药 学 学 报

第 60 卷　第 12 期　2025 年 12 月

图 文 摘 要

专题报道: 核受体药物药理研究进展

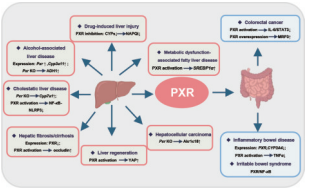
3545

孕烷 X 受体 (PXR) 在肝肠疾病中的作用及其激动剂研究进展

高悦, 余清清, 张灵芝, 何梓健, 李潇, 范仕成*, 毕惠嫦*

(南方医科大学药学院, 广东 广州 510515)

孕烷 X 受体 (PXR) 通过其代谢调控功能, 参与多种肝肠疾病的发生发展, 如药源性肝损伤、代谢相关脂肪性肝病、炎症性肠病等。



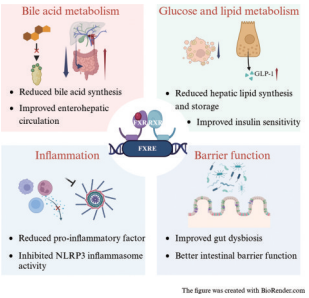
3560

基于核受体法尼醇 X 受体的药物开发策略及其在消化系统疾病治疗中的应用

李洋泰[1,2#], 焦廷颖[1,3#], 谢岑[1,2*]

(1. 中国科学院上海药物研究所, 原创新药研究全国重点实验室, 上海 201203; 2. 中国科学院大学, 北京 100049; 3. 复旦大学人类表型组研究院, 上海 200032)

本文系统综述了法尼醇 X 受体 (FXR) 通过调控胆汁酸代谢、糖脂稳态、炎症反应和屏障功能在原发性硬化性胆管炎、原发性胆汁性胆管炎、代谢功能障碍相关的脂肪性肝病及炎症性肠病等消化系统疾病中的核心作用, 总结了新型 FXR 激动剂/拮抗剂的机制与临床转化进展, 并展望其精准治疗潜力及疗效–安全性平衡的未来突破方向。



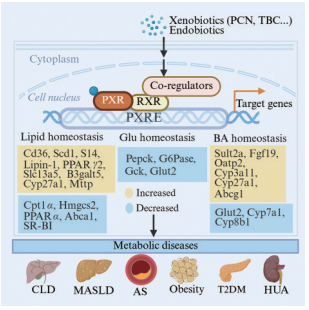
3576

孕烷 X 受体作为代谢性疾病治疗靶点的研究进展

黄娅[1,2], 张金行[1], 何金汗[1*]

(1. 四川大学华西医院药剂科, 代谢疾病与药物治疗研究所, 四川 成都 610041; 2. 贵黔国际医院药学部, 贵州 贵阳 550024)

孕烷 X 受体 (PXR) 在常见代谢性疾病包括肥胖、2 型糖尿病、代谢功能障碍相关脂肪性肝病、胆汁淤积性肝病、动脉粥样硬化及高尿酸血症中的作用机制研究进展。



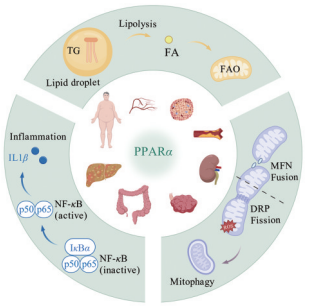
3595

过氧化物酶体增殖物激活受体 α 在代谢性心血管疾病中的研究进展

关斯佳[1], 张琦[1], 祝欣欣[3*], 曲爱娟[1,2*]

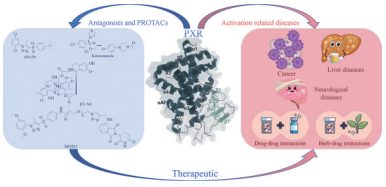
(1. 首都医科大学基础医学院生理学与病理生理学系, 北京 100069; 2. 重塑相关心血管疾病教育部重点实验室, 北京 100069; 3. 首都医科大学附属北京朝阳医院检验科, 北京 100020)

过氧化物酶体增殖物激活受体 α (PPARα) 通过脂质代谢调控、抑制炎症及氧化应激作用, 在代谢性心血管疾病中发挥保护作用。



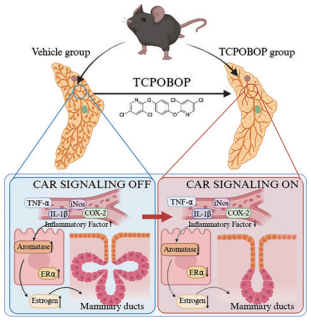
3605
靶向核受体 PXR 的拮抗剂和 PROTAC 研究进展
陶海琳, 李馨怡, 谢君凯, 李永涛*
(湖南大学生命医学交叉研究院, 湖南省动物模型与分子医学重点实验室, 湖南 长沙 410082)

