

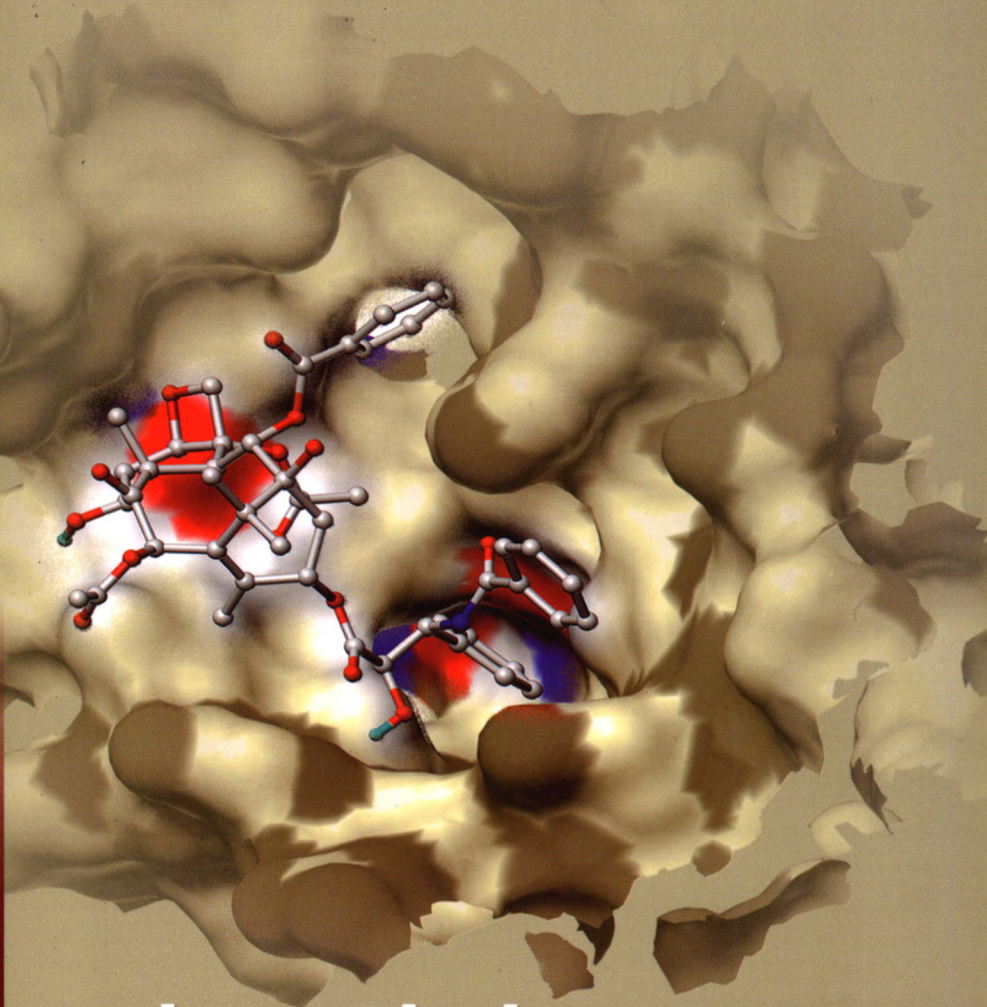


药学学报

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专题报道

李梦林, 张金兰等

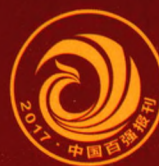
基于N-聚糖和完整糖肽的单克隆
抗体药物糖基化修饰表征

万方数据

专家论坛

李川等

中药多成分药代动力学: 发现与中药安全
性和有效性关联的物质并揭示其药代特征



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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图 文 摘 要

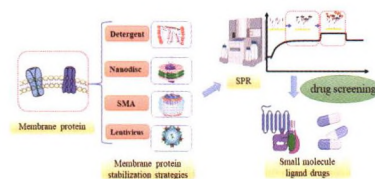
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膜蛋白稳定技术及其在药物筛选中的应用进展

方家豪, 曹雨虹, 何宇臻, 洪战英*, 柴逸峰
(海军军医大学药学院, 上海 200433)

综述了目前用于稳定分离纯化 MPs 技术的研究进展, 包括洗涤剂、人造膜、聚合物、慢病毒颗粒等四种策略, 及其结合表面等离子共振技术在药物筛选中的应用。



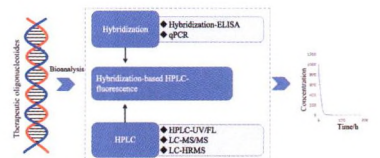
2335

核酸药物生物分析方法研究进展

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核酸药物的生物分析技术方法。



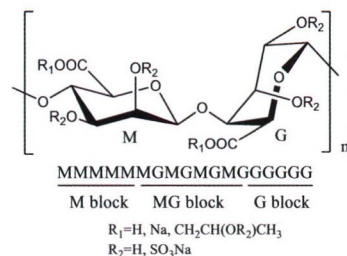
2346

高效阴离子交换-脉冲安培法分析藻酸双酯钠的单糖组成和比例

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本文建立了高效阴离子交换-脉冲安培法分析类肝素药物藻酸双酯钠的单糖组成和单糖比例。通过正交分析的方法确定了样品前处理最佳反应条件, 该方法能够准确测定藻酸双酯钠单糖组成, 为藻酸双酯钠的结构鉴定提供单糖信息。



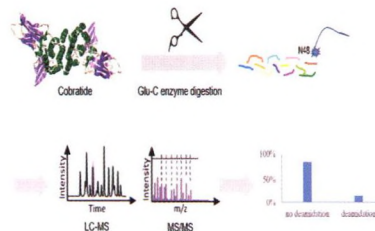
2352

基于质谱分析技术的科博肽中脱酰胺杂质测定方法研究

黄露^{1,2#}, 刘博^{1,2#}, 范慧红^{1,2*}

(1. 中国食品药品检定研究院, 北京 102629; 2. 国家药品监督管理局化学药品质量研究与评价重点实验室, 北京 102629)

建立基于 Glu-C 酶的 bottom-up 分析方法, 定性与定量分析科博肽的脱酰胺杂质, 显著降低了酶切过程造成的人为引入的脱酰胺杂质的现象比例。



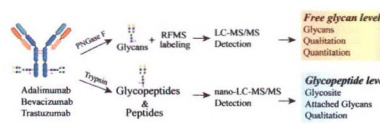
2360

基于 N-聚糖和完整糖肽的单克隆抗体药物糖基化修饰表征

李梦林*, 朱文文#, 张金兰*

(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)

本研究基于液质联用技术, 在游离 N-聚糖和完整糖肽层次建立了单克隆抗体药物的糖基化修饰表征方法。该方法可鉴定单抗药中低丰度糖型, 并可对样品糖基化修饰的批间差异进行分析。



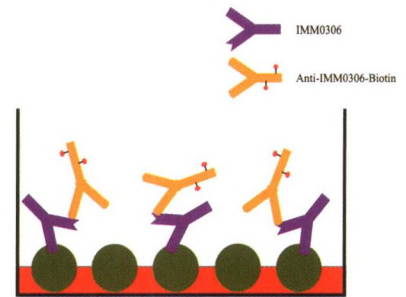
2367

ELISA 法检测人血清中重组人信号调节蛋白 α -抗 CD20 人鼠嵌合抗体融合蛋白 IMM0306 的方法学建立和验证

靖钰¹, 姚慕蓉¹, 李松², 陈典泽², 张力², 杨勇¹, 钟勤¹, 宗山海^{1*}

(1. 苏州海科医药技术有限公司, 江苏 苏州 215000; 2. 宜明昂科生物医药技术 (上海) 有限公司, 上海 201200)

