



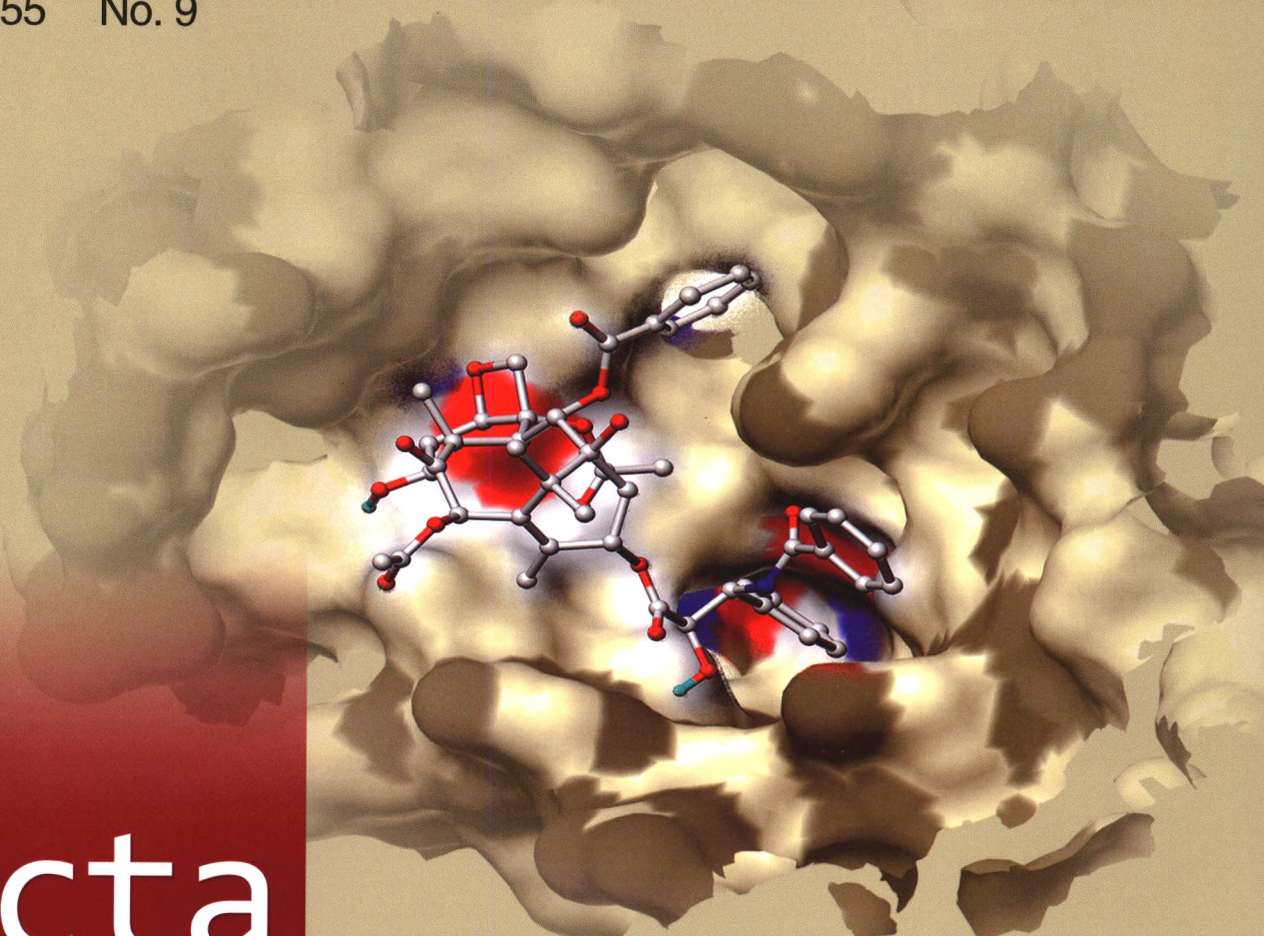
QK2044048

药 学 学 报

第55卷

第9期

2020 Vol. 55 No. 9



Acta Pharmaceutica Sinica

专家论坛

杨婷, 张卫东等

中药活性成分调控血管新生的
研究进展

万方数据

研究论文

马铃, 岑山等

细胞水平新型冠状病毒SARS-CoV-2
3CL蛋白酶抑制剂筛选模型的建立



中国药学会
中国医学科学院药物研究所

药 学 学 报

第 55 卷 第 9 期 2020 年 9 月

图 文 摘 要

专家论坛

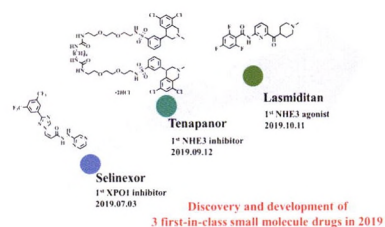
1983

2019 年首创性小分子药物研究实例浅析

王磊^{1,2}, 尤启冬^{1,2*}

(1. 中国药科大学江苏省药物分子设计与成药性优化重点实验室, 江苏 南京 210009; 2. 中国药科大学药学院, 江苏 南京 210009)

本文简要介绍了 2019 年上市的 3 个具有代表性的首创小分子研发案例, 通过阐述其研发背景、研发过程和治疗应用为更多首创性药物的研发提供思路与借鉴。



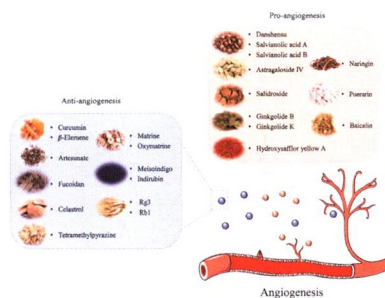
1995

中药活性成分调控血管新生的研究进展

杨婷¹, 张莉君¹, 黄睿¹, 兰海月¹, 张宏¹, 栾鑫^{1*}, 张卫东^{1,2*}

(1. 上海中医药大学交叉科学研究院, 上海 201203; 2. 海军军医大学药学院, 上海 200433)

血管新生已成为多种疾病的治疗靶点, 本文总结了中药活性成分对血管新生的调控及其作用机制。



综述

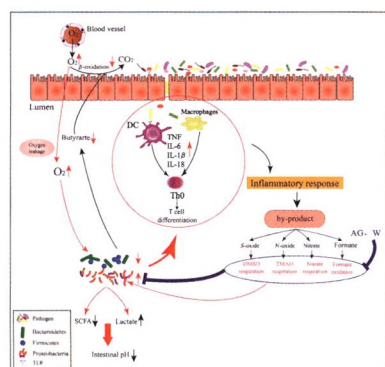
2008

靶向肠道细菌呼吸及能量代谢调控炎症性肠病进程的治疗策略分析

李成曦, 王颖异, 李建萍, 王雨萌, 张森, 段金璇, 郭建明*

(南京中医药大学, 江苏省方剂高技术研究重点实验室, 江苏省中药资源产业化过程协同创新中心, 江苏 南京 210023)

炎症性肠病 (IBD) 期间细菌呼吸及能量代谢方式发生改变, 导致肠道菌群紊乱, 免疫稳态失衡, 靶向调控细菌呼吸及代谢产物可改善 IBD。



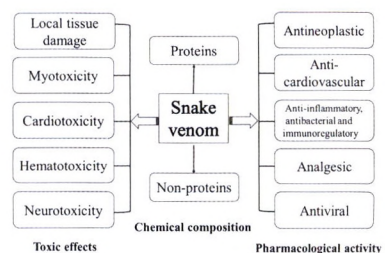
2019

蛇毒研究进展: 从致命毒素到新药开发

董德刚^{1,2}, 王万春³, 邓中平^{1*}

(1. 上海中医药大学创新中药研究院, 上海 201203; 2. 江西中医药大学生命科学院, 江西 南昌 330004; 3. 江西中医药大学附属医院, 江西 南昌 330006)