孕烷 X 受体 (PXR) 的异常激活与代谢紊乱、药物相互作用、肿瘤生长、化疗耐药及炎症失衡等密切相关, 随着 PXR 拮抗剂和 PXR 蛋白质水解靶向嵌合体 (PROTAC) 小分子药物的相关研究的持续深入与技术的不断突破, PXR 拮抗剂和 PXR PROTAC 有望在多种疾病的治疗中发挥重要作用, 为人类健康事业带来新的曙光与希望。



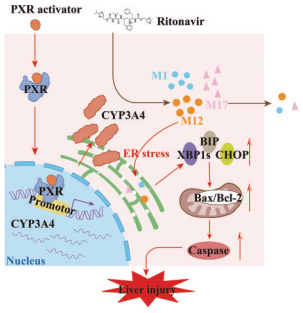
3622
组成型雄烷受体激动剂 TCPOBOP 抑制青春期雌鼠乳腺导管发育
封静怡[1], 李心雨[1], 潘石甲[2], 陆子谕[1], 翟永功[2], 许鹏飞[1,3,4*]
(1. 武汉大学药学院, 组合生物合成与新药发现教育部重点实验室, 湖北 武汉 430071; 2. 北京师范大学生命科学学院, 抗性基因资源与分子发育北京市重点实验室, 北京 100875; 3. 武汉大学附属中南医院肝胆疾病研究院/移植中心, 湖北 武汉 430071; 4. 发育源性疾病湖北省重点实验室, 湖北 武汉 430071)

组成型雄烷受体 (CAR) 激动剂 TCPOBOP 通过降低体内雌激素水平抑制了青春期雌鼠乳腺导管系统的发育。



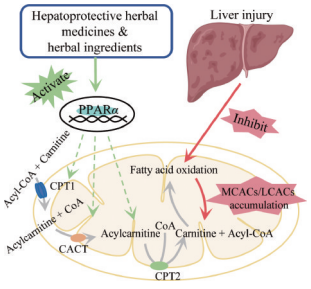
3631
PXR-CYP3A4 轴通过触发内质网应激介导利托那韦肝毒性的机制研究
王晓飞[1,2], 熊在欢[1], 常琦[1,3], 余怡杭[1], 王沛[1], 张莉蓉[1*]
(1. 郑州大学基础医学院, 河南 郑州 450001; 2. 郑州大学医学科学院, 天健先进生物医学实验室, 河南 郑州 450052; 3. 郑州大学第三附属医院, 河南 郑州 450052)

孕烷 X 受体 (PXR) 激活通过上调肝脏 CYP3A4 的表达加速利托那韦代谢为活性代谢产物, 后者通过触发内质网 (ER) 应激, 通过 BIP-CHOP-Bax 通路诱导肝细胞凋亡, 最终导致肝损伤。



3644
PPARα-中长链酰基肉碱轴在肝损伤及中药保肝中的作用研究
艾诗雅[1#], 唐苗苗[2#], 郭小丽[1], 李飞[1*]
(1. 四川大学华西医院消化内科, 肝肠疾病与代谢研究室, 四川大学教育部疾病分子网络前沿科学中心, 四川 成都 610041; 2. 四川大学华西医院罕见病研究院, 四川大学教育部疾病分子网络前沿科学中心, 四川 成都 610041)

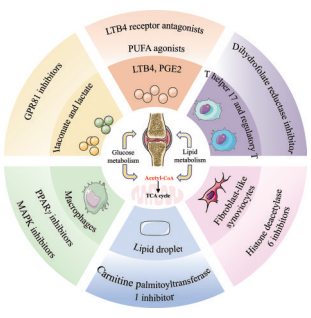
肝损伤抑制脂肪酸氧化, 导致 MCACs/LCACs 积累, 从而加重肝损伤。中药及成分可能通过激活 PPARα, 降低 MCACs/LCACs 水平, 改善肝代谢功能。



综述

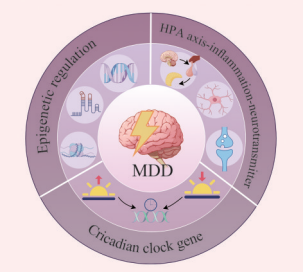
3655
类风湿关节炎中糖脂代谢交互机制与干预策略的研究进展
王影[1], 卜妍红[1*], 庞静[2], 吴虹[2*]
(1. 安徽中医药大学中西医结合学院, 安徽 合肥 230012; 2. 安徽中医药大学药学院, 新安医学教育部重点实验室, 中药研发与开发安徽省重点实验室, 安徽 合肥 230012)

本篇综述以糖脂代谢重编程为切入点, 揭示类风湿关节炎中两大核心代谢途径异常特征及其交互机制, 并梳理了靶向糖脂代谢关键分子的药物, 为类风湿关节炎治疗策略提供理论依据。



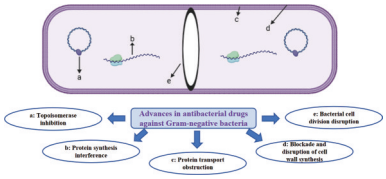
3665
抑郁症生物钟基因的表观调控: 多维度机制与精准治疗转化
戴佳程[1], 邹蔓姝[1,3], 贺海霞[2], 王宇红[1,3*]
(1. 湖南中医药大学中医药科学院, 湖南 长沙 410208; 2. 湖南中医药大学第一附属医院, 湖南 长沙 410007; 3. 抑郁类疾病中医药防治湖南省重点实验室, 湖南 长沙 410208)

