 3. 山东中医药大学药学院, 山东 济南 250355)

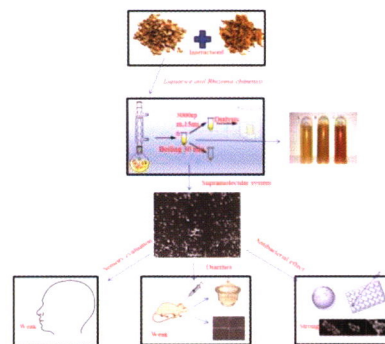
本研究制备了用于驱避水蛭的 O/W 型茶树油乳液, 评价其驱避效果和作用机制, 为类似挥发油用于驱避提供了新思路。



1901

**基于弱键诱导的超分子体系探讨甘草和合黄连“性-味-效”物质基础**李文, 王志家, 林晓钰, 刘小婧, 韩娜娜, 皮雯敏, 袁枝花, 雷海民\*, 王鹏龙\*  
(北京中医药大学中药学院, 北京 100102)

本实验利用超分子化学的研究手段, 发现甘草和合黄连“性-味-效”的物质基础是配伍共煎过程中形成的超分子体系。

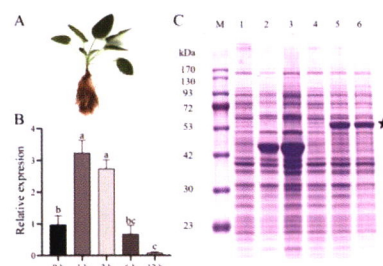


1909

**丹参小分子热激蛋白 *SmHSP21.8* 基因克隆、诱导模式和原核表达**王世威<sup>1,2</sup>, 屈仁军<sup>2</sup>, 彭佳铭<sup>2</sup>, 王新新<sup>2</sup>, 时晨晶<sup>2</sup>, 郑汉<sup>2</sup>, 申业<sup>2\*</sup>, 黄璐琦<sup>2\*</sup>

(1. 广东药科大学中药学院, 广东 广州 510006; 2. 中国中医科学院中药资源中心, 地道药材国家重点实验室培育基地, 北京 100700)

本研究从药用植物丹参中克隆出一个小分子热激蛋白基因 *SmHSP21.8*; 高温胁迫后, 该基因在丹参体内能快速响应高温胁迫; 并在大肠杆菌中成功表达出丹参小分子热激蛋白 *SmHSP21.8* 的重组蛋白。

**新药发现与研究实例简析**

1918

**三个抗前列腺癌药物的研制**

郭宗儒

(中国医学科学院、北京协和医学院药物研究所, 北京 100050)

# ACTA PHARMACEUTICA SINICA

Volume 57 Number 6 2022 June

## Graphical Abstracts

### Special Reports: Oxidative Stress in Physiopathology and Pharmacological Treatment

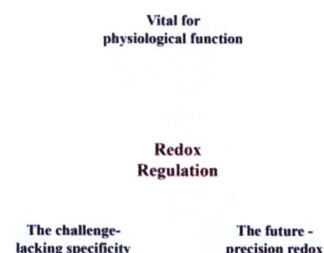
1541

#### Precision redox regulation

CHEN Chang<sup>1,2</sup>

(1. National Laboratory of Biomacromolecules, Institute of Biophysics, Chinese Academy of Sciences, Beijing 100101, China; 2. University of Chinese Academy of Sciences, Beijing 100049, China)

In this paper, the lasting challenges during antioxidant research and development and the beyond main reasons were analyzed. The author proposed that cells and the body own precise redox nature, therefore, redox intervention strategies should be precise. Precision redox regulation is the future direction.



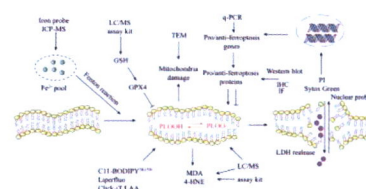
1544

#### Research progress on the detection methods and their application in ferroptosis

OUYANG Shu-hua<sup>1,2,3</sup>, WU Yan-ping<sup>1,2,3</sup>, SUN Wan-yang<sup>1,2,3</sup>, YAN Chang-yu<sup>1,2,3</sup>, KURIHARA Hiroshi<sup>1,2,3</sup>, LI Yi-fang<sup>1,2,3</sup>, HE Rong-rong<sup>1,2,3\*</sup>

(1. Guangdong Engineering Research Center of Chinese Medicine and Disease Susceptibility, Jinan University, Guangzhou 510632, China; 2. Institute of Traditional Chinese Medicine and Natural Products, Jinan University, Guangzhou 510632, China; 3. Guangdong Province Key Laboratory of Pharmacodynamic Constituents of TCM and New Drugs Research, Jinan University, Guangzhou 510632, China)

Detection methods based on the unique changes in terms of morphology, biochemistry and genetics of ferroptosis, have been widely applied in the detection of ferroptosis. This paper will mainly review the current research progress on the detection methods and their application in ferroptosis, summarize and discuss their advantages and disadvantages in the detection of ferroptosis.