ELISA 法检测人血清中重组人信号调节蛋白 α -抗 CD20 人鼠嵌合抗体融合蛋白 IMM0306 的方法学建立和验证。



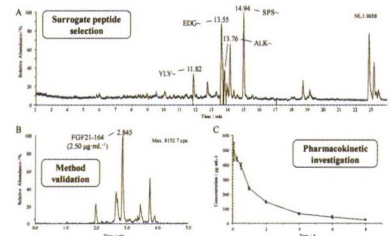
2372

UHPLC-MS/MS 法考察 FGF21-164 融合蛋白在小鼠体内的药代动力学

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本文建立了快速、高效的 LC-MS/MS 法定量小鼠血清中 FGF21-164 融合蛋白的浓度, 并详细介绍了结合肽段预测软件与高分辨质谱法选择替代肽段, 并以三重四级杆质谱进行定量检测的一般流程。



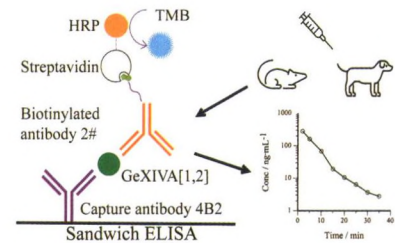
2378

基于夹心酶联免疫吸附方法的大鼠及比格犬血浆中海洋药物 GeXIVA[1,2] 定量分析研究

朱小雨^{1,2,3}, 原梅¹, 罗素兰^{2,3}, 车津晶^{1*}

(1. 军事医学研究院毒物药物研究所, 北京 100850; 2. 广西大学医学院, 广西 南宁 530004; 3. 海南大学生命科学院, 海南 海口 570228)

比格犬及大鼠血浆中海洋药物 GeXIVA[1,2]定量分析的酶联免疫吸附方法。



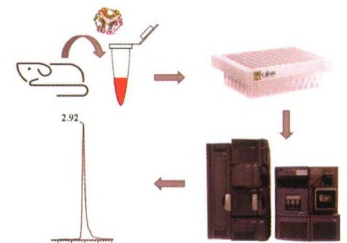
2383

液相色谱-串联质谱法测定大鼠血浆中赖脯胰岛素及其药动力学研究

孙筱初^{1,2}, 林菲菲², 万咪咪², 佟悦², 常路², 袁梦², 冯莹莹², 滕国生^{1*}, 刘佳^{2*}

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结合混合模式 SPE 前处理方法, 成功开发并验证了一种简单、快速、高回收率的 LC-MS/MS 方法, 用于测定大鼠血浆中的赖脯胰岛素。



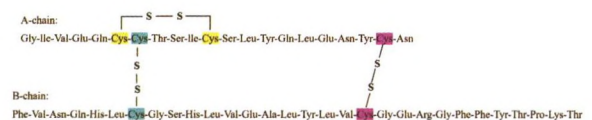
2389

UPLC-MS/MS 法快速、灵敏、直接测定人血浆中的门冬胰岛素

韩盈¹, 魏国兰¹, 米楠¹, 郭林峰², 胡春云², 李爽³, 毕吕存^{1*}

(1. 徕博科医药研发 (上海) 有限公司, 上海 201203; 2. 东莞市东阳光生物药研发有限公司, 广东 东莞 523000; 3. 宜昌东阳光长江药业股份有限公司, 湖北 宜昌 443000)

本文开发了一个迅速的、灵敏度高的、简单的、高通量的分析方法支持人血浆中门冬胰岛素的定量测定。并且此方法成功支持了采用葡萄糖钳夹技术在健康男性受试者中比较门冬胰岛素注射液与诺和锐®的药代动力学研究。



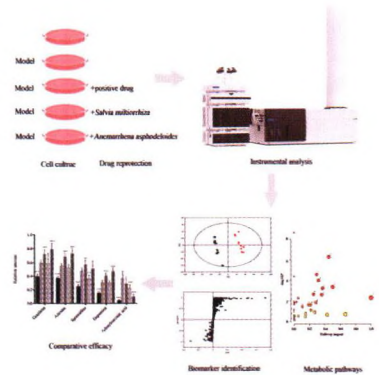
2394

基于UHPLC-QTOF/MS的细胞代谢组学对丹参和知母防治阿尔茨海默病的药效比较研究

王辉^{1#}, 蔡颖^{1,2#}, 刘敏³, 洪战英^{1,2*}, 柴逸峰¹

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基于UHPLC-QTOF/MS的细胞代谢组学对丹参和知母防治阿尔茨海默病的药效比较研究, 表明两者对Tau蛋白异常磷酸化AD细胞模型均具有一定的保护作用, 但是丹参干预后能显著提高细胞活力, 保护作用更佳。



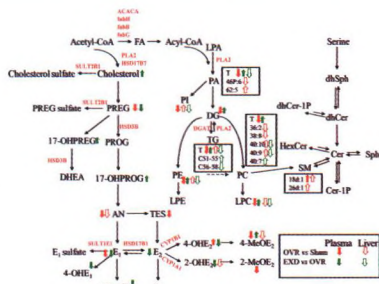
2403

代谢组学探索复方二仙汤调节双侧去卵巢大鼠脂代谢紊乱特征

生宁[#], 王彩虹[#], 贾志鑫, 王喆, 吴彩胜^{*}, 张金兰^{*}

(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)

本研究采用代谢组学技术, 以双侧去卵巢模型大鼠模拟更年期妇女的生理状态, 探索不同绝经阶段脂代谢特征以及二仙汤调节更年期脂代谢紊乱可能的代谢通路和机制。



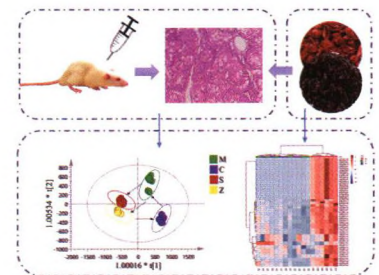
2410

基于血浆代谢组学的山茱萸酒制后抗大鼠肝纤维化作用增强机制研究

钮敏洁^{1,2}, 王梦晴^{1,2}, 于慧^{1,2}, 刘鑫^{1,2}, 蔡皓^{1,2*}, 曹岗³, 段煜^{1,2}, 裴科⁴, 张专¹

(1. 南京中医药大学药学院, 江苏 南京 210023; 2. 南京中医药大学国家教育部中药炮制规范化及标准化工程研究中心, 江苏 南京 210023; 3. 浙江中医药大学药学院, 浙江 杭州 310053; 4. 山西中医药大学中药与食品工程学院, 山西 晋中 030619)

山茱萸酒制后在调节甘油磷脂代谢、视黄醇代谢、花生四烯酸代谢方面效果更佳, 表现出较其生品更好的抗肝纤维化效果。



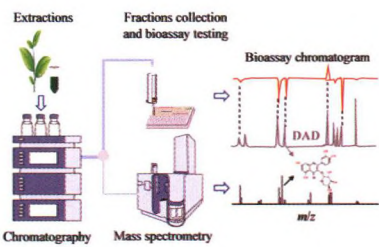
2419

基于近线高分辨活性轮廓分析的湖北海棠中α-葡萄糖苷酶抑制剂筛选研究

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本研究基于近线高分辨活性轮廓分析技术, 通过复杂化合物体系色谱分离和活性评价的同步进行, 建立了适用于天然产物的快速高效α-葡萄糖苷酶抑制剂筛选平台; 并进一步将其应用于湖北海棠提取物乙酸乙酯部位中活性成分的筛选和评估, 最终鉴定出5种α-葡萄糖苷酶抑制剂。



专家论坛

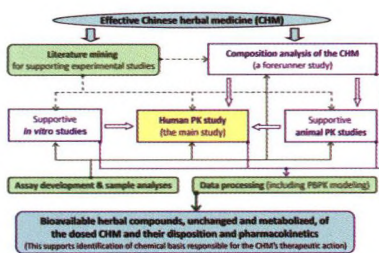
2426

中药多成分药代动力学: 发现与中药安全性和有效性关联的物质并揭示其药代特征

李川^{*}, 程晨, 贾伟伟, 杨军令, 余玄, Olajide E. OLALEYE

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经过十来年的努力, 中药多成分药代研究在理论、方法、技术、应用上已取得突破, 成为药代动力学的一个新分支。