本文提出"表观–生物钟–神经环路"交互模型, 阐明生物钟基因表观调控紊乱是抑郁症情绪–认知共病的核心机制, 并据此整合多维度精准治疗策略, 推动抑郁症诊疗向生物钟医学时代跃迁。



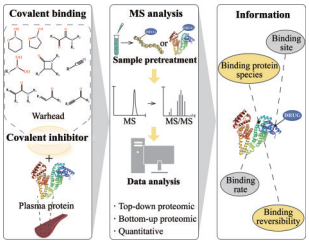
3682
从靶向 DNA 复制到破坏细胞分裂: 抗革兰阴性菌药物研究进展
王润瑛[1,2], 杨玉社[1,2], 张银勇[2*]
(1. 中国科学院大学, 北京 100049; 2. 中国科学院上海药物研究所, 上海 201203)

本文系统地梳理了近10年来上市和目前处于临床研究、临床前研究阶段的代表性抗革兰阴性菌药物, 重点介绍具有新靶点/新作用机制药物, 并按照对革兰阴性菌关键生命周期的干预策略进行分类总结, 包括: 拓扑异构酶抑制、蛋白合成干扰、蛋白转运阻碍、细胞壁合成阻断及损坏、细菌细胞分裂破坏等, 内容涵盖各类药物的结构信息、作用机制、抗菌谱、临床应用和未来展望等。



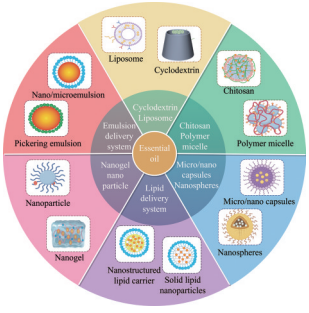
3692
共价抑制剂血浆蛋白结合特征的质谱分析技术研究进展
贤姝泽, 王喆, 许轩, 徐晟源, 生宁, 张金兰*
(中国医学科学院、北京协和医学院药物研究所, 天然药物活性物质与功能国家重点实验室, 北京 100050)

本文对共价抑制剂的弹头、共价抑制剂与血浆蛋白结合特点及近年来质谱分析技术在共价抑制剂与血浆蛋白结合方面的研究进展进行综述, 为该类药物与血液中蛋白质结合研究提供参考。



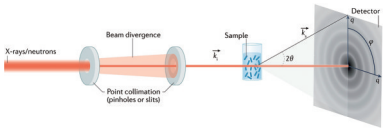
3701
植物精油及药物递送系统对特应性皮炎治疗的研究进展
王一寒[1#], 李永吉[1#], 窦金金[2], 刘萱[3], 宋伟鹏[3], 张喜武[4,5*]
(1. 黑龙江中医药大学药学院, 黑龙江 哈尔滨 150040; 2. 黑龙江中医药大学附属第四医院, 黑龙江 哈尔滨 150077; 3. 黑龙江中医药大学基础医学院, 黑龙江 哈尔滨 150040; 4. 经方与现代中药融合创新全国重点实验室, 黑龙江 哈尔滨 150040; 5. 黑龙江中医药大学实验实训中心, 黑龙江 哈尔滨 150040)

植物精油可从天然植物中通过多种方法提取, 这些精油不仅能用于特应性皮炎 (atopic dermatitis, AD) 的治疗, 还能与新型给药系统结合以提高治疗效果。目前, 精油疗法已在 AD 的临床治疗中得到实际应用。



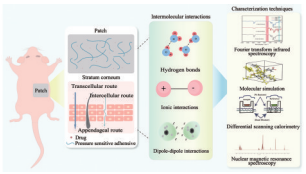
3712
小角中子散射技术在药物制剂表征中的应用
高皓诗[1], 李鹤然[2*]
(1. 广东药科大学药学院, 广东 广州 510006; 2. 中国医科大学药学院, 辽宁 沈阳 110122)

小角中子散射 (SANS) 是一种非破坏性结构表征技术, 其对轻元素的高灵敏度和同位素分辨优势, 可在纳米至微米尺度解析药物体系的微观结构。本文综述其在药物表征中的应用, 同时探讨样品制备与数据解析的挑战, 并展望其与其他表征技术联用的发展前景, 以拓展其在药物研究中的应用范围。



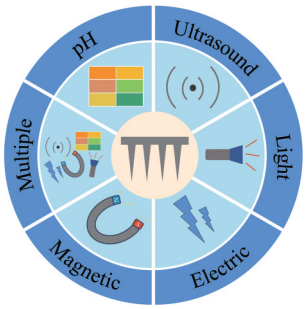
3720
分子间相互作用调控经皮给药系统中药物释放与渗透的研究进展
涂希, 洪卫漫, 邓昌坚, 方海红, 彭亮, 陈振华*, 李阳彪*
(江西科技师范大学药学院, 江西 南昌 330013)