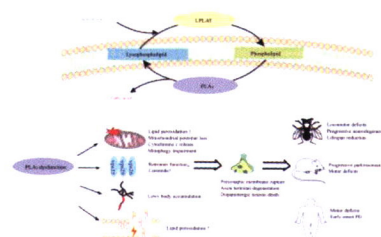
1557

#### Phospholipid remodeling and Parkinson's disease

WANG Meng<sup>1,2,3</sup>, KURIHARA Hiroshi<sup>1,2,3</sup>, LI Yi-fang<sup>1,2,3</sup>, DUAN Wen-jun<sup>1,2,3\*</sup>, HE Rong-rong<sup>1,2,3</sup>

(1. Guangdong Engineering Research Center of Chinese Medicine and Disease Susceptibility, Jinan University, Guangzhou 510632, China; 2. Institute of Traditional Chinese Medicine and Natural Products, Jinan University, Guangzhou 510632, China; 3. Guangdong Province Key Laboratory of Pharmacodynamic Constituents of TCM and New Drugs Research, Jinan University, Guangzhou 510632, China)

Phospholipid remodeling transforms nascent phospholipids into mature phospholipids by changing the fatty acid composition in phospholipids. Dysregulation of phospholipid remodeling can affect the physiological functions of cells, and then participates in many pathological processes including neurodegenerative diseases. This article reviews the basic process of phospholipid remodeling and the key enzymes involved in phospholipid remodeling, especially the important role of iPLA<sub>2</sub> $\beta$  in the occurrence and development of Parkinson's disease, which will help to understand the role of phospholipid remodeling in Parkinson's disease.

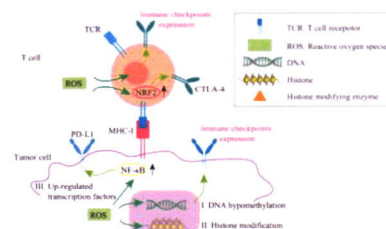


1565

### Research progress on the regulation and mechanism of reactive oxygen species on tumor immune checkpoints

LI Xiao-feng<sup>1</sup>, WANG Yuan<sup>2</sup>, WEI Shu-ying<sup>2</sup>, ZOU Wei<sup>2</sup>, LUO Xin<sup>2</sup>, LI Jia-yi<sup>2</sup>, WEI Zhong-hong<sup>2</sup>, YU Su-yun<sup>2</sup>, LI Xiao-man<sup>2</sup>, CHEN Wen-xing<sup>2</sup>, WANG Ai-yun<sup>2</sup>, ZHAO Yang<sup>1</sup>, LU Yin<sup>2,3,4</sup>, WU Yuan-yuan<sup>2\*</sup>

(1. School of Medicine and Holistic Integrative Medicine, Nanjing University of Chinese Medicine, Nanjing 210023, China; 2. Jiangsu Key Laboratory for Pharmacology and Safety Evaluation of Chinese Materia Medica, School of Pharmacy, Nanjing University of Chinese Medicine, Nanjing 210023, China; 3. Jiangsu International Cooperative Laboratory of Traditional Chinese Medicine and Regenerative Medicine Research, Nanjing University of Chinese Medicine, Nanjing 210023, China; 4. Jiangsu Collaborative Innovation Center of Traditional Chinese Medicine Prevention and Treatment of Tumor, Nanjing University of Chinese Medicine, Nanjing 210023, China)



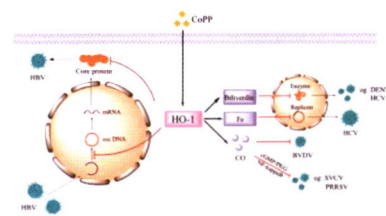
Regulation of reactive oxygen species (ROS) on immune checkpoints in tumor microenvironment. On one hand, ROS up-regulate the expression of immune checkpoints through DNA hypomethylation and histone modification; on the other hand, ROS up-regulate immune checkpoints such as PD-L1 and CTLA-4 through transcriptional activation.

1574

### Progress on the protective effect of heme oxygenase-1 in viral infection

SUN Bai-he, WANG Yi-ting, LU Yu-fei, MA Lin-lin\*  
(School of Medical Technology, Shanghai University of Medicine and Health Sciences, Shanghai 201318, China)

Heme oxygenase-1 (HO-1), a cytoprotective enzyme, has been found to have antiviral biological effects in recent years. This review focuses on the antiviral effect of HO-1 and three mechanisms, including direct inhibition of virus replication by HO-1 and its downstream products, enhancement of type I interferon responses in host cell, and attenuation of inflammatory damage caused by viral infection.

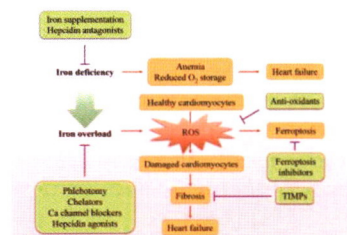


1584

### Research progress of iron metabolism and its mechanism in the treatment of heart failure

WEI Xiao-li, ZHOU Ji-chao, ZHANG Xiao-wei\*  
(State Key Laboratory of Bioactive Substance and Function of Natural Medicines, CAMS Key Laboratory of Molecular Mechanism and Target Discovery of Metabolic Disorder and Tumorigenesis, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

Iron metabolism disorders promote the occurrence and development of heart failure, and targeting iron metabolism disorders is expected to provide new options for the treatment of heart failure.

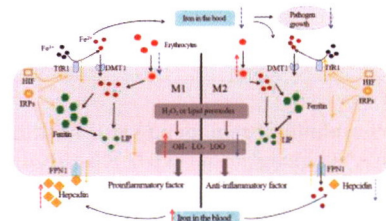


1593

### Research progress and therapeutic perspective of iron transport balance based on "iron-inflammation" homeostatic coupling theory

YANG Li-na, DU Xin-ke, LIU Li, LI Man-jing, RAN Qing-sen, YANG Qing, SUN Li-dong, LI Yu-jie, CHEN Ying, ZHU Xiao-xin\*, LI Qi\*  
(Institute of Chinese Materia Medica, China Academy of Chinese Medical Science, Beijing 100700, China)

There is a clear coupling between iron homeostasis and inflammatory promotion-solution balance, and iron transport balance of macrophages plays an important regulatory role in this integration unit.



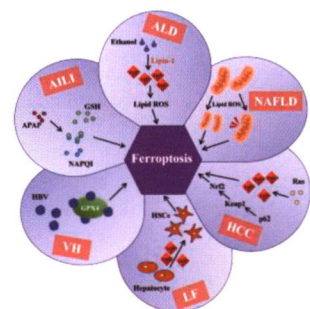
1604

### The role and treatment strategies of ferroptosis in liver diseases

WANG Jia-q<sup>1</sup>, LI Hui-yi<sup>1</sup>, HUANG Yu-qiao<sup>2</sup>, WENG Qi-qing<sup>1</sup>, WANG Gui-xiang<sup>2</sup>, LAN Tian<sup>1\*</sup>

(1. Institute of Chinese Medicine, Guangdong Pharmaceutical University, Guangzhou 510006, China; 2. School of Pharmacy, Guangdong Pharmaceutical University, Guangzhou 510006, China)

This article reviews the regulatory mechanism, current situation and role of ferroptosis in liver diseases, providing a new theoretical basis and ideas for the in-depth study of ferroptosis and the treatment of liver diseases.

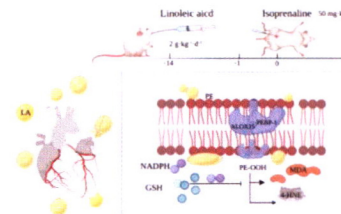




1657

**Mechanism of omega-6 PUFA increasing the susceptibility to acute myocardial ischemia injury**LIU Jiang-han-zhi<sup>1,2,3</sup>, MA Xiao-hui<sup>1,2,3,4</sup>, YUAN Tian-hui<sup>5</sup>, SUN Wan-yang<sup>1,2,3</sup>, LI Yi-fang<sup>1,2,3</sup>, HIROSHI Kurihara<sup>1,2,3</sup>, HE Rong-rong<sup>1,2,3\*</sup>

(1. Guangdong Engineering Research Center of Chinese Medicine and Disease Susceptibility, Jinan University, Guangzhou 510632, China; 2. Institute of Traditional Chinese Medicine and Natural Products, College of Pharmacy, Jinan University, Guangzhou 510632, China; 3. Guangdong Provincial Key Laboratory of Pharmacodynamic Substances of Traditional Chinese Medicine and Innovative Drugs, Jinan University, Guangzhou 510632, China; 4. Institute of Traditional Chinese Medicine, Xinjiang Medical University, Urumqi 830054, China; 5. The First Affiliated Hospital of Guangzhou University of Chinese Medicine, Guangzhou 510405, China)

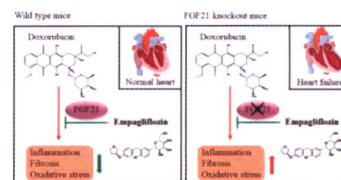


This study investigates the effects of omega-6 polyunsaturated fatty acid in myocardial ischemia by using linoleic acid (LA)-loaded isoproterenol (ISO) mice model. The experimental results show that excessive LA supplementation increased the unsaturation of cell membrane phospholipids, and provided efficient oxidation substrate for ALOX15, a phospholipids oxidase upregulated by ISO, so as to increase the "susceptibility" of myocardial ischemic injury.

1664

**The role of FGF21 in inhibition of heart failure with empagliflozin and related mechanisms**ZHANG Meng-xue<sup>1</sup>, WANG Yuan-yu<sup>2</sup>, DUAN Ya-jun<sup>3</sup>, ZHANG Shuang<sup>1\*</sup>

(1. School of Food and Biological Engineering, Hefei University of Technology, Hefei 230601, China; 2. Beijing Institute of Biomedicine, Beijing 100091, China; 3. The First Affiliated Hospital of USTC (Anhui Provincial Hospital), Hefei 230022, China)



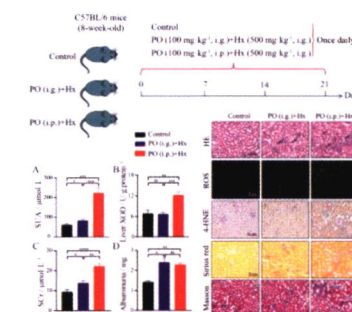
In wild type mice, empagliflozin inhibited doxorubicin induced heart failure through fibroblast growth factor 21 (FGF21), while in FGF21 knockout mice, due to the loss of FGF21 expression, the anti-inflammatory, anti-fibrosis and anti-oxidative stress effects of empagliflozin were reduced, thus the improvement effect on doxorubicin-induced mice heart failure was significantly weakened.

1673

**Establishment and optimization of a hyperuricemic nephropathy mouse model**LI Ming-hui<sup>1</sup>, WU Kai-reng<sup>1</sup>, CHEN Zhe<sup>1</sup>, SUN Lei-yan<sup>1</sup>, HUANG Xiao-qi<sup>2</sup>, HU Xu-guang<sup>1</sup>, LAN Tian<sup>1\*</sup>

(1. Guangdong Pharmaceutical University, Guangzhou 510006, China; 2. Guangzhou University of Chinese Medicine, Guangzhou 510006, China)

This graphical abstract illustrated that the mouse model with hyperuricemic nephropathy (HN) is more readily created by injection with potassium oxonate than intragastric administration of potassium oxonate, along with intragastric administration of hypoxanthine.

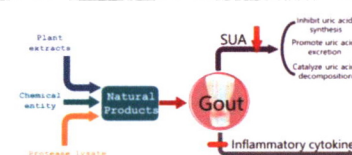
**Reviews**

1679

**Research progress of natural products for the treatment of hyperuricemia and gout**

ZHANG Zhi-jiao, LIANG Rui-peng, ZHAO Tong, XU Shu-ying, LIU Xin-yong\*, ZHAN Peng\*

(Department of Medicinal Chemistry, Key Laboratory of Chemical Biology (Ministry of Education), School of Pharmaceutical Sciences, Shandong University, Jinan 250012, China)

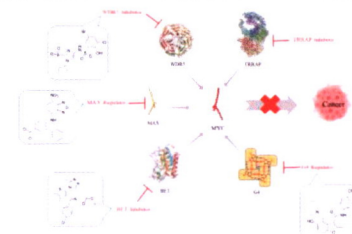


This paper reviews the natural products with uric acid-lowering or anti-gout pharmacological effects and the investigation on their mechanisms of action, to provide information for drug discovery and development.

1689

**The development of small-molecule inhibitors targeting MYC**XU Jun-jie<sup>1,2</sup>, YOU Qi-dong<sup>1,2\*</sup>, GUO Xiao-ke<sup>1,2\*</sup>

(1. Jiangsu Key Laboratory of Drug Design and Optimization, China Pharmaceutical University, Nanjing 211198, China; 2. School of Pharmacy, China Pharmaceutical University, Nanjing 211198, China)



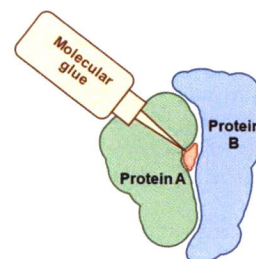
This paper summarized the research progress of small molecule inhibitors targeting MYC.

1702

**Progress of discovery of molecular glues from natural products and their derivatives**HE Jia<sup>#</sup>, SONG Kun-ling<sup>#</sup>, GUO Zu-feng<sup>\*</sup>, DANG Yong-jun<sup>\*</sup>

(Center for Novel Target and Therapeutic Intervention, Institute of Life Sciences, Chongqing Medical University, Chongqing 400016, China)

Molecular glue modifies protein surface to confer "gain-of-function", which could be a unique and promising therapeutic targeting undruggable proteins.

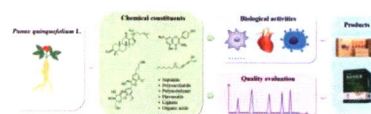


1711

**Research progress on chemical constituents, biological activities, quality evaluation, and product development of *Panax quinquefolium***WU Shou-rong, GUO Xiao-yu<sup>\*</sup>, TU Peng-fei, JIANG Yong<sup>\*</sup>

(State Key Laboratory of Natural and Biomimetic Drugs, School of Pharmaceutical Sciences, Peking University, Beijing 100191, China)

This paper summarizes progress on the chemical components, pharmacological effects, quality evaluation, and product development of *Panax quinquefolium* in recent years, and provides references for its further R&D and comprehensive utilization.

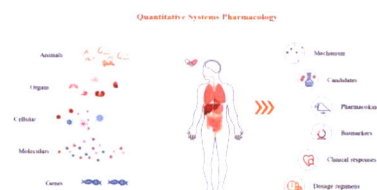


1726

**Advances of quantitative systems pharmacology in antitumor drug research**YANG Di-hong<sup>1,2</sup>, WANG Chen-yu<sup>1</sup>, FANG Luo<sup>2</sup>, JIAO Zheng<sup>1\*</sup>

(1. Shanghai Chest Hospital, School of Medicine, Shanghai Jiao Tong University, Shanghai 200030, China; 2. Cancer Hospital of The University of Chinese Academy of Sciences (Zhejiang Cancer Hospital), Hangzhou 310005, China)

Quantitative systems pharmacology quantifies the network relationship between drugs and diseases by integrating the tumor growth and molecules, cells *in vivo*, thereby predicting the efficacy, toxicity, and mechanism of anti-tumor drugs as well as identifying predictive biomarkers, it provides new possibilities for the precise treatment of tumors.



1734

**Research progress and prospects for the use of plant metabolomics in quality evaluation of traditional Chinese medicinal materials**TIAN Shu-yun<sup>1#</sup>, LIAO Zhao-hua<sup>2#</sup>, ZHOU Zi-wei<sup>1</sup>, TANG Qin<sup>1</sup>, LI Feng-qin<sup>1</sup>,SONG Song-ping<sup>1</sup>, HU Sheng-fu<sup>1\*</sup>, XU Yan-qin<sup>1\*</sup>

(1. College of Pharmacy, Jiangxi University of Chinese Medicine, Nanchang 330004, China; 2. Quality Department, Jiangxi Conba Tianshikang Pharmaceutical Co., Ltd., Yingtan 335200, China)

This study systematically elaborated the research progress of plant metabolomics combined with chemometrics analysis in the quality control and evaluation of medicinal materials. The core of plant metabolomics approach is to obtain comprehensive metabolite information and identify differential metabolites or specific chemical marker from the overall chemical information by multivariate statistical analysis.

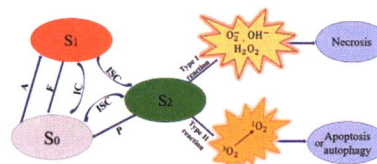


1750

**Research advances of photosensitizer in photodynamic therapy of glioblastoma**ZHAO Hong-cheng<sup>#</sup>, WANG Yue-qing<sup>#</sup>, LI Qing-yun, DENG Hao, TAN Xiao<sup>\*</sup>,LIU Xiao-wen<sup>\*</sup>

(Hubei Key Laboratory of Tumor Microenvironment and Immunotherapy, Medical College of China Three Gorges University, Yichang 443003, China)

The prospect of photodynamic therapy mediated by various photosensitizers in the adjuvant treatment of glioblastoma.

