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药学学报

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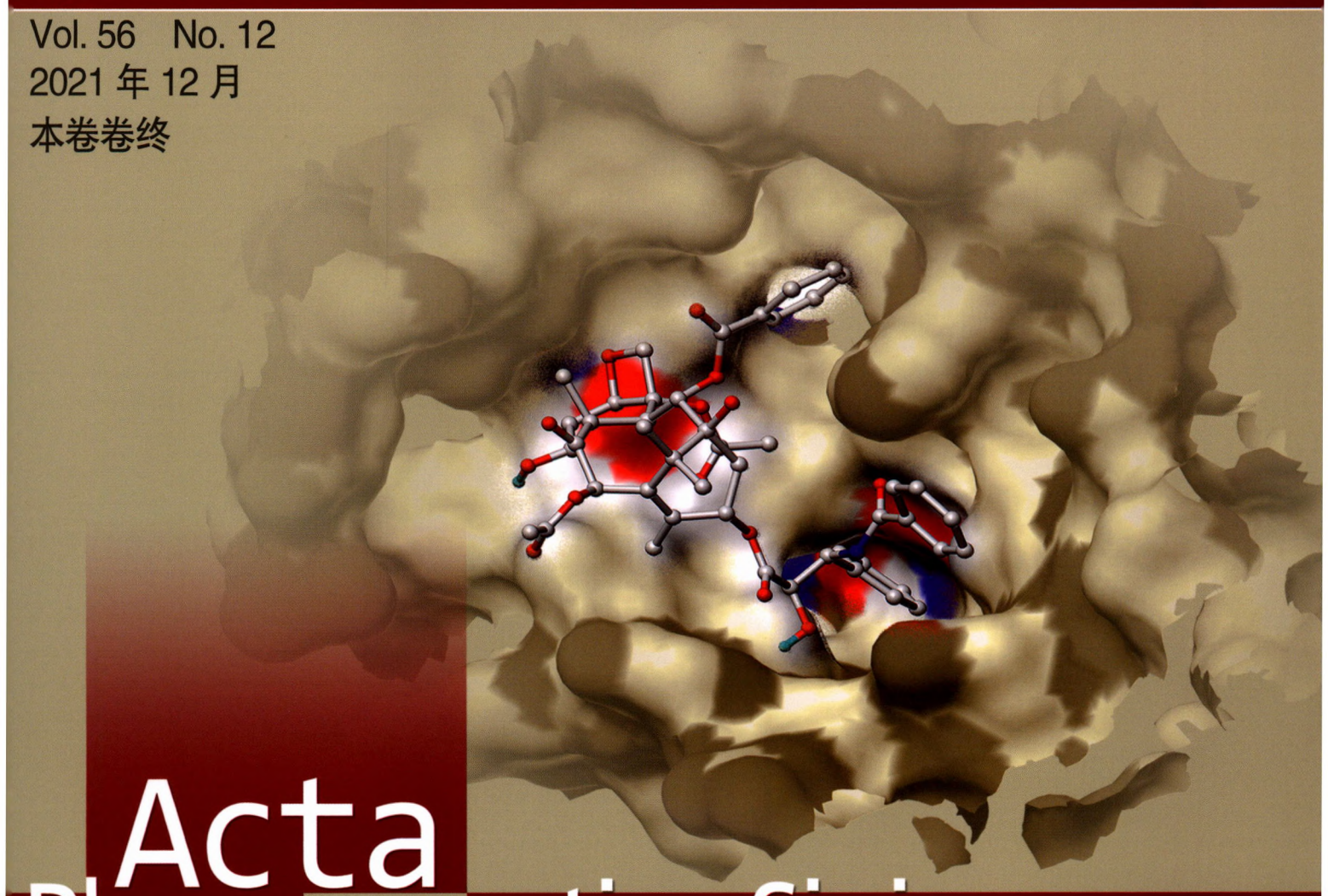
Vol. 56 No. 12

2021 年 12 月

本卷卷终



Acta Pharmaceutica Sinica

专题报道 I

顾永卫, 刘继勇等

叶酸介导的雷公藤甲素外泌体靶向给药

系统抗恶性黑色素瘤体内外评价

专题报道 II

刘秀玉, 郭 娟, 黄璐琦等

植物天然产物途径创建

中国药学会

中国医学科学院药物研究所

药 学 学 报

第 56 卷　第 12 期　2021 年 12 月

图 文 摘 要

专题报道 I：中药抗肿瘤制剂（特邀编辑：李范珠 教授）

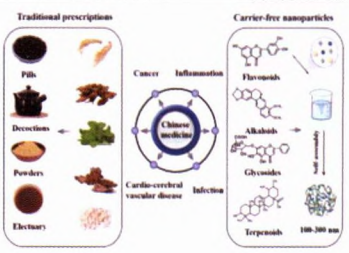
3203

基于中药活性成分自组装的无载体纳米制剂

冯星星，谢琪，杨丛莲，孔丽*，张志平*

(华中科技大学同济药学院，湖北 武汉 430030)

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



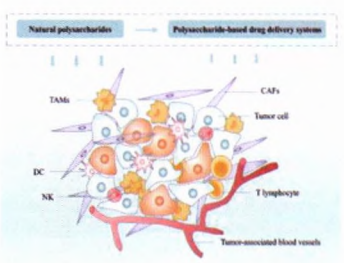
3212

天然多糖及其纳米递药系统调控肿瘤微环境的研究进展

韩陶 [1]，陈彦 [1,2*]，瞿鼎 [1,2*]

(1. 南京中医药大学附属中西医结合医院，江苏 南京 210028；2. 江苏省中医药研究院，中药组分与微生态研究中心，江苏 南京 210028)

本文介绍了天然多糖及其纳米递药系统对肿瘤微环境的调控。



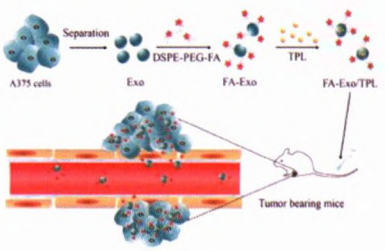
3224

叶酸介导的雷公藤甲素外泌体靶向给药系统抗恶性黑色素瘤体内外评价

顾永卫 [1]，姜良弟 [1,2]，杜月 [2,3]，李爱雪 [1,2]，刘继勇 [1*]

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

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



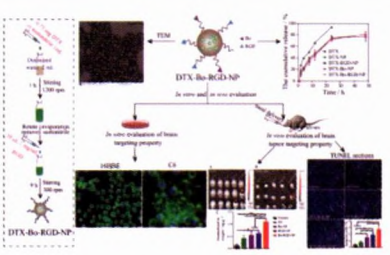
3233

冰片/RGD 双修饰多烯紫杉醇纳米粒经鼻给药抗脑胶质瘤作用研究

赵霄 [1]，余双文 [2]，杜俊锋 [1]，倪淑婷 [1]，胡凯莉 [1*]

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

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



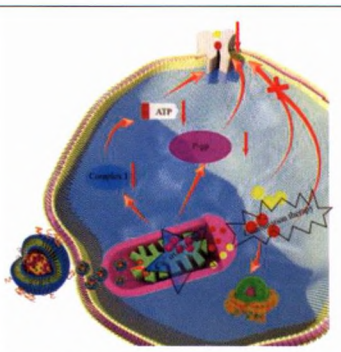
3243

线粒体靶向亚砷酸钙/多柔比星脂质纳米粒的制备及其逆转肿瘤耐药性的体外研究

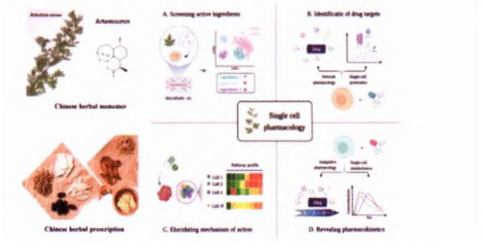
张钶 [1]，岳天祥 [1]，程梦莹 [1]，梁曾颖 [1]，朴寄纲 [1,2*]，郑红月 [3]，徐恒武 [4]，李范珠 [1,2*]

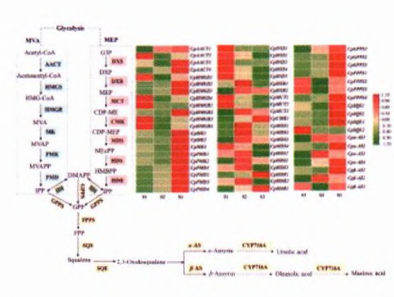
(1. 浙江中医药大学药学院，浙江 杭州 310000；2. 浙江省神经药理学与转化研究重点实验室，浙江 杭州 310000；3. 浙江中医药大学图书馆，浙江 杭州 310000；4. 金华市人民医院药学部，浙江 金华 321000)

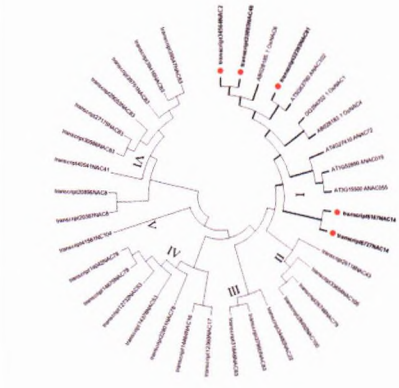
本研究构建线粒体靶向亚砷酸钙/多柔比星脂质纳米粒，克服耐药性，释放三氧化二砷和多柔比星协同杀死肿瘤。

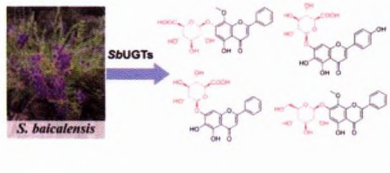


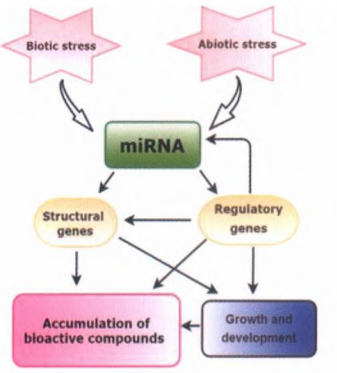
专题报道 II：植物天然产物生物合成及合成生物学（特邀编辑：郭娟 教授）



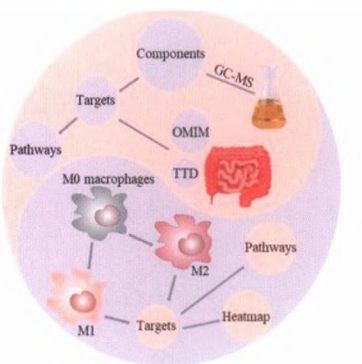


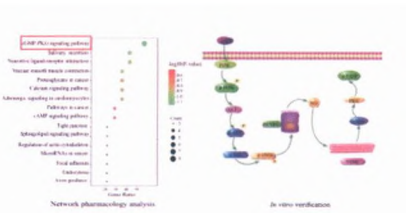


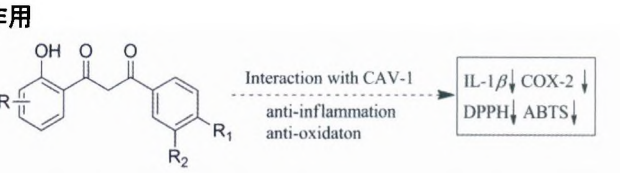


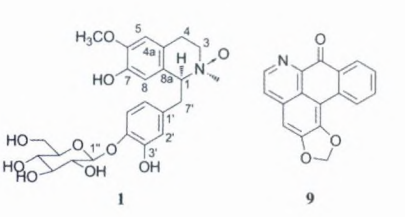


研究论文









ACTA PHARMACEUTICA SINICA

Volume 56 Number 12 2021 December

Graphical Abstracts

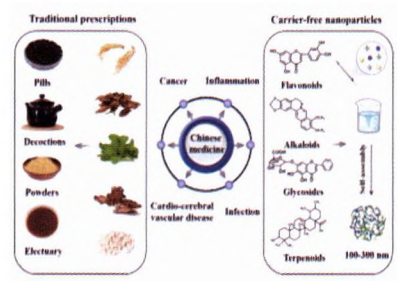
Special Reports I: Anti-tumor Preparations of Traditional Chinese Medicine

3203

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

FENG Xing-xing, XIE Qi, YANG Cong-lian, KONG Li*, ZHANG Zhi-ping*

(Tongji School of Pharmacy, Huazhong University of Science and Technology, Wuhan 430030, China)



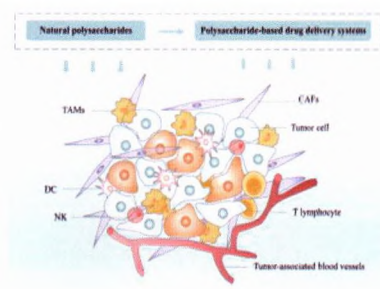
The strategy based on self-assembly of active ingredients from Chinese medicine has opened up a new application field for the modernization of Chinese medicine, which is expected to improve the therapeutic effect of Chinese medicine.

3212

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

HAN Tao[1], CHEN Yan[1,2*], QU Ding[1,2*]

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



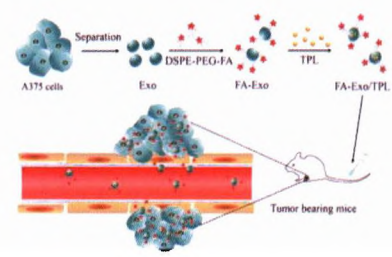
In this review, regulation of natural polysaccharides and their nano-drug delivery systems on tumor microenvironment were summarized.

3224

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

GU Yong-wei[1], JIANG Liang-di[1,2], DU Yue[2,3], LI Ai-xue[1,2], LIU Ji-yong[1*]

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



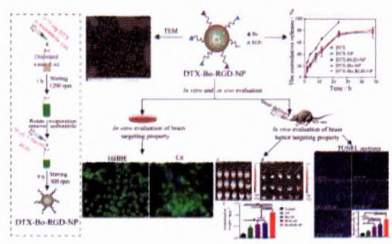
Based on triptolide (TPL), the constructed exosome targeted drug delivery system, FA-Exo/TPL, could effectively load drug and deliver it to malignant melanoma tissues, increasing efficacy and reducing toxicity of TPL.

3233

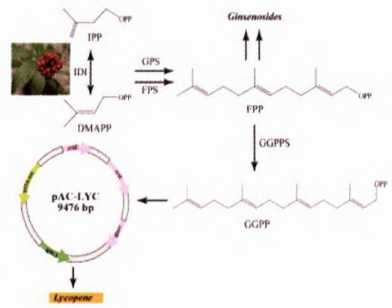
The anti-glioma effects of borneol and RGD co-modified docetaxel loaded nanoparticles after intranasal administration

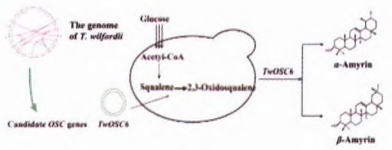
ZHAO Xiao[1], YU Shuang-wen[2], DU Jun-feng[1], NI Shu-ting[1], HU Kai-li[1*]

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

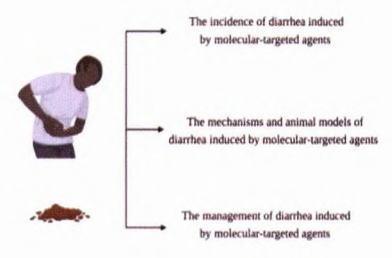


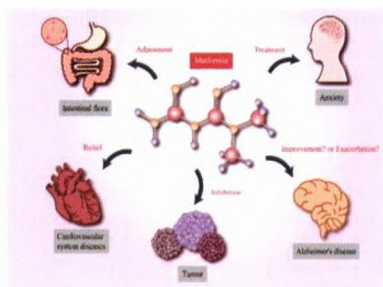
The borneol and RGD co-modified docetaxel loaded nanoparticles displayed good glioma targeting efficiency and significantly improved the therapeutic effects of DTX on glioma after intranasal administration.

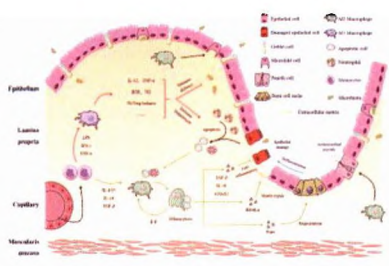


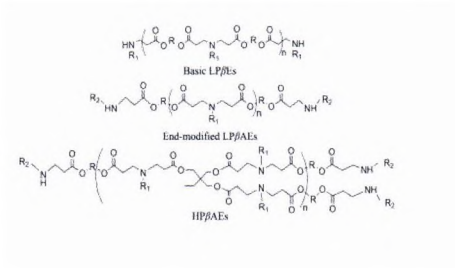


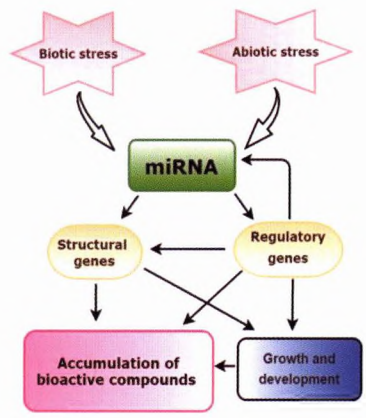
Reviews



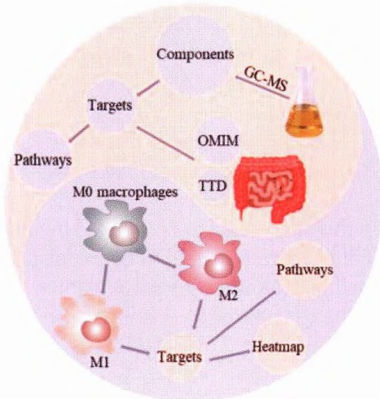


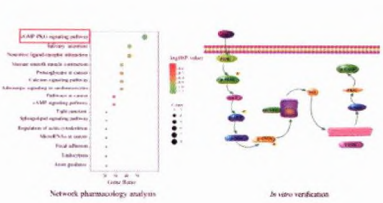


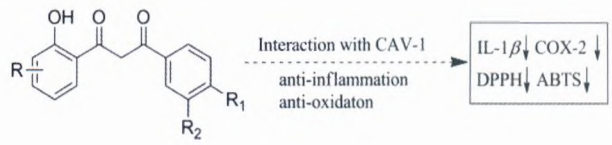




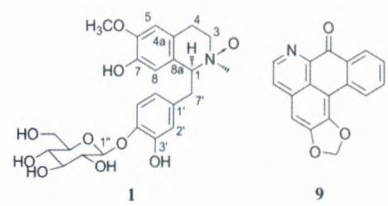
Original Articles



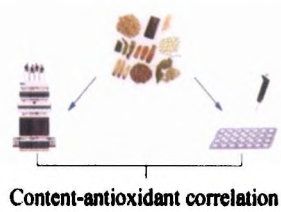




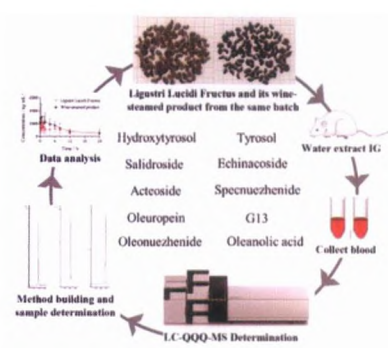
Twenty-nine dibenzoylmethane halophenols were synthesized. Twelve target compounds can specifically combine with CAV-1. Target compounds exhibit the significantly inhibitory effects on IL-1β and COX-2 release, also show the strong DPPH and ABTS free radical scavenging abilities.



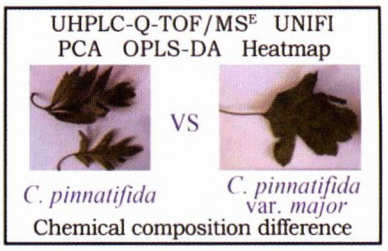
Fifteen alkaloid compounds were isolated from the 70% aqueous alcohol extract of *Stephania tetrandra* S. Moore, of which compound **1** is a new compound. These compounds showed good cytotoxic activity against drug-resistant lung cancer cell line H1299, and compound **9** displayed the best activity, with the IC_{50} value of 5.38 μmol·L^{-1}.



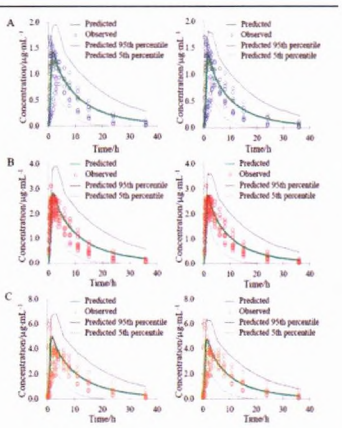
In this study, the UHPLC-DAD method was established to determine the content of 8 constituents in the Qingzao Jiufei Decoction material standard, and the $ABTS^+$ free radical scavenging test was used to determine the antioxidant activity of the Qingzao Jiufei Decoction material standard. After correlation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



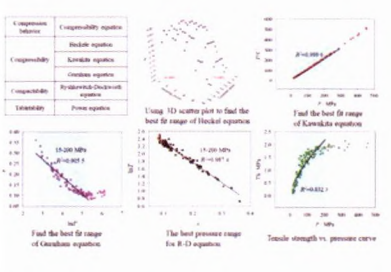
Pharmacokinetics of the main 10 components differing between Ligustri Lucidi Fructus and its wine-steamed product were investigated and the metabolic differences of 10 components in different administration environments were analyzed and compared. The results provided scientific evidence to verify the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



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



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



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

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