药物、压敏胶、透皮吸收促进剂与皮肤成分间的相互作用, 会影响药物的经皮吸收效率, 本综述旨在总结透皮贴剂中药物释放与渗透过程的分子机制, 为新型经皮给药系统的设计与研究提供有益的理论指导。



3730
基于刺激响应性的微针治疗肿瘤的研究进展
李丹[1#], 许梢华[1#], 李木兰[1], 刘晓霞[1], 杨明[2*], 王芳[1,2*]
(1. 江西中医药大学癌症研究中心, 江西 南昌 330004; 2. 江西中医药大学, 现代中药制剂教育部重点实验室, 江西 南昌 330004)

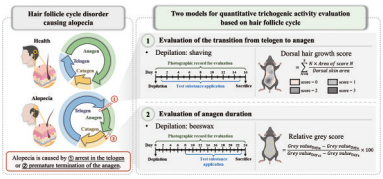
本综述重点总结了光、电、pH等不同刺激响应类型微针在肿瘤治疗中的应用, 分析了其临床转化面临的挑战, 并给出了相应解决措施。



研究论文

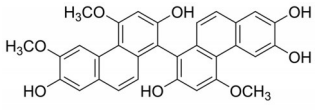
3742
调控毛囊周期促生发活性体内药效学评价模型的构建
宋昊, 郭颖*
(中国医学科学院、北京协和医学院药物研究所, 新药作用机制与药效评价北京市重点实验室, 北京 100050)

促进毛囊从休止期进入生长期和延长毛囊生长期均可促进毛发生长。本研究构建了评价促毛囊进入生长期活性和延长毛囊生长期活性小鼠模型, 为促生发药物和保健品的开发提供方法。



3749
铁棍山药皮化学成分研究
杨俊杰[1], 刘永康[4], 朱亮[1], 吴其国[1,3], 俞桂新[1*], 许红涛[1,2*]
(1. 上海中医药大学中药研究所, 上海 201203; 2. 上海市中医药国际标准化研究院, 上海 201203; 3. 安庆医药高等专科学校药学院, 安徽皖西南特色中药资源创新应用重点实验室, 安徽 安庆 246052; 4. 河南焦作市温县农业科学研究所, 河南 焦作 454850)

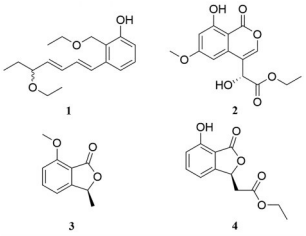
从铁棍山药皮醇提取物的二氯甲烷部位分离得到19个化合物, 化合物**1**为新化合物, 化合物**2**、**11**、**16**～**19**为首次从薯蓣科植物中分离得到, 13个化合物对*α*-葡萄糖苷酶具有不同程度的抑制活性。



***1**
7'-hydroxybleformin E

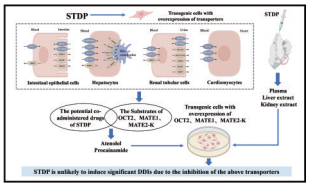
3758
南烛内生真菌 *Cercophora* sp. UJN-EF001 的次级代谢产物研究
李俊江[1], 潘银波[1], 赵江宁[2], 王荫荫[1], 张君生[1*], 张华[1*]
(1. 济南大学生物科学与技术学院, 山东 济南 250022; 2. 青岛市市立医院, 山东 青岛 266011)

从南烛内生真菌 *Cercophora* sp. UJN-EF001 中分离得到13个化合物, 其中2个新化合物 (**1**和**2**); 化合物**13**对脂多糖诱导的RAW264.7巨噬细胞中的一氧化氮生成具有较强的抑制活性, IC_{50}值为34.3 ± 3.8 μmol·L^{-1}。



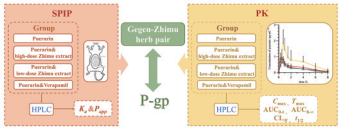
3764
基于药物转运体抑制的麝香通心滴丸–药物相互作用预测研究
赵洁平[1], 卢双慧[1,2], 袁略翔[1], 张恒斌[1], 陈秀[1], 周慧[1*], 蒋惠娣[1*]
(1. 浙江大学药学院, 药物代谢和药物分析研究所, 浙江 杭州 310058; 2. 浙江省肿瘤医院药剂科, 浙江 杭州 310022)

麝香通心滴丸 (STDP) 通过抑制转运体产生有临床意义的药物相互作用的可能性不大。



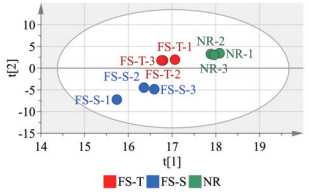
3775
P-糖蛋白调控的葛根–知母药对活性成分在体肠吸收和体内药动学研究
王欣琰[1], 聂成洋[1], 晁亮[1,2], 王辉[1], 闻俊[1], 洪战英[1*]
(1. 海军军医大学药学系, 上海市药物 (中药) 代谢产物研究重点实验室, 上海 200433; 2. 武警江苏省总队医院药剂科, 江苏 扬州 225002)