综述

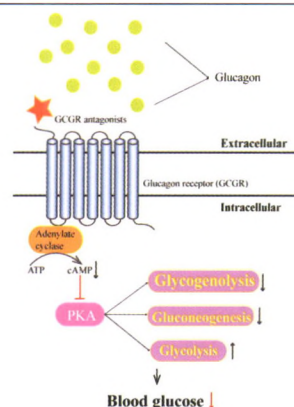
2447

胰高血糖素受体相关化合物研究进展

陈婧文, 柳星峰, 崔冰, 李平平*

(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)

胰高血糖素对机体的能量代谢稳态起重要作用。胰高血糖素受体 (glucagon receptor, GCGR) 拮抗剂和胰高血糖素样肽-1 受体 (glucagon like peptide 1 receptor, GLP-1R)/GCGR 共激动剂可改善 2 型糖尿病患者的血糖水平。



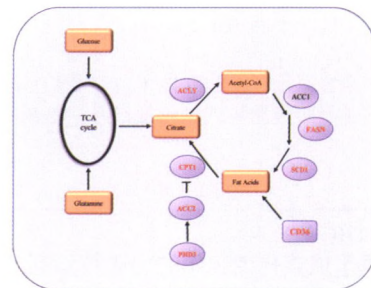
2456

脂质代谢与血液肿瘤

刘羿晨, 杜婷婷, 王庆华, 张智慧, 陈晓光*

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随着近年来研究的深入, 肿瘤治疗步入了代谢治疗时代, 本文通过对脂代谢通路中几个关键代谢酶及其在血液肿瘤发生发展中的相关研究进展加以综述, 旨在为针对脂代谢异常治疗血液肿瘤提供理论参考, 为研究的深入及靶点确证提供一定依据。



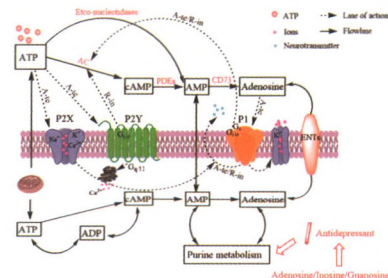
2464

基于嘌呤能系统及嘌呤代谢的抑郁症发病机制研究进展

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体外增补嘌呤代谢物腺苷、肌苷和鸟苷可以介导多条信号通路发挥抗抑郁作用, 且抗抑郁机制与嘌呤能系统有关。



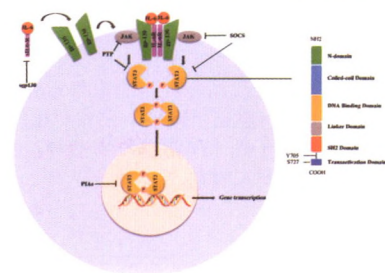
2472

IL-6/STAT3 信号通路小分子抑制剂的研究进展

赵丽萍, 宋丹青, 汪燕翔*

(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)

IL-6/STAT3 通路在多个复杂疾病中的生理参与过程使得该通路成为药物发现的研究热点, 本文简要介绍了 IL-6/STAT3 信号通路小分子抑制剂的研究进展。



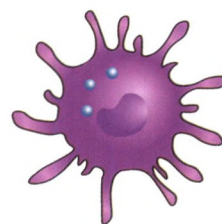
2485

基于树突状细胞的疫苗递送研究进展

沈亦池¹, 范雪莲¹, 王飞¹, 陈刚^{1,2,3*}

(1. 扬州大学兽医学院 (比较医学研究院), 江苏 扬州 225009; 2. 扬州大学, 江苏高校动物重要疫病与人兽共患病防控协同创新中心, 江苏 扬州 225009; 3. 扬州大学, 教育部农业与农产品安全国际合作联合实验室, 江苏 扬州 225009)

负载全细胞抗原、核酸、多肽、蛋白或纳米粒的树突状细胞疫苗在对抗癌症及传染性疾病中展示了巨大的潜力。



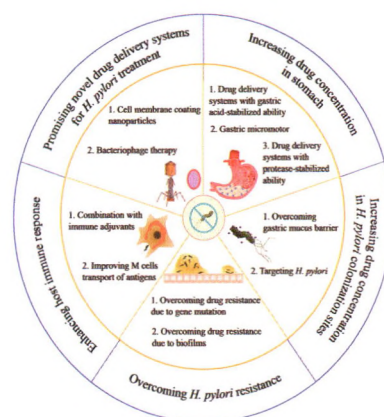
Dendritic cell-based vaccine delivery

2495

抗幽门螺杆菌递药策略的研究进展

陈小楠, 孙莹莹, 李彭宇, 饶义琴, 于世慧, 胡海燕*
(中山大学药学院, 广东 广州 510006)

基于幽门螺杆菌 (*H. pylori*) 感染难根治的病理生理机制, 构建适宜的递药系统实现药物的高效递送是提高 *H. pylori* 根除率的有效策略。

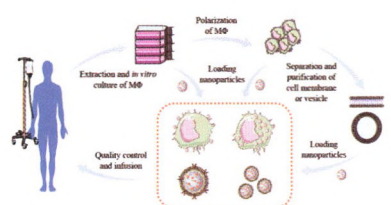


2505

基于巨噬细胞的纳米仿生递药系统在肿瘤治疗中的应用

刘金虎, 刘永军*, 张娜*
(山东大学药学院, 天然产物化学生物学教育部重点实验室, 山东 济南 250012)

本文综述了基于巨噬细胞的纳米仿生递药系统载药策略及其在肿瘤治疗中的应用。

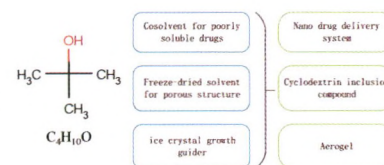


2513

叔丁醇在生物医药领域的主要应用及研究进展

王洪亮¹, 董武军², 陈蕾³, 叶军¹, 王艳宝¹, 刘玉玲^{1*}
(1. 中国医学科学院、北京协和医学院药物研究所, 药物传输技术及新型制剂北京市重点实验室, 北京 100050; 2. 国家食品药品监督管理局药品审评中心, 北京 100038; 3. 国家药典委员会, 北京 100061)

叔丁醇可解决难溶性药物冻干品和多孔结构产品的制备难题, 但其法定标准研究亟需加强。

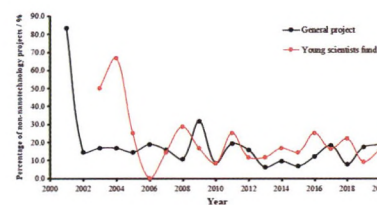


2522

2001~2020 年国家自然科学基金资助药剂学非纳米研究项目的分析

蔡铮¹, 贾彩², 王坚成³, 张作文^{2*}, 吴镭^{2,4*}
(1. 南方医科大学药学院, 广东 广州 510515; 2. 国家自然科学基金委员会医学科学部, 北京 100085; 3. 北京大学药学院, 北京 100191; 4. 中国医学科学院、北京协和医学院药物研究所, 北京 100050)

近年来, 非纳米研究项目在国家自然科学基金药剂学科 (H3408) 申请与资助项目中占比很低, 但资助率较高。



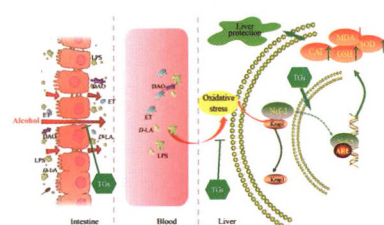
研究论文

2528

荒漠肉苁蓉总苷对酒精性肝损伤小鼠的保护作用研究

王富江, 屠鹏飞, 曾克武*, 姜勇*
(北京大学天然药物与仿生药物国家重点实验室, 北京 100191)

