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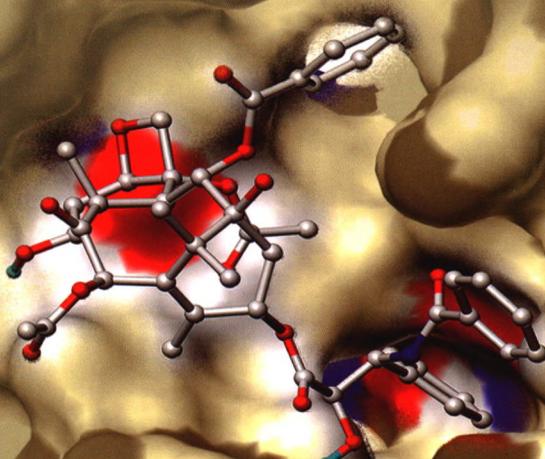


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雷公藤甲素衍生物雷腾舒的体外代谢研究



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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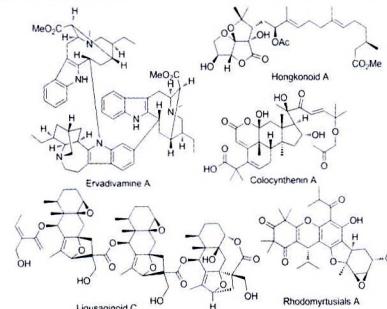
1333

2018 年中国天然产物研究亮点

李继新, 李艺冉, 罗俊, 孔令义*

(中国药科大学中药学院, 江苏 南京 210009)

本文选取了中国学者在 2018 年度发表于国内外天然产物研究领域著名期刊的 123 个天然产物, 对它们的来源、结构特征和生物活性进行简要介绍, 以期反映 2018 年中国天然产物研究的亮点。



综述

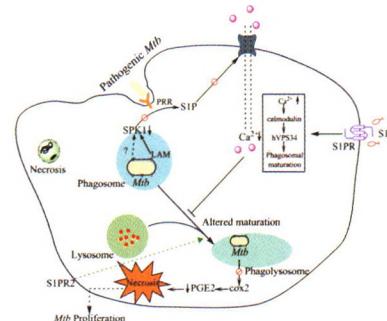
1348

鞘氨醇-1-磷酸及其受体在结核分枝杆菌感染和抗生素研发中的作用

甄俊峰, 鄢双全, 李雨竹, 谢建平*

(西南大学生命科学学院, 现代生物医药研究所, 三峡库区生态环境与生物资源省部共建国家重点实验室培育基地, 重庆 400715)

鞘氨醇-1-磷酸 (S1P) 通过增加胞内 Ca^{2+} 浓度, 促进吞噬溶酶体成熟, 杀伤结核分枝杆菌。



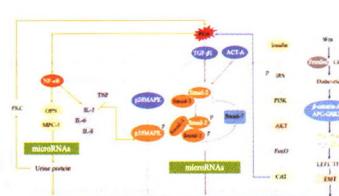
1356

丹酚酸和丹参酮干预糖尿病肾病的分子机制研究进展

王钦汶, 戴新新, 项想, 宿树兰*, 郭建明, 朱悦, 钱大玮, 段金廒*

(南京中医药大学, 江苏省中药资源产业化过程协同创新中心, 中药资源产业化与方剂创新药物国家地方联合工程研究中心, 国家中医药管理局中药资源循环利用重点研究室, 江苏 南京 210023)

糖尿病肾病产生主要有四种途径: 糖基化终末产物的积聚、肾脏氧化应激增加、慢性炎症反应以及肾素-血管紧张素异常。丹参中的丹酚酸和丹参酮类成分具有明确的改善糖尿病肾病的病理特征和临床应用实践。



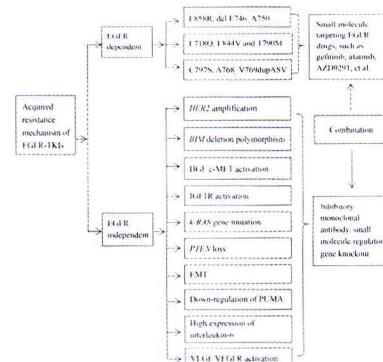
1364

非小细胞肺癌治疗药物 EGFR-TKIs 获得性耐药机制的研究进展

王晨, 陈淑珍*

(中国医学科学院、北京协和医学院医药生物技术研究所, 北京 100050)

NSCLC 患者使用 EGFR-TKIs 后会产生获得性耐药, 本文将获得性耐药机制的研究进展分为依赖 EGFR 通路和非依赖 EGFR 通路两部分作一综述。



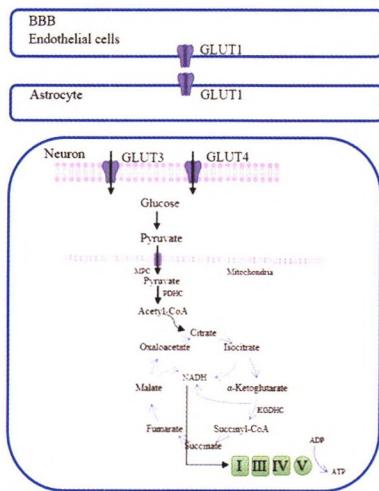
1372

能量代谢紊乱对疾病的影响及其潜在药物靶点

张宝月, 刘艾林*, 杜冠华*

(中国医学科学院、北京协和医学院药物研究所, 北京 100050)

本文主要综述了常见的能量代谢紊乱相关疾病异常的能量代谢现象, 并总结了可能用于新药发现的相关靶点和机制, 以及目前在研的通过改善能量代谢缓解疾病进程的新策略。

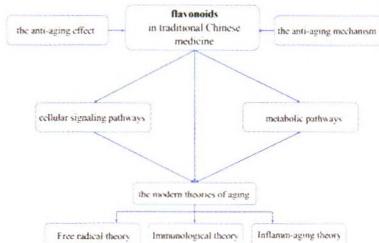


1382

中药黄酮类化合物抗衰老作用及其机制研究进展李萌茹¹, 周玉枝^{1*}, 杜冠华^{1,2}, 秦雪梅^{1*}

(1. 山西大学中医药现代研究中心, 山西 太原 030006; 2. 中国医学科学院药物研究所, 北京 100050)