采用大鼠单向肠灌流模型和药代动力学方法, 考察知母提取物对葛根素体内吸收和代谢过程的影响, 从P-gp调控角度阐释葛根–知母药对配伍应用的科学内涵。



3783
基于电子舌技术的药物掩味效率多设备通用评价方法研究
戚淑叶[1,2], 涂慧丹[1], 梁杰[1], 吕晓袁[1], 李进[1,2*], 宁保明[1,2*]
(1. 中国食品药品检定研究院, 北京 102629; 2. 药品监管科学全国重点实验室, 北京 102629)

基于电子舌技术, 本文建立了一种多药物、多设备通用的药物掩味效率评价方法, 该方法具有结果可重现、仪器间可比较, 且与人尝真实口感一致的优势。



新药发现与研究实例简析

3790
蛋白酶体抑制剂卡非佐米的研制
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)

3795
首创的治疗MASH瑞司美替罗的研制
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 60 Number 12 2025 December

Graphical Abstracts

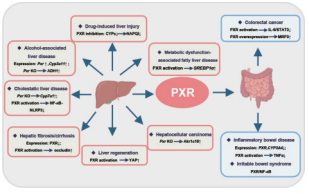
Special Reports: Current Pharmacological Research on Nuclear Receptors

3545

The role of pregnane X receptor in hepatic and intestinal diseases: an update

GAO Yue, YU Qing-qing, ZHANG Ling-zhi, HE Zi-jian, LI Xiao, FAN Shi-cheng*, BI Hui-chang*

(School of Pharmaceutical Sciences, Southern Medical University, Guangzhou 510515, China)



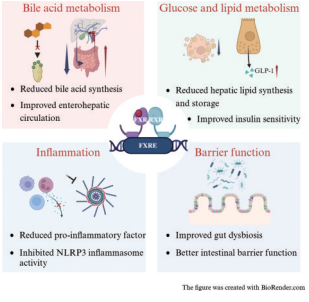
Through its metabolic regulatory functions, pregnane X receptor (PXR) is involved in the pathogenesis of various hepatic and intestinal diseases, such as drug-induced liver injury, metabolic dysfunction-associated fatty liver disease, and inflammatory bowel disease.

3560

Drug development strategy based on the nuclear receptor farnesoid X receptor and its application in the treatment of digestive diseases

LI Yang-tai[1,2#], JIAO Ting-ying[1,3#], XIE Cen[1,2*]

(1. State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China; 2. University of Chinese Academy of Sciences, Beijing 100049, China; 3. Human Phenome Institute, Fudan University, Shanghai 200032, China)



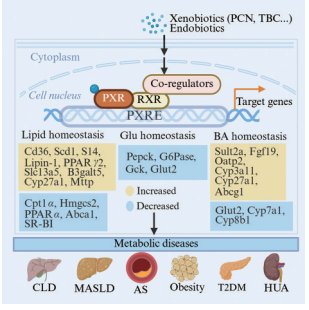
This article systematically reviews the pivotal role of the farnesoid X receptor (FXR) in regulating bile acid metabolism, glucose-lipid homeostasis, inflammatory responses and barrier function in digestive disorders such as primary sclerosing cholangitis, primary biliary cholangitis, metabolic dysfunction-associated steatotic liver disease and inflammatory bowel disease. It summarizes the mechanisms and clinical translation progress of novel FXR agonists/antagonists, and explores future breakthroughs in precision therapeutic potential and efficacy-safety balance.

3576

Research progress of pregnane X receptor as a therapeutic target for metabolic diseases

HUANG Ya[1,2], ZHANG Jin-hang[1], HE Jin-han[1*]

(1. Institute of Metabolic Diseases and Pharmacotherapy, Department of Pharmacy, West China Hospital, Sichuan University, Chengdu 610041, China; 2. Department of Pharmacy, Guiqian International Hospital, Guiyang 550024, China)



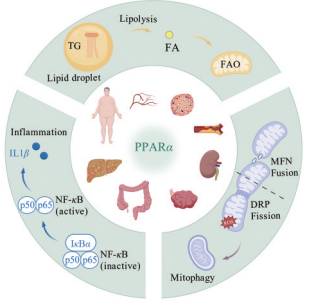
Research progress on the mechanism of pregnane X receptor (PXR) in metabolic diseases including obesity, type 2 diabetes mellitus, metabolic dysfunction-associated steatotic liver disease, cholestatic liver disease, atherosclerosis and hyperuricemia.

3595

The role of peroxisome proliferator-activated receptor α in metabolic cardiovascular diseases

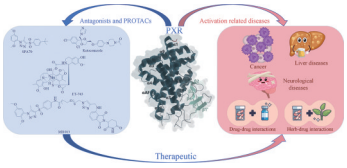
GUAN Si-jia[1], ZHANG Qi[1], ZHU Xin-xin[3*], QU Ai-juan[1,2*]

(1. Department of Physiology and Pathophysiology, School of Basic Medical Sciences, Capital Medical University, Beijing 100069, China; 2. Key Laboratory of Remodeling-Related Cardiovascular Diseases, Ministry of Education, Beijing 100069, China; 3. Department of Laboratory Medicine, Beijing Chao-Yang Hospital, Capital Medical University, Beijing 100020, China)



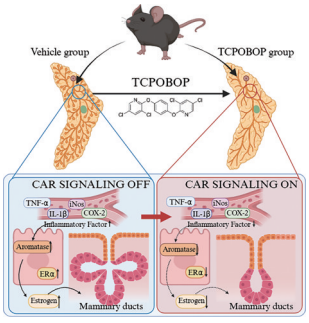
Peroxisome proliferator-activated receptor α (PPARα) exerts a protective effect in metabolic cardiovascular diseases through the regulation of lipid metabolism as well as anti-inflammatory and antioxidant activities.