荒漠肉苁蓉总苷肝保护作用机制可能与其抗氧化及降低肠壁通透性有关。



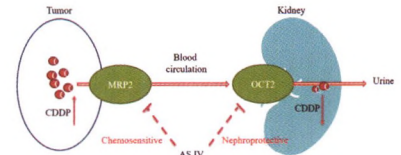
2536

OCT2/MRP2 在黄芪甲苷联合顺铂的减毒增效作用中的机制研究

曲晓宇, 翟婧卉, 高欢, 陶媃娜, 张月明, 巩佳威, 宋燕青*

(吉林大学第一医院药学部, 吉林 长春 130021)

黄芪甲苷 (AS IV) 能够通过抑制与顺铂 (CDDP) 跨膜转运相关的重要转运体即肿瘤组织 MRP2 和肾脏组织 OCT2, 使 CDDP 在肿瘤组织中的药物含量增高, 但是降低肾脏组织中的药物含量, 最终达到减毒增效的作用。

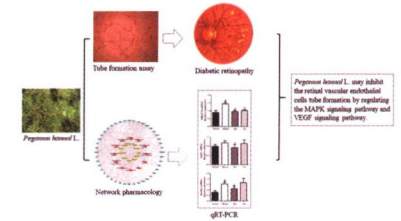


2544

骆驼蓬抑制高糖诱导的内皮细胞管道形成的作用机制研究李宏丽¹, 孙惠惠¹, 刘汉滢¹, 季青璇¹, 田婧崑², 宋星卓², 王析瑞², 蒋坤秀², 韩静^{3*}

(1. 北京中医药大学中医学院, 北京 100029; 2. 北京中医药大学中药学院, 北京 100029; 3. 北京中医药大学中医药研究院, 北京 100029)

骆驼蓬通过调控 PI3K、AKT1 和 ERK2 等抑制高糖条件下视网膜血管内皮细胞管道的形成, 改善糖尿病视网膜病。

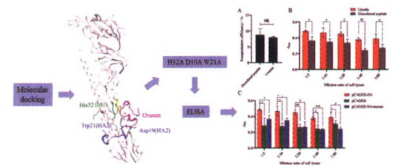


2553

抗菌肽 urumin 与甲型流感病毒 H1N1 HA 蛋白的相互作用研究李红梅¹, 郑伟娟¹, 李家璜^{1,2,3*}, 华子春^{1,2,3*}

(1. 南京大学生命科学学院, 医药生物技术国家重点实验室, 江苏 南京 210023; 2. 中国药科大学生物药物学院, 江苏 南京 211198; 3. 常州南京大学高新技术研究院和江苏靶标生物医药研究所, 江苏 常州 213164)

本研究利用分子对接和 ELISA 实验找到了 urumin 和 H1N1 HA 蛋白结合的可能的位点和关键残基 His32 (HA1)、Asp19 (HA2)、Trp21 (HA2)。

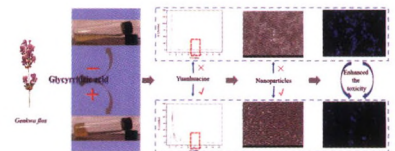


2561

基于甘草酸增溶原理探讨中药芫花与甘草配伍增毒机制杨玉琴[#], 李菲菲[#], 陈珊, 王志家, 王鹏龙*, 雷海民*

(北京中医药大学中药学院, 北京 102488)

芫花乙酸乙酯部位与甘草酸共煎煮芫花酯甲溶出增多, 形成均一的纳米颗粒, 且增毒增强, 与其单煎有明显差别。



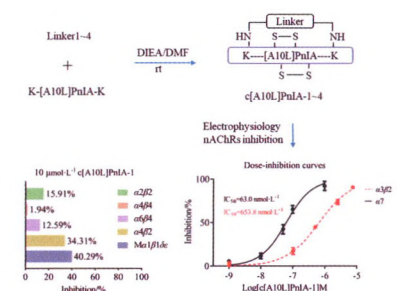
2567

赖氨酸辅助三聚氯氰连接子环化 α -芋螺毒素[A10L]PnIA

任茅茅, 张宝建, 孙鑫, 杨芳, 长孙东亭, 董帅*, 罗素兰*

(海南大学热带生物资源教育部重点实验室, 药学院, 海南 海口 570228)

本研究以赖氨酸辅助一类三聚氯氰连接子高效环化 α -芋螺毒素[A10L]PnIA 并评价环肽 c[A10L]PnIA-1 对不同亚型 nAChRs 的抑制活性。与本体肽相比, 环肽活性略有降低, 选择性得到保持。该方法有望进一步应用于多种 α -芋螺毒素的环化研究。

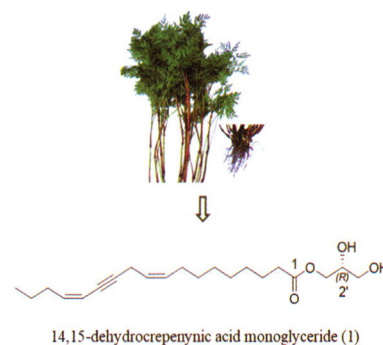


2573

川芎茎叶中一个新的脂肪酸单甘油酯闫洪玲¹, 陈瑶¹, 唐飞¹, 冯健², 郭晨婉¹, 胡昌江², 鲁军^{1*}, 谭玉柱^{1*}

(1. 西南特色中药资源国家重点实验室, 成都中医药大学药学院, 四川 成都 611137;
2. 国家中医药管理局“中药配方颗粒质量与疗效评”重点研究室, 四川新绿色药业科技发展有限公司, 四川 彭州 611930)

一个从川芎茎叶石油醚部位分离得到的对 MCF-7 增殖有一定抑制作用的新脂肪酸单甘油酯: 14,15-dehydrocrepenynic acid monoglyceride。

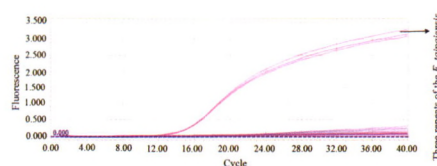


2577

TaqMan-MGB 实时荧光 PCR 法检测太白贝母及其近缘种的研究张田¹, 陈娇¹, 蒋瑞平¹, 邹萌¹, 仰铁锤², 付绍兵², 周嘉裕^{1*}, 廖海^{1*}

(1. 西南交通大学生命科学与工程学院, 四川 成都 610031; 2. 青海绿康生物开发有限公司, 青海 西宁 810003)

根据太白贝母的 ITS1 序列中含有专属性的“ATA”碱基序列, 进一步设计了实时荧光 PCR 引物与 TaqMan-MGB 探针, 建立了准确、快速鉴定太白贝母的实时荧光 PCR 方法。

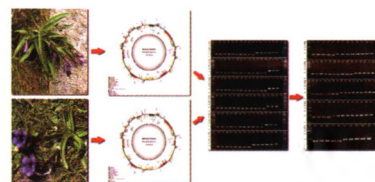


2584

基于叶绿体基因组的长梗秦艽、全萼秦艽物种 DNA 分子标记与鉴定董博然¹, 赵志礼^{1*}, 倪梁红¹, 嘎务², 刘铜华^{2,3}

(1. 上海中医药大学, 上海 201203; 2. 西藏藏医药大学, 西藏 拉萨 850000;
3. 北京中医药大学, 北京 100029)

长梗秦艽、全萼秦艽叶绿体基因组测序及物种 DNA 分子鉴定。

**新药发现与研究实例简析**

2592

首创的选择性雌受体降解剂氟维司群

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 56 Number 9 2021 September

Graphical Abstracts

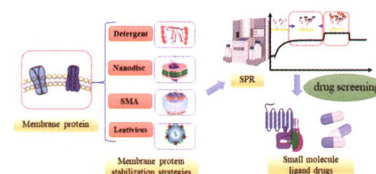
Special Reports: Bioanalysis for Drug

2325

Advancements in stabilization technologies for membrane protein and its application in drug screening

FANG Jia-hao, CAO Yu-hong, HE Yu-zhen, HONG Zhan-ying*, CHAI Yi-feng
(School of Pharmacy, Naval Medical University, Shanghai 200433, China)

This paper reviews the current research progress of membrane protein stabilization, including detergent, artificial membrane, polymer, lentiviral particles and their application in drug screening combined with surface plasmon resonance.