本文以现代衰老学说为基础, 分别从信号转导通路和代谢通路两方面去阐释中药中黄酮类有效成分的抗衰老作用, 为开发中药黄酮类化合物提供依据。

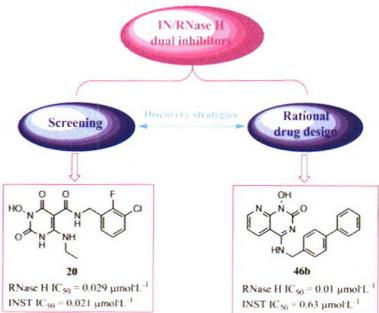


1392

化学合成类 HIV 整合酶和核糖核酸酶 H 双靶点抑制剂的研究进展康家雄^{1,2}, 朱江², 李爱秀^{1,3*}, 靳玉瑞¹

(1. 中国人民武装警察部队武警后勤学院基础部药物设计实验室, 天津 300309; 2. 中国人民武装警察部队武警后勤学院卫生勤务系, 天津 300309; 3. 天津市职业与环境危害防制重点实验室, 天津 300309)

近年来, 通过合理药物设计和筛选发现了多种结构类型的 HIV IN/RNase H 双靶点抑制剂, 现对其中化学合成类 HIV IN/RNase H 双靶点抑制剂的研究进展进行综述。

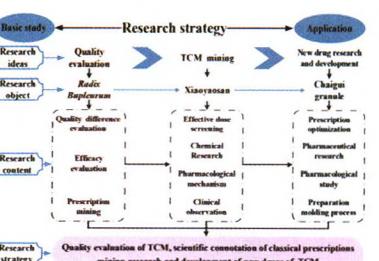


1402

从柴胡药材质量评价到抗抑郁新药开发的研究思路与策略秦雪梅^{1,2*}, 高耀^{1,2}, 田俊生^{1,2}, 邢婕^{1,2}, 高晓霞^{1,2}, 周玉枝^{1,2}, 杜冠华³

(山西大学 1. 中医药现代研究中心, 2. 地产中药功效物质研究与利用山西省重点实验室, 山西 太原 030006; 3. 中国医学科学院、北京协和医学院药物研究所, 北京 100050)

本文立足当地中药材资源优势, 围绕药材质量评价与中医方剂研究中的关键科学问题, 形成以药材质量评价为出发点, 以重大需求和科学问题为导向, 以代谢组学技术为手段的“中药材质量评价—中医方剂科学内涵挖掘—中药新药研发”研究思路与策略, 为中药现代化发展提供科学依据。

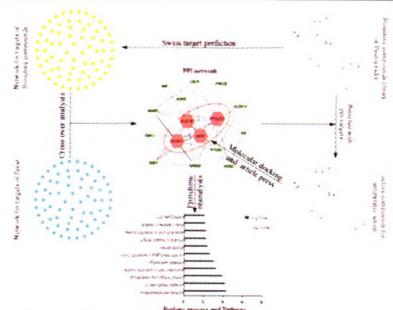
**研究论文**

1409

基于网络药理学葛根解热作用机制研究李冰涛¹, 翟兴英¹, 李佳², 涂珺¹, 张启云¹, 徐国良¹, 黄丽萍², 邵峰², 朱卫丰², 刘荣华^{2*}

(江西中医药大学 1. 中医基础理论分化发展研究中心, 2. 药学院, 江西 南昌 330004)

葛根可能通过“多成分-多靶点-多通路”的方式发挥解热作用。

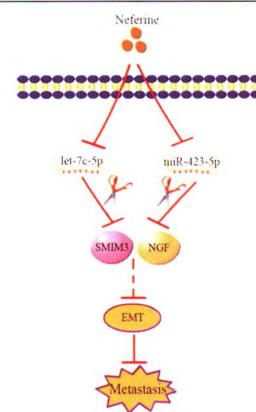


1417

甲基莲心碱通过影响微小 RNA 抑制鼻咽癌细胞侵袭转移的机制研究

何香兰, 李维, 谭国林, 宋业勋*, 马艳红*
(中南大学湘雅三医院, 湖南 长沙 410013)

甲基莲心碱是莲科植物中提取的一种活性物质, 甲基莲心碱可能通过下调 hsa-let-7c-5p 与 hsa-miR-423-5p 的表达, 调控下游靶基因的表达, 从而抑制鼻咽癌的侵袭转移, 甲基莲心碱可能成为一种新的癌症治疗药物。



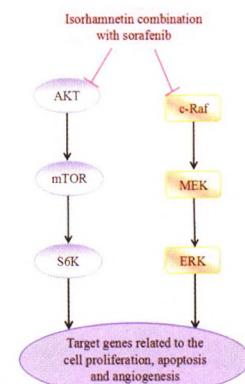
1424

异鼠李素与索拉非尼联合对肾癌的抑制作用及作用机制

杜倩倩, 黄璐璐, 刘春霞, 唐梅, 闫辰, 李燕*

(中国医学科学院、北京协和医学院药物研究所, 新药作用机制与药效评价北京市重点实验室, 北京 100050)

异鼠李素是中药黄芪的主要成分之一, 异鼠李素与索拉非尼联合使用可以通过阻断 AKT/mTOR 和 c-Raf/MEK/ERK 信号通路来抑制细胞增殖和肿瘤血管生成, 诱导细胞凋亡, 最终抑制肾癌生长。



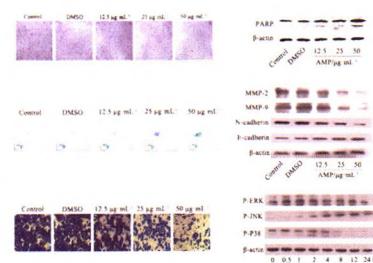
1431

二氢杨梅素调控 MAPKs 信号通路抑制 SMMC-7721 细胞凋亡和迁移的分子机制研究

齐世美^{1,4}, 姜琦¹, 李强², 冯遵永³, 张雨晴¹, 董顺利¹, 戚之琳^{1,4}, 章尧^{1,4*}

(皖南医学院 1. 活性生物大分子重点实验室, 2. 解剖学教研室, 3. 法医学实验中心, 4. 生物化学与分子生物学教研室, 安徽 芜湖 241002)

二氢杨梅素通过激活 MAPKs 信号通路, 抑制人肝癌 SMMC-7721 细胞系增殖, 诱导细胞凋亡的发生。二氢杨梅素抑制 MMP-2/9 的表达, 逆转 EMT 的发生, 降低了 SMMC-7721 细胞的迁移和侵袭活性。



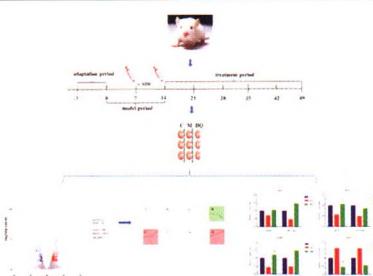
1439

基于转录组测序技术探讨黄芪水提物干预多柔比星肾病大鼠的分子机制

贺升升^{1,2}, 李爱平^{1*}, 张王宁¹, 秦雪梅^{1*}

(山西大学 1. 中医药现代研究中心, 2. 化学化工学院, 山西 太原 030006)

基于转录组测序技术探讨黄芪水提物干预多柔比星肾病大鼠的分子机制, 通过实时荧光定量 PCR 验证了转录组数据的准确性。



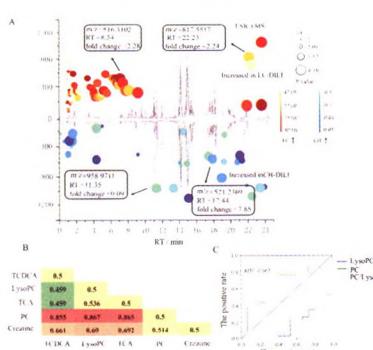
1449

慢性药物性肝损伤相关肝硬化的代谢组学诊断标志物研究

卫璐戈^{1,2}, 王肖辉², 牛明², 刘晓熠², 涂灿², 周元园², 胡黄婉茵², 张雅铭², 李会芳¹, 邹正升^{3*}, 肖小河^{4*}, 王伽伯^{2*}

(1. 山西中医药大学, 山西 晋中 030619; 2. 解放军 302 医院全军中医药研究所, 北京 100039; 3. 解放军 302 医院非感染性肝病诊疗与研究中心, 北京 100039; 4. 解放军 302 医院中西医结合诊疗与研究中心, 北京 100039)

本研究构建了慢性药物性肝损伤相关肝硬化代谢组特征谱, 并筛选发现 5 个非侵入性诊断生物标志物, 其中 phosphatidylcholine 与 lysoPC(18:1(9Z)) 的峰面积比值具有更好的区分诊断效果, 对早期发现慢性 DILI 肝硬化患者具有重要的临床应用前景。



1457

万寿菊根中一个新的双取代丁二酸酯李国玉¹, 吕鑫宇¹, 菅计萍², 朱丹丹¹, 刘莹¹, 吕春艳¹, 郭玉岩², 吕邵娃^{2*}

(1. 哈尔滨商业大学药学院, 黑龙江省预防与治疗老年性疾病药物研究重点实验室, 黑龙江 哈尔滨 150076; 2. 黑龙江中医药大学中医药教育部重点实验室, 黑龙江 哈尔滨 150040)

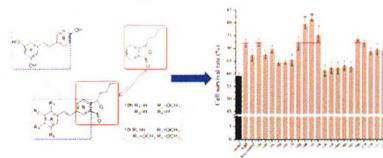


万寿菊根中一个新的双取代丁二酸酯。

1461

白藜芦醇-丁苯酞杂合物的合成及其神经保护活性高燕¹, 刘万冬², 阮班锋^{1*}

(1. 合肥工业大学食品与生物工程学院, 安徽 合肥 230009; 2. 安徽中医药大学药学院, 安徽 合肥 230012)

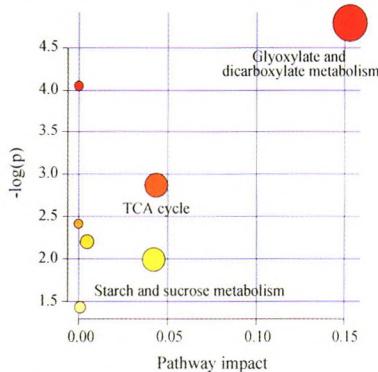


本文设计合成了 20 个白藜芦醇-丁苯酞杂合物, 通过氧糖剥夺/复氧模型检测化合物对神经细胞的保护活性, 其中化合物 **10h**、**10i** 对神经细胞的保护活性最为突出。初步的药理机制表明, **10h**、**10i** 可能通过激活 PI3K/Akt 信号通路起到神经保护作用。

1470

肺动脉高压比格犬血清代谢组学研究及 sGC003 对其代谢模式的作用刘婧¹, 严蓓¹, 胡欣^{2,3}, 史爱欣^{1,3*}

(1. 北京医院临床试验研究中心, 国家老年医学中心, 北京 100730; 2. 北京医院药学部, 北京 100730; 3. 药物临床风险与个体化应用评价北京市重点实验室, 北京 100730)

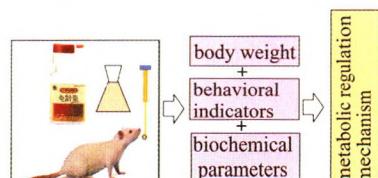


本研究利用代谢组学技术发现了比格犬肺动脉高压模型潜在的差异代谢物以及代谢通路的紊乱, 新型抗肺动脉高压化合物 sGC003 对异常代谢物具有调节作用, 同时也一定程度上改变了正常机体内源性代谢物。

1476

基于血清代谢组学的龟龄集改善大鼠肾阳虚证作用研究杜珂^{1,2}, 高晓霞¹, 冯彦^{1,2}, 张斌³, 王佩义³, 秦雪梅^{1*}

(山西大学 1. 中医药现代研究中心, 2. 化学化工学院, 山西 太原 030006; 3. 山西广誉远国药有限公司, 山西 太谷 030800)

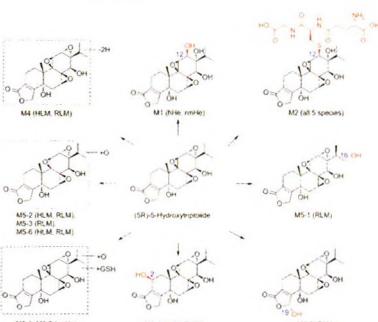


基于 LC-MS 血清代谢组学阐释龟龄集改善大鼠肾阳虚证作用。

1484

雷公藤甲素衍生物雷腾舒的体外代谢研究徐叶^{1,2}, 杜江波¹, 冯慧瑾¹, 左建平¹, 许红涛^{1*}, 李援朝^{1*}, 钟大放^{1,2*}

(1. 中国科学院上海药物研究所新药研究国家重点实验室, 上海 201203; 2. 中国科学院大学, 北京 100049)

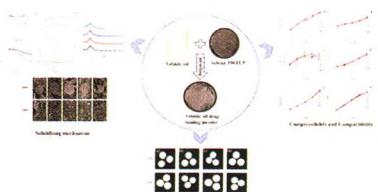


雷腾舒在 5 种属肝细胞以及人和大鼠肝微粒体的代谢孵化体系中, 共检测到 11 个代谢产物, 以羟基化代谢为主。

1493

介孔二氧化硅固化柴胡挥发油及其粉体学性质的研究田娟娟¹, 余雅婷², 赵立杰^{2*}, 冯怡², 王优杰², 沈岚^{1*}

(上海中医药大学 1. 中药学院, 2. 中药现代制剂技术教育部工程研究中心, 上海 201203)



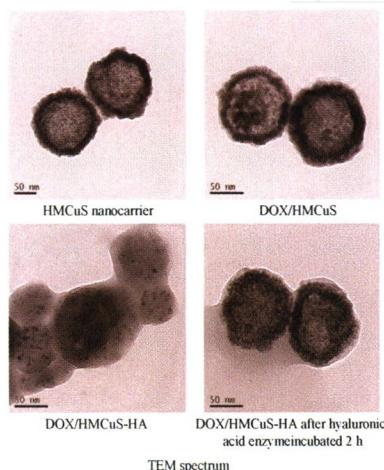
以介孔二氧化硅为载体固化挥发油, 具有载药量大、高稳定性等特点, 且不影响其作为固体润滑剂、助流剂的优良特性。

1502

基于中空介孔硫化铜的多功能纳米递药系统的构建及初步研究王亚婷¹, 张振华¹, 冯倩华², 蔺萌^{1*}

(郑州大学 1. 基础医学院, 2. 药学院, 河南 郑州 450001)

中空介孔硫化铜纳米递药系统经透明质酸酶降解后, 在酸性和激光触发下促进多柔比星的释放, 实现靶向多刺激响应型门控释药。



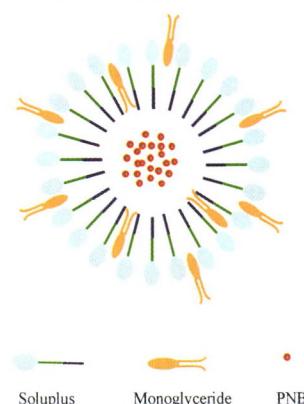
1509

表面疏水性可调控型载药聚合物-脂质纳米粒的制备与表征

孙钲, 许焕, 卫一丹, 张欣, 毛世瑞*

(沈阳药科大学药学院, 辽宁 沈阳 110016)

使用两亲性的单甘油酯对载帕比司他 (PNB) 的 Soluplus 胶束表面改性, 得到表面疏水性可调控型聚合物-脂质杂化纳米粒。



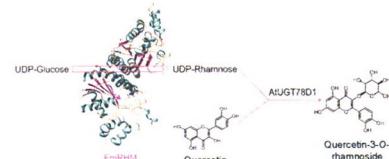
1515

何首乌中 UDP-鼠李糖合成酶基因 *FmRHM1/2* 的克隆与鉴定

刘露, 周良云, 张春荣, 王浩, 刘长征, 杨全*

(广东药科大学中药学院/国家中医药管理局岭南药材生产与开发重点研究室/国家中医药产业技术体系广州综合试验站/广东省南药规范化种植与综合开发工程技术研究中心, 广东 广州 510006)

本文研究从何首乌 (*Fallopia multiflora*) 中发现两个 UDP-鼠李糖合成酶 *FmRHM1* 和 *FmRHM2*, 可促使 UDP-葡萄糖转化形成 UDP-鼠李糖; 为糖基转移酶催化鼠李糖苷的生物合成提供鼠李糖基供体, 更为进一步研究微生物合成 UDP-鼠李糖奠定基础。

**新药发现与研究实例简析**

1524

肺动脉高压治疗药: 首创的波生坦和跟随的马西替坦

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)

信息

《药学学报》英文刊 2019 年第 4 期图文摘要

ACTA PHARMACEUTICA SINICA

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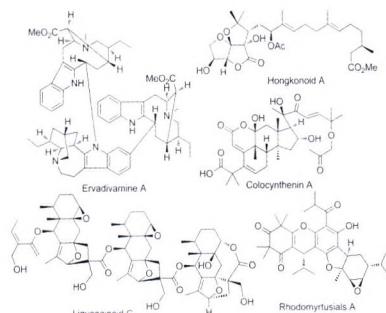
1333

Highlights of natural products research from China in 2018

LI Ji-xin, LI Yi-ran, LUO Jun, KONG Ling-yi^{*}

(School of Traditional Chinese Pharmacy, China Pharmaceutical University, Nanjing 210009, China)

In this review, the original, structures and biological activities of 123 compounds of natural products research field in 2018 were briefly described, which was aimed to exhibit the highlights of natural products research from China in past year.



Reviews

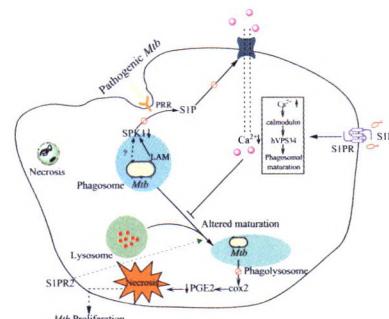
1348

The roles of sphingosine-1-phosphate and its receptor in *Mycobacterium tuberculosis* infection and novel antibiotics discovery

ZHEN Jun-feng, YAN Shuang-quan, LI Yu-zhu, XIE Jian-ping^{*}

(Institute of Modern Biopharmaceuticals, State Key Laboratory Breeding Base of Eco-Environment and Bio-Resource of the Three Gorges Area, School of Life Sciences, Southwest University, Chongqing 400715, China)

Sphingoaminol-1-phosphate promotes the maturation of phagolysosome and kills *Mycobacterium tuberculosis* by increasing the intracellular Ca^{2+} concentration.

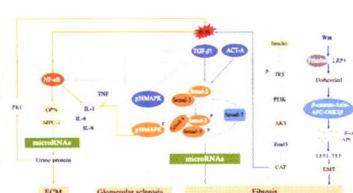


1356

Advances in the molecular mechanism of salvianolic acid and tanshinone for intervention of diabetic kidney disease

WANG Qin-wen, DAI Xin-xin, XIANG Xiang, SU Shu-lan^{*}, GUO Jian-ming, ZHU Yue, QIAN Da-wei, DUAN Jin-ao^{*}

(Nanjing University of Traditional Chinese Medicine, Jiangsu Collaborative Innovation Center of Chinese Medicinal Resources Industrialization, National and Local Collaborative Engineering Center of Chinese Medicinal Resources Industrialization and Formulae Innovative Medicine, State Administration of Traditional Chinese Medicine, Traditional Chinese Medicine Resource Recycling, Nanjing 210023, China)



Diabetic kidney disease produces four main pathways: accumulation of glycosylation end products, increased oxidative stress in the kidney, chronic inflammatory response, and abnormal renin-angiotensin. The salvianolic acid and tanshinone components in *Salvia miltiorrhiza* have clear pathological features and clinical application practices for improving diabetic nephropathy.

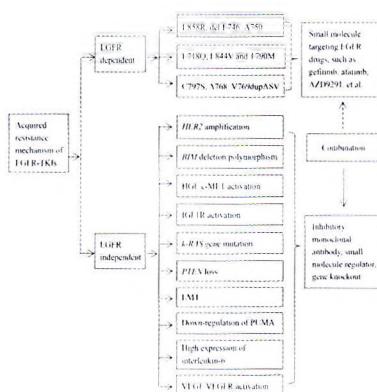
1364

Advances in the mechanisms of acquired resistance to EGFR-tyrosine kinase inhibitors in non-small cell lung cancer

WANG Chen, CHEN Shu-zhen*

(Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

In this review, the research progress of the mechanisms of acquired resistance to EGFR-TKIs in NSCLC is divided into two parts: the EGFR-dependent pathway and the EGFR-independent pathway.



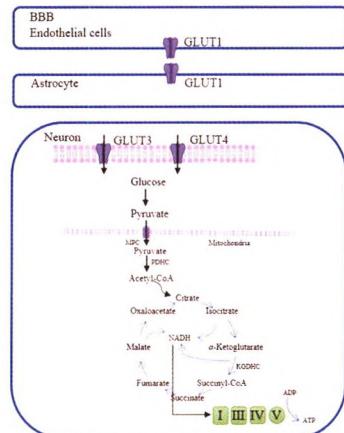
1372

Energy metabolism disorder and diseases: from effects to potential targets

ZHANG Bao-yue, LIU Ai-lin*, DU Guan-hua*

(Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

This article reviews the abnormal energy metabolism phenomena of common energy metabolism disorder-related diseases, and summarizes the potential targets and mechanisms that may be used for new drug discovery, as well as the strategies being studied to alleviate the disease process by improving energy metabolism.



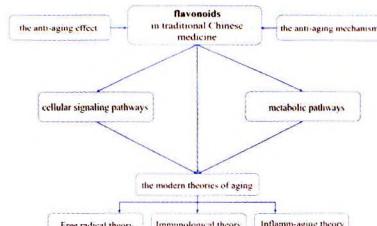
1382

Research progress about the anti-aging effect and mechanism of flavonoids from traditional Chinese medicine

LI Meng-ru¹, ZHOU Yu-zhi^{1,*}, DU Guan-hua^{1,2}, QIN Xue-mei^{1*}

(1. Modern Research Center for Traditional Chinese Medicine, Shanxi University, Taiyuan 030006, China; 2. Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing 100050, China)

This review focused on the anti-aging effect and mechanism of flavonoids in traditional Chinese medicine from the aspects of cellular signaling pathways and metabolic pathways based on the modern theories of aging, which provide important basis for development of anti-aging drugs from flavonoids.



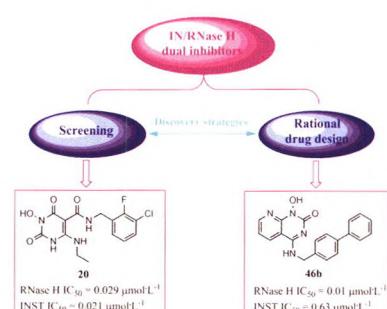
1392

Advances in chemical synthesis of dual inhibitors of HIV integrase and ribonuclease H

KANG Jia-xiong^{1,2}, ZHU Jiang², LI Ai-xiu^{1,3*}, JIN Yu-rui¹

(1. Drug Design Laboratory of the Basic Courses Department, Logistics University of PAP, Tianjin 300309, China; 2. Department of Health Service, Logistics University of PAP, Tianjin 300309, China; 3. Tianjin Key Laboratory for Biomarkers of Occupational and Environmental Hazard, Tianjin 300309, China)

Recently, diverse dual inhibitors of HIV IN/RNase H were developed via rational drug design and screening. This review summarizes the advances in chemically synthesized dual inhibitors of HIV IN/RNase H.



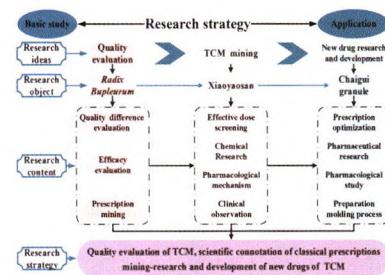
1402

Ideas and strategies from quality evaluation of Radix Bupleurum for development of new anti-depressant drugs

QIN Xue-mei^{1,2*}, GAO Yao^{1,2}, TIAN Jun-sheng^{1,2}, XING Jie^{1,2},
GAO Xiao-xia^{1,2}, ZHOU Yu-zhi^{1,2}, DU Guan-hua³

(1. Modern Research Center for Traditional Chinese Medicine, 2. Shanxi Key Laboratory of Active Constituents Research and Utilization of TCM, Shanxi University, Taiyuan 030006, China; 3. Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

Based on the advantages of local TCM resources, focusing on the key scientific problems in the quality evaluation of medicinal materials and the study of classical prescriptions, the research group takes the quality evaluation of medicinal materials as the starting point, and takes the major needs and scientific problems as the guidance. Metabolomics as a technical means of "quality evaluation of TCM, scientific connotation of classical prescriptions mining-research and development of new drugs of TCM" research ideas and strategies. It provides scientific basis for the modernization of TCM.



Quality evaluation of TCM, scientific connotation of classical prescriptions mining-research and development of new drugs of TCM

Original Articles

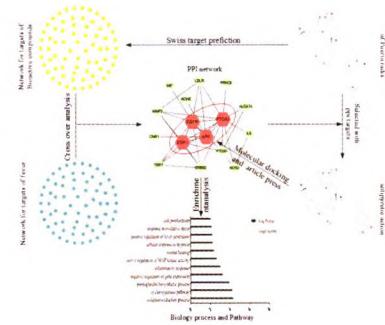
1409

Network pharmacology-based study on mechanisms of antipyretic action of Pueraria radix

LI Bing-tao¹, ZHAI Xing-ying¹, LI Jia², TU Jun¹, ZHANG Qi-yun¹,
XU Guo-liang¹, HUANG Li-ping², SHAO Feng², ZHU Wei-feng²,
LIU Rong-hua^{2*}

(1. Research Center for Differentiation and Development of TCM Basic Theory, 2. School of Pharmacy, Jiangxi University of TCM, Nanchang 330004, China)

Pueraria radix may act its antipyretic effect with a "multi-compound, multi-target, multi-pathway" mode.



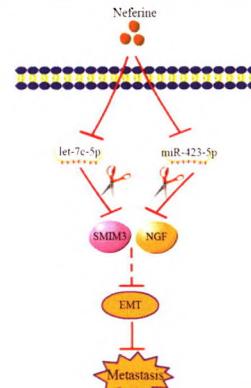
1417

Mechanisms of inhibition of invasion and metastasis of nasopharyngeal carcinoma cells by neferine through its influence on microRNAs

HE Xiang-lan, LI Wei, TAN Guo-lin, SONG Ye-xun*, MA Yan-hong*

(The Third Xiangya Hospital of Central South University, Changsha 410013, China)

Neferine is an active compound of the plant *Nelumbo nucifera*. It downregulated the production of hsa-let-7c-5p and hsa-miR-423-5p and further regulated the expression of downstream genes, thereby, inhibiting invasion and metastasis of nasopharyngeal carcinoma. Neferine may be a novel cancer therapeutic agent.



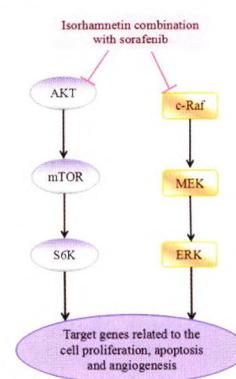
1424

Anti-tumor activity and mechanisms of isorhamnetin in combination with sorafenib for renal cancer

DU Qian-qian, HUANG Lu-lu, LIU Chun-xia, TANG Mei, YAN Chen, LI Yan*

(Beijing Key Laboratory of New Drug Mechanisms and Pharmacological Evaluation Study, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

Isorhamnetin was one of compounds from *Astragalus membranaceus*. Isorhamnetin combination with sorafenib could suppress the cell proliferation, angiogenesis and induce apoptosis by targeting the inhibition of c-Raf/MEK/ERK and AKT/mTOR signaling pathway, leading to the growth inhibition of Renca cell carcinoma.



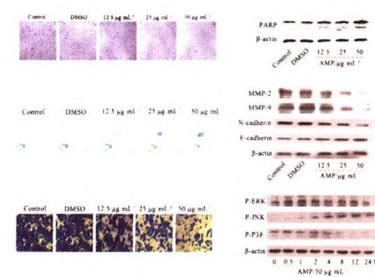
1431

Ampelopsin inhibited apoptosis and migration of SMMC-7721 cells through MAPKs signaling pathwayQI Shi-mei^{1,4}, JIANG Qi¹, LI Qiang², FENG Zun-yong³, ZHANG Yu-qing¹, DONG Shun-li¹, QI Zhi-lin^{1,4}, ZHANG Yao^{1,4*}

(1. Anhui Province Key Laboratory of Active Biological Macro-molecules, 2. Department of Anatomy, 3. Department of Forensic Medicine, 4. Department of Biochemistry and Molecular Biology, Wannan Medical College, Wuhu 241002, China)

Ampelopsin inhibited the proliferation of human hepatoma SMMC-7721 cell lines and induced apoptosis by activating MAPKs signaling pathway.

Ampelopsin inhibited the expression of MMP-2/9, reversed the occurrence of EMT, and decreased the migration and invasion activity of SMMC-7721 cells.

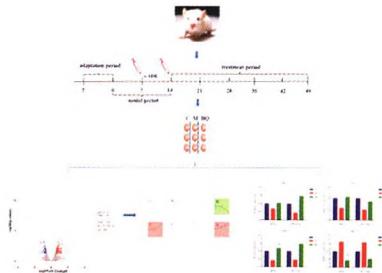


1439

Exploring the molecular mechanism of aqueous extract from Huang qi on doxorubicin induced nephropathy in rats using transcriptome sequencing techniqueHE Sheng-sheng^{1,2}, LI Ai-ping^{1*}, ZHANG Wang-ning¹, QIN Xue-mei^{1*}

(1. Modern Research Center for Traditional Chinese Medicine, 2. College of Chemistry and Chemical Engineering, Shanxi University, Taiyuan 030006, China)

Exploration of the molecular mechanism of aqueous extract from Huang qi on doxorubicin nephropathy rats based on transcriptome sequencing technique. The accuracy of transcriptome data was verified by RT-qPCR.

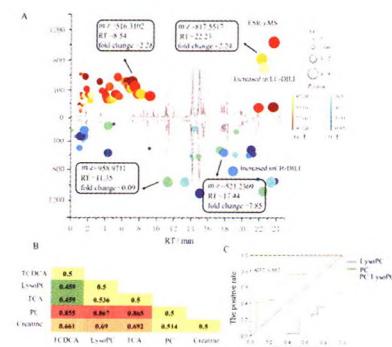


1449

Metabolomic screening for diagnostic biomarkers of drug-induced chronic liver injury related cirrhosisWEI Lu-ge^{1,2}, WANG Xiao-hui², NIU Ming², LIU Xiao-yi², TU Can², ZHOU Yuan-yuan², HU Huang-wan-yin², ZHANG Ya-ming², LI Hui-fang¹, ZOU Zheng-sheng^{3*}, XIAO Xiao-he^{4*}, WANG Jia-bo^{2*}

(1. Shanxi University of Traditional Chinese Medicine, Jinzhong 030619, China; 2. China Military Institute of Chinese Medicine, 302 Military Hospital, Beijing 100039, China; 3. Treatment and Research Center for Non-infectious Liver Diseases, 302 Military Hospital, Beijing 100039, China; 4. Integrative Medicine Center, 302 Military Hospital, Beijing 100039, China)

In this study, the metabolomic profiles of chronic drug-induced liver injury (CH-DILI) associated liver cirrhosis was constructed. Five non-invasive diagnosis biomarkers were discovered. Thereinto, the relative content ratio between phosphatidylcholine and lysoPC (18:1(9Z)) revealed a much better distinguishing ability and potential clinical application value than the others.



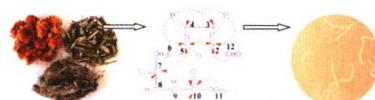
1457

A new disubstituted succinate from the roots of *Tagetes erecta*LI Guo-yu¹, LÜ Xin-yu¹, JIAN Ji-ping², ZHU Dan-dan¹, LIU Ying¹, LÜ Chun-yan¹, GUO Yu-yan², LÜ Shao-wa^{2*}

(1. Heilongjiang Province Key Laboratory of Preventive and Therapeutic Drug Research of Senile Diseases, Pharmaceutical College, Harbin

University of Commerce, Harbin 150076, China; 2. The Ministry of Education

(MOE) Key Laboratory of Chinese Medicines, Heilongjiang University of Chinese Medicines, Harbin 150040, China)



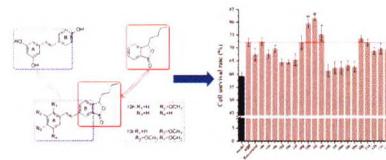
A new disubstituted succinate from the roots of *Tagetes erecta*.

1461

Synthesis and neuroprotective activity of resveratrol-3-n-butylphthalide hybridsGAO Yan¹, LIU Wan-dong², RUAN Ban-feng^{1*}

(1. School of Food and Biological Engineering, Hefei University of Technology, Hefei 230009, China; 2. College of Pharmacy, Anhui University of Chinese Medicine, Hefei 230012, China)

In this paper, 20 resveratrol-3-n-butylphthalide hybrids were designed and synthesized. The neuroprotective activity of target compounds was detected by oxygen-glucose deprivation/reoxygenation model, among which **10h** and **10i** exhibited the most prominent protective activity. Mechanistically, **10h** and **10i** may play neuroprotective roles by activating the PI3K/Akt signaling pathway.

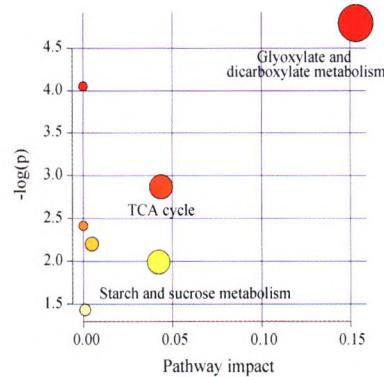


1470

Metabolomic investigate into the serum of pulmonary hypertension Beagle dogs and the effect of sGC003LIU Yuan¹, YAN Bei¹, HU Xin^{2,3}, SHI Ai-xin^{1,3*}

(1. Clinical Trial Center, Beijing Hospital, National Center of Gerontology, Beijing 100730, China; 2. Department of Pharmacy, Beijing Hospital, Beijing 100730, China; 3. Assessment of Clinical Drugs Risk and Individual Application Key Laboratory, Beijing 100730, China)

Metabolomics technique was used to identify potential biomarkers and biologically significant changes in the Beagle dog model of pulmonary hypertension. Novel anti-pulmonary hypertension compounds sGC003 exhibited pharmacodynamic effect, and at the same time, it also changed the endogenous metabolites to some extent.

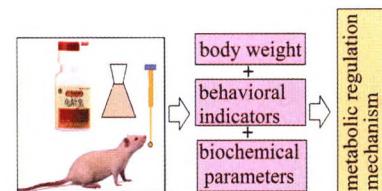


1476

Effects of Guilingji on Kidney-Yang deficiency syndrome in rats based on serum metabolomicsDU Ke^{1,2}, GAO Xiao-xia¹, FENG Yan^{1,2}, ZHANG Bin³, Wang Pei-yi³,QIN Xue-mei^{1*}

(1. Modern Research Center for Traditional Chinese Medicine, 2. College of Chemistry and Chemical Engineering, Shanxi University, Taiyuan 030006, China; 3. Shanxi Guangyuyuan Traditional Chinese Medicine Co., Ltd., Taigu 030800, China)

LC-MS-based serum metabolomics revealed the effects of Guilingji on Kidney-Yang deficiency syndrome of rats.

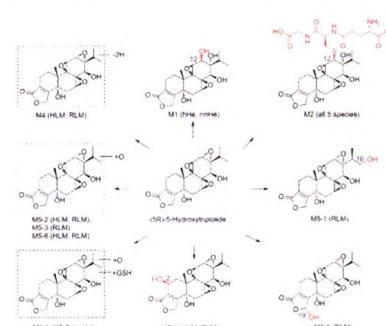


1484

Studies on the metabolism of a triptolide derivative (*5R*)-5-hydroxytriptolide *in vitro*XU Ye^{1,2}, DU Jiang-bo¹, FENG Hui-jin¹, ZUO Jian-ping¹, XU Hong-tao^{1*},LI Yuan-chao^{1*}, ZHONG Da-fang^{1,2*}

(1. State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China; 2. University of Chinese Academy of Sciences, Beijing 100049, China)

Eleven metabolites, which were mainly hydroxylized, were detected in the metabolic incubation systems of liver hepatocyte (human, monkey, dog, rats, and mouse) and liver microsomes (human and rat) with (*5R*)-5-hydroxytriptolide.

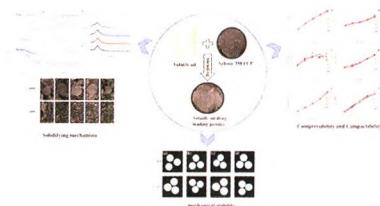


1493

Mesoporous silica solidifying volatile oil from *Bupleuri radix* and *forsythiae fructus* and its micromeritic properties

TIAN Juan-juan¹, YU Ya-ting², ZHAO Li-jie^{2*}, FENG-Yi², WANG You-jie², SHEN Lan^{1*}

(1. School of Pharmacy, 2. Engineering Research Center of Modern Preparation Technology of Traditional Chinese Medicine, Ministry of Education, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China)



The mesoporous silica as a carrier of solidifying volatile oil has the advantages of large drug load, high stability. And the excellent properties of mesoporous silica as solid lubricant and glidant are not affected after loading volatile oil.

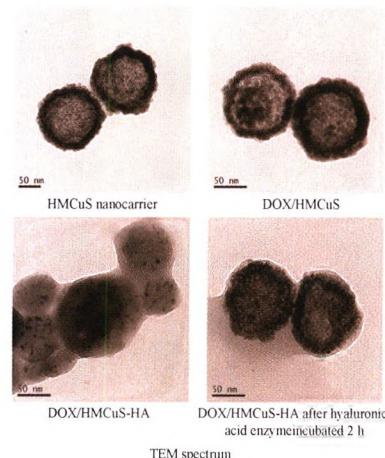
1502

Construction and preliminary study of multifunctional drug delivery system based on hollow mesoporous copper sulfide nanoparticles

WANG Ya-ting¹, ZHANG Zhen-hua¹, FENG Qian-hua², LIN Meng^{1*}

(1. School of Basic Medical Sciences, 2. School of Pharmaceutical Sciences, Zhengzhou University, Zhengzhou 450001, China)

The hollow mesoporous copper sulfide nano-drug delivery system was degraded by hyaluronidase, and promoted the release of doxorubicin (DOX) under the acid and laser trigger, so as to realize the targeted multi-stimulus responsive gated controlled release drug.



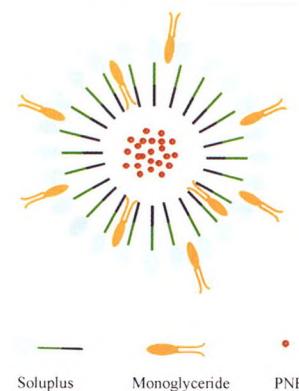
1509

Preparation and characterization of drug-loaded polymer-lipid hybrid nanoparticles with tunable surface hydrophobicity

SUN Zheng, XU Huan, WEI Yi-dan, ZHANG Xin, MAO Shi-rui*

(School of Pharmacy, Shenyang Pharmaceutical University, Shenyang 110016, China)

The polymer-lipid hybrid nanoparticles with tunable surface hydrophobicity were prepared by modifying the surface of panobinostat (PNB)-loaded Soluplus micelles with amphiphilic monoglyceride.

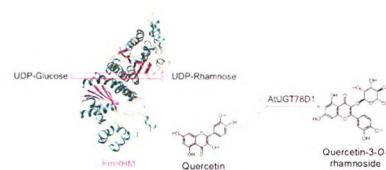


1515

Cloning and characterization of UDP-L-rhamnose synthase 1/2 from *Fallopia multiflora*

LIU Lu, ZHOU Liang-yun, ZHANG Chun-rong, WANG Hao, LIU Chang-zheng, YANG Quan*

(Key Laboratory of State Administration of Traditional Chinese Medicine for Production and Development of Cantonese Medicinal Materials, Guangzhou Comprehensive Experimental Station of National Industrial Technology System for Chinese Materia Medica, Guangdong Engineering Research Center of Good Agricultural Practice and Comprehensive Development for Cantonese Medicinal Materials, School of Traditional Chinese Medicine, Guangdong Pharmaceutical University, Guangzhou 510006, China)



FmRHM1 & 2, two UDP-rhamnose synthase from *Fallopia multiflora*, could transfer UDP-glucose to UDP-rhamnose. To provide sugar donor for the biosynthesis of rhamnoside, which catalyzed by glycosyltransferase. This study provided the preliminary research data for further research on UDP-rhamnose biosynthesis by microorganisms.

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