3605
Advances in antagonists and PROTAC of the nuclear receptor PXR
TAO Hai-lin, LI Xin-yi, XIE Jun-kai, LI Yong-tao*
(Hunan Provincial Key Laboratory of Animal Models and Molecular Medicine, School of Biomedical Sciences, Hunan University, Changsha 410082, China)



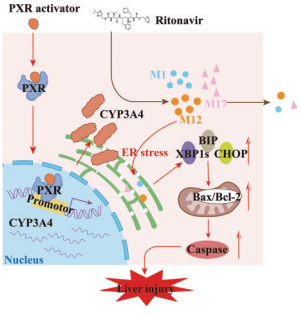
The abnormal activation of pregnane X receptor (PXR) is closely associated with metabolic disorders, drug-drug interactions, tumor growth, chemotherapy resistance, and inflammatory imbalance. With the continuous in-depth research and technological breakthroughs in PXR antagonists and PXR proteolysis-targeting chimera (PROTAC) small-molecule drugs, these agents hold significant promise for playing a crucial role in the treatment of various diseases, bringing new hope and dawn to the cause of human health.

3622
The constitutive androstane receptor agonist TCPOBOP inhibits mammary ductal development in pubertal female mice
FENG Jing-yi[1], LI Xin-yu[1], PAN Shi-jia[2], LU Zi-yu[1], ZHAI Yong-gong[2], XU Peng-fei[1,3,4*]
(1. Ministry of Education Key Laboratory of Combinatorial Biosynthesis and Drug Discovery, School of Pharmaceutical Sciences, Wuhan University, Wuhan 430071, China; 2. Beijing Key Laboratory of Resistance Gene Resources and Molecular Development, College of Life Sciences, Beijing Normal University, Beijing 100875, China; 3. Institute of Hepatobiliary Diseases/Hepatobiliary Diseases Research Center, Zhongnan Hospital of Wuhan University, Wuhan 430071, China; 4. Hubei Key Laboratory of Developmental Origins of Disease, Wuhan 430071, China)



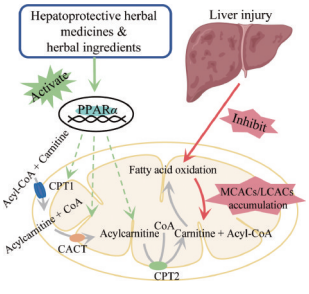
The constitutive androstane receptor (CAR) agonist TCPOBOP inhibits mammary ductal development in pubertal female mice by reducing systemic estrogen levels.

3631
Mechanisms of PXR-CYP3A4 axis-mediated ritonavir hepatotoxicity through endoplasmic reticulum stress
WANG Xiao-fei[1,2], XIONG Zai-huan[1], CHANG Qi[1,3], YU Yi-hang[1], WANG Pei[1], ZHANG Li-rong[1*]
(1. School of Basic Medical Sciences, Zhengzhou University, Zhengzhou 450001, China; 2. Tianjian Laboratory of Advanced Biomedical Sciences, Academy of Medical Sciences, Zhengzhou University, Zhengzhou 450052, China; 3. The Third Affiliated Hospital of Zhengzhou University, Zhengzhou 450052, China)



Pregnane X receptor (PXR) activation accelerates the metabolism of ritonavir into its active metabolites by upregulating hepatic CYP3A4 expression. These metabolites subsequently trigger endoplasmic reticulum (ER) stress and induce hepatocyte apoptosis *via* the BIP-CHOP-Bax pathway, ultimately leading to liver injury.

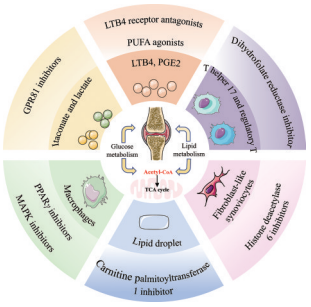
3644
Investigation of the role of the PPAR*α*-medium/long-chain acylcarnitines axis in liver injury and the hepatoprotective effects of herbal medicines
AI Shi-ya[1#], TANG Miao-miao[2#], GUO Xiao-li[1], LI Fei[1*]
(1. Department of Gastroenterology & Hepatology, Laboratory of Hepatointestinal Disease and Metabolism, Frontiers Science Center for Disease-Related Molecular Network, West China Hospital, Sichuan University, Chengdu 610041, China; 2. Institute of Rare Diseases, Frontiers Science Center for Disease-Related Molecular Network, West China Hospital, Sichuan University, Chengdu 610041, China)



Liver injury impairs fatty acid oxidation, leading to MCACs/LCACs accumulation and exacerbating hepatic damage. Herbal medicines and herbal ingredients may activate PPAR*α*, reduce MCACs/LCACs levels, and improve hepatic metabolic function.