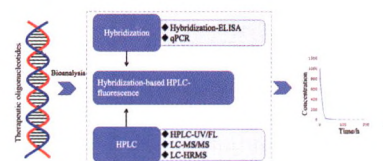


2335

Advances in the bioanalysis of therapeutic oligonucleotides

CHENG Zhong-zhe¹, JIANG Hong-liang^{2*}
(1. School of Pharmacy, Weifang Medical University, Weifang 261053, China;
2. School of Pharmacy, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430030, China)

Bioanalysis of therapeutic oligonucleotides.

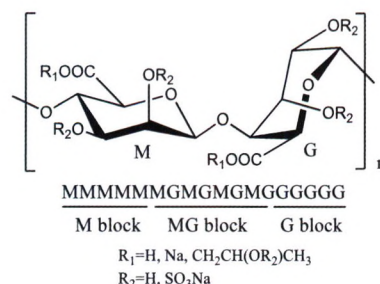


2346

Analysis of monosaccharide composition and monosaccharide ratio in propylene glycol alginate sodium sulphate drugs by high performance anion exchange chromatography-pulsed amperometric detection

WANG Yue^{1,2}, CHEN Xin-tong^{1,2}, LI Zhen-hua¹, SONG Yu-juan^{1,2}, FAN Hui-hong^{1,2*}
(1. National Institute for Food and Drug Control, Beijing 102629, China; 2. NMPA Key Laboratory for Quality Research and Evaluation of Chemical Drugs, Beijing 102629, China)

In this study, a high efficiency anion exchange-pulsed amperometric method was established for the determination of monosaccharide composition and monosaccharide ratio of propylene glycol alginate sodium sulphate (PSS) drugs. The reaction conditions for sample pretreatment were optimized *via* orthogonal analysis. The monosaccharide composition of PSS from different manufacture can be accurately determined with great significance for the structural identification of PSS.

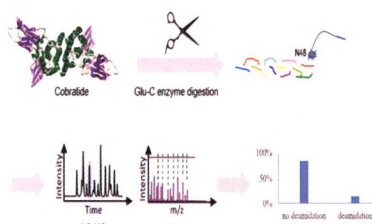


2352

Determination method of deamidation impurities in cobratide using mass spectrometry technique

HUANG Lu^{1,2#}, LIU Bo^{1,2#}, FAN Hui-hong^{1,2*}
(1. National Institutes for Food and Drug Control, Beijing 102629, China;
2. NMPA Key Laboratory for Quality Research and Evaluation of Chemical Drugs, Beijing 102629, China)

Establish a bottom-up analysis method based on Glu-C enzyme to qualitatively and quantitatively analyze the deamidation impurity of cobratide, which significantly reduced the proportion of deamidation impurities introduced during the digestion process.

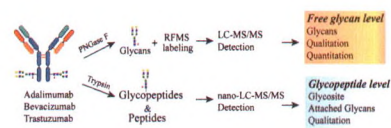


2360

N-Glycans and intact glycopeptide-based characterization of N-glycosylation of monoclonal antibody drugs

LI Meng-lin[#], ZHU Wen-wen[#], ZHANG Jin-lan^{*}

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



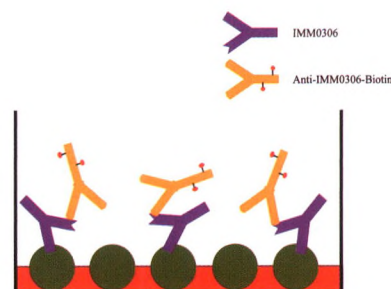
We established an integrated strategy for profiling glycosylation modification in monoclonal antibody drugs at the level of intact glycopeptides and free N-glycans based on LC-MS/MS technology. Low-abundance N-glycoforms could be identified and inter-batch differences of mAb samples could be also revealed by this method.

2367

Development and validation of ELISA method for detection of human signal regulatory protein α -anti-CD20 mouse chimeric antibody fusion protein IMM0306 in human serum

JING Yu¹, YAO Mu-rong¹, LI Song², CHEN Dian-ze², ZHANG Li², YANG Yong¹, ZHONG Kan¹, ZONG Shan-hai^{1*}

(1. HQ Bioscience Co., Ltd., Suzhou 215000, China; 2. ImmuneOnco Biopharma Co., Ltd., Shanghai 201200, China)



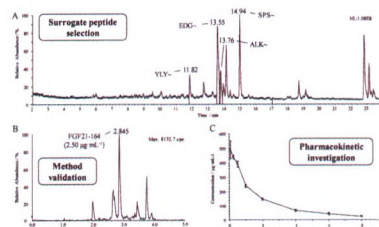
Development and validation of ELISA method for detection of human signal regulatory protein α -anti-CD20 mouse chimeric antibody fusion protein IMM0306 in human serum.

2372

Pharmacokinetics of FGF21-164 fusion protein in mice using UHPLC-MS/MS method

CHEN Zhen-dong¹, GAO Yu-xiong¹, XUE Hao¹, ZHENG Yuan-dong¹, WANG Rong², YANG Mei-jia^{3*}, ZHONG Da-fang^{1*}

(1. Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China; 2. China Pharmaceutical University, Nanjing 210009, China; 3. Jiangsu Cell Tech Medical Research Institute, Nanjing 211103, China)



In this study, a rapid and efficient LC-MS/MS method for quantifying the concentration of FGF21-164 fusion protein in mouse serum was established, and the general process of selecting surrogate peptide by combining prediction software with high-resolution mass spectrometry and quantitative detection by triple quadrupole mass spectrometry were introduced in detail.

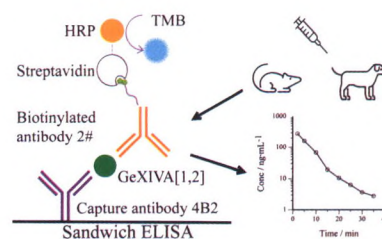
2378

Development and validation of antibody sandwich enzyme-linked immunosorbent assay method for quantitation of GeXIVA[1,2] in plasma of rats and Beagle dogs

ZHU Xiao-yu^{1,2,3}, YUAN Mei¹, LUO Su-lan^{2,3}, CHE Jin-jing^{1*}

(1. Beijing Institute of Pharmacology and Toxicology, Beijing 100850, China; 2. Medical College of Guangxi University, Nanning 530004, China; 3. School of Life Science, Hainan University, Haikou 570228, China)

An enzyme-linked immunosorbent method for quantitative analysis of the marine drug GeXIVA[1,2] in the plasma of Beagle dogs and rats.



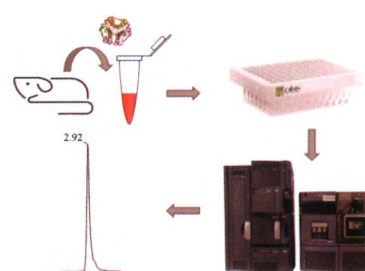
2383

Determination of insulin lispro in rat plasma by LC-MS/MS and its application in a pharmacokinetics study

SUN Xiao-chu^{1,2}, LIN Fei-fei², WAN Mi-mi², TONG Yue², CHANG Lu², YUAN Meng², FENG Ying-ying², TENG Guo-sheng^{1*}, LIU Jia^{2*}

(1. School of Chemistry and Life Sciences, Changchun University of Technology, Changchun 130012, China; 2. Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China)

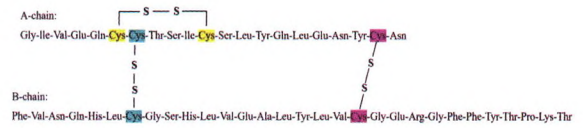
Coupled with mixed-mode SPE pre-treatment method, a simple, fast and high-recovery LC-MS/MS method was successfully developed and validated for determination of insulin lispro in rat plasma.