本文介绍了蛇毒主要组分及毒蛇咬伤解毒策略, 并归纳了蛇毒的毒性效应与药理活性两个方面作用。



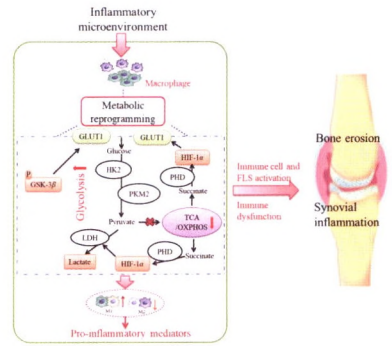
2027

代谢重编程调控巨噬细胞极化及其在类风湿关节炎中的作用

余芸, 蔡伟伟, 周静, 魏芳*

(蚌埠医学院药学院, 安徽 蚌埠 233030)

类风湿性关节炎异常的免疫微环境促进巨噬细胞发生代谢重编程, 进而影响巨噬细胞极化状态, 加剧关节组织炎症反应。



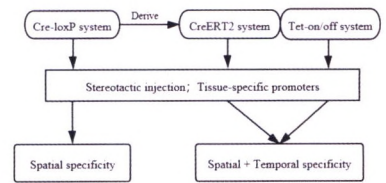
2035

Cre-loxP 系统及其衍生系统方法学的研究和在神经科学中的应用

张杨¹, 贾林涛¹, 闫雨冬², 赵亚男², 张月明², 杨素荣^{2*}

(1. 复旦大学基础医学院, 上海 200032; 2. 复旦大学基础医学院药理学系, 上海 200032)

Cre (环化重组酶)-loxP 系统对基因编辑具有空间特异性; 在 Cre 转录与翻译后水平进行调控, 可实现对基因时间特异性的编辑。



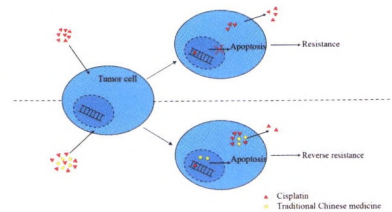
2043

顺铂耐药性机制与中药逆转策略

赵靖^{1,3}, 李原华^{1,3}, 张喜利^{1,3}, 刘文龙^{1,3*}, 肖小河^{2*}

(1. 湖南中医药大学, 中药成药性与制剂制备湖南省重点实验室, 湖南 长沙 410208; 2. 解放军总医院第五医学中心全军中医药研究所, 北京 100039; 3. 湖南中医药大学附属常德医院, 湖南 常德 415000)

肿瘤细胞通过降低胞内顺铂有效浓度或抑制细胞凋亡对顺铂产生耐药性, 顺铂与中药联用可逆转该耐药性。



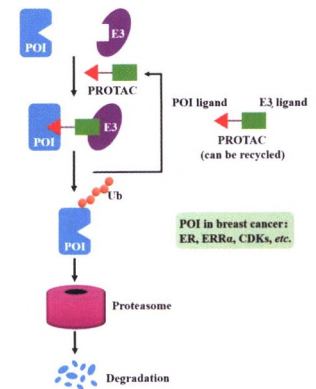
2053

针对乳腺癌治疗的 PROTACs 研究进展

谢宝花¹, 胡志焯¹, 宁文涛¹, 杨录^{2,3}, 周海兵^{1,3*}

(1. 武汉大学药学院, 湖北省有机氟类药物工程技术研究中心, 湖北 武汉 430071; 2. 西南医科大学附属医院放射科, 放射分子影像实验室, 四川 泸州 646000; 3. 病毒学国家重点实验室, 湖北 武汉 430072)

本文综述了 PROTAC 技术在乳腺癌治疗中的研究进展, 并对该药物发现技术的发展方向做了展望。



2062

鞘氨醇激酶 2 在肿瘤中的作用及其抑制剂研究进展

张金淼¹, 郝清静², 江凯旋², 李丽丽², 张卯玉², 王进欣^{2*}, 杨侃^{1*}

(1. 河北大学药学院, 河北省药物分析与质量控制重点实验室, 河北 保定 071002; 2. 中国药科大学药学院, 江苏省药物分子设计与成药性优化重点实验室, 江苏 南京 211198)

本文综述了鞘氨醇激酶 2 作为肿瘤发生新靶点的研究进展, 并讨论了目前面临的挑战和未来的研究方向。



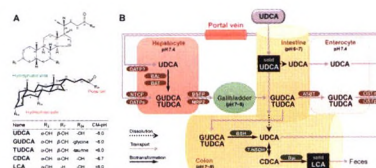
2070

人体熊去氧胆酸代谢及其生物等效性研究的技术挑战

丁劲松^{1#}, 王安娜^{2#}, 黄亮³, 贾伟⁴, 刘昌孝⁵, 兰轲^{6*}

(1. 中南大学湘雅药学院, 湖南 长沙 410083; 2. 国家药品监督管理局食品药品审核查验中心, 北京 100044; 3. 四川大学华西第二医院, 四川 成都 610041; 4. 香港浸会大学中医药表型组学研究中心, 香港; 5. 天津药物研究院释药技术与药代动力学国家重点实验室, 天津 300193; 6. 四川大学华西药学院, 四川 成都 610041)

熊去氧胆酸的化学结构及其吸收、代谢和肝-胆-肠循环处置过程。



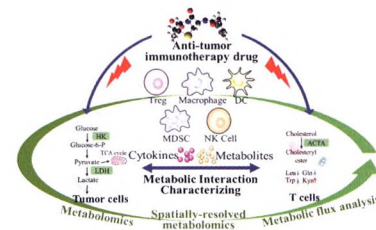
2080

肿瘤代谢调控与肿瘤免疫治疗以及代谢分析方法研究进展

王相宜¹, 张锦¹, 李燕^{2*}, 贺玖明^{1*}

(1. 中国医学科学院、北京协和医学院药物研究所, 天然药物活性物质与功能国家重点实验室, 北京 100050; 2. 中国医学科学院、北京协和医学院药物研究所, 新药作用机制研究与药效评价北京市重点实验室, 北京 100050)

本文对近年来基于代谢调控的肿瘤免疫逃逸和肿瘤免疫治疗相关研究进展进行综述, 并介绍了代谢组学、基于质谱成像的空间分辨代谢组学和代谢流分析等肿瘤代谢研究的前沿分析技术和方法。



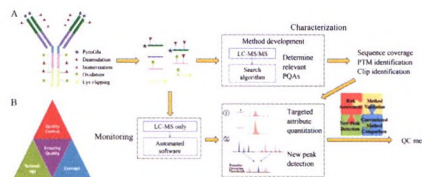
2092

多属性分析方法应用于治疗类抗体药物质量控制的考量与前景

汪泓¹, 徐进², 尹红锐¹, 徐明明¹, 凌今¹, 郭怀祖², 邵泓¹, 陈钢^{1*}

(1. 上海市食品药品检验所, 国家药品监督管理局治疗类单抗质量控制重点实验室, 上海 201203; 2. 抗体药物与靶向治疗国家重点实验室, 国家药品监督管理局治疗类单抗质量控制重点实验室, 上海 201203)

以全过程/生命周期质控的理念为支撑的 MAM 技术作为一种“快、准、全”的分析方法, 可在治疗类抗体药物 QC 中开发、使用、替代传统方法。



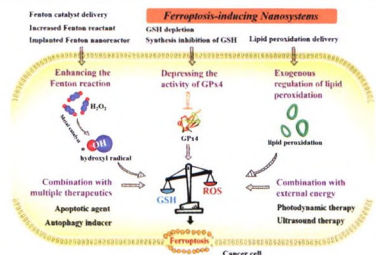
2099

铁死亡诱导型纳米药物的构建及抗肿瘤研究进展

李超群¹, 汤红霞¹, 张悦¹, 宋倩倩², 陈凤英², 费伟东^{2*}

(1. 浙江中医药大学药学院, 浙江 杭州 310053; 2. 浙江大学医学院附属妇产科医院, 药剂科, 浙江 杭州 310006)