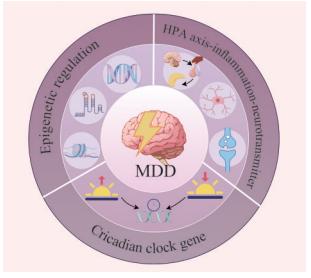
Reviews

3655
Research progress on the interaction mechanism of glucose and lipid metabolism and intervention strategies in rheumatoid arthritis
WANG Ying[1], BU Yan-hong[1*], PANG Jing[2], WU Hong[2*]
(1. School of Integrated Traditional and Western Medicine, Anhui University of Chinese Medicine, Hefei 230012, China; 2. Anhui Province Key Laboratory of Research and Development of Chinese Medicine, Key Lab of Xin'an Medicine, Ministry of Education, School of Pharmacy, Anhui University of Chinese Medicine, Hefei 230012, China)



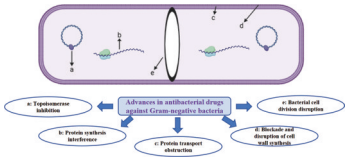
This review takes glycolipid metabolic reprogramming as a starting point, aiming to reveals the key dysregulated features of the two major metabolic pathways and their intricate interactions in rheumatoid arthritis. Additionally, it systematically reviews drugs targeting key molecules in glycolipid metabolism, providing a theoretical basis for developing therapeutic strategies for rheumatoid arthritis.

3665
Epigenetic regulation of circadian clock genes in depression: multidimensional mechanisms and translational precision therapeutics
DAI Jia-cheng[1], ZOU Man-shu[1,3], HE Hai-xia[2], WANG Yu-hong[1,3*]
(1. Academy of Chinese Medical Sciences, Hunan University of Traditional Chinese Medicine, Changsha 410208, China; 2. First Affiliated Hospital, Hunan University of Traditional Chinese Medicine, Changsha 410007, China; 3. Hunan Key Laboratory of Traditional Chinese Medicine Prevention and Treatment of Depressive Diseases, Changsha 410208, China)



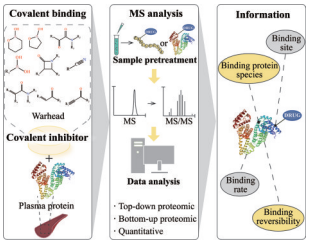
We propose an "epigenetic-clock-neural circuit" interaction model that identifies circadian-gene epigenetic dysregulation as the core mechanism underlying the comorbidity of mood and cognitive symptoms in major depressive disorder (MDD). Building on this framework, we integrate multidimensional precision therapeutic strategies to facilitate the transition of the diagnosis and treatment of depression toward the era of circadian medicine.

3682
From targeting DNA replication to disrupting cell division: advances in antibacterial drugs against Gram-negative bacteria
WANG Run-ying[1,2], YANG Yu-she[1,2], ZHANG Yin-yong[2*]
(1. University of Chinese Academy of Sciences, Beijing 100049, China; 2. Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China)



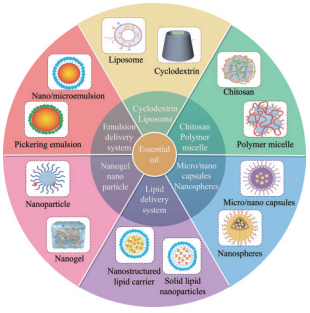
This article systematically reviews representative anti-Gram-negative bacterial drugs that have been approved for marketing in the past decade and are currently in clinical or preclinical research stages, with a focus on those featuring novel targets/mechanisms of action. The drugs are categorized based on their intervention strategies targeting key lifecycle processes of Gram-negative bacteria, including: topoisomerase inhibition, protein synthesis interference, protein transport obstruction, blockade and disruption of cell wall synthesis, and bacterial cell division disruption. The content encompasses structural information, mechanisms of action, antimicrobial spectra, clinical applications, and future perspectives of various drug categories.

3692
Advances in mass spectrometry techniques for characterizing plasma protein binding of covalent inhibitors
XIAN Shu-ze, WANG Zhe, XU Xuan, XU Sheng-yuan, SHENG Ning, ZHANG Jin-lan*
(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)



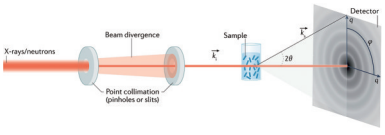
In this paper, the warheads of covalent inhibitors, the binding characteristics of covalent inhibitors to blood proteins and the research progress of mass spectrometry in the binding of covalent inhibitors to plasma proteins in recent years are reviewed to provide a reference for the study of binding of this class of drugs to plasma proteins.