2389

Development of a fast and sensitive method for direct analysis of insulin aspart in human plasma

HAN Ying¹, WEI Guo-lan¹, MI Nan¹, GUO Lin-feng², HU Chun-yun², LI Shuang³, BI Lü-cun^{1*}
 (1. Labcorp Pharmaceutical Research and Development (Shanghai) Co., Ltd., Shanghai 201203, China; 2. HEC Pharma Co., Ltd., Dongguan 523000, China; 3. HEC Pharma Co., Ltd., Yichang 443000, China)

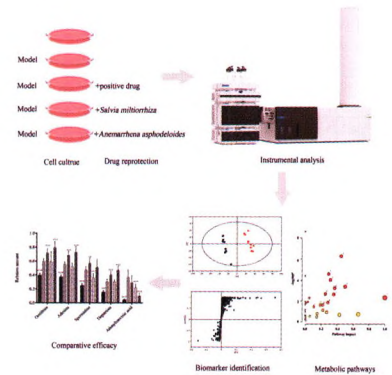


A fast, sensitive, simple and high throughput UPLC-MS/MS method was established for the direct quantification of insulin aspart in human plasma. And the method was successfully applied in supporting the pharmacokinetic research of two insulin aspart injections (Test Product and NovoRapid®) in healthy male subjects.

2394

Comparative study on the protective effect of *Salvia miltiorrhiza* and *Anemarrhena asphodeloides* on AD cell model using UHPLC-QTOF/MS based cell metabolomics

WANG Hui^{1#}, CAI Ying^{1,2#}, LIU Min³, HONG Zhan-ying^{1,2*}, CHAI Yi-feng¹
 (1. School of Pharmacy, Naval Medical University, Shanghai 200433, China; 2. School of Pharmacy, Fujian University of Traditional Chinese Medicine, Fuzhou 350122, China; 3. Department of Pharmacy, Changhai Hospital, Naval Military Medical University, Shanghai 200433, China)

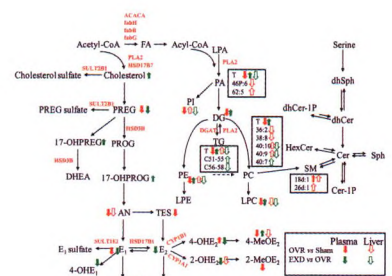


The protective effect of *Salvia miltiorrhiza* and *Anemarrhena asphodeloides* on AD cell model was compared based on UHPLC-QTOF/MS by cell metabolomics platform. The results showed that the extracts of *Salvia miltiorrhiza* and *Anemarrhena asphodeloides* had certain protective effects on the AD cell model with Tau protein abnormal phosphorylation, but *Salvia miltiorrhiza* had more extensive targets and could significantly improve the cell viability.

2403

The mechanism of Er-xian Decoction in regulating lipid metabolism disorders on bilateral ovariectomized rats based on metabolomics study

SHENG Ning[#], WANG Cai-hong[#], JIA Zhi-xin, WANG Zhe, WU Cai-sheng*, ZHANG Jin-lan*
 (State Key Laboratory of Bioactive Substances and Functions of Natural Medicines, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

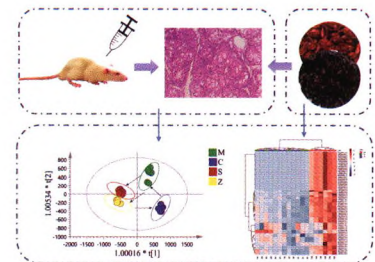


In this study, metabolomics was used to simulate the physiological state of menopausal women with bilateral ovariectomized model rats to explore the metabolism characteristics of different menopausal stages and the possible metabolic pathways and mechanisms of Er-xian Decoction to regulate menopausal metabolic disorders.

2410

Mechanism investigation on the enhanced anti-hepatic fibrosis effects in rats of Fructus Corni after wine-processing based on plasma metabolomics

NIU Min-jie^{1,2}, WANG Meng-qing^{1,2}, YU Hui^{1,2}, LIU Xin^{1,2}, CAI Hao^{1,2*}, CAO Gang³, DUAN Yu^{1,2}, PEI Ke⁴, ZHANG Zhuan¹
 (1. School of Pharmacy, Nanjing University of Chinese Medicine, Nanjing 210023, China; 2. Engineering Center of State Ministry of Education for Standardization of Chinese Medicine Processing, Nanjing University of Chinese Medicine, Nanjing 210023, China; 3. School of Pharmacy, Zhejiang Chinese Medical University, Hangzhou 310053, China; 4. School of Chinese Medicine and Food Engineering, Shanxi University of Chinese Medicine, Jinzhong 030619, China)



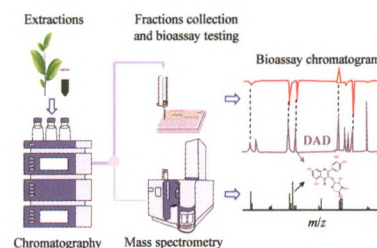
The wine-processed Fructus corni has better effects on regulating glycerol phospholipid metabolism, retinol metabolism, and arachidonic acid metabolism, and shows better anti-hepatic fibrosis effect than that of the crude one.

2419

Establishment of high-resolution bioassay profiling platform to screen α -glucosidase inhibitors from *Malus hupehensis*

XI Ling-ling¹, JIAN Jing-yi¹, ZHA Ding-sheng², ZHAO Xiang-long^{1,3}, WANG Jin-cai¹, LI Juan⁴, JIANG Zheng-jin^{1*}, ZHANG Ting-ting^{1*}
 (1. Institute of Pharmaceutical Analysis, College of Pharmacy, Jinan University, Guangzhou 510632, China; 2. The First Affiliated Hospital of Jinan University, Guangzhou 510630, China; 3. SCIEX (China) Co., Ltd., Guangzhou 510623, China; 4. College of Pharmacy, Hubei University of Chinese Medicine, Wuhan 430065, China)

This study established a high-resolution bioassay profiling platform for rapidly screening α -glucosidase inhibitors in natural product extracts. Finally, five α -glucosidase inhibitors were identified from *Malus hupehensis*.



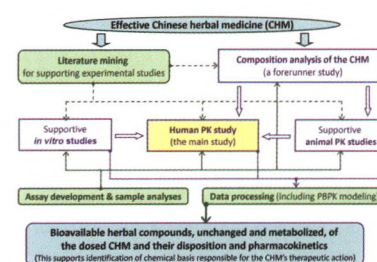
Professionals Forum

2426

Multi-compound pharmacokinetic research on Chinese herbal medicines: identifying potentially therapeutic compounds and characterizing their disposition and pharmacokinetics

LI Chuan*, CHENG Chen, JIA Wei-wei, YANG Jun-ling, YU Xuan, OLALAYE Olajide E.
 (State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China)

Over the past decade, great advances have been achieved in the theory, methodology, associated techniques, and their application of such multi-compound pharmacokinetic research, which has become an emerging field in pharmacokinetics.



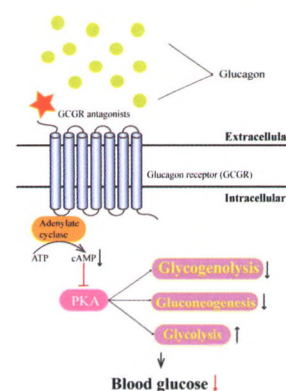
Reviews

2447

Research progress of glucagon receptor related compounds

CHEN Jing-wen, LIU Xing-feng, CUI Bing, LI Ping-ping*
 (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)

Glucagon plays an important role in energy metabolic homeostasis. Glucagon receptor (GCGR) antagonists and glucagon like peptide 1 receptor (GLP-1R)/GCGR co-agonists can improve type 2 diabetes blood glucose.

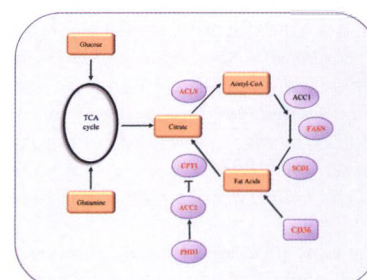


2456

Lipid metabolism and hematological malignancies

LIU Yi-chen, DU Ting-ting, WANG Qing-hua, ZHANG Zhi-hui, CHEN Xiao-guang*
 (State Key Laboratory of Bioactive Substance and Function of National Medicines, Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)

With the development of research in recent years, anti-tumor therapy has gradually entered the era of metabolic therapy. This article reviews several key metabolic enzymes in lipid metabolism pathway and their related studies in the occurrence and development of hematologic malignancies, in order to provide theoretical reference for the treatment of blood tumors through abnormal lipid metabolism and a certain basis for the deepening of the study and the confirmation of the target.

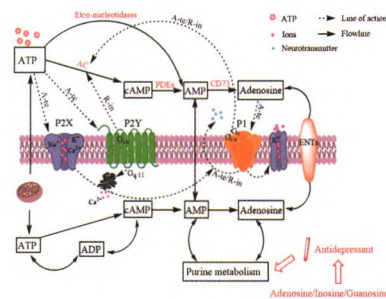


2464

Advances in the pathogenesis of depression based on purinergic system and purine metabolism

CHEN Jia-jun^{1,2,3}, QIN Xue-mei^{1,2,3}, DU Guan-hua^{1,4}, ZHOU Yu-zhi^{1,2,3*}

(1. Modern Research Center for Traditional Chinese Medicine, Shanxi University, Taiyuan 030006, China; 2. The Key Laboratory of Chemical Biology and Molecular Engineering of Ministry of Education, Shanxi University, Taiyuan 030006, China; 3. The Key Laboratory of Effective Substances Research and Utilization in TCM of Shanxi Province, Taiyuan 030006, China; 4. Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)



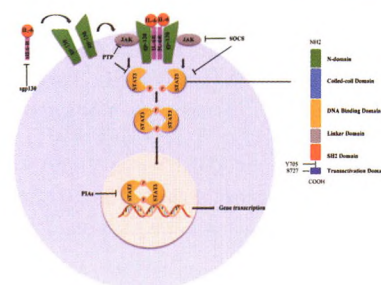
The addition of exogenous purine metabolites adenosine, inosine and guanosine can mediate multiple signaling pathways which play an antidepressant role, and the antidepressant mechanism is related to the purinergic system.

2472

Advances in small molecular inhibitors of IL-6/STAT3 signaling pathway

ZHAO Li-ping, SONG Dan-qing, WANG Yan-xiang*

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



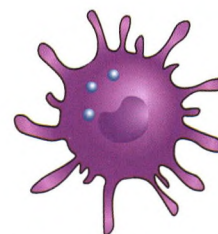
The physiological participation of IL-6/STAT3 pathway in many complex diseases makes this pathway a research hotspot in drug discovery. Herein, we summarized the recent advances in the study of small molecular inhibitors on IL-6/STAT3 signaling pathway.

2485

Advances in dendritic cell-based vaccine delivery

SHEN Yi-chi¹, FAN Xue-lian¹, WANG Fei¹, CHEN Gang^{1,2,3*}

(1. Institute of Comparative Medicine, College of Veterinary Medicine, Yangzhou University, Yangzhou 225009, China; 2. Jiangsu Co-innovation Center for Prevention and Control of Important Animal Infectious Diseases and Zoonoses, Yangzhou University, Yangzhou 225009, China; 3. Joint International Research Laboratory of Agriculture and Agri-Product Safety, the Ministry of Education of China, Yangzhou University, Yangzhou 225009, China)



Dendritic cell-based vaccine delivery

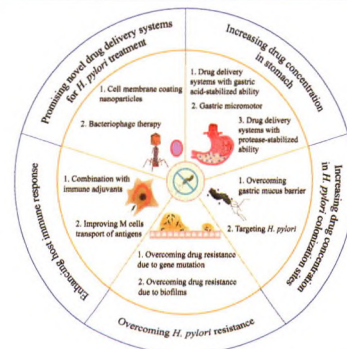
Vaccination with dendritic cells pulsed with whole cells, nucleic acids, peptides, proteins, lysates, or nanoantigens shows great potential to fight cancers or infectious diseases.

2495

Advances in drug delivery strategies against *Helicobacter pylori*

CHEN Xiao-nan, SUN Ying-ying, LI Peng-yu, RAO Yi-qin, YU Shi-hui, HU Hai-yan*

(School of Pharmaceutical Sciences, Sun Yat-Sen University, Guangzhou 510006, China)



Constructing appropriate drug delivery systems to achieve efficient antibacterial drug delivery based on pathophysiological mechanisms of stubborn *Helicobacter pylori* (*H. pylori*) infections is an effective strategy to improve *H. pylori* clearance rate.

2505

Application of nano-bionic drug delivery system based on macrophages in tumor therapy

LIU Jin-hu, LIU Yong-jun*, ZHANG Na*

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

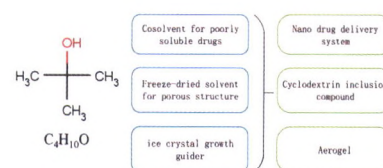


This review summarizes the drug loading strategies of nano-bionic drug delivery system based on macrophages and its application in tumor therapy.

2513

Tert-butanol application and related research progress in biomedicineWANG Hong-liang¹, DONG Wu-jun², CHEN Lei³, YE Jun¹, WANG Yan-bao¹, LIU Yu-ling^{1*}

(1. Beijing Key Laboratory of Drug Delivery Technology and Novel Formulation, Institute of Materia Medica, Chinese Academy of Medical Sciences & Peking Union Medical College, Beijing 100050, China; 2. Center for Drug Evaluation, National Medical Products Administration, Beijing 100038, China; 3. Chinese Pharmacopoeia Commission, Beijing 100061, China)

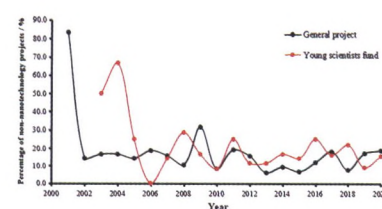


Tert-butanol can solve the problem of preparing freeze-dried products of poor water-soluble drugs and porous structure products, but its standard should be intensively studied.

2522

Analysis of projects without nanotechnology funded by National Natural Science Foundation of China in the field of pharmaceutics from 2001 to 2020CAI Zheng¹, JIA Cai², WANG Jian-cheng³, ZHANG Zuo-wen^{2*}, WU Lei^{2,4*}

(1. School of Pharmaceutical Sciences, Southern Medical University, Guangzhou 510515, China; 2. Department of Health Sciences, National Natural Science Foundation of China, Beijing 100085, China; 3. School of Pharmaceutical Sciences, Peking University, Beijing 100191, China; 4. Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing 100050, China)



In recent years, research projects without nanotechnology account for a very low proportion in the application and funded projects of pharmaceutics (H3408) of National Natural Science Foundation of China, but the funding rates are high.

Original Articles

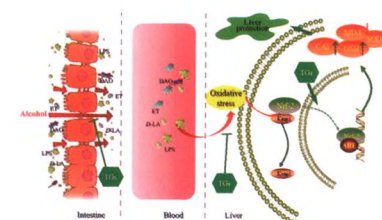
2528

Protective effects of the total glycosides of *Cistanche deserticola* Y. C. Ma in alcoholic liver injury in mice

WANG Fu-jiang, TU Peng-fei, ZENG Ke-wu*, JIANG Yong*

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

The liver protective mechanism of *C. deserticola* Y. C. Ma glycosides may be associated with antioxidation and reduction intestinal permeability.

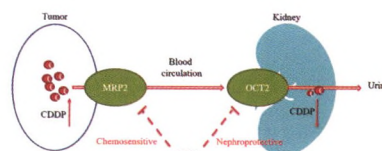


2536

Suppression of OCT2/MRP2 decreases kidney injury and enhances the chemosensitivity of co-administration of cisplatin and astragaloside IV

QU Xiao-yu, ZHAI Jing-hui, GAO Huan, TAO Li-na, ZHANG Yue-ming, GONG Jia-wei, SONG Yan-qing*

(Department of Pharmacy, the First Hospital of Jilin University, Changchun 130021, China)



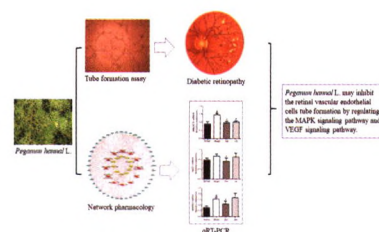
Astragaloside IV (AS IV) could inhibit cisplatin (CDDP)-associated transmembrane transporters, MRP2 in tumor and OCT2 in kidney, and then contribute to increasing concentration of CDDP in tumors but decreasing that in kidneys. The results provide a new insight into the combined use of a chemotherapy drug and natural ingredients to reach the objective of toxicity reducing and efficacy enhancing.

2544

The mechanism by which *Pegatum harmala* L. inhibits high glucose-induced tube formation in endothelial cells

LI Hong-li¹, SUN Hui-hui¹, LIU Han-ying¹, JI Qing-xuan¹, TIAN Jing-yun², SONG Xing-zhuo², WANG Xi-ru², JIANG Kun-xiu², HAN Jing^{3*}

(1. School of Traditional Chinese Medicine, Beijing University of Chinese Medicine, Beijing 100029, China; 2. School of Chinese Materia Medica, Beijing University of Chinese Medicine, Beijing 100029, China; 3. Research Institute of Chinese Medicine, Beijing University of Chinese Medicine, Beijing 100029, China)



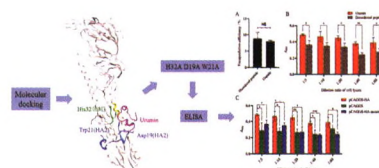
Pegatum harmala L. (Luotupeng, LTP) may inhibit the retinal vascular endothelial cells tube formation by regulating PI3K, AKT1, and ERK2, thus ameliorating diabetic retinopathy.

2553

Interaction study of the antibacterial peptide urumin with the H1N1 HA protein of influenza A virus

LI Hong-mei¹, ZHENG Wei-juan¹, LI Jia-huang^{1,2,3*}, HUA Zi-chun^{1,2,3*}

(1. State Key Laboratory of Pharmaceutical Biotechnology, School of Life Sciences, Nanjing University, Nanjing 210023, China; 2. College of Biopharmaceuticals, China Pharmaceutical University, Nanjing 211198, China; 3. High-tech Research Institute of Nanjing University at Changzhou and Jiangsu Target Pharma Laboratories Inc., Changzhou 213164, China)



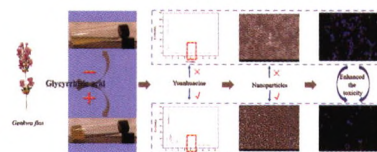
In this study, molecular docking and ELISA assays were used to find possible sites and key residues [His32 (HA1), Asp19 (HA2), and Trp21 (HA2)] for the binding of urumin with H1N1 HA protein.

2561

The mechanism of toxicity enhancement with the combination of Flos Genkwa and Radix et Rhizoma Glycyrrhizae based on the solubilization of glycyrrhizic acid

YANG Yu-qin[#], LI Fei-fei[#], CHEN Shan, WANG Zhi-jia, WANG Peng-long*, LEI Hai-min*

(School of Chinese Pharmacy, Beijing University of Chinese Medicine, Beijing 102488, China)



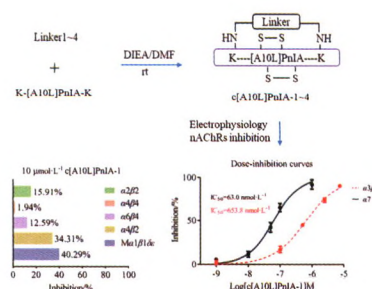
When ethyl acetate extracted Flos Genkwa was decocted with glycyrrhizic acid, the dissolution of yuanhuacine was increased, the uniform nanoparticles were formed, and the toxicity was increased, which is obviously different from Flos Genkwa.

2567

Cyclization of α -conotoxin [A10L]PnIA with lysine assisted cyanuric chloride linker

REN Mao-mao, ZHANG Bao-jian, SUN Xin, YANG Fang, ZHANGSUN Dong-ting, DONG Shuai*, LUO Su-lan*

(Key Laboratory of Tropical Biological Resources of Ministry of Education, School of Pharmaceutical Sciences, Hainan University, Haikou 570228, China)



In this study, α -conotoxin [A10L]PnIA was cyclized efficiently through a series of cyanuric chloride linkers assisted by lysine and the inhibitory activity of the cyclopeptide c[A10L]PnIA-1 was evaluate on different nAChRs subtypes. Compared with [A10L]PnIA, the activity of c[A10L]PnIA-1 was reduced slightly and the selectivity was maintained. This method is expected to be further applied to the cyclization of various α -conotoxins.

2573

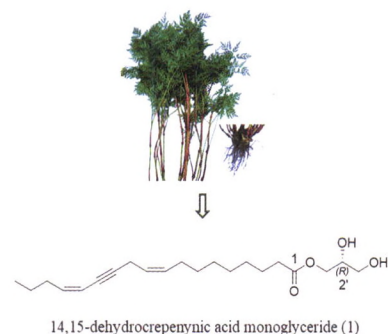
A novel fatty acid monoglyceride from the stem and leaves of *Ligusticum chuanxiong* Hort.

YAN Hong-ling¹, CHEN Yao¹, TANG Fei¹, FENG Jian², GUO Chen-wan¹,
HU Chang-jiang², LU Jun^{1*}, TAN Yu-zhu^{1*}

(1. Key Laboratory of Southwestern Chinese Medicine Resources, Pharmacy College, Chengdu University of Traditional Chinese Medicine, Chengdu 611137, China;

2. Key Laboratory of Quality Control and Efficacy Evaluation of Traditional Chinese Medicine Formula Granules, Sichuan New Green Medicine Science and Technology Development Co., Ltd., Pengzhou 611930, China)

14,15-Dehydrocrepenynic acid monoglyceride, a new fatty acid monoglyceride was isolated from the petroleum ether fraction of the stem and leaves of *Ligusticum chuanxiong*, and exhibited a certain inhibitory effect on the proliferation of MCF-7.



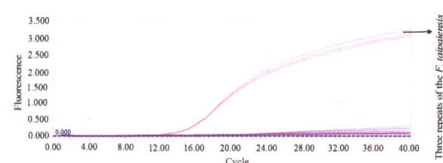
2577

Identification of *Fritillaria taipaiensis* and its relatives by real-time PCR with a TaqMan-MGB probe

ZHANG Tian¹, CHEN Jiao¹, JIANG Rui-ping¹, ZOU Meng¹, YANG Tie-chui²,
FU Shao-bing², ZHOU Jia-yu^{1*}, LIAO Hai^{1*}

(1. School of Life Science and Engineering, Southwest Jiaotong University,

Chengdu 610031, China; 2. Qinghai Lv Kang Biological Development Co., Ltd., Xining 810003, China)



Due to this unique ATA sequence in ITS1 of *Fritillaria taipaiensis*, the TaqMan-MGB probe together with real-time fluorescent PCR primers were designed, and thus has been proved to be accurate and rapid for identification of *F. taipaiensis* and its relatives.

2584

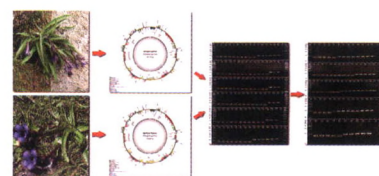
Molecular markers based upon whole chloroplast genomes and identifying alpine *Gentiana waltonii* and *G. lhasica* (Gentianaceae)

DONG Bo-ran¹, ZHAO Zhi-li^{1*}, NI Liang-hong¹, GAAWE Dorje², LIU Tong-hua^{2,3}

(1. Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China;

2. Tibetan Traditional Medical College, Lhasa 850000, China; 3. Beijing University of Chinese Medicine, Beijing 100029, China)

Molecular markers based upon plastomes and identifying *Gentiana waltonii* and *G. lhasica* (Gentianaceae).



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