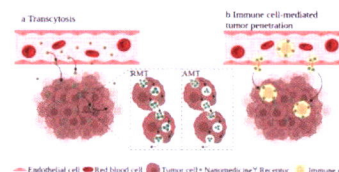


1758

**Novel strategies for promoting tumor penetration of anticancer nanomedicines**HUANG Jing, ZOU Jun-na, REN Huan-huan, WANG Shan<sup>\*</sup>

(Department of Pharmaceutical Engineering, College of Chemistry and Chemical Engineering, Central South University, Changsha 410083, China)

This review summarizes two novel strategies for promoting tumor penetration of anticancer nanomedicine: active transcytosis and immune cell-mediated tumor penetration.

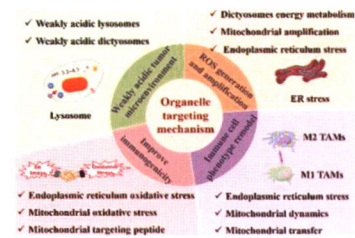


1771

**Research progress of tumor microenvironmentally regulating organelle targeted drug delivery system**WU Shi-yang<sup>1#</sup>, CHANG Shuang<sup>1#</sup>, CHEN Qing<sup>2</sup>, SHI Meng-hao<sup>1</sup>, ZHAO Ming<sup>1</sup>, HU Hai-yang<sup>1\*</sup>, CHEN Da-wei<sup>1\*</sup>

(1. Department of Pharmaceutics, Shenyang Pharmaceutical University, Shenyang 110016, China; 2. Department of Pharmacology, Iowa University, Iowa City 50011, USA)

This review summarizes the research progress of organelle targeted delivery system in regulating pH, reactive oxygen species content, immunogenicity, and immunosuppression in tumor microenvironment.

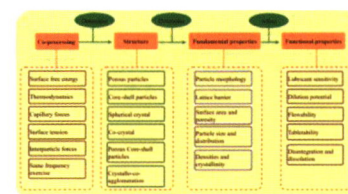


1781

**Research progress of powder modification of TCM based on particle design theory**ZHU Wei-feng<sup>1</sup>, CHEN Fu-cai<sup>1</sup>, LIU Wen-jun<sup>2</sup>, MING Liang-shan<sup>1\*</sup>, GUAN Yong-mei<sup>1</sup>, CHEN Li-hua<sup>1</sup>, LI Zhe<sup>1\*</sup>

(1. Key Laboratory of Modern Preparation of TCM, Ministry of Education, Institute for Advanced Study, Research Center for Differentiation and Development of TCM Basic Theory, Jiangxi University of Chinese Medicine, Nanchang 330004, China; 2. Jiangzhong Pharmaceutical Co. Ltd., Nanchang 330049, China)

This review summarizes the principle of particle design, powder modification technology, and equipment based on particle design theory, as well as its application in Chinese medicine powder.



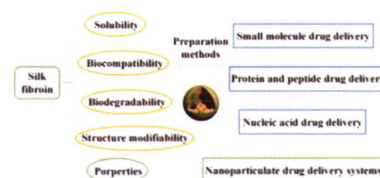
1792

**Research progress of silk fibroin-based nanoparticulate drug delivery systems**

CHEN Zhi-yang, YE Jun, WANG Hong-liang, YANG Yan-fang, CHENG Jia-ling, ZHOU Hang, LIU Yu-ling\*

(Beijing Key Laboratory of Drug Delivery Technology and Novel Formulation, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

This review summarizes the basic characteristics of silk fibroin (SF), the preparation methods of drug-loaded SF nanoparticles and the application of SF in nanoparticulate drug delivery systems.

**Original Articles**

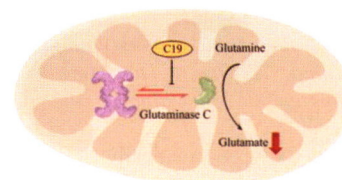
1801

**Validation of compound C19 as a glutaminase C inhibitor**

DU Ting-ting, LIU Yi-chen, ZHANG Zhi-hui, WANG Wei-da, JI Ming\*, CHEN Xiao-guang\*

(State Key Laboratory of Bioactive Substances and Functions of Natural Medicines/Beijing Key Laboratory of Non-clinical Drug Metabolism and PK/PD Study, Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing 100050, China)

Compound C19 directly binds to glutaminase C (GAC), disturbs the formation of GAC tetramer and inhibits its catalytic activity, thereby reducing glutamate and suppressing the proliferation of tumor cells.

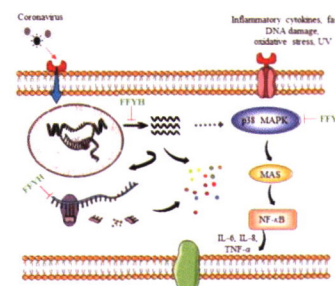


1808

**Antiviral effect of Fufang yinhua jiedu (FFYH) granules against coronavirus and its potential mechanism**ZHENG Zhi-hui<sup>1,2#</sup>, WANG Kun<sup>3#</sup>, WEI Hai-lin<sup>1,2#</sup>, WANG Wen-lei<sup>1</sup>, WU Jian-xiong<sup>4</sup>, WANG Rong-hua<sup>1</sup>, SU Qin<sup>1</sup>, LI Yu-huan<sup>3</sup>, ZHANG Ping-hu<sup>1,2\*</sup>

(1. Institute of Translational Medicine, Jiangsu Key Laboratory of Integrated Traditional Chinese and Western Medicine for Prevention and Treatment of Senile Diseases Medical College, Yangzhou University, Yangzhou 225009, China; 2. Jiangsu Key Laboratory of Zoonosis, Yangzhou University, Yangzhou 225009, China; 3. CAMS Key Laboratory of Antiviral Drug Research, Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China; 4. Yifan Pharmaceutical Co., Ltd., Hangzhou 310000, China)

In this study, the *in vitro* antiviral effect of Fufang yinhua jiedu (FFYH) granules and its potential mechanism against coronavirus were investigated. Our results indicate that FFYH not only effectively inhibits the replication of coronaviruses by suppressing the transcription of viral RNA and the expression of viral protein, but also efficiently reduces the expression of inflammatory factors IL-6, TNF- $\alpha$ , and IL-8 at the mRNA level, which might be associated with the inhibitory effect of FFYH on MAPK signaling pathway and the nuclear translocation of NF- $\kappa$ B.

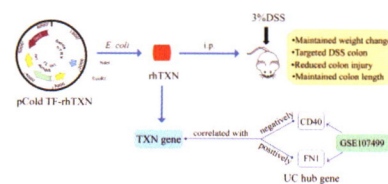




1816

**The therapeutic effect of human thioredoxin on ulcerative colitis in mice**ZHOU Lu-lu<sup>1</sup>, LIU Xing<sup>2</sup>, DING Yang<sup>1</sup>, LIN Lin<sup>3</sup>, HUA Zi-chun<sup>1,2,4\*</sup>

(1. School of Biopharmacy, China Pharmaceutical University, Nanjing 211198, China; 2. The State Key Laboratory of Pharmaceutical Biotechnology, School of Life Sciences, Nanjing University, Nanjing 210023, China; 3. School of Food and Biological Engineering, Jiangsu University, Zhenjiang 212013, China; 4. High-tech Research Institute of Nanjing University at Changzhou and Jiangsu Target Pharma Laboratories Inc., Changzhou 213164, China)

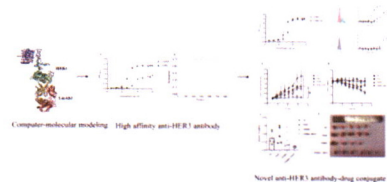


In this study, recombinant human thioredoxin (rhTXN) was expressed and purified in *Escherichia coli*, and demonstrated a promising therapeutic effect on dextran sodium sulfate (DSS)-induced mouse ulcerative colitis model. In addition, human TXN gene was proved to be significantly negatively correlated with the ulcerative colitis (UC) hub gene CD40 of the GSE107499 dataset, and significantly positively correlated with FN1.

1825

**Antitumor activity of a novel HER3-targeting antibody-drug-conjugate**GENG Jing<sup>1</sup>, LI Xin-ying<sup>2\*</sup>

(1. Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China; 2. State Key Laboratory of Toxicology and Medical Countermeasures, Beijing Institute of Pharmacology and Toxicology, Beijing 100850, China)

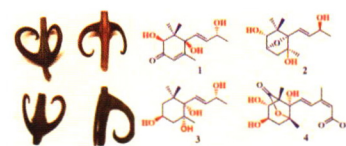


A novel high affinity anti-HER3 antibody FD001 was obtained by computer-aided molecular simulation technology and point mutation technology. Novel targeting HER3 antibody-drug conjugates FD001-DM1 can effectively bind to HER3-positive tumor cells and showed potent cytotoxicity in HER3-positive cancer cells. FD001-DM1 can effectively inhibit the volume and weight growth of HT-29 transplant tumors in mice.

1832

**Megastigmanes from an aqueous extract of *Uncaria rhynchophylla***SONG Le-ling, WANG Yue, LI Ruo-fei, ZHU Cheng-gen, GUO Qing-lan\*, SHI Jian-gong<sup>†</sup>

(Key Laboratory of Bioactive Substance and Function of Natural Medicines, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

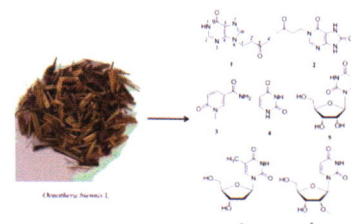


Five new megastigmanes (1–5) were isolated from a decoction of *Uncaria rhynchophylla*. The structures of 3 and 4 were previously reported, the reported NMR spectroscopic data were incorrect or do not support the assigned structures in literatures.

1840

**A new nucleoside from the *Oenothera biennis* L.**LIU Juan-juan<sup>1,2</sup>, ZHANG Jing-ke<sup>1,2</sup>, ZHANG Qin-qin<sup>1,2</sup>, LI Meng<sup>1,2</sup>, ZHU Deng-hui<sup>1,2</sup>, WEI Jun-jun<sup>1,2</sup>, ZHENG Xiao-ke<sup>1,2</sup>, FENG Wei-sheng<sup>1,2\*</sup>

(1. College of Pharmacy, Henan University of Chinese Medicine, Zhengzhou 450046, China; 2. The Engineering and Technology Center for Chinese Medicine Development of Henan Province, Zhengzhou 450046, China)



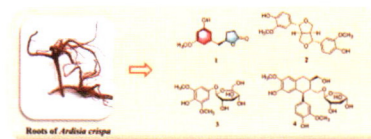
Seven nucleoside compounds were isolated from the *Oenothera biennis* L. Compound 1 is a new nucleoside and compounds 2–7 were newly isolated from the *Oenothera biennis* L. Compounds 1–2 can significantly increase the viability of BEAS-2B cells induced by TGF- $\beta$ 1, showing potent anti-pulmonary fibrosis activity.

1845

**A new  $\gamma$ -valerolactone derivative from the roots of *Ardisia crispa***

YIN Xin, HU Rui-hang, ZHOU Yong-qiang, WEI Xin, ZHU Wei-qian, FENG Ting-ting, ZHOU Ying\*

(College of Pharmacy, Guizhou University of Traditional Chinese Medicine, Guiyang 550025, China)

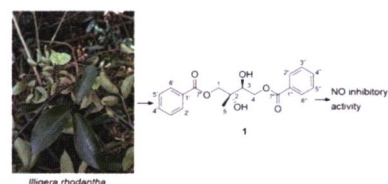


A new  $\gamma$ -valerolactone derivative from the roots of *Ardisia crispa*.

1849

**The NO inhibitory constituents from *Illigera rhodantha***GAN Jie, WEI Wei, TAN Jin-ni, SHEN Meng-ru, TAN Qin-gang\*  
(Guilin Medical University, Guilin 541199, China)

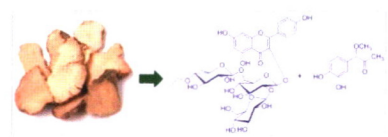
Sixteen compounds were isolated from *Illigera rhodantha* by column chromatography and identified by their spectral data and physico-chemical properties. Compound **1** is an undescribed compound, and five compounds inhibited significantly against the NO production in LPS-induced RAW 264.7 cells.



1855

**Two new compounds from *Smilax glabra* Roxb.**PENG Cai-ying, CHENG Shuang, XIONG Yan-fen, LIU Jian-qun, HUANG Hui-lian, SHU Ji-cheng\*  
(Jiangxi University of Traditional Chinese Medicine, Nanchang 330004, China)

Two new compounds were isolated from the ethyl acetate extract of *Smilax glabra*, and showed inhibitory activity toward nitric oxide (NO) production.



1863

**A pair of new phenylpropanoid enantiomers from *Cordia dichotoma* fruits**WEI Feng<sup>1</sup>, DENG Jing-tong<sup>2</sup>, CHENG Hai-tao<sup>2</sup>, PANG Ke-jian<sup>1\*</sup>, YANG Xin-zhou<sup>2\*</sup>  
(1. Key Laboratory of Xinjiang Phytomedicine Resource and Utilization, Ministry of Education, School of Pharmacy, Shihezi University, Shihezi 832003, China; 2. School of Pharmaceutical Sciences, South-Central Minzu University, Wuhan 430074, China)

In this paper, a pair of new phenylpropanoid enantiomers and nine known compounds were isolated from the ethyl acetate part of 85% alcoholic extract of *Cordia dichotoma* fruits using various isolation and purification methods, and the new compounds were disassembled by chiral columns and the absolute conformations were determined in combination with ECD.

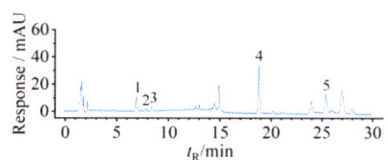


1868

**Stimulation quantitation of saponins and sterones from *Achyranthis Bidentatae* Radix by high-performance liquid chromatography with double external standards calibration method**WU Bing-xiao<sup>1</sup>, KIM Moo-seob<sup>1</sup>, ZHANG Liu-ji<sup>3</sup>, GU Li-hua<sup>1,2\*</sup>, LI Lin-nan<sup>1,2</sup>, YANG Li<sup>1,2</sup>, WANG Zheng-tao<sup>1,2\*</sup>

(1. The MOE Key Laboratory for Standardization of Chinese Medicines and the SATCM Key Laboratory for New Resources and Quality Evaluation of Chinese Medicines, Institute of Chinese Materia Medica, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China; 2. Shanghai R&D Center for Standardization of Chinese Medicines, Shanghai 201203, China; 3. Henan Engineering Center for Development of Genuine Medicinal Materials, Henan Academy of Traditional Chinese Medicine, Zhengzhou 450004, China)

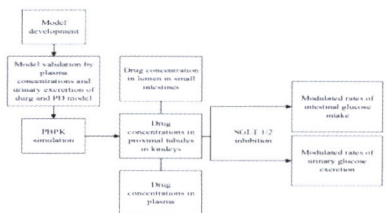
A high-performance liquid chromatography (HPLC) method was established by using double external standards calibration method (DESCM) for simultaneous determination of the contents of  $\beta$ -ecdysterone (1), 25R-inokosterone (2), 25S-inokosterone (3), achyranthoside D (4) and achyranthoside C (5) in *Achyranthis Bidentatae* Radix.



1874

**Physiologically based pharmacokinetic modeling of the inhibitory effect of dapagliflozin on intestinal and renal SGLT**ZHANG Yu<sup>1,2</sup>, XIE Pan-pan<sup>1</sup>, LI Ya-mei<sup>1,2</sup>, HE Xue-mei<sup>1</sup>, LIU Yue<sup>1</sup>, SHI Ai-xin<sup>1\*</sup>  
(1. Clinical Trial Center, Beijing Hospital, National Center of Gerontology; Institute of Geriatric Medicine, Chinese Academy of Medical Sciences, Beijing 100730, China; 2. Life Science and Biological Pharmacy Academy, Shenyang Pharmaceutical University, Shenyang 110016, China)

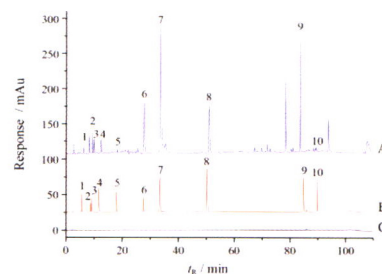
We established and optimized the physiological based pharmacokinetic model of oral dapagliflozin in healthy adults, and predicted the concentration of the drug in different tissues. The model can provide meaningful guidance for exploring the pharmacological mechanism and potential toxicity of the drug.



1880

**Simultaneous determination of 10 components in Guanxinshutong capsules by quantitative analysis of multi-components by single marker**LONG Kai-hua<sup>1</sup>, LIU Feng<sup>2,3,4</sup>, ZHANG Hong<sup>1</sup>, DU Xia<sup>1</sup>, WANG Chun-liu<sup>1</sup>, LIU Yang<sup>1</sup>, YANG Dong-hua<sup>5</sup>, LI Ye<sup>1\*</sup>

(1. Shaanxi Academy of Traditional Chinese Medicine, Xi'an 710003, China;  
 2. Shaanxi Buchang Pharmaceutical Limited Company, Xi'an 710075, China;  
 3. Shaanxi Institute of International Trade & Commerce, Xianyang 712046, China;  
 4. Collaborative Innovation Center of Green Manufacturing Technology for Traditional Chinese Medicine in Shaanxi Province, Xi'an 710075, China; 5. Qinghai Hospital of Traditional Chinese Medicine, Xining 810000, China)

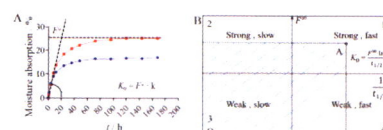


With protocatechuic acid as internal reference, based on quantitative analysis of multi-components by single marker (QAMS) method for the simultaneous determination of gallic acid, sodium danshensu, protocatechuic acid, protocatechuic aldehyde, vanillin, rosmarinic acid, salvianolic acid B, eugenol, cryptotanshinone and tanshinone II<sub>A</sub> in Guanxinshutong capsules by HPLC.

1887

**Dynamic two-dimensional characterization technique and influencing factors analysis of the hygroscopicity of Chinese medicine extracts**NING Ru-xi<sup>#</sup>, XIONG Zhi-wei<sup>#</sup>, ZHAO Ying-xia, HU Xiao-xin, FENG Liang\*, JIA Xiao-bin\*

(School of Traditional Chinese Pharmacy, China Pharmaceutical University, Nanjing 211198, China)



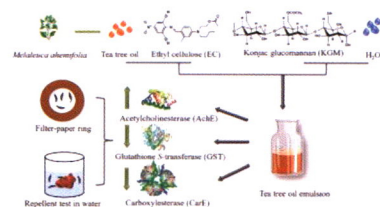
In this study, the dynamic two-dimensional characterization technique for the hygroscopicity of Chinese medicine extracts (CMEs) was developed and the correlation between the material properties and hygroscopicity was analyzed.

1895

**The repellent effect of tea tree oil emulsion on leeches**HU Jing-lu<sup>1,2</sup>, LIU Yi-jing<sup>2,3</sup>, DU Li-na<sup>1,2,3\*</sup>, JIN Yi-guang<sup>1,2</sup>

(1. Pharmaceutical College, Henan University, Kaifeng 475004, China; 2. Beijing Institute of Radiation Medicine, Beijing 100850, China; 3. Pharmaceutical College, Shandong University of Traditional Chinese Medicine, Jinan 250355, China)

An O/W tea tree oil emulsion was prepared to repel leeches effectively. The characteristics, mechanism and the effects of repelling leeches were evaluated. The emulsion could prolong the action time and prevent volatilization, which provides a new idea for repelling leeches composed of similar essential oil.



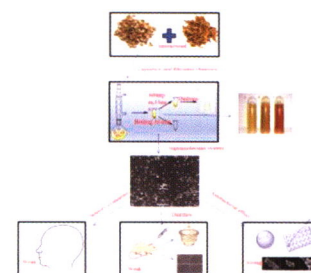
1901

**Study on the substance basis of "property-taste-efficacy" of *Liquorice* and *Rhizoma chinensis* based on supramolecular system induced by weak bond**

LI Wen, WANG Zhi-jia, LIN Xiao-yu, LIU Xiao-jing, HAN Na-na, PI Wen-min, YUAN Zhi-hua, LEI Hai-min\*, WANG Peng-long\*

(School of Chinese Materia Medica, Beijing University of Chinese Medicine, Beijing 100102, China)

In this study, supramolecular chemistry was used to find that the material basis of "property-taste-efficacy" of *Liquorice* and *Rhizoma chinensis* was the supramolecular system formed in the process of decocting.

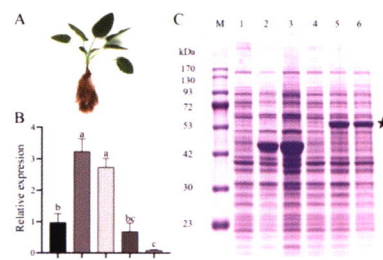


1909

**Cloning, induction pattern and prokaryotic expression of a small heat shock protein *SmHSP21.8* gene from *Salvia miltiorrhiza***WANG Shi-wei<sup>1,2</sup>, QU Ren-jun<sup>2</sup>, PENG Jia-ming<sup>2</sup>, WANG Xin-xin<sup>2</sup>, SHI Chen-jing<sup>2</sup>, ZHENG Han<sup>2</sup>, SHEN Ye<sup>2\*</sup>, HUANG Lu-qi<sup>2\*</sup>

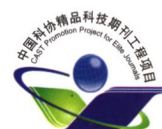
(1. School of Traditional Chinese Medicine, Guangdong Pharmaceutical University, Guangzhou 510006, China; 2. State Key Laboratory of Dao-di Herbs, National Resource Center for Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China)

A small-molecule heat shock protein gene *SmHSP21.8* was cloned from the medicinal plant *Salvia miltiorrhiza*. After high temperature stress, the gene can rapidly respond to high temperature stress in *Salvia miltiorrhiza*. The recombinant protein of *SmHSP21.8* was successfully expressed in *E. coli*.



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