3701
Research progress of plant essential oil and drug delivery system in the treatment of atopic dermatitis
WANG Yi-han[1#], LI Yong-ji[1#], DOU Jin-jin[2], LIU Xuan[3], SONG Wei-peng[3], ZHANG Xi-wu[4,5*]
(1. School of Pharmacy, Heilongjiang University of Chinese Medicine, Harbin 150040, China; 2. The Fourth Affiliated Hospital of Heilongjiang University of Chinese Medicine, Harbin 150077, China; 3. School of Basic Medical Sciences, Heilongjiang University of Chinese Medicine, Harbin 150040, China; 4. National Key Laboratory of Classical Prescription and Modern TCM Integration and Innovation, Harbin 150040, China; 5. Experimental and Practical Training Center, Heilongjiang University of Chinese Medicine, Harbin 150040, China)



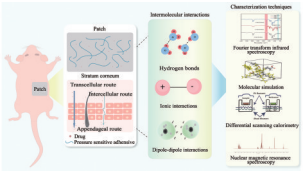
Plant essential oils can be extracted from natural plants through various methods. These oils can not only be used for the treatment of atopic dermatitis (AD) but also combined with novel drug delivery systems to enhance therapeutic efficacy. Currently, essential oil therapy has been applied in clinical AD treatment.

3712
Small-angle neutron scattering for characterization of pharmaceutical formulations
GAO Hao-shi[1], LI He-ran[2*]
(1. School of Pharmacy, Guangdong Pharmaceutical University, Guangzhou 510006, China; 2. School of Pharmacy, China Medical University, Shenyang 110122, China)



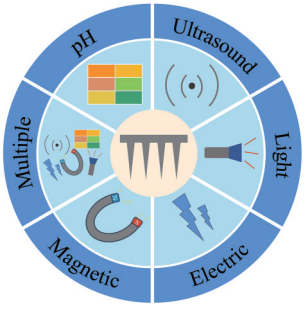
Small-angle neutron scattering (SANS) is a non-destructive structural characterization technique that offers high sensitivity to light elements and isotopic contrast, enabling the analysis of microstructures in drug systems at the nanometer to micrometer scale. This review summarizes its applications in pharmaceutical characterization, discusses challenges in sample preparation and data interpretation, and explores future prospects for its integration with other analytical techniques to expand its utility in drug research.

3720
Research advances in intermolecular interactions regulating drug release and permeation in transdermal drug delivery systems
TU Xi, HONG Wei-man, DENG Chang-jian, FANG Hai-hong, PENG Liang, CHEN Zhen-hua*, LI Yang-biao*
(School of Pharmacy, Jiangxi Science and Technology Normal University, Nanchang 330013, China)



The interactions between drugs, pressure-sensitive adhesives, permeation enhancers and skin components affect the efficiency of transdermal absorption of drugs. The aim of this review is to summary the molecular mechanisms of drug release from patches and transdermal permeation processes, provide useful theoretical guidance for the design and research of novel transdermal drug delivery systems.

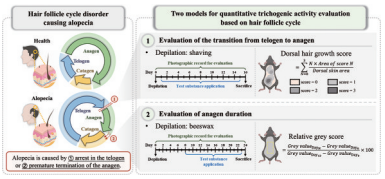
3730
Advances in microneedle therapy for tumor treatment based on stimulus responsiveness
LI Dan[1#], XU Shao-hua[1#], LI Mu-lan[1], LIU Xiao-xia[1], YANG Ming[2*], WANG Fang[1,2*]
(1. Cancer Research Center, Jiangxi University of Chinese Medicine, Nanchang 330004, China; 2. Key Laboratory of Modern Preparation of Traditional Chinese Medicine, Ministry of Education, Jiangxi University of Chinese Medicine, Nanchang 330004, China)



This review focuses on summarizing the application of microneedles of different stimulus response types such as light, electricity, and pH in tumor treatment, analyzes the challenges facing their clinical transformation, and provides corresponding solutions.

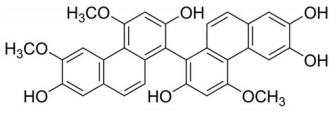
Original Articles

3742
Establishment of *in vivo* models for trichogenic activities evaluation *via* hair follicle cycle regulation
SONG Hao, GUO Ying*
(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)



Either promoting the transition of hair follicles from telogen to anagen or prolonging the duration of the anagen is beneficial for hair growth. In this study, two mouse models were established to evaluate the activities of promoting hair follicles anagen entry and extending anagen duration, providing a methodology for the development of hair growth-promoting drugs and health products.

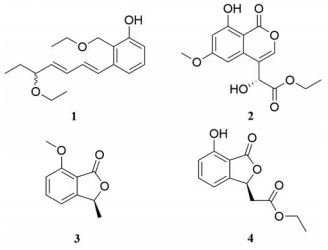
3749
Chemical constituents from Chinese Yam (*Dioscorea opposita* Thunb.) peel
YANG Jun-jie[1], LIU Yong-kang[4], ZHU Liang[1], WU Qi-guo[1,3], CHOU Gui-xin[1*], XU Hong-tao[1,2*]
(1. Institute of Chinese Materia Medica, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China; 2. Shanghai Academy of International Standardization for Traditional Chinese Medicine, Shanghai 201203, China; 3. Department of Pharmacy, Anqing Medical College, