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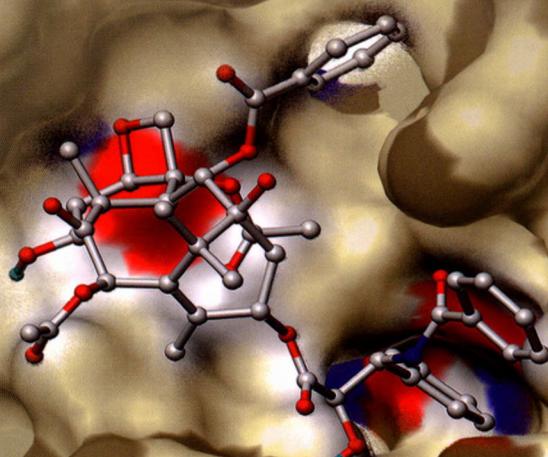
# 药学学报

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专题报道 I

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专题报道 II

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植物天然产物途径创建



中国药学会  
中国医学科学院药物研究所

# 药 学 学 报

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### 专题报道 I：中药抗肿瘤制剂（特邀编辑：李范珠 教授）

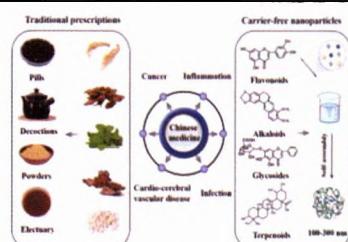
3203

#### 基于中药活性成分自组装的无载体纳米制剂

冯星星，谢琪，杨丛莲，孔丽<sup>\*</sup>，张志平<sup>\*</sup>

(华中科技大学同济医学院，湖北 武汉 430030)

中药活性成分自组装策略为中药现代化开拓了新的应用领域，有望提高中药的治疗效果。



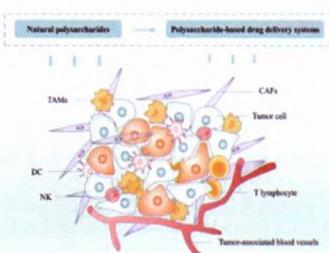
3212

#### 天然多糖及其纳米递药系统调控肿瘤微环境的研究进展

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本文介绍了天然多糖及其纳米递药系统对肿瘤微环境的调控。



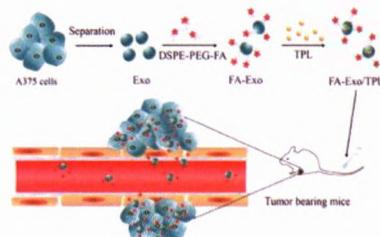
3224

#### 叶酸介导的雷公藤甲素外泌体靶向给药系统抗恶性黑色素瘤体内外评价

顾永卫<sup>1</sup>，姜良弟<sup>1,2</sup>，杜月<sup>2,3</sup>，李爱雪<sup>1,2</sup>，刘继勇<sup>1\*</sup>

(1. 复旦大学附属肿瘤医院药剂科，上海 200032； 2. 山东中医药大学药学院，山东 济南 250355； 3. 上海交通大学附属儿童医院药学部，上海 200062)

基于雷公藤甲素 (triprolide, TPL) 构建的外泌体靶向递药系统 FA-Exo/TPL，可有效包载药物，并将其靶向递送至恶性黑色素瘤组织，起到减毒增效的作用。



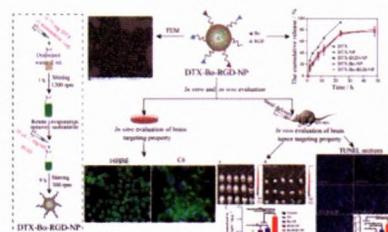
3233

#### 冰片/RGD 双修饰多烯紫杉醇纳米粒经鼻给药抗脑胶质瘤作用研究

赵霄<sup>1</sup>，余双文<sup>2</sup>，杜俊峰<sup>1</sup>，倪淑婷<sup>1</sup>，胡凯莉<sup>1\*</sup>

(1. 上海中医药大学交叉科学研究院，上海 201203； 2. 上海中医药大学，上海中医健康服务协同创新中心，上海 201203)

冰片/RGD 双修饰多烯紫杉醇纳米粒经鼻给药后具有较好的脑胶质瘤靶向性能，能显著提高 DTX 的脑胶质瘤治疗效果。



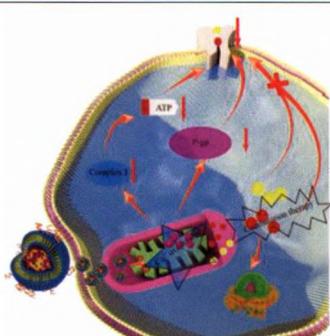
3243

#### 线粒体靶向亚砷酸钙/多柔比星脂质纳米粒的制备及其逆转肿瘤耐药性的体外研究

张轲<sup>1</sup>，岳天祥<sup>1</sup>，程梦莹<sup>1</sup>，梁曾颖<sup>1</sup>，朴寄纲<sup>1,2\*</sup>，郑红月<sup>3</sup>，徐恒武<sup>4</sup>，李范珠<sup>1,2\*</sup>

(1. 浙江中医药大学药学院，浙江 杭州 310000； 2. 浙江省神经药理学与转化研究重点实验室，浙江 杭州 310000； 3. 浙江中医药大学图书馆，浙江 杭州 310000； 4. 金华市人民医院药学部，浙江 金华 321000)

本研究构建线粒体靶向亚砷酸钙/多柔比星脂质纳米粒，克服耐药性，释放三氧化二砷和多柔比星协同杀死肿瘤。

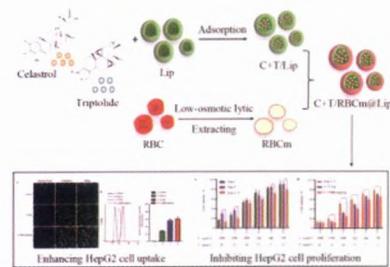


3252

**红细胞膜包被的雷公藤甲素-红素仿生共载脂质体的制备及表征研究**钟雪梅<sup>1</sup>, 鲜静<sup>1</sup>, 石金凤<sup>2</sup>, 吴亿晗<sup>1</sup>, 陈佳美<sup>1</sup>, 林洁<sup>1,3</sup>, 章津铭<sup>1\*</sup>, 邹亮<sup>1,3\*</sup>

(1. 成都中医药大学药学院, 西南特色中药资源国家重点实验室, 四川 成都 611137; 2. 成都医学院药学院, 四川 成都 610500; 3. 成都大学食品与生物工程学院, 四川 成都 610106)

红细胞膜包被的雷公藤甲素-红素仿生共载脂质体增强人源肝癌 HepG2 细胞摄取并抑制 HepG2 细胞增殖。

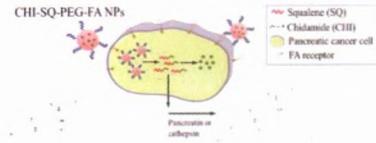


3261

**叶酸修饰角鲨烯化西达本胺前药自组装纳米粒的构建与增强胰腺癌微环境递药的实验研究**陈凯迪<sup>1,2</sup>, 冯迪<sup>1</sup>, 周红<sup>3</sup>, 李玮<sup>2</sup>, 戚雨薇<sup>1</sup>, 黄晔<sup>4</sup>, 赵山<sup>1</sup>, 谷满仓<sup>1,2\*</sup>

(1. 浙江中医药大学药学院, 浙江 杭州 311402; 2. 浙江中医药大学中医药科学院, 浙江 杭州 311402; 3. 浙江大学医学院附属第一医院, 浙江 杭州 310003; 4. 浙江省皮肤病防治研究所, 浙江 湖州 313200)

本研究制备的 CHI-SQ-FA NPs 粒径均一、安全性好且具有胰酶响应性, 可显著增强西达本胺 (chidamide, CHI) 对肿瘤细胞的渗透和杀伤作用。

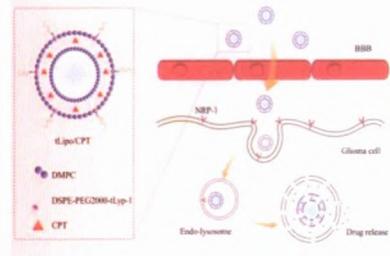


3268

**隐丹参酮靶向脂质体的构建及其体外抗脑胶质瘤考察**赵华聪<sup>1,2</sup>, 王永明<sup>1,2</sup>, 崔季维<sup>1,2</sup>, 封宽瀚<sup>1,2</sup>, 王若宁<sup>1,2\*</sup>, 狄留庆<sup>1,2\*</sup>

(1. 南京中医药大学药学院, 江苏 南京 210046; 2. 江苏省中药高效给药系统工程技术研究中心, 江苏 南京 210023)

血脑屏障可透性和胶质瘤靶向性隐丹参酮脂质体 tLipo/CPT 的构建及其 NRP-1 受体介导的体外抗脑胶质瘤活性研究。

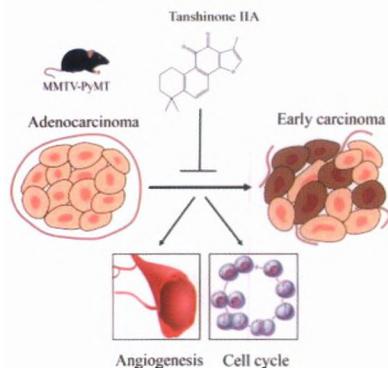


3277

**丹参酮 II A 预防小鼠自发性乳腺癌发生的作用机制**王圆<sup>1</sup>, 李晓风<sup>2</sup>, 孙烨<sup>1</sup>, 许俊德<sup>1</sup>, 吴一创<sup>1</sup>, 万朋<sup>1</sup>, 邓蕊<sup>1</sup>, 郑秀芹<sup>1</sup>, 李晓曼<sup>1</sup>, 赵杨<sup>2</sup>, 陆茵<sup>1,3\*</sup>, 吴媛媛<sup>1\*</sup>

(1. 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; 2. 南京中医药大学整合医学学院, 江苏 南京 210023; 3. 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)

本研究证明丹参酮 II A 预防 MMTV-PyMT 自发性乳腺癌小鼠肿瘤发生。

**专题报道 II：植物天然产物生物合成及合成生物学（特邀编辑：郭娟 教授）**

3285

**植物天然产物途径创建**刘秀玉<sup>1,2</sup>, 罗凌龙<sup>1</sup>, 马莹<sup>1</sup>, 卜俊玲<sup>1</sup>, 胡志敏<sup>1</sup>, 孙术富<sup>1,3</sup>, 崔光红<sup>1</sup>, 唐金富<sup>1</sup>, 郭娟<sup>1,\*</sup>, 黄璐琦<sup>1\*</sup>

(1. 中国中医科学院中药资源中心, 道地药材国家重点实验室, 北京 100700; 2. 河南中医药大学药学院, 河南 郑州 450046; 3. 安徽中医药大学药学院, 安徽 合肥 230071)

本文综述了植物天然产物生物合成及其合成生物学方面的研究进展, 对近几年新方法新技术的应用进行总结和讨论, 为植物天然产物途径创建提供参考。

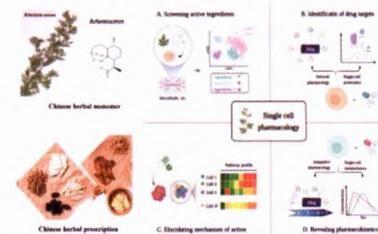


3300

**中药现代化研究的崭新模式：单细胞药理学**陈嘉鋆<sup>#</sup>, 郭秋岩<sup>#</sup>, 徐承超\*, 王继刚\*

(中国中医科学院青蒿素研究中心, 中药研究所, 北京 100700)

本文提出单细胞组学技术应用于中药药理研究的崭新学科——单细胞药理学, 系统阐述其在中药药理中的研究模式及其在促进中药现代化的应用前景。

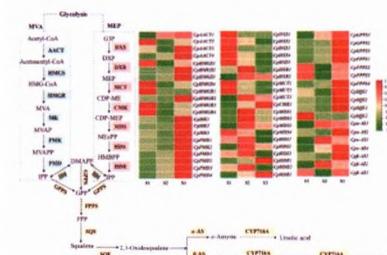


3313

**山楂果实转录组分析及三萜合成关键酶基因 *SQE* 的克隆与生信分析**吴君贤<sup>1</sup>, 徐睿<sup>1</sup>, 尹曼臻<sup>1</sup>, 李景<sup>1</sup>, 余函纹<sup>1</sup>, 刘梦丽<sup>1</sup>, 彭华胜<sup>2,3\*</sup>, 查良平<sup>1,4\*</sup>

(1. 安徽中医药大学药学院, 安徽 合肥 230012; 2. 中国中医科学院中药资源中心, 道地药材国家重点实验室培育基地, 北京 100700; 3. 中国医学科学院道地药材研究创新单元 (2019RU57), 北京 100700; 4. 安徽省中医药科学院中药资源保护与开发研究所, 安徽 合肥 230012)

本研究利用 Illumina Hiseq 2000 高通量测序技术对不同发育时期的山楂果实进行转录组测序, 分析山楂的三萜和柠檬酸生物合成途径相关基因的表达差异, 成功克隆出山楂的两条 *SQE* 基因并对其进行生物学信息学分析。

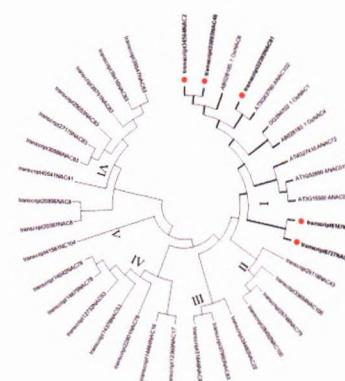


3325

**川续断 *DaNAC* 基因家族成员的鉴定及表达分析**徐娇<sup>1</sup>, 胡正平<sup>1</sup>, 何华<sup>1</sup>, 郭娟<sup>2</sup>, 江维克<sup>1</sup>, 肖承鸿<sup>1</sup>, 李良远<sup>1</sup>, 周涛<sup>1\*</sup>

(1. 贵州中医药大学, 贵州 贵阳 550025; 2. 中国中医科学院中药资源中心, 北京 100700)

川续断中至少有 29 个 *DaNAC* 家族成员, 在川续断抵抗非生物逆境胁迫中具有重要的作用。



3331

**基于 UPLC-Q-TOF-MS/MS 代谢组学的铁皮石斛和玫瑰石斛化学成分差异研究**娄港归<sup>1#</sup>, 夏杰<sup>1#</sup>, 杨健<sup>2</sup>, 王宏鹏<sup>3</sup>, 梁宗锁<sup>1</sup>, 肖艺<sup>4</sup>, 李振达<sup>4</sup>, 张煜<sup>5</sup>, 刘志超<sup>6</sup>, 施秀丽<sup>3</sup>, 张晓丹<sup>1\*</sup>, 杨东风<sup>1\*</sup>

(1. 浙江理工大学生命科学与医药学院, 浙江省植物次生代谢调控重点实验室, 浙江杭州 310018; 2. 中国中医科学院中药资源中心, 道地药材国家重点实验室培育基地, 北京 100700; 3. 浙江科技学院生物与化学工程学院, 浙江 杭州 310023; 4. 宁波易中禾药用植物研究院有限公司, 浙江 宁波 315010; 5. 云南野兰堂生物科技有限公司, 云南 昆明 650114; 6. 六安市农业科学研究院中药材研究室, 安徽 六安 237000)



本研究采用超高效液相色谱-串联四级杆-飞行时间质谱 (UPLC-Q-TOF-MS) 技术分别对铁皮石斛和玫瑰石斛的茎和叶进行化学成分分析。发现铁皮石斛小分子化合物以萜类和黄酮类成分为主, 生物碱含量较低, 玫瑰石斛则以生物碱和萜类成分为主, 玫瑰石斛碱、玫瑰石斛胺等为其特有成分。

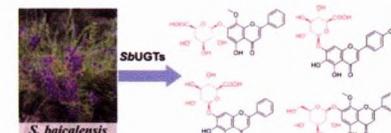
3345

**黄芩中黄酮 O-糖基转移酶的发现及功能表征**

韩搏云, 王子龙, 王双, 叶敏\*, 乔雪\*

(北京大学药学院, 天然药物与仿生药物国家重点实验室, 北京大学-云南白药国际医学研究中心, 北京 100191)

本文从黄芩鉴定了 3 种 O-糖基转移酶, 能催化合成多种黄酮糖苷类活性成分。

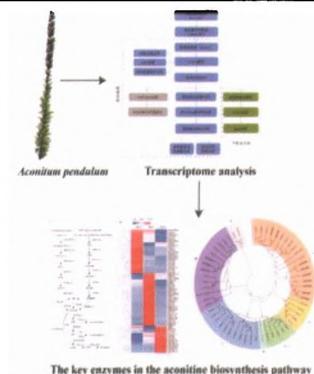


3353

**铁棒锤转录组分析及乌头碱生物合成相关基因的挖掘**田梅<sup>1</sup>, 陈灵丽<sup>1</sup>, 靳保龙<sup>1</sup>, 郭娟<sup>1</sup>, 葛慧<sup>2</sup>, 赵鑫<sup>2</sup>, 崔光红<sup>1\*</sup>

(1. 中国中医科学院中药资源中心, 北京 100700; 2. 甘肃中医药大学和政药用植物园, 甘肃和政 731299)

为研究铁棒锤乌头碱类生物合成通路, 本研究利用 Illumina 测序技术, 首次开展铁棒锤根、叶及花的转录组学研究, 挖掘 20 种 56 个乌头碱生物合成关键酶基因, 为后续开展铁棒锤二萜生物碱生物合成途径的解析及比较功能基因组学提供了基因资源及参考数据。



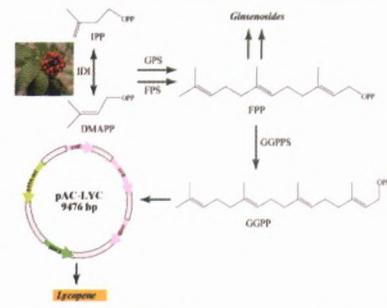
3362

**越南参变种异戊烯基焦磷酸异构酶基因的克隆与功能研究**

王一博, 管丽娜, 曹小青, 王雪, 程景平, 王宝婕, 徐福荣\*, 马晓惠\*

(云南中医药大学中药学院, 云南 昆明 650500)

本研究从越南参变种中筛选并克隆得到 2 条异戊烯基焦磷酸异构酶 (isopentenyl diphosphate isomerase, IDI) 基因 (*PvIDI1* 和 *PvIDI2*), 并对其进行了相关生物信息学分析、表达分析及功能研究。*PvIDI1* 和 *PvIDI2* 的开放阅读框均为 924 bp, 均编码有功能的 IDI 蛋白, 能促进大肠杆菌中番茄红素的积累。

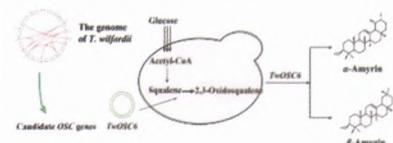


3370

**雷公藤中 2,3-氧化鲨烯环化酶基因家族分析及功能表征**刘远<sup>1</sup>, 屠李婵<sup>2</sup>, 卢鋆<sup>1</sup>, 夏梦<sup>1</sup>, 高伟<sup>1,3\*</sup>

(1. 首都医科大学中医药学院, 北京 100069; 2. 浙大城市学院医学院, 浙江 杭州 310015; 3. 首都医科大学北京世纪坛医院, 北京 100038)

基于雷公藤基因组数据, 从雷公藤中筛选到 16 条 OSC 基因, 通过在酵母表达 TwOSC6, 证实了其为多功能香树脂醇合酶。

**综述**

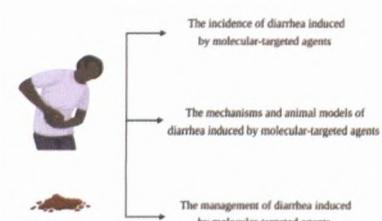
3377

**抗肿瘤分子靶向药物相关性腹泻研究进展**

王施元, 王致红, 李春雨\*, 李国辉\*

(国家癌症中心, 国家肿瘤临床医学研究中心, 中国医学科学院、北京协和医学院肿瘤医院, 北京 100021)

本文对分子靶向药物相关性腹泻的发生率、发病机制、动物模型和治疗管理进行归纳和分析, 以期为相关研究和临床合理安全用药提供参考。

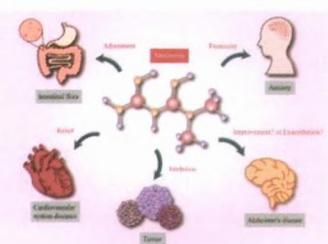


3385

**二甲双胍的多效性研究进展**肖文铉<sup>1</sup>, 罗雅丹<sup>1</sup>, 陈建国<sup>1,2</sup>, 王芳<sup>1,2\*</sup>

(1. 华中科技大学同济医学院基础医学院药理学系, 湖北 武汉 430030; 2. 药物靶点研究与药效学评价湖北省重点实验室, 湖北 武汉 430030)

二甲双胍是治疗 2 型糖尿病的常用药物, 近年来发现其在改善神经精神疾病、心血管保护、抗肿瘤和调节肠道菌群等方面有较高的临床价值。



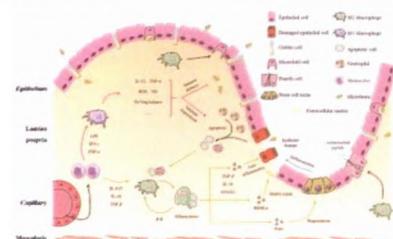
3392

**基于巨噬细胞对肠黏膜愈合调控治疗炎症性肠病的药物研究现状**

杜欣珂, 冉庆森, 刘丽, 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)

巨噬细胞是炎症损伤后肠黏膜再生愈合必不可少的一环。通过恢复巨噬细胞极化平衡以维系微环境稳态, 进而促进炎症性肠病患者实现长期黏膜愈合, 是药物应用、研发的重要方向。

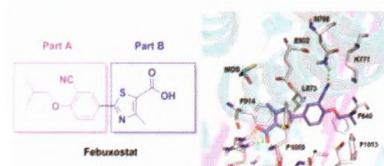


3401

**黄嘌呤氧化酶抑制剂非布司他衍生物的研究进展**

李文烨, 翟纳, 巨修练, 刘根炎\*

(武汉工程大学化工与制药学院, 绿色化工过程教育部重点实验室, 新型反应器与绿色化学工艺湖北省重点实验室, 湖北 武汉 430205)



黄嘌呤氧化酶抑制剂非布司他是经典的抗痛风药物, 本文综述了近 10 年来非布司他衍生物的研究进展, 并就其各部分构效关系进行了分类概述, 旨在为设计和开发新型抗痛风药物提供参考。

3414

**关联临床疗效的中药复方质量评价思路探讨**龙江兰<sup>1,2</sup>, 王爱婷<sup>1,2</sup>, 杨智睿<sup>1,2</sup>, 邓科君<sup>3</sup>, 林昊<sup>4\*</sup>, 鄢丹<sup>1,2\*</sup>

(1. 首都医科大学附属北京友谊医院, 北京 100050; 2. 北京市临床药学研究所, 北京 100050; 3. 电子科技大学生命科学与技术学院, 四川 成都 610054; 4. 电子科技大学信息生物学研究中心, 四川 成都 610054)

建立包括疗效应答指标(关联临床)、主要药效物质(化学评价)、关键作用靶点(生物评价)三要素在内的中药复方质量评价方法学。

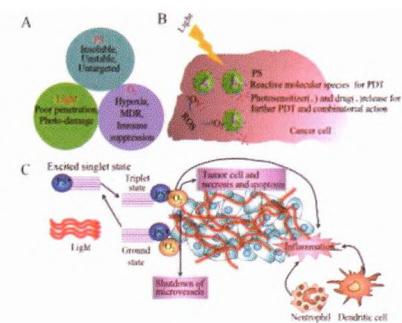


3421

**基于光动力学疗法抗肿瘤的纳米给药系统**贾学丽<sup>1</sup>, 刘一婧<sup>1,2</sup>, 李森<sup>1</sup>, 杜丽娜<sup>1,2\*</sup>, 金义光<sup>1\*</sup>

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

光动力学疗法(PDT)与纳米给药系统联合应用靶向递送光敏剂到肿瘤组织, 增强抗肿瘤效果。



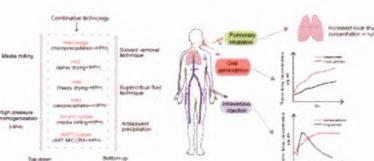
3431

**纳米晶体技术及其提升水难溶药物药理学功效的研究进展**

刘晓雪, 龚俊波, 魏振平\*

(天津大学化工学院, 天津 300350)

本文概述了纳米药物晶体的制备与应用流程图, 以及经不同途径给药后显现的优势, 如促进口服给药后的消化道吸收、延长静脉给药后的血液循环时间和提高药物的靶位浓度。

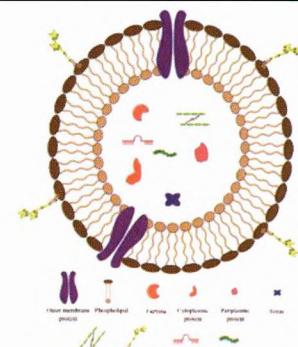


3441

**细菌外膜囊泡: 疾病治疗的新途径**邱晓涵<sup>1,2,3,4</sup>, 李泳江<sup>1,3,4</sup>, 吴军勇<sup>1,3,4</sup>, 蔡佳歆<sup>1,3,4</sup>, 刘季华<sup>1,3,4</sup>, 徐文杰<sup>1,3,4</sup>, 向大雄<sup>1,3,4\*</sup>

(1. 中南大学湘雅二医院药学部, 湖南 长沙 410011; 2. 湖南医药学院第一附属医院 药学部, 湖南 怀化 418000; 3. 湖南省转化医学与创新药物工程技术研究中心, 湖南 长沙 410011; 4. 中南大学临床药学研究所, 湖南 长沙 410011)

本文介绍了细菌外膜囊泡(OMVs)的结构和组成, 总结了分离、提取及鉴定 OMVs 的方法, 对 OMVs 最新的研究进展和应用前景进行了概述。



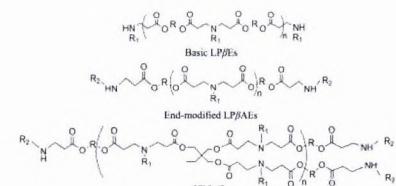
3451

**聚( $\beta$ -氨基酯)基因载体的研究进展**

刘颖诗, 胡海梅\*

(广东药科大学生命科学与生物制药学院, 广东 广州 510006)

本文对近年来各类型聚( $\beta$ -氨基酯)(P $\beta$ AEs)在基因递送方面进行了全面总结。

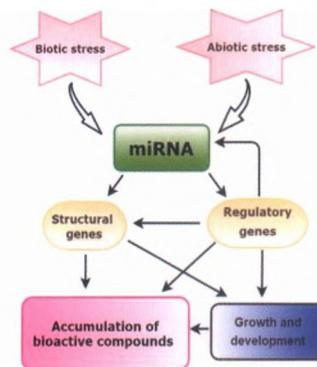


3460

**植物 miRNA 研究方法与药用植物 miRNA 研究进展**郑小宇<sup>1,2</sup>, 陈敏<sup>1</sup>, 李航<sup>1,3</sup>, 赵淑娟<sup>1\*</sup>

(1. 上海中医药大学中药研究所, 中药新资源与品质评价国家中医药管理局重点研究室, 教育部中药标准化研究中心, 上海市复方中药重点研究室, 上海 201203; 2. 上海中医药大学教学实验中心, 上海 201203; 3. 上海上药杏灵科技药业股份有限公司, 上海 201703)

概述了植物 miRNA 的一般研究方法。药用植物 miRNA 有望成为调控药用植物活性产物生物合成的有力工具。

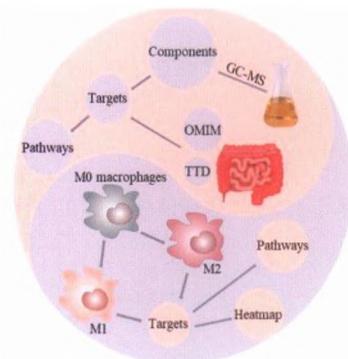
**研究论文**

3473

**网络药理学整合巨噬细胞差异基因揭示广藿香油对炎症性肠病的治疗机制**何毅豪<sup>1,2</sup>, 汪颖舒<sup>1,2</sup>, 杜璐璐<sup>1</sup>, 张彤<sup>1\*</sup>, 王冰<sup>2\*</sup>

(1. 上海中医药大学中药学院, 上海 201203; 2. 中国科学院上海药物研究所, 药物制剂研究中心, 上海 201203)

本研究预测了广藿香油治疗 IBD 的活性成分、靶点以及信号通路, 并寻找 IBD 中重要免疫细胞-巨噬细胞极化的基因表达差异, 从免疫学层面挖掘其抗炎机制。

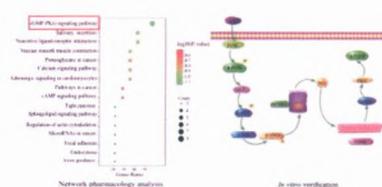


3484

**基于网络药理学分析复方利血平氨苯蝶啶片降压作用机制与验证研究**刘珊<sup>1,2</sup>, 刘楠楠<sup>1,2</sup>, 魏广义<sup>1,2</sup>, 姜瑜<sup>1</sup>, 王守宝<sup>1\*</sup>, 杜冠华<sup>1,2\*</sup>

(1. 中国医学科学院、北京协和医学院药物研究所, 北京市药物靶点研究与新药筛选重点实验室, 北京 100050; 2. 广东药科大学, 广东 广州 510006)

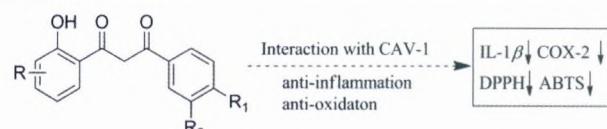
本文基于网络药理学分析复方利血平氨苯蝶啶片降压作用机制, 并在 HUVEC 和 VSMC 中分别研究其对 PI3K/Akt/eNOS 通路和 cGMP/PKG 通路的作用, 从而认为舒张血管可能是复方利血平氨苯蝶啶片降压作用的重要机制之一。



3493

**二苯甲酰甲烷类卤酚的合成、活性评价及与 CAV-1 的相互作用**刘恒江<sup>1\*</sup>, 程宁宁<sup>1\*</sup>, 张梦如<sup>1</sup>, 原红霞<sup>2</sup>, 张圆琳<sup>2</sup>, 冯秀娥<sup>1\*</sup>, 李青山<sup>1,2\*</sup>

(1. 山西医科大学药学院, 山西 太原 030001; 2. 山西中医药大学, 基于炎性反应的重大疾病创新药物山西省重点实验室, 山西 晋中 030619)



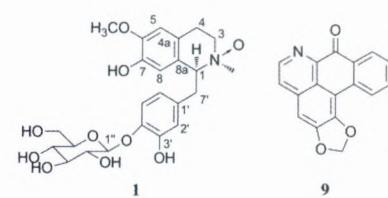
合成了 29 个二苯甲酰甲烷类卤酚, 12 个化合物与 CAV-1 存在特异性结合。该类化合物对 IL-1 $\beta$  和 COX-2 的抑制作用最为显著, 并有较强的 DPPH 和 ABTS 自由基清除活性。

3503

**粉防己生物碱成分研究**何达海<sup>1</sup>, 刘军<sup>2</sup>, 方东梅<sup>3\*</sup>, 王晓玲<sup>1</sup>, 李丽梅<sup>1\*</sup>

(1. 西南民族大学药学院, 四川 成都 610041; 2. 西南民族大学化学与环境学院, 四川 成都 610041; 3. 中国科学院成都生物研究所, 四川 成都 610041)

从粉防己的 70%乙醇水提取物中分离了 15 个生物碱类化合物, 其中化合物 1 为新化合物。这些化合物对肺癌耐药细胞株 H1299 均显示了很好的细胞毒活性, 化合物 9 的活性最佳, 其 IC<sub>50</sub> 为 5.38  $\mu\text{mol}\cdot\text{L}^{-1}$ 。

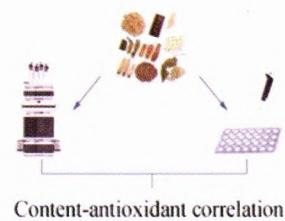


3511

**经典名方清燥救肺汤的多成分含量测定方法和抗氧化活性研究**李华<sup>1</sup>, 刘梓晗<sup>1</sup>, 孟欣<sup>1</sup>, 许华容<sup>1</sup>, 杨晓阳<sup>2</sup>, 李清<sup>1</sup>, 毕开顺<sup>1\*</sup>

(1. 沈阳药科大学药学院, 辽宁 沈阳 110016; 2. 神威药业集团有限公司, 河北 石家庄 051430)

本研究建立了UHPLC-DAD法用于测定清燥救肺汤物质基准中8种成分的含量, 并通过ABTS<sup>+</sup>自由基清除实验测定清燥救肺汤物质基准的抗氧化性。经相关性分析, 探讨8种成分的含量对清燥救肺汤抗氧化活性的影响。

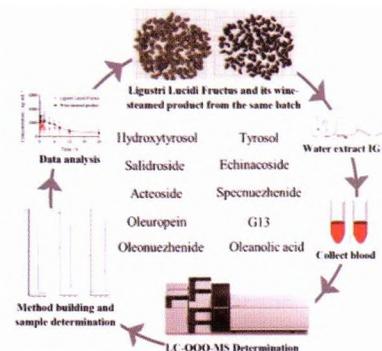


3518

**基于女贞子和酒女贞子中主要差异性成分的体内药代动力学研究**纪鑫<sup>1</sup>, 刘晓谦<sup>1</sup>, 高陆<sup>2</sup>, 肖苏萍<sup>3</sup>, 梁曜华<sup>1</sup>, 李春<sup>1\*</sup>, 王智民<sup>1\*</sup>

(1. 中国中医科学院中药研究所, 中药质量控制技术国家工程实验室, 北京 100700; 2. 修正药业集团股份有限公司, 吉林 长春 130012; 3. 中国中药有限公司, 北京 102600)

本文考察了生/酒女贞子中10种主要的差异性成分给药后的药代动力学行为, 分析比较了10种成分在不同给药环境下的代谢差异, 研究结果为验证中医理论“女贞子酒制增效”提供了科学依据。

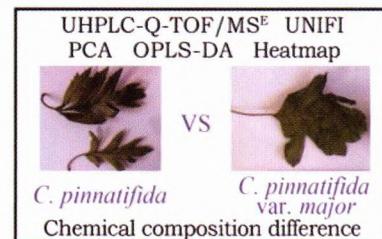


3526

**不同来源山楂叶化学成分比较分析**郑伟<sup>1</sup>, 周茗<sup>1,2</sup>, 王双艳<sup>1</sup>, 李齐<sup>1</sup>, 张洁<sup>1</sup>, 赵晔<sup>3</sup>, 郑晓晖<sup>3</sup>, 郭宝林<sup>2</sup>, 马百平<sup>1\*</sup>

(1. 军事科学院军事医学研究院辐射医学研究所, 北京 100850; 2. 中国医学科学院、北京协和医学院药用植物研究所, 北京 100193; 3. 西北大学生命科学学院, 陕西 西安 710069)

利用UHPLC-Q-TOF/MS<sup>E</sup>结合UNIFI数据分析平台和多元统计方法对山楂(来自野生)和山里红(来自栽培)两个来源山楂叶的化学成分及差异性成分进行分析与鉴定。

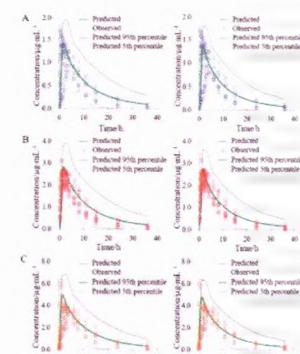


3540

**采用生理药动学模型预测新型泛磷酸二酯酶抑制剂ZSP1601在人体中的药动学**张逸凡<sup>1</sup>, 徐叶<sup>1</sup>, 李海军<sup>2</sup>, 陈小新<sup>2</sup>, 徐松波<sup>2</sup>, 刘佳<sup>1</sup>, 王志杰<sup>1</sup>, 钟大放<sup>1\*</sup>

(1. 中国科学院上海药物研究所, 上海 201203; 2. 广东众生睿创生物科技有限公司, 广东 广州 510663)

采用生理药动学模型预测新型泛磷酸二酯酶抑制剂ZSP1601在人体中的药动学。

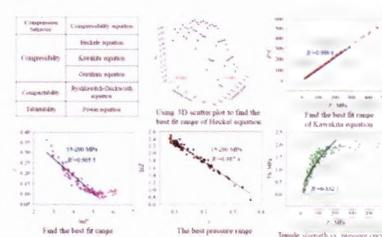


3547

**压力范围对片剂压缩方程拟合结果的影响**李婉婷<sup>1,2,3</sup>, 宿军慧<sup>1</sup>, 李文静<sup>1</sup>, 曹君杰<sup>1</sup>, 戴胜云<sup>4</sup>, 乔延江<sup>1,2,3\*</sup>, 徐冰<sup>1,2,3\*</sup>

(1. 北京中医药大学中药学院, 北京 102400; 2. 北京市科委, 中药生产过程控制与质量评价北京市重点实验室, 北京 102400; 3. 中药制药与新药开发教育部工程研究中心, 北京 102400; 4. 中国食品药品检定研究院, 北京 102629)

本文旨在优化压缩方程压力范围, 保证良好拟合效果, 提高压缩参数可靠性。



# ACTA PHARMACEUTICA SINICA

Volume 56 Number 12 2021 December

## Graphical Abstracts

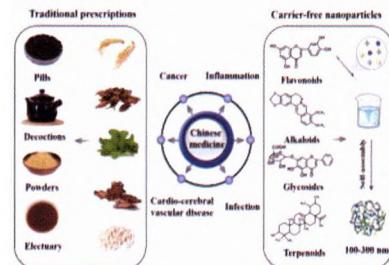
### Special Reports I: Anti-tumor Preparations of Traditional Chinese Medicine

3203

#### Carrier-free nanoparticles based on self-assembly of active ingredients from Chinese medicine

FENG Xing-xing, XIE Qi, YANG Cong-lian, KONG Li\*, ZHANG Zhi-ping\*  
(Tongji School of Pharmacy, Huazhong University of Science and Technology, Wuhan 430030, China)

The strategy based on self-assembly of active ingredients from Chinese medicine has opened up a new application field for the modernization of Chinese medicine, which is expected to improve the therapeutic effect of Chinese medicine.



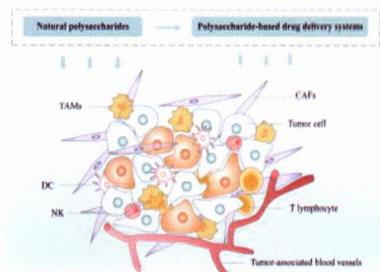
3212

#### Research progress of natural polysaccharides and their nano-sized drug delivery systems in regulating tumor microenvironment

HAN Tao<sup>1</sup>, CHEN Yan<sup>1,2\*</sup>, QU Ding<sup>1,2\*</sup>

(1. Affiliated Hospital of Integrated Traditional Chinese and Western Medicine, Nanjing University of Chinese Medicine, Nanjing 210028, China; 2. Multi-component of Traditional Chinese Medicine and Microecology Research Center, Jiangsu Provincial Academy of Chinese Medicine, Nanjing 210028, China)

In this review, regulation of natural polysaccharides and their nano-drug delivery systems on tumor microenvironment were summarized.



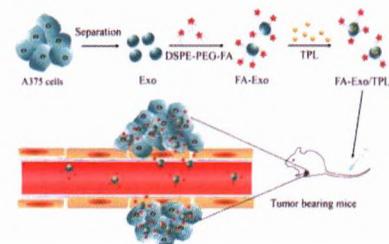
3224

#### In vitro and in vivo evaluation of folate-mediated triptolide exosome targeted delivery system against malignant melanoma

GU Yong-wei<sup>1</sup>, JIANG Liang-di<sup>1,2</sup>, DU Yue<sup>2,3</sup>, LI Ai-xue<sup>1,2</sup>, LIU Ji-yong<sup>1\*</sup>

(1. Department of Pharmacy, Fudan University Shanghai Cancer Center, Shanghai 200032, China; 2. College of Pharmacy, Shandong University of Traditional Chinese Medicine, Jinan 250355, China; 3. Department of Pharmacy, Children's Hospital Affiliated to Shanghai Jiao Tong University, Shanghai 200062, China)

Based on triptolide (TPL), the constructed exosome targeted drug delivery system, FA-Exo/TPL, could effectively load drug and deliver it to malignant melanoma tissues, increasing efficacy and reducing toxicity of TPL.



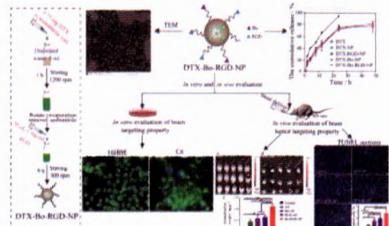
3233

#### The anti-glioma effects of borneol and RGD co-modified docetaxel loaded nanoparticles after intranasal administration

ZHAO Xiao<sup>1</sup>, YU Shuang-wen<sup>2</sup>, DU Jun-feng<sup>1</sup>, NI Shu-ting<sup>1</sup>, HU Kai-li<sup>1\*</sup>

(1. Institute of Interdisciplinary Integrative Medicine Research, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China; 2. Shanghai Innovation Center of TCM Health Service, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China)

The borneol and RGD co-modified docetaxel loaded nanoparticles displayed good glioma targeting efficiency and significantly improved the therapeutic effects of DTX on glioma after intranasal administration.



3243

**Preparation of mitochondrial targeted calcium arsenite/doxorubicin lipid nanoparticles and the *in vitro* study in reversing tumor drug resistance**

ZHANG Ke<sup>1</sup>, YUE Tian-xiang<sup>1</sup>, CHENG Meng-ying<sup>1</sup>, LIANG Zeng-ying<sup>1</sup>,  
PIAO Ji-gang<sup>1,2\*</sup>, ZHENG Hong-yue<sup>3</sup>, XU Heng-wu<sup>4</sup>, LI Fan-zhu<sup>1,2\*</sup>

(1. College of Pharmaceutical Sciences, Zhejiang Chinese Medical University, Hangzhou 310000, China; 2. Key Laboratory of Neuropharmacology and Translational Medicine of Zhejiang Province, Hangzhou 310000, China; 3. Libraries of Zhejiang Chinese Medical University, Zhejiang Chinese Medical University, Hangzhou 310000, China; 4. Department of Pharmacy, Jinhua People's Hospital, Jinhua 321000, China)

In this study, we constructed mitochondrial targeted calcium arsenite/doxorubicin lipid nanoparticles to overcome drug resistance, and release arsenic trioxide and doxorubicin to synergistically kill tumors.



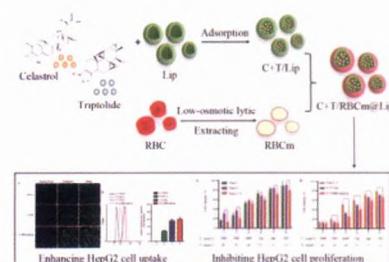
3252

**Preparation and characterization of biomimetic liposomes coated with erythrocyte membrane co-loading triptolide and celastrol**

ZHONG Xue-mei<sup>1</sup>, XIAN Jing<sup>1</sup>, SHI Jin-feng<sup>2</sup>, WU Yi-han<sup>1</sup>, CHEN Jia-mei<sup>1</sup>,  
LIN Jie<sup>1,3</sup>, ZHANG Jin-ming<sup>1,\*</sup>, ZOU Liang<sup>1,3\*</sup>

(1. Pharmacy School, State Key Laboratory of Southwestern Chinese Medicine Resources, Chengdu University of Traditional Chinese Medicine, Chengdu 611137, China; 2. College of Pharmacy, Chengdu Medical College, Chengdu 610500, China; 3. School of Food and Biological Engineering, Chengdu University, Chengdu 610106, China)

Biomimetic liposomes coated with erythrocyte membrane co-loading triptolide and celastrol could enhance HepG2 cell uptake and inhibit HepG2 cell proliferation.



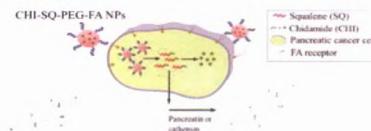
3261

**The development of folate modified squalene-chidamide prodrug self-assembled nanoparticles to enhance the drug delivery in pancreatic cancer microenvironment**

CHEN Kai-di<sup>1,2</sup>, FENG Di<sup>1</sup>, ZHOU Hong<sup>3</sup>, LI Wei<sup>2</sup>, QI Yu-wei<sup>1</sup>, HUANG Ye<sup>4</sup>,  
ZHAO Shan<sup>1</sup>, GU Man-cang<sup>1,2\*</sup>

(1. College of Pharmaceutical Sciences, Zhejiang Chinese Medical University, Hangzhou 311402, China; 2. Academy of Chinese Medical Sciences, Zhejiang Chinese Medical University, Hangzhou 311402, China; 3. The First Affiliated Hospital, College of Medicine, Zhejiang University, Hangzhou 310003, China; 4. Institute of Dermatology Prevention and Treatment, Huzhou 313200, China)

The CHI-SQ-FA NPs prepared in this study have uniform particle size, good safety, and pancreatin responsiveness, which can significantly enhance the penetration and anti-tumor efficacy of chidamide (CHI) on tumor cells.



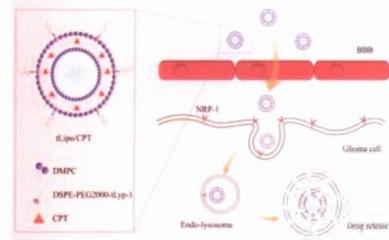
3268

**Construction of targeted cryptotanshinone liposomes and research on its *in vitro* anti-glioma effect**

ZHAO Hua-cong<sup>1,2</sup>, WANG Yong-ming<sup>1,2</sup>, CUI Ji-wei<sup>1,2</sup>, FENG Kuan-han<sup>1,2</sup>,  
WANG Ruo-ning<sup>1,2\*</sup>, DI Liu-qing<sup>1,2\*</sup>

(1. School of Pharmacy of Nanjing University of Chinese Medicine, Nanjing 210046, China; 2. Jiangsu Provincial TCM Engineering Technology Research Center of High Efficient Drug Delivery System, Nanjing 210023, China)

Construction of blood-brain barrier (BBB) permeable and glioma targeted cryptotanshinone liposomes (tLipo/CPT) and research on its *in vitro* anti-glioma effect mediated by neuropilin receptor 1 (NRP-1).



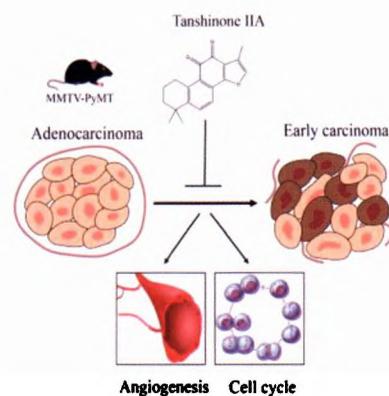
3277

**Mechanism of tanshinone IIA to prevent spontaneous breast cancer in mice**

WANG Yuan<sup>1</sup>, LI Xiao-feng<sup>2</sup>, SUN Ye<sup>1</sup>, XU Jun-de<sup>1</sup>, WU Yi-chuang<sup>1</sup>, WAN Peng<sup>1</sup>, DENG Rui<sup>1</sup>, ZHENG Xiu-qin<sup>1</sup>, LI Xiao-man<sup>1</sup>, ZHAO Yang<sup>2</sup>, LU Yin<sup>1,3\*</sup>, WU Yuan-yuan<sup>1\*</sup>

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

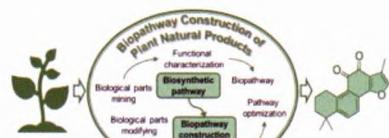
This study demonstrated that tanshinone IIA can inhibit tumor progression in MMTV-PyMT spontaneous breast cancer mouse model.

**Special Reports II: Biosynthesis of Plant Natural Products and Synthetic Biology for Their Production**

3285

**Biopathway construction of plant natural products**

LIU Xiu-yu<sup>1,2</sup>, LUO Ling-long<sup>1</sup>, MA Ying<sup>1</sup>, BU Jun-ling<sup>1</sup>, HU Zhi-min<sup>1</sup>, SUN Shu-fu<sup>1,3</sup>, CUI Guang-hong<sup>1</sup>, TANG Jin-fu<sup>1</sup>, GUO Juan<sup>1\*</sup>, HUANG Lu-qí<sup>1\*</sup>  
(1. State Key Laboratory of Dao-di Herbs, National Resource Center for Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China; 2. School of Pharmaceutical Sciences, Henan University of Chinese Medicine, Zhengzhou 450046, China; 3. School of Pharmaceutical Sciences, Anhui University of Chinese Medicine, Hefei 230071, China)

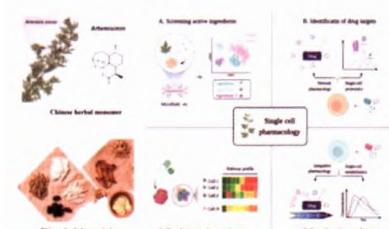


Here, recent progress in the biosynthesis of plant natural products and its synthetic biology was reviewed. In particular, the application of new methods and technologies in recent years were summarized and discussed. This will provide reference for the biopathway construction of plant natural products.

3300

**A new research paradigm in modernization of traditional Chinese medicine: single cell pharmacology**

CHEN Jia-yun<sup>#</sup>, GUO Qiu-yan<sup>#</sup>, XU Cheng-chao<sup>\*</sup>, WANG Ji-gang<sup>\*</sup>  
(Artemisinin Research Center, Institute of Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China)

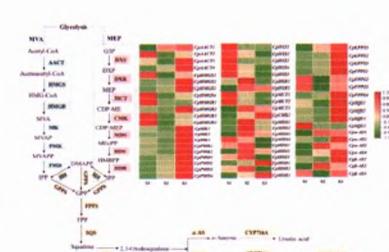


In this article, we propose a novel concept of "single cell pharmacology" and articulate how it can be applied to transform the pharmacological research of TCM and promote TCM modernization.

3313

**Different development phase of transcriptome analysis from *Crataegus pinnatifida* Bge. and cloning, structure and function prediction of squalene epoxidase involved in triterpenic acid biosynthesis**

WU Jun-xian<sup>1</sup>, XU Rui<sup>1</sup>, YIN Min-zhen<sup>1</sup>, LI Jing<sup>1</sup>, YU Han-wen<sup>1</sup>, LIU Meng-li<sup>1</sup>, PENG Hua-sheng<sup>2,3\*</sup>, ZHA Liang-ping<sup>1,4\*</sup>  
(1. School of Pharmacy, Anhui University of Chinese Medicine, Hefei 230012, China; 2. State Key Laboratory Breeding Base of Dao-di Herbs, National Resource Center for Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China; 3. Research Unit of DAO-DI Herbs, Chinese Academy of Medical Sciences, 2019RUS7, Beijing 100700, China; 4. Institute of Conservation and Development of Traditional Chinese Medicine Resources, Anhui Academy of Chinese Medicine, Hefei 230012, China)



In this study, the transcriptome of *Crataegus pinnatifida* fruits from the same origin at different developmental stages has been sequenced using high-throughput Illumina HiSeq 2000 technology. We analyzed the expression differences of genes related to triterpenoid and citric acid biosynthesis pathway in hawthorn, and successfully cloned two SQE genes of hawthorn and analyzed their biological bioinformatics.

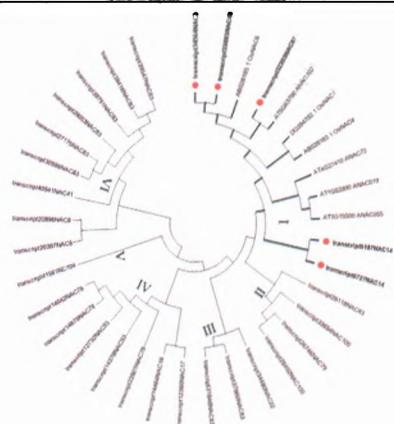
3325

**Identification and expression analysis of the *DaNAC* transcription factor family in *Dipsacus asper***

XU Jiao<sup>1</sup>, HU Zheng-ping<sup>1</sup>, HE Hua<sup>1</sup>, GUO Juan<sup>2</sup>, JIANG Wei-ke<sup>1</sup>, XIAO Cheng-hong<sup>1</sup>, LI Liang-yuan<sup>1</sup>, ZHOU Tao<sup>1\*</sup>

(1. *Guizhou University of Traditional Chinese Medicine, Guiyang 550025, China;*  
2. *National Resource Center for Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China*)

There are at least 29 NAC family members in *Dipsacus asper*, which play an important role in the resistance to abiotic stress.



3331

**Differences in the chemical composition of *Dendrobium officinale* Kimura et Migo and *Dendrobium crepidatum* Lindl based on UPLC-Q-TOF-MS/MS and metabolomics**

LOU Gang-gui<sup>1#</sup>, XIA Jie<sup>1#</sup>, YANG Jian<sup>2</sup>, WANG Hong-peng<sup>3</sup>, LIANG Zong-suo<sup>1</sup>, XIAO Yi<sup>4</sup>, LI Zhen-da<sup>4</sup>, ZHANG Yu<sup>5</sup>, LIU Zhi-chao<sup>6</sup>, SHI Wan-li<sup>3</sup>, ZHANG Xiao-dan<sup>1\*</sup>, YANG Dong-feng<sup>1\*</sup>

(1. *College of Life Sciences and Medicine, Zhejiang Sci-Tech University, Key Laboratory of Plant Secondary Metabolism and Regulation of Zhejiang Province, Hangzhou 310018, China;* 2. *State Key Laboratory Breeding Base of Dao-di Herbs, National Resource Center for Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China;* 3. *School of Biological and Chemical Engineering, Zhejiang University of Science and Technology, Hangzhou 310023, China;* 4. *Ningbo Yizhonghe Medical Plant Research Institute Co., Ltd., Ningbo 315010, China;* 5. *Yunnan Yelantang Biological Technology Co., Ltd., Kunming 650114, China;* 6. *Laboratory of Traditional Chinese Medicine, Lu'an Academy of Agricultural Sciences, Lu'an 237000, China*)



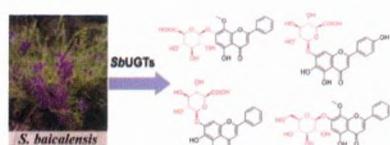
In this study, ultra-performance liquid chromatography-quadrupole-time of flight mass spectrometry (UPLC-Q-TOF-MS) was used to analyze the chemical composition in stems and leaves of *D. officinale* and *D. crepidatum*. The small molecular compounds of *D. officinale* are mainly terpenoids and flavonoids, and the content of alkaloids is low. *D. crepidatum* is mainly composed of alkaloids and terpenoids, with crepidamine and dendrocrepine as its unique components.

3345

**Discovery and functional characterization of flavone O-glycosyltransferases in *Scutellaria baicalensis***

HAN Bo-yun, WANG Zi-long, WANG Shuang, YE Min\*, QIAO Xue\*

(*State key Laboratory of Natural and Biomimetic Drugs, Peking University - Yunnan Baiyao International Medical Research Center, School of Pharmaceutical Sciences, Peking University, Beijing 100191, China*)



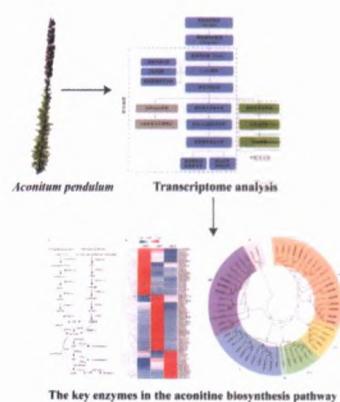
Three O-glycosyltransferases were discovered from *S. baicalensis*. These enzymes could catalyze the formation of various bioactive flavone glycosides.

3353

**Transcriptome analysis to identify genes involved in the biosynthesis of aconitines in *Aconitum pendulum***

TIAN Mei<sup>1</sup>, CHEN Ling-li<sup>1</sup>, JIN Bao-long<sup>1</sup>, GUO Juan<sup>1</sup>, GE Hui<sup>2</sup>, ZHAO Xin<sup>2</sup>, CUI Guang-hong<sup>1\*</sup>

(1. *National Resource Center for Chinese Medicinal Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China;* 2. *Gansu University of Traditional Chinese Medicine, Hezheng Medicinal Botanical Garden, Hezheng 731299, China*)



To investigate the key enzymes in the aconitine biosynthesis pathway, roots, leaves and flowers of *Aconitum pendulum* were subject to a high-throughput transcriptomic sequencing analysis by Illumina HiSeq™2000. Fifty-six unigenes were analyzed to encode 20 key enzymes in aconitine biosynthesis. This work provides genetic resources and basic scientific data for further study and comparison of functional genomics of aconitine biosynthesis pathway.

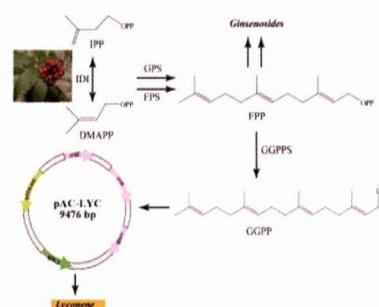
3362

**Cloning and functional characterization of isopentenyl diphosphate isomerase genes from *Panax vietnamensis* var. *fuscidiscus***

WANG Yi-bo, GUAN Li-na, CAO Xiao-qing, WANG Xue, CHENG Jing-ping, WANG Bao-jie, XU Fu-rong\*, MA Xiao-hui\*

(School of Chinese Materia Medica, Yunnan University of Chinese Medicine, Kunming 650500, China)

In this study, two *IDI* genes, *PvfIDI1* and *PvfIDI2*, were cloned from *Panax vietnamensis* var. *fuscidiscus*. Bioinformatics analysis, expression analysis and functional study were carried out. The open reading frame of *PvfIDI1* and *PvfIDI2* was 924 bp. Both *PvfIDI1* and *PvfIDI2* encoded functional IDI protein and could promote the accumulation of lycopene in *E. coli*.

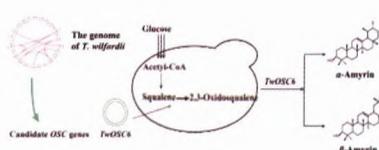


3370

**Identification and functional characterization of 2,3-oxidosqualene cyclase genes family in *Tripterygium wilfordii***

LIU Yuan<sup>1</sup>, TU Li-chan<sup>2</sup>, LU Yun<sup>1</sup>, XIA Meng<sup>1</sup>, GAO Wei<sup>1,3\*</sup>

(1. School of Traditional Chinese Medicine, Capital Medical University, Beijing 100069, China; 2. School of Medicine, Zhejiang University City College, Hangzhou 310015, China; 3. Beijing Shijitan Hospital, Capital Medical University, Beijing 100038, China)



Based on the genome data of *Tripterygium wilfordii*, 16 OSC genes were screened from *Tripterygium wilfordii*. TwOSC6 was confirmed as a multifunctional amyrin synthase by expressing in yeast.

## Reviews

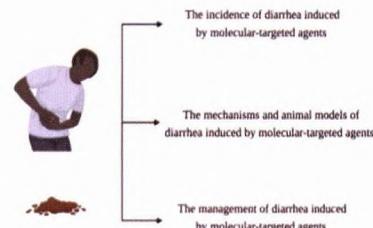
3377

**Research progress on diarrhea induced by molecular-targeted agents**

WANG Shi-yuan, WANG Zhi-hong, LI Chun-yu\*, LI Guo-hui\*

(National Cancer Center/National Clinical Research Center for Cancer/Cancer Hospital, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100021, China)

To provide a reference for relevant research and clinical medication, we review the incidence, pathogenic mechanisms, animal models, and management of diarrhea induced by the molecular-targeted agents.



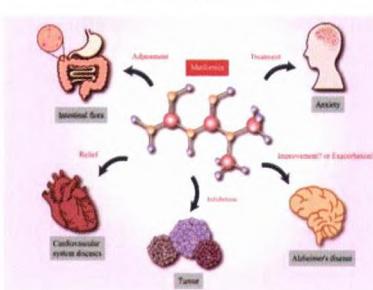
3385

**Progress in the pleiotropic activity of metformin**

XIAO Wen-xuan<sup>1</sup>, LUO Ya-dan<sup>1</sup>, CHEN Jian-guo<sup>1,2</sup>, WANG Fang<sup>1,\*</sup>

(1. Department of Pharmacology, School of Basic Medicine, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430030, China; 2. Hubei Key Laboratory of Drug Targeting and Pharmacokinetic Evaluation, Wuhan 430030, China)

Metformin is a commonly used drug for type 2 diabetes. In recent years, it has been found to have high clinical value in improving neuropsychiatric disease, cardiovascular disease, cancer, and regulating intestinal flora.



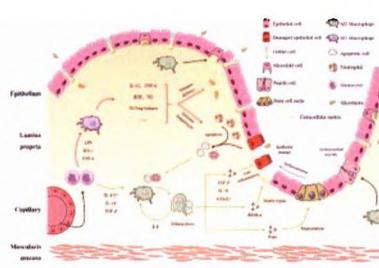
3392

**Current drug research on intestinal mucosal healing in inflammatory bowel disease based on macrophage regulation**

DU Xin-ke, RAN Qing-sen, LIU Li, 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 Sciences, Beijing 100700, China)

Macrophages are essential for inflamed mucosa properly regenerated following inflammatory injury. They respond to signals regulating the microenvironment by changing their functional phenotypes, which contributes to improving and maintaining long-term outcomes of mucosal healing in patients with inflammatory bowel disease. Therefore, aiming at multiple targets of macrophage is an important direction for drug research and development.

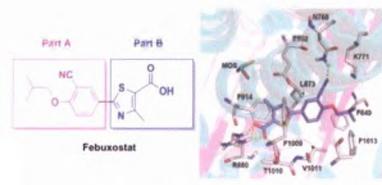


3401

**Research progress of febuxostat derivatives as xanthine oxidase inhibitors**

LI Wen-ye, ZHAI Na, JU Xiu-lian, LIU Gen-yan\*

(Key Laboratory for Green Chemical Process of Ministry of Education, Hubei Key Laboratory of Novel Reactor and Green Chemical Technology, School of Chemical Engineering and Pharmacy, Wuhan Institute of Technology, Wuhan 430205, China)



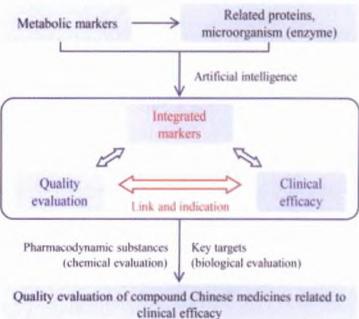
The xanthine oxidase inhibitor febuxostat is a classic anti-gout drug. In order to provide references for designing and developing novel anti-gout drugs, this paper reviewed the research progress of febuxostat derivatives in recent ten years and classified the structure-activity relationships of various febuxostat derivatives.

3414

**Quality evaluation of compound Chinese medicines as related to clinical efficacy**LONG Jiang-lan<sup>1,2</sup>, WANG Ai-ting<sup>1,2</sup>, YANG Zhi-rui<sup>1,2</sup>, DENG Ke-jun<sup>3</sup>, LIN Hao<sup>4\*</sup>, YAN Dan<sup>1,2\*</sup>

(1. Beijing Friendship Hospital, Capital Medical University, Beijing 100050, China; 2. Beijing Institute of Clinical Pharmacy, Beijing 100050, China; 3. School of Life Science and Technology, University of Electronic Science and Technology of China, Chengdu 610054, China; 4. Center for Informational Biology, University of Electronic Science and Technology of China, Chengdu 610054, China)

To establish a methodology for quality evaluation of compound Chinese medicines, including clinical efficacy response indicators (related to clinic), main pharmacodynamic substances (chemical evaluation), and key targets (biological evaluation).

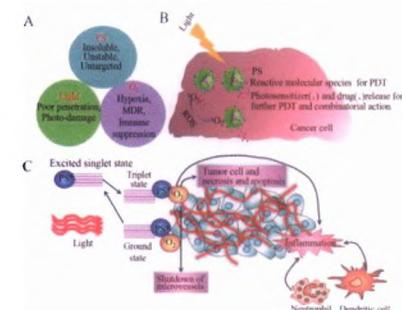


3421

**Anti-tumor nanoscale drug delivery systems based on photodynamic therapy**JIA Xue-li<sup>1</sup>, LIU Yi-jing<sup>1,2</sup>, LI Miao<sup>1</sup>, DU Li-na<sup>1,2\*</sup>, JIN Yi-guang<sup>1\*</sup>

(1. Beijing Institute of Radiation Medicine, Beijing 100850, China; 2. College of Pharmacy, Shandong University of Traditional Chinese Medicine, Jinan 250355, China)

Photodynamic therapy (PDT) combined with nanoscale drug delivery systems enhance the antitumor effect by delivering photosensitizers to the tumor tissue.



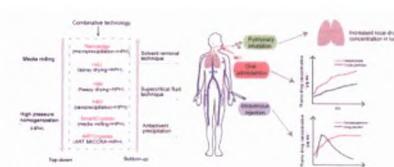
3431

**Advances in nanocrystal technology and its application to improve the pharmacological efficacy for poorly-water soluble drugs**

LIU Xiao-xue, GONG Jun-bo, WEI Zhen-ping\*

(School of Chemical Engineering, Tianjin University, Tianjin 300350, China)

This review summarizes schematic illustration for the nanocrystal drug preparation and application as well as the resulted advantages of increased gastra-intestinal absorption, increased local drug concentration and prolonged drug circulation after various routes of administration.

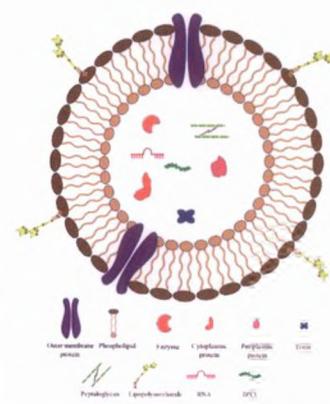


3441

**Bacterial outer membrane vesicles: a new approach to diseases therapy**QIU Xiao-han<sup>1,2,3,4</sup>, LI Yong-jiang<sup>1,3,4</sup>, WU Jun-yong<sup>1,3,4</sup>, CAI Jia-xin<sup>1,3,4</sup>, LIU Ji-hua<sup>1,3,4</sup>, XU Wen-jie<sup>1,3,4</sup>, XIANG Da-xiong<sup>1,3,4\*</sup>

(1. Department of Pharmacy, The Second Xiangya Hospital, Central South University, Changsha 410011, China; 2. Department of Pharmacy, The First Affiliated Hospital of Hunan University of Medicine, Huaihua 418000, China; 3. Hunan Provincial Engineering Research Center of Translational Medicine and Innovative Drug, Changsha 410011, China; 4. Institute of Clinical Pharmacy, Central South University, Changsha 410011, China)

This review introduces the structure, composition and methods for isolating and characterizing of outer membrane vesicles (OMVs), and summarize the applications of OMVs for diseases therapy and discuss the future perspectives.



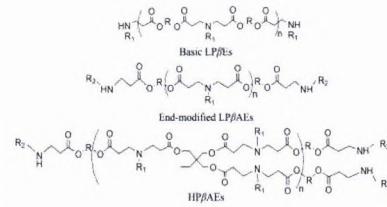
3451

**Research progress of poly ( $\beta$ -amino ester)s-based gene vector**

LIU Ying-shi, HU Hai-mei\*

(College of Life Sciences and Biopharmaceutics, Guangdong Pharmaceutical University, Guangzhou 510006, China)

A comprehensive review of the gene delivery of various types of poly ( $\beta$ -amino ester)s (P $\beta$ AEs) in recent years.

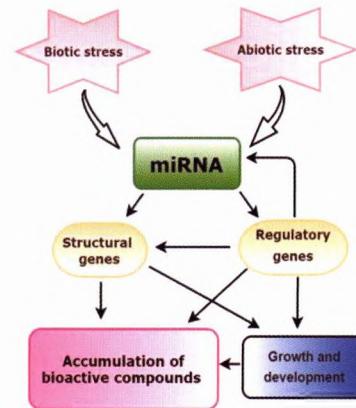


3460

**Research methods of miRNA in plants and research progress of miRNA in medicinal plants**ZHENG Xiao-yu<sup>1,2</sup>, CHEN Min<sup>1</sup>, LI Hang<sup>1,3</sup>, ZHAO Shu-juan<sup>1\*</sup>

(1. Institute of Chinese Materia Medica, Shanghai University of Traditional Chinese Medicine, The SATCM Key Laboratory for New Resources & Quality Evaluation of Chinese Medicine, The MOE Key Laboratory for Standardization of Chinese Medicines, Shanghai Key Laboratory of Compound Chinese Medicines, Shanghai 201203, China; 2. Experiment Center for Teaching and Learning, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China; 3. Shanghai Xingling Science and Technology Pharmaceutical Co., Ltd., Shanghai 201703, China)

The general research methods of miRNA in plants were summarized. miRNA is expected to be a powerful tool to regulate the biosynthesis of bioactive compounds in medicinal plants.

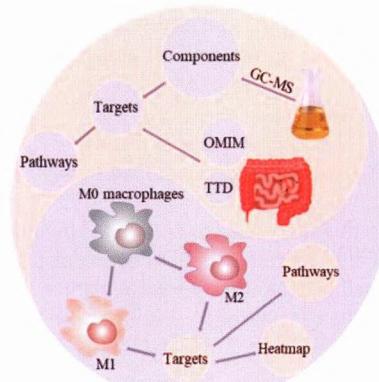
**Original Articles**

3473

**Network pharmacology integrates the differential genes of macrophages to explain the mechanism of patchouli oil treating IBD**HE Yi-hao<sup>1,2</sup>, WANG Ying-shu<sup>1,2</sup>, DU Yao-yao<sup>1</sup>, ZHANG Tong<sup>1\*</sup>, WANG Bing<sup>2\*</sup>

(1. School of Pharmacy, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China; 2. Center for Pharmaceutics Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China)

In this study, the active components, targets and signaling pathways of patchouli oil in the treatment of IBD were predicted, and the difference in gene expression of important immune cells-macrophages polarization in IBD were searched to explore its anti-inflammatory mechanism from the immunological level.

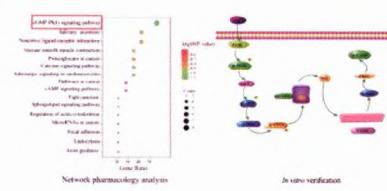


3484

**Use of network pharmacology to analyze compound reserpine and triamterene tablets in the treatment of hypertension**LIU Shan<sup>1,2</sup>, LIU Nan-nan<sup>1,2</sup>, WEI Guang-yi<sup>1,2</sup>, JIANG Yu<sup>1</sup>, WANG Shou-bao<sup>1\*</sup>, DU Guan-hua<sup>1,2\*</sup>

(1. Beijing Key Laboratory of Drug Target and Screening Research, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China; 2. Guangdong Pharmaceutical University, Guangzhou 510006, China)

Based on network pharmacology, this study analyzes the antihypertensive mechanisms of compound reserpine and triamterene tablets, and detects the effects in PI3K/Akt/eNOS pathway in HUVEC and cGMP-PKG pathway in VSMC by Western blot, so the vasodilation may be one of the important mechanisms of the antihypertensive effect of compound reserpine and triamteridine tablets.



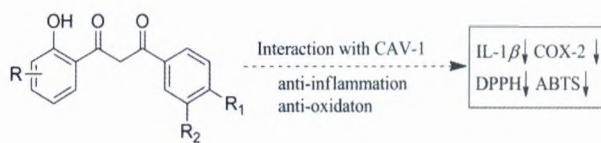
3493

**Synthesis, bioactivity evaluation of dibenzoyl methane halophenols and their interactions with CAV-1**

LIU Heng-jiang<sup>1#</sup>, CHENG Ning-ning<sup>1#</sup>, ZHANG Meng-ru<sup>1</sup>, YUAN Hong-xia<sup>2</sup>, ZHANG Yuan-lin<sup>2</sup>, FENG Xiu-e<sup>\*</sup>, LI Qing-shan<sup>1,2\*</sup>

(1. School of Pharmaceutical Science, Shanxi Medical University, Taiyuan 030001, China; 2. Shanxi Key Laboratory of Innovative Drug for the Treatment of Serious Diseases Basing on the Chronic Inflammation, Shanxi University of Chinese Medicine, Jinzhong 030619, China)

Twenty-nine dibenzoylmethane halophenols were synthesized. Twelve target compounds can specifically combine with CAV-1. Target compounds exhibit the significantly inhibitory effects on IL-1 $\beta$  and COX-2 release, also show the strong DPPH and ABTS free radical scavenging abilities.

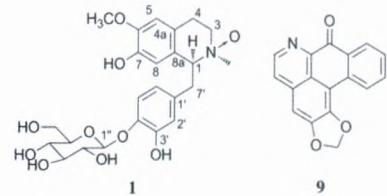


3503

**Study on alkaloid constituents of *Stephania tetrandra* S. Moore**

HE Da-hai<sup>1</sup>, LIU Jun<sup>2</sup>, FANG Dong-mei<sup>3\*</sup>, WANG Xiao-ling<sup>1</sup>, LI Li-mei<sup>1\*</sup>

(1. College of Pharmacy, Southwest Minzu University, Chengdu 610041, China; 2. College of Chemistry and Environment, Southwest Minzu University, Chengdu 610041, China; 3. Chengdu Institute of Biology, Chinese Academy of Sciences, Chengdu 610041, China)



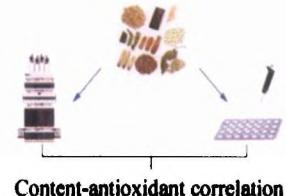
Fifteen alkaloid compounds were isolated from the 70% aqueous alcohol extract of *Stephania tetrandra* S. Moore, of which compound 1 is a new compound. These compounds showed good cytotoxic activity against drug-resistant lung cancer cell line H1299, and compound 9 displayed the best activity, with the IC<sub>50</sub> value of 5.38  $\mu\text{mol}\cdot\text{L}^{-1}$ .

3511

**Study on the determination method and antioxidant activity of the multi-component contents of the classical prescription Qingzao Jufei Decoction**

LI Hua<sup>1</sup>, LIU Zi-han<sup>1</sup>, MENG Xin<sup>1</sup>, XU Hua-rong<sup>1</sup>, YANG Xiao-yang<sup>2</sup>, LI Qing<sup>1</sup>, BI Kai-shun<sup>1\*</sup>

(1. School of Pharmacy, Shenyang Pharmaceutical University, Shenyang 110016, China; 2. Shineway Pharmaceutical Group Co., Ltd., Shijiazhuang 051430, China)



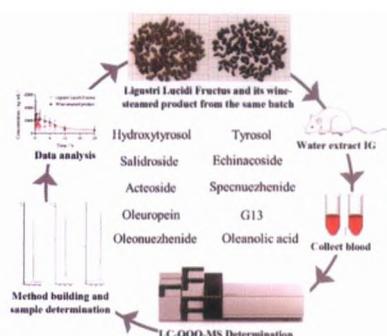
In this study, the UHPLC-DAD method was established to determine the content of 8 constituents in the Qingzao Jufei Decoction material standard, and the ABTS<sup>+</sup> free radical scavenging test was used to determine the antioxidant activity of the Qingzao Jufei Decoction material standard. After correlation analysis, the effects of the contents of 8 constituents on the antioxidant activity of Qingzao Jufei Decoction were explored.

3518

**Pharmacokinetics of the main components differing between *Ligustri Lucidi Fructus* and its wine-steamed product**

JI Xin<sup>1</sup>, LIU Xiao-qian<sup>1</sup>, GAO Lu<sup>2</sup>, XIAO Su-ping<sup>3</sup>, LIANG Yao-hua<sup>1</sup>, LI Chun<sup>1\*</sup>, WANG Zhi-min<sup>1\*</sup>

(1. Institute of Chinese Materia Medica, National Engineering Laboratory for Quality Control Technology of Chinese Herbal Medicines, China Academy of Chinese Medical Sciences, Beijing 100700, China; 2. Xiuzheng Pharmaceutical Group Co., Ltd., Changchun 130012, China; 3. China National of Traditional & Herbal Medicine Co., Ltd., Beijing 102600, China)



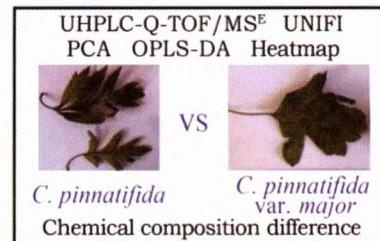
Pharmacokinetics of the main 10 components differing between *Ligustri Lucidi Fructus* and its wine-steamed product were investigated and the metabolic differences of 10 components in different administration environments were analyzed and compared. The results provided scientific evidence to verify the Traditional Chinese Medicine theory of "the wine-steamed product being more effective than the raw material".

3526

### Comparative analysis of chemical constituents in hawthorn leaves from different sources

ZHENG Wei<sup>1</sup>, ZHOU Ming<sup>1,2</sup>, WANG Shuang-yan<sup>1</sup>, LI Qi<sup>1</sup>, ZHANG Jie<sup>1</sup>, ZHAO Ye<sup>3</sup>, ZHENG Xiao-hui<sup>3</sup>, GUO Bao-lin<sup>2</sup>, MA Bai-ping<sup>1\*</sup>

(1. Institute of Radiation Medicine, Academy of Military Medical Sciences, Academy of Military Sciences, Beijing 100850, China; 2. Institute of Medicinal Plant Development, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100193, China; 3. College of Life Sciences, Northwest University, Xi'an 710069, China)



The chemical constituents and the differential components of hawthorn leaves of *Crataegus pinnatifida* Bge. (wild) and *C. pinnatifida* Bge. var *major* N. E. Br (cultivated) were analyzed and identified by using ultra high-performance liquid chromatography and quadrupole time-of-flight mass spectrometry (UHPLC-Q-TOF/MSE) combined with UNIFI data analysis platform and multivariate statistics.

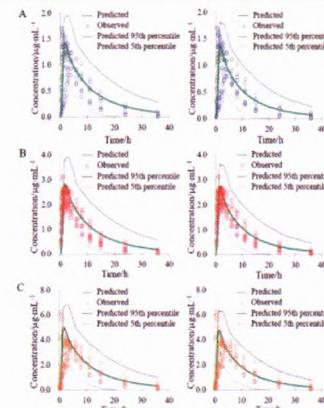
3540

### A physiologically-based pharmacokinetic model adequately predicted the human pharmacokinetic profiles of ZSP1601, a novel pan-phosphodiesterase inhibitor

ZHANG Yi-fan<sup>1</sup>, XU Ye<sup>1</sup>, LI Hai-jun<sup>2</sup>, CHEN Xiao-xin<sup>2</sup>, XU Song-bo<sup>2</sup>, LIU Jia<sup>1</sup>, WANG Zhi-jie<sup>1</sup>, ZHONG Da-fang<sup>1\*</sup>

(1. Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China; 2. Guangdong Raynovent Biotech Co., Ltd., Guangzhou 510663, China)

A physiologically-based pharmacokinetic model adequately predicted the human pharmacokinetic profiles of ZSP1601, a novel pan-phosphodiesterase inhibitor.

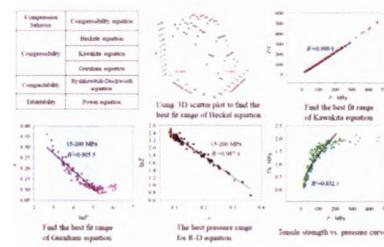


3547

### The influence of pressure range on the fitting results of tablet compression equation

LI Wan-ting<sup>1,2,3</sup>, SU Jun-hui<sup>1</sup>, LI Wen-jing<sup>1</sup>, CAO Jun-jie<sup>1</sup>, DAI Sheng-yun<sup>4</sup>, QIAO Yan-jiang<sup>1,2,3\*</sup>, XU Bing<sup>1,2,3\*</sup>

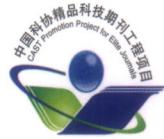
(1. School of Chinese Materia Medica, Beijing University of Chinese Medicine, Beijing 102400, China; 2. Beijing Key Laboratory of Production Process Control and Quality Evaluation of Traditional Chinese Medicine, Beijing Municipal Commission of Science and Technology, Beijing 102400, China; 3. Engineering Research Center of Ministry of Education for Traditional Chinese Medicine Pharmacy and New Drug Development, Beijing 102400, China; 4. China Institute for Food and Drug Control, Beijing 102629, China)



This paper aims to optimize the pressure range of compression equation, ensure good fitting effect and improve the reliability of compression parameters.

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