本文概述了铁死亡诱导型纳米药物的构建思路, 探讨了多角度诱导肿瘤细胞铁死亡策略和基于铁死亡的联合疗法。



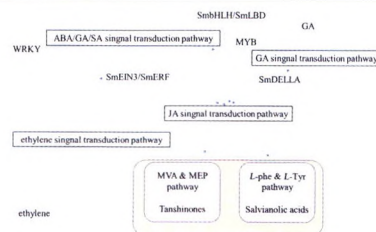
2110

丹参酮和丹酚酸类化合物的生物合成及其转录调控机制

詹忠根*, 李杏

(浙江经贸职业技术学院生物制药教研室, 浙江 杭州 310018)

文章结合丹参酮和丹酚酸类化合物生物合成的最新研究, 着重综述了转录因子对其生物合成的调控作用。



研究论文

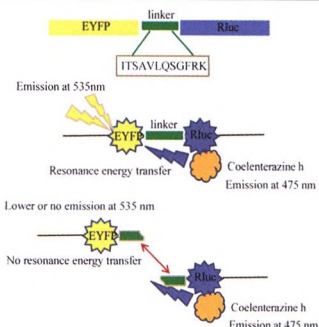
2122

细胞水平新型冠状病毒 SARS-CoV-2 3CL 蛋白酶抑制剂筛选模型的建立

马铃薯, 赵建元, 郭赛赛, 谢永丽, 岑山*

(中国医学科学院医药生物技术研究所, 北京 100050)

本文利用生物发光共振能量转移 (BRET) 的技术建立了细胞水平 SARS-CoV-2 病毒 3CL 蛋白酶的筛选模型, 并初步应用和评价了该筛选模型。

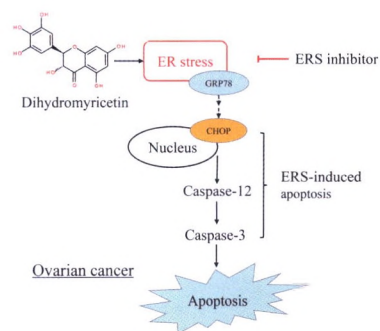


2127

二氢杨梅素激活内质网应激促进卵巢癌 A2780 细胞凋亡王凤杰^{1,3}, 王海静², 陈显兵^{1*}, 易永芬³, 谢雅¹, 张桃¹

(1. 湖北民族大学附属民大医院, 湖北 恩施 445000; 2. 青岛市中医医院干部保健科, 山东 青岛 266033; 3. 重庆医科大学基础医学院, 重庆 400016)

体内外实验证实二氢杨梅素通过内质网应激通路诱导卵巢癌 A2780 细胞凋亡。

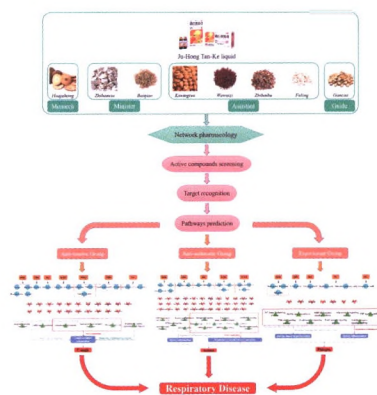


2134

基于网络药理学分析的橘红痰咳液主治“痰、咳、喘”的药效物质基础与作用机制研究钟仁兴^{1,2}, 丁子禾^{1,2}, 杨燕妮^{1,2}, 夏天乙^{1,2}, 王武静², 王毅², 王艳慧^{3*}, 舒尊鹏^{1,2*}

(1. 广东药科大学, 广东省中药饮片规范化炮制工程技术研究中心, 广东 广州 510006; 2. 广东药科大学, 中药学院, 广东 广州 510006; 3. 广州市香雪制药股份有限公司, 广东 广州 510663)

本实验运用网络药理学的方法对橘红痰咳液组方在治疗呼吸系统疾病过程中发挥止咳、平喘、化痰功效的药效物质基础与分子作用机制进行了分析, 得到了不同组分协同作用发挥功效的关键作用靶点与通路。

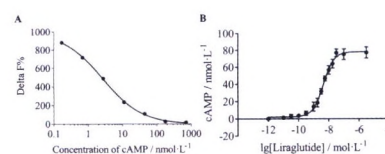


2145

GLP-1 类似物的体外活性及宿主杂质测定郑志华¹, 陈倩倩², 顾倩倩¹, 刘培庆^{1*}, 李民^{1*}

(1. 中山大学药学院, 新药成药性评估与评价国家地方联合工程实验室, 广东 广州 510006; 2. 丽珠集团新北江制药股份有限公司, 广东 清远 511515)

本研究通过 HTRF 方法测定细胞内 cAMP 浓度, 优化了 GLP-1 类似物的体外活性检测方法, 并建立了宿主杂质含量测定方法。



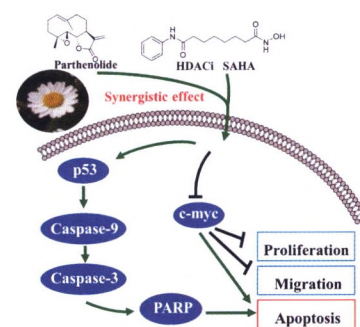
2151

小白菊内酯增强伏立诺他抑制非小细胞肺癌 A549 细胞增殖的机制

王玉青, 纪梦颖, 郭乔如, 韦荣, 高玥, 陶移文, 张建业*

(广州医科大学药学院, 广东省分子靶标与临床药理学重点实验室, 广东 广州 511436)

小白菊内酯与伏立诺他协同作用, 通过调节 p53 和 c-myc 信号通路诱导细胞凋亡并抑制 A549 细胞增殖。

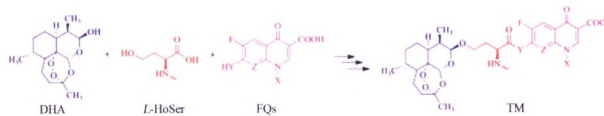


2157

L-高丝氨酸连接的双氢青蒿素及氟喹诺酮缀合分子研究潘建芳^{1#}, 孙晓丽^{1#}, 范莉¹, 唐雪梅², 罗鹏¹, 杨大成^{1*}

(1. 西南大学化学化工学院, 重庆市高校应用化学重点实验室, 生物有机与药物化学研究所, 重庆 400715; 2. 西南大学生命科学学院, 重庆 400715)

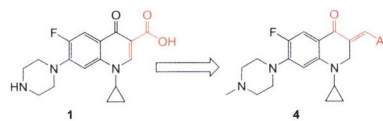
本文进行了双氢青蒿素与氟喹诺酮经高丝氨酸连接的缀合分子在抗结核和降血脂方面的活性研究, 并发现这样的缀合分子具有 PCSK9 抑制活性。



2170

3-芳苄叉基-氟喹啉-4-酮衍生物的设计、合成与抗肿瘤活性

张会丽¹, 姜亚玲¹, 赵辉^{2*}, 黄文龙³, 胡国强^{2*}
 (1. 郑州工业应用技术学院河南省水环境与健康工程技术研究中心, 河南 郑州 451150; 2. 河南大学药物研究所, 河南 开封 475001; 3. 中国药科大学新药研究中心, 江苏 南京 210009)



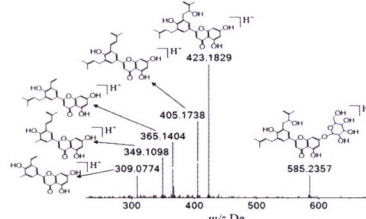
基于氟喹诺酮的作用机制和结构, 报道了环丙沙星衍生物 3-芳苄叉基-氟喹啉-4(1*H*)-酮的设计、合成及抗肿瘤活性。

2176

基于箭叶淫羊藿素为主要代谢产物的生源途径推导及淫羊藿新化合物鉴定研究

秦伟瀚, 阳勇, 郭延垒, 李卿, 张小梅, 刘翔*
 (重庆市中药研究院, 重庆 400065)

基于高分辨质谱及淫羊藿次生代谢途径的新化合物鉴定研究。

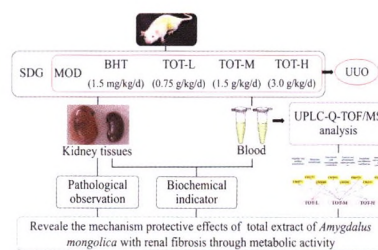


2182

基于代谢组学的蒙古扁桃药材抗大鼠肾纤维化作用机制研究

郝海梅^{1*}, 贾小叶^{1*}, 周红兵¹, 白万富¹, 常虹^{1*}, 石松利^{1,2*}
 (1. 内蒙古科技大学包头医学院药学院, 内蒙古 包头 014060; 2. 内蒙古科技大学包头医学院蒙中药活性物质与功能研究所, 内蒙古 包头 014060)

采用 UPLC-Q-TOF/MS 分析方法并结合药效学, 对蒙古扁桃总提物干预下的肾纤维化大鼠的血清代谢组学进行了研究。通过对血样中相关生物标志物和代谢通路的分析, 从代谢物角度阐述了蒙古扁桃药材抗大鼠肾纤维化的作用机制。

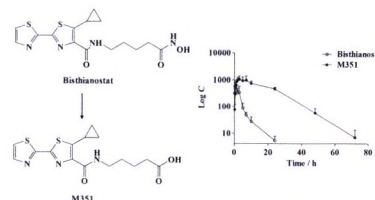


2191

LC-MS/MS 法同时测定人血浆中倍赛诺他及其 N-羟基酰胺水解代谢物 M351

于松达^{1,2}, 黄洪晖³, 侯翔宇², 沈莉菁³, 张仰明², 南发俊², 王彦¹, 闫超^{1*}, 陈笑艳^{2*}
 (1. 上海交通大学药学院, 上海 200240; 2. 中国科学院上海药物研究所, 上海 201203; 3. 上海交通大学医学院附属仁济医院, 上海 200127)

LC-MS/MS 法已成功应用于倍赛诺他和 N-羟基酰胺水解代谢物 M351 在肿瘤患者体内的药动学研究。研究表明, 代谢物 M351 血浆暴露量约为原形药物的 11 倍, 提示在临床研究中应关注代谢物安全性和有效性。

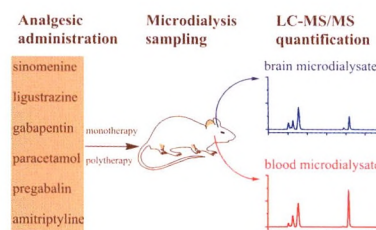


2198

大鼠血液和脑组织液中游离型青藤碱、川芎嗪、加巴喷丁、扑热息痛、普瑞巴林和阿米替林的微透析液取样及 HPLC-MS/MS 定量方法研究

李涛^{1*}, 赵小亮¹, 高天乐², 焦玥¹, 高文雅³, 刘洋¹, 张美玉¹, 王志国¹, 王丹巧^{1*}
 (1. 中国中医科学院医学实验中心, 北京市中医药防治重大疾病基础研究重点实验室, 北京 100700; 2. 中国医学科学院药物研究所, 天然药物活性物质与功能国家重点实验室, 北京 100050; 3. 中国中医科学院中药研究所, 北京 100700)

建立大鼠血液和脑组织液中游离型青藤碱、川芎嗪、加巴喷丁、扑热息痛、普瑞巴林和阿米替林的同步微透析液取样及 HPLC-MS/MS 检测方法。



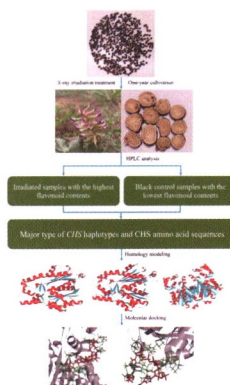
2207

X 射线辐照处理甘草样品中 *CHS* 基因多态性及其功能解析

田少凯¹, 侯嘉铭¹, 张智新¹, 杨林¹, 肖瑶^{2*}, 刘颖^{1*}

(1. 北京中医药大学生命科学学院, 北京 102488; 2. 北京中医药大学中药学院, 北京 102488)

X 射线辐照处理甘草样品中 *CHS* 基因多态性及其功能解析。



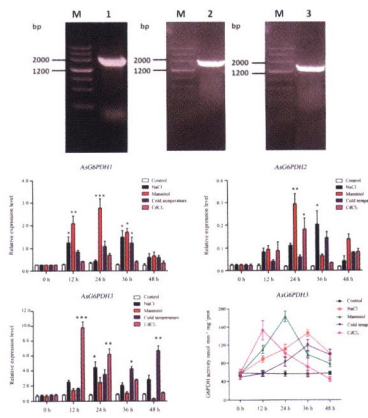
2216

白木香 3 个 *G6PDH* 基因的鉴定与表达分析

高博闻^{1,3#}, 戎玉清^{1#}, 李铁铮¹, 魏胜利², 王晓晖^{1,2*}, 屠鹏飞^{1*}

(1. 北京中医药大学中药学院中药现代研究中心, 北京 100029; 2. 北京中医药大学中药学院中药资源与鉴定系, 北京 100029; 3. 包头医学院药学院, 内蒙古 包头 014060)

本研究从白木香中克隆得到 3 条新的 *AsG6PDHs* 基因。干旱胁迫对 *AsG6PDH1* 和 *AsG6PDH2* 的转录水平影响最显著, 重金属胁迫对 *AsG6PDH3* 的表达水平影响最显著。盐、干旱、低温和重金属胁迫都能够提高愈伤组织中 G6PDH 酶活性, 其中干旱胁迫对白木香愈伤组织中 G6PDH 酶活性影响最显著。



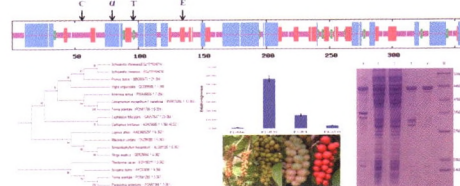
2226

五味子 2-酮戊二酸依赖性双加氧酶基因的克隆及表达分析

李海燕¹, 刘久石², 王婷¹, 刘宇阳¹, 王熙昂¹, 李宏博^{1*}

(1. 沈阳农业大学园艺学院, 辽宁 沈阳 110866; 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)

本文克隆了五味子 2-酮戊二酸依赖性双加氧酶基因并进行生物信息学、qRT-PCR 表达、原核表达与融合蛋白纯化, 为五味子 *Sc2-ODD* 基因功能研究及应用奠定基础。



新药发现与研究实例简析

2234

治疗子宫内膜异位症的首创口服药物艾拉戈克

郭宗儒

(中国医学科学院、北京协和医学院药物研究所, 北京 100050)

ACTA PHARMACEUTICA SINICA

Volume 55 Number 9 2020 September

Graphical Abstracts

Professionals Forums

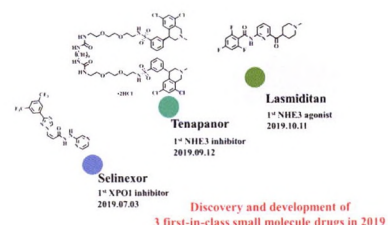
1983

First-in-class small molecule drugs in 2019

WANG Lei^{1,2}, YOU Qi-dong^{1,2*}

(1. Jiangsu Key Laboratory of Drug Design and Optimization, China Pharmaceutical University, Nanjing 210009, China; 2. School of Pharmacy, China Pharmaceutical University, Nanjing 210009, China)

We briefly introduced three representative first-in-class small molecule drugs approved in 2019. Background of drug development, research process and therapeutic application were stated to provide new horizon for discovery and development of more first-in-class small molecule drugs.



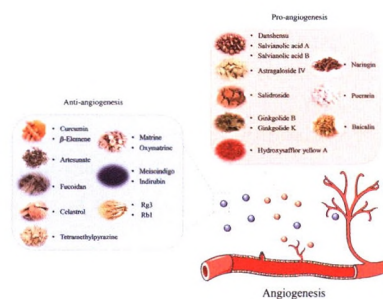
1995

Research progress in the regulation of angiogenesis by active ingredients of traditional Chinese medicine

YANG Ting¹, ZHANG Li-jun¹, HUANG Rui¹, LAN Hai-yue¹, ZHANG Hong¹, LUAN Xin^{1*}, ZHANG Wei-dong^{1,2*}

(1. Institute of Interdisciplinary Integrative Medicine Research, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China; 2. School of Pharmacy, Naval Medical University, Shanghai 200433, China)

As angiogenesis is the therapeutic target of multifarious diseases, the regulation and mechanism of active ingredients of traditional Chinese medicine on angiogenesis are summarized in this manuscript.



Reviews

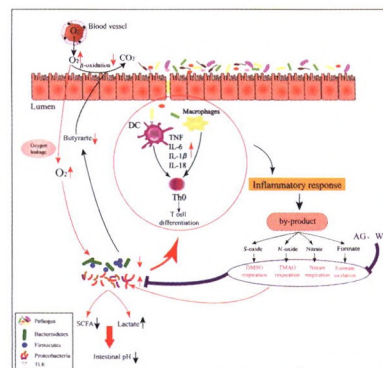
2008

Analysis of the strategy to intervene the progress of inflammatory bowel disease by targeting intestinal bacterial respiration and energy metabolism

LI Cheng-xi, WANG Ying-yi, LI Jian-ping, WANG Yu-meng, ZHANG Sen, DUAN Jin-ao, GUO Jian-ming*

(Jiangsu Key Laboratory of High Technology Research of TCM Formulae, Jiangsu Collaborative Innovation Center of Chinese Medicinal Resources, Nanjing University of Chinese Medicine, Nanjing 210023, China)

During inflammatory bowel disease (IBD), oxygen and inflammatory reactions change bacterial energy metabolism pathway, leading to the disturbance of intestinal flora and exacerbate intestinal immune imbalance, and targeting bacterial respiration and metabolic products can improve IBD.

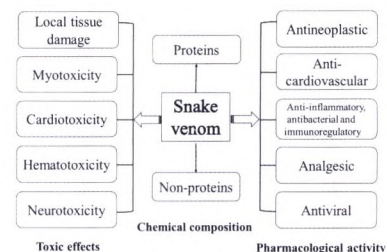


2019

Advances in snake venom studies: from lethal toxins to new drug developmentDONG De-gang^{1,2}, WANG Wan-chun³, DENG Zhong-ping^{1*}

(1. Innovation Research Institute of Traditional Chinese Medicine, Shanghai University of Chinese Medicine, Shanghai 201203, China; 2. School of Life Sciences, Jiangxi University of Chinese Medicine, Nanchang 330004, China; 3. Affiliated Hospital of Jiangxi University of Traditional Chinese Medicine, Nanchang 330006, China)

This paper introduces the main chemical composition of snake venom and the treatment of snake bite, in addition, the toxicity effects and pharmacological activity of snake venom were summarized.



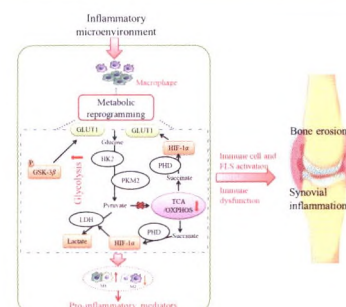
2027

Metabolic reprogramming regulates macrophage polarization and its role in rheumatoid arthritis

YU Yun, CAI Wei-wei, ZHOU Jing, WEI Fang*

(School of Pharmacy, Bengbu Medical College, Bengbu 233030, China)

Abnormal immune microenvironment in rheumatoid arthritis promotes the metabolic reprogramming of macrophages, which affects the polarization of macrophages, resulting in aggravating the inflammatory response of joint tissues.

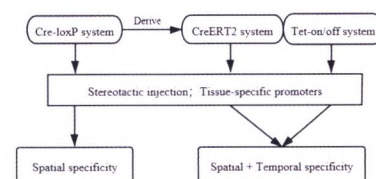


2035

The Cre-loxP system and its derivative systems: methodology research and applications in neuroscienceZHANG Yang¹, JIA Lin-tao¹, YAN Yu-dong², ZHAO Ya-nan², ZHANG Yue-ming², YANG Su-rong^{2*}

(1. School of Basic Medical Sciences, Fudan University, Shanghai 200032, China; 2. Department of Pharmacology, School of Basic Medical Sciences, Fudan University, Shanghai 200032, China)

The cyclization recombinase (Cre)-loxP system achieves spatial specificity driven by specific promoters, and the transcriptional and post-translational regulation of Cre achieves their temporal specificity for gene manipulation.

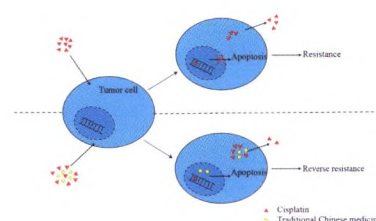


2043

Mechanisms of cisplatin resistance and reverse strategies with traditional Chinese medicineZHAO Jing^{1,3}, LI Yuan-hua^{1,3}, ZHANG Xi-li^{1,3}, LIU Wen-long^{1,3*}, XIAO Xiao-he^{2*}

(1. Hunan Key Laboratory of Druggability and Preparation Modification for Traditional Chinese Medicine of Hunan University of Chinese Medicine, Changsha 410208, China; 2. China Military Institute of Chinese Medicine, Fifth Medical Center of Chinese PLA General Hospital, Beijing 100039, China; 3. Changde Hospital Affiliated to Hunan University of Chinese Medicine, Changde 415000, China)

Tumor cells become resistant to cisplatin by reducing the effective concentration of intracellular cisplatin or inhibiting apoptosis. Combined treatment of cisplatin and traditional Chinese medicine can reverse cisplatin resistance.

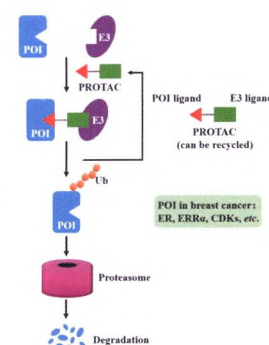


2053

The research progress of PROTACs for breast cancer treatmentXIE Bao-hua¹, HU Zhi-ye¹, NING Wen-tao¹, YANG Lu^{2,3}, ZHOU Hai-bing^{1,3*}

(1. Hubei Province Engineering and Technology Research Centre for Fluorinated Pharmaceuticals, School of Pharmaceutical Sciences, Wuhan University, Wuhan 430071, China; 2. Radiological Molecular Imaging Laboratory, Department of Radiology, the Affiliated Hospital of Southwest Medical University, Luzhou 646000, China; 3. The State Key Laboratory of Virology, Wuhan 430072, China)

This paper reviews the development of PROTAC technology in treatment of breast cancer and highlights the future directions of this promising drug discovery modality.



2062

Advances in understanding the role of sphingosine kinase-2 in tumorigenesis and its inhibitors

ZHANG Jin-miao¹, HAO Qing-jing², JIANG Kai-xuan², LI Li-li², ZHANG Mao-yu², WANG Jin-xin^{2*}, YANG Kan^{1*}

(1. College of Pharmacy, Hebei University, Hebei Key Laboratory of Drug Analysis and Quality Control, Baoding 071002, China; 2. College of Pharmacy, China Pharmaceutical University, Jiangsu Provincial Key Laboratory of Drug Molecular Design and Drug Formation Optimization, Nanjing 211198, China)



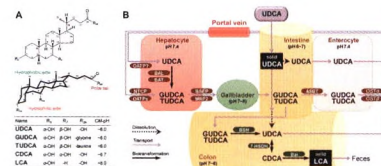
This review describes the progress of sphingosine kinase 2 as a new target in tumorigenesis as well as discusses current challenges and future research directions.

2070

Metabolism of ursodeoxycholic acid in human raises challenges to its bioequivalence studies

DING Jin-song^{1#}, WANG An-na^{2#}, HUANG Liang³, JIA Wei⁴, LIU Chang-xiao⁵, LAN Ke^{6*}

(1. Xiangya School of Pharmaceutical Sciences, Central South University, Changsha 410083, China; 2. Center for Food and Drug Inspection of National Medical Products Administration, Beijing 100044, China; 3. West China Second University Hospital, Sichuan University, Chengdu 610041, China; 4. Hong Kong Traditional Chinese Medicine Phenome Research Centre, Hong Kong Baptist University, Hong Kong, China; 5. State Key Laboratory of Drug Delivery Technology and Pharmacokinetics, Tianjin Institute of Pharmaceutical Research, Tianjin 300193, China; 6. West China School of Pharmacy, Sichuan University, Chengdu 610041, China)



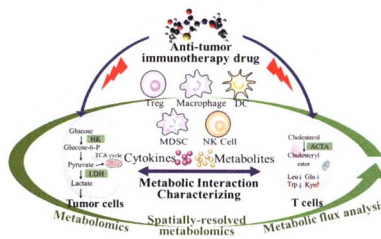
Structure, absorption, metabolism and liver-gall bladder-gut circulation of ursodeoxycholic acid.

2080

Research progress on the regulation of tumor metabolism, tumor immunotherapy and new analytical methods

WANG Xiang-yi¹, ZHANG Jin¹, LI Yan^{2*}, HE Jiu-ming^{1*}

(1. State Key Laboratory of Bioactive Substance and Function of Natural Medicines, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China; 2. 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)



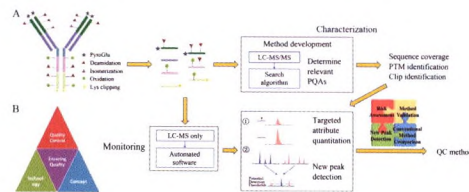
We reviewed the advances in tumor immune escape and immunotherapy based on metabolic regulation. The cutting-edge analytical techniques and methods for tumor metabolism research such as metabolomics, mass spectrometry imaging based spatially-resolved metabolomics and metabolic flow analysis were also introduced.

2092

Prospects and current use of the multi-attribute method for quality control of therapeutic antibodies

WANG Hong¹, XU Jin², YIN Hong-rui¹, XU Ming-ming¹, LING Jin¹, GUO Huai-zu², SHAO Hong¹, CHEN Gang^{1*}

(1. Shanghai Institute for Food and Drug Control, NMPA Key Laboratory for Quality Control of Therapeutic Monoclonal Antibodies, Shanghai 201203, China; 2. State Key Laboratory of Antibody Medicine and Targeted Therapy, NMPA Key Laboratory for Quality Control of Therapeutic Monoclonal Antibodies, Shanghai 201203, China)



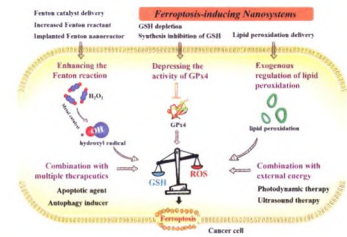
Supported by the concept of product life cycle management concept, MAM, as a "broad-spectrum, rapid, and accurate" method, could be further developed, used, and substituted for conventional methods in QC.

2099

Advance in construction of ferroptosis-inducing nanomedicine for cancer therapyLI Chao-qun¹, TANG Hong-xia¹, ZHANG Yue¹, SONG Qian-qian², CHEN Feng-ying², FEI Wei-dong^{2*}

(1. College of Pharmaceutical Sciences, Zhejiang Chinese Medical University, Hangzhou 310053, China; 2. Department of Pharmacy, Women's Hospital, School of Medicine, Zhejiang University, Hangzhou 310006, China)

This paper summarized the construction strategies of the ferroptosis-inducing nanomedicines for cancer therapy, including the multi-angle strategies to induce ferroptosis of tumor cells and the combination therapies based on ferroptosis.



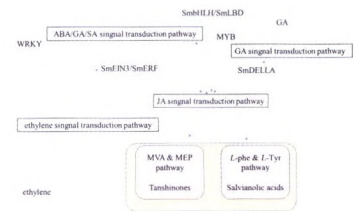
2110

Biosynthesis and transcriptional regulation of tanshinones and salvianolic acids

ZHAN Zhong-gen*, LI Xing

(Biopharmaceutical Laboratory, Zhejiang Institute of Economics and Trade, Hangzhou 310018, China)

This review combined new research of tanshinones and salvianolic acids biosynthesis and summarized the regulation focus on transcription factors involved its biosynthesis.

**Original Articles**

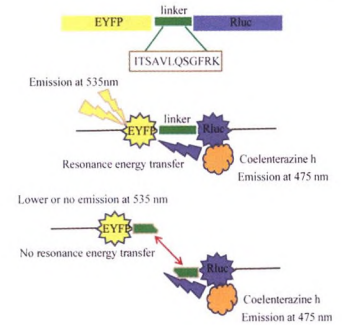
2122

Establishment of a cell-based screening assay for inhibitors of SARS-CoV-2 3CL protease

MA Ling, ZHAO Jian-yuan, GUO Sai-sai, XIE Yong-li, CEN Shan*

(Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences, Beijing 100050, China)

In this paper, we used bioluminescence resonance energy transfer (BRET) technology for the first time to establish a cell-base assay for screening SARS-CoV-2 virus 3CL protease inhibitor, and then applied and evaluated the assay.

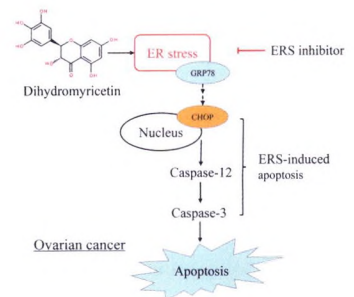


2127

Dihydromyricetin promotes cell apoptosis through activating endoplasmic reticulum stress in ovarian cancer A2780 cellsWANG Feng-jie^{1,3}, WANG Hai-jing², CHEN Xian-bing^{1*}, YI Yong-fen³, XIE Ya¹, ZHANG Tao¹

(1. Minda Hospital of Hubei Minzu University, Enshi 445000, China; 2. Qingdao Hospital of Traditional Chinese Medicine, Qingdao 266033, China; 3. School of Basic Medicine, Chongqing Medical University, Chongqing 400016, China)

Dihydromyricetin induced ovarian cancer cells apoptosis through activating endoplasmic reticulum stress pathway *in vivo* and *in vitro*.

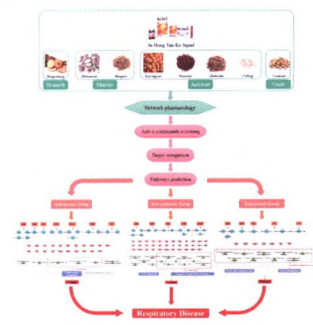


2134

Study on the pharmacodynamic material basis and mechanisms of Ju-Hong Tan-Ke liquid for the treatment of "phlegm, cough, and asthma" based on network pharmacologyZHONG Ren-xing^{1,2}, DING Zi-he^{1,2}, YANG Yan-ni^{1,2}, XIA Tian-yi^{1,2}, WANG Wu-jing², WANG Yi², WANG Yan-hui^{3*}, SHU Zun-peng^{1,2*}

(1. Guangdong Standardized Processing Engineering Technology Research Center of Traditional Chinese Medicine, Guangdong Pharmaceutical University, Guangzhou 510006, China; 2. Department of Traditional Chinese Medicine, Guangdong Pharmaceutical University, Guangzhou 510006, China; 3. Guangzhou Xiangxue Pharmaceutical Co., Ltd., Guangzhou 510663, China)

In this study, the pharmacodynamic material basis and effective mechanism of Ju-Hong Tan-Ke liquid (JHTKL) in the efficacy of anti-tussive, anti-asthmatic and expectorant were investigated by using network pharmacology. We have obtained the pivotal gene targets and biological pathways for the synergistic effects of different components.

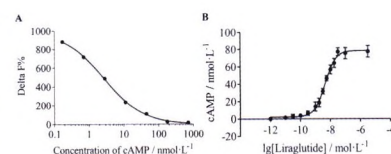


2145

In vitro activity and host impurity detection of GLP-1 analogues

ZHENG Zhi-hua¹, CHEN Qian-qian², GU Qian-qian¹, LIU Pei-qing^{1*}, LI Min^{1*}
 (1. School of Pharmaceutical Sciences, National and Local United Engineering Lab of Druggability and New Drug Evaluation, Sun Yat-Sen University, Guangzhou 510006, China; 2. Livzon New River Pharmaceutical Co., Ltd., Qingyuan 511515, China)

Optimization of an *in vitro* assay to detect the concentration of cellular cAMP stimulated by GLP-1 analogues by HTRF and establishment of the host impurity content methods.

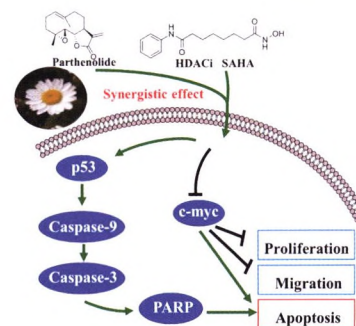


2151

The mechanism of parthenolide strengthen vorinostat on inhibiting the proliferation of A549 non-small cell lung cancer cells

WANG Yu-qing, JI Meng-ying, GUO Qiao-ru, WEI Rong, GAO Yue, TAO Yi-wen, ZHANG Jian-ye*
 (Guangdong Provincial Key Laboratory of Molecular Target and Clinical Pharmacology, School of Pharmaceutical Sciences, Guangzhou Medical University, Guangzhou 511436, China)

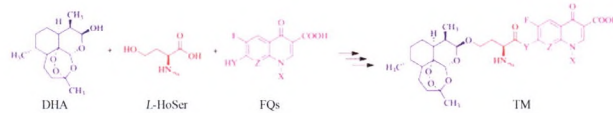
Combination of parthenolide and vorinostat (SAHA) has synergistic effect, which induces cell apoptosis and inhibits A549 proliferation by regulating p53 and c-myc pathways.



2157

Hybrid molecules of dihydroartemisinin with fluoroquinolones linked by L-homoserine have anti-tuberculosis and lipid-lowering effects

PAN Jian-fang^{1#}, SUN Xiao-li^{1#}, FAN Li¹, TANG Xue-mei², LUO Peng¹, YANG Da-cheng^{1*}
 (1. Key Laboratory of Applied Chemistry of Chongqing Municipality, Institute of Bioorganic and Medicinal Chemistry, School of Chemistry and Chemical Engineering, Southwest University, Chongqing 400715, China; 2. School of Life Science, Southwest University, Chongqing 400715, China)

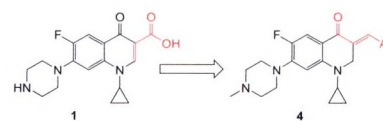


Eighteen unknown hybrid molecules of dihydroartemisinin and fluoroquinolone conjugated by L-homoserine were designed and synthesized, and their activities against *Mycobacterium tuberculosis* and lipid-lowering target PCSK9 were also evaluated.

2170

Design, synthesis and antitumor activity of 3-arylidene-4-fluoroquinolin-4-ones as ciprofloxacin derivatives

ZHANG Hui-li¹, JIANG Ya-ling¹, ZHAO Hui^{2*}, HUANG Wen-long³, HU Guo-qiang^{2*}
 (1. Water Environment and Health of Henan Engineering Technology Research Center, Zhengzhou University of Industrial Technology, Zhengzhou 451150, China; 2. Institute of Drugs, Henan University, Kaifeng 475001, China; 3. Center of Drug Discovery, China Pharmaceutical University, Nanjing 210009, China)



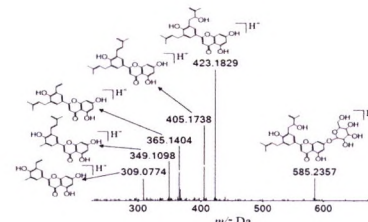
A mechanism and structure of fluoroquinolone-based design, synthesis and antitumor activity of 3-arylidene-fluoroquinolin-4(1H)-ones as ciprofloxacin derivatives was reported herein.

2176

Derivation of the biogenic pathway and identification of new compounds of Epimedium based on YinYangHuo as the main metabolite

QIN Wei-han, YANG Yong, GUO Yan-lei, LI Qing, ZHANG Xiao-mei, LIU Xiang*
 (Chongqing Institute of Traditional Chinese Medicine, Chongqing 400065, China)

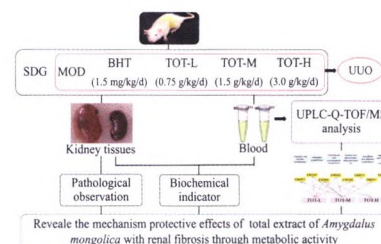
Identification of new compounds based on high-resolution mass spectrometry and secondary metabolic pathways of *Epimedium*.



2182

Investigation of the anti-renal fibrosis effect of *Amygdalus mongolica* using metabolomicsHAO Hai-mei^{1#}, JIA Xiao-ye^{1#}, ZHOU Hong-bing¹, BAI Wan-fu¹,
CHANG Hong^{1*}, SHI Song-li^{1,2*}

(1. Department of Pharmacy, Baotou Medical College of Inner Mongolia University of Science and Technology, Baotou 014060, China; 2. Institute of Bioactive Substance and Function of Mongolian Medicine and Chinese Materia Medica, Baotou Medical College of Inner Mongolia University of Science and Technology, Baotou 014060, China)

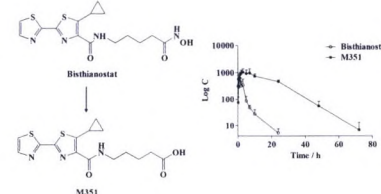


Using UPLC-Q-TOF/MS analysis method combined with pharmacodynamics, the serum metabolomics of renal fibrosis rats under the intervention of total extract of *Amygdalus mongolicus* was studied. Through the analysis of relevant biomarkers and metabolic pathways in serum samples, the mechanism of action of *Amygdalus mongolicus* against renal fibrosis in rats was described from the perspective of metabolites.

2191

Simultaneous determination of bithianostat and its hydrolyzed *N*-hydroxyamide metabolite M351 in human plasma by liquid chromatography-tandem mass spectrometry (LC-MS/MS)YU Song-da^{1,2}, HUANG Hong-hui³, HOU Xiang-yu², SHEN li-jing³,
ZHANG Yang-ming², NAN Fa-jun², WANG Yan¹, YAN Chao^{1*}, CHEN Xiao-yan^{2*}

(1. School of Pharmacy, Shanghai Jiao Tong University, Shanghai 200240, China; 2. Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China; 3. Renji Hospital, Shanghai Jiaotong University School of Medicine, Shanghai 200127, China)

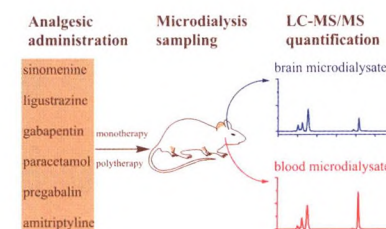


An LC-MS/MS method was applied to a study of bithianostat and its *N*-hydroxyamide hydrolyzed metabolite M351 in humans. This study showed that the plasma exposure of M351 was about 11 times higher than the parent drug. It is suggested that the safety and efficacy of metabolites should be concerned in clinical research.

2198

Microdialysis sampling and HPLC-MS/MS quantification of sinomenine, ligustrazine, gabapentin, paracetamol, pregabalin and amitriptyline in rat blood and brain extracellular fluidLI Tao^{1*}, ZHAO Xiao-liang¹, GAO Tian-le², JIAO Yue¹, GAO Wen-ya³,
LIU Yang¹, ZHANG Mei-yu¹, WANG Zhi-guo¹, WANG Dan-qiao^{1*}

(1. Beijing Key Laboratory of Traditional Chinese Medicine Basic Research on Prevention and Treatment of Major Diseases, Experimental Research Center, China Academy of Chinese Medical Sciences, Beijing 100700, China; 2. State Key Laboratory of Bioactive Substances and Function of Natural Medicine, Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing 100050, China; 3. Institute of Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China)



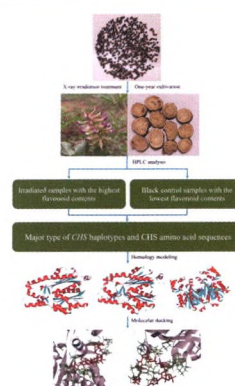
The microdialysis sampling and HPLC-MS/MS quantification method of free-form sinomenine, ligustrazine, gabapentin, paracetamol, pregabalin and amitriptyline in rat blood and brain extracellular fluid was established in this paper.

2207

Analysis of *CHS* gene polymorphisms and function in X-ray irradiated *Glycyrrhiza uralensis*TIAN Shao-kai¹, HOU Jia-ming¹, ZHANG Zhi-xin¹, YANG Lin¹, XIAO Yao^{2*}, LIU Ying^{1*}

(1. School of Life Sciences, Beijing University of Chinese Medicine, Beijing 102488, China; 2. School of Chinese Pharmacy, Beijing University of Chinese Medicine, Beijing 102488, China)

Analysis of *CHS* gene polymorphism and function in X-ray irradiated *Glycyrrhiza uralensis*.



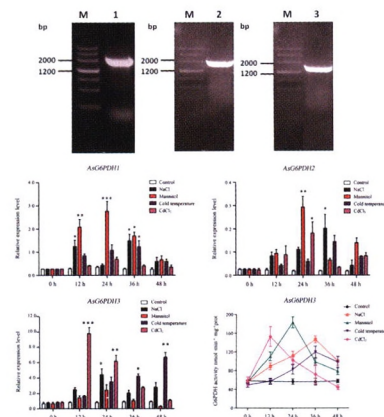
2216

Identification and expression analysis of three *G6PDH* genes from *Aquilaria sinensis*

GAO Bo-wen^{1,3#}, RONG Yu-qing^{1#}, LI Tie-zheng¹, WEI Sheng-li²,
WANG Xiao-hui^{1,2*}, TU Peng-fei^{1*}

(1. Modern Research Center for Traditional Chinese Medicine, School of Chinese Materia Medica, Beijing University of Chinese Medicine, Beijing 100029, China; 2. Department of Resources and Identification of Traditional Chinese Medicine, School of Chinese Materia Medica, Beijing University of Chinese Medicine, Beijing 100029, China; 3. School of Pharmacy, Baotou Medical College, Baotou 014060, China)

Three new *AsG6PDH* genes were isolated and identified from *Aquilaria sinensis*. The content of *AsG6PDH1* and *AsG6PDH2* was most significantly increased by drought stress, and the transcript level of *AsG6PDH3* was most significantly induced by metal stress. G6PDH activity was stimulated under salt, drought, low temperature and CdCl₂ treatments, and G6PDH activity was remarkably increased under drought stress.



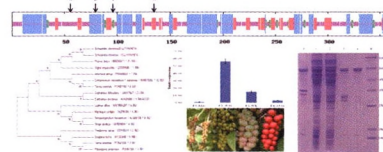
2226

Cloning and expression analysis of 2-oxoglutarate-dependent dioxygenase from *Schisandra chinensis*

LI Hai-yan¹, LIU Jiu-shi², WANG Ting¹, LIU Yu-yang¹, WANG Xi-ang¹,
LI Hong-bo^{1*}

(1. College of Horticulture, Shenyang Agricultural University, Shenyang 110866, China; 2. Institute of Medicinal Plant Development, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100193, China)

The full-length cDNA of *Schisandra chinensis* 2-oxoglutarate-dependent dioxygenase (*Sc2-ODD*) gene was cloned, and the bioinformation analysis, expression pattern, prokaryotic expression and purification were implemented, which will lay foundation for the further research on function and expression regulation of *Sc2-ODD* gene in aryl naphthalene lignans biosynthesis pathway.



ACTA PHARMACEUTICA SINICA

Volume 55 Number 9 2020 September



期刊基本参数: CN 11-2163/R*1953*m*A4*260*zh*P*¥40.00* *28*2020-09

本期责任编辑 王 燕

药学报 (YAOXUE XUEBAO)

(月刊, 1953年7月创刊)

主管单位: 中国科学技术协会

主办单位: 中国药学会 (<http://www.cpa.org.cn>)

中国医学科学院药物研究所
(<http://www.imm.ac.cn>)

编辑出版: 药学报编辑部 (100050 北京市先农坛街1号)

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主编: 王晓良

印刷: 北京科信印刷有限公司

国内订购: 全国各地邮电局

发行范围: 公开发行

国内: 北京报刊发行局

国外: 中国国际图书贸易集团有限公司
(北京市399信箱, 100044)

ACTA PHARMACEUTICA SINICA

(Monthly, Founded in 1953 July)

Directed by: China Association for Science and Technology

Sponsored by: Chinese Pharmaceutical Association
(<http://www.cpa.org.cn>)

Institute of Materia Medica, Chinese Academy of Medical
Sciences (<http://www.imm.ac.cn>)

Edited and Published by: Editorial Office of Acta Pharmaceutica Sinica
(1 Xiannongtan Street, Beijing 100050).

Tel / Fax: 86-10-63026192, 63035012;

E-mail: yxxb@imm.ac.cn; <http://www.yxxb.com.cn>

Editor-in-chief: WANG Xiao-liang

Printed by: Beijing Kexin Printing Co., Ltd.

Domestic subscriptions: Local Post Offices

Distribution

Domestic: Beijing Post Offices

Foreign: China International Book Trading Corporation,
PO Box 399, Beijing 100044, China

ISSN 0513-4870

CN 11-2163/R

2020年 第55卷 第9期

2020, Vol. 55, No.9

2020年9月12日出版

Publication Date: 2020-09-12

邮发代号: 2-233

Code number: M105

国内定价: 每期40.00元



万方数据

ISSN 0513-4870



9 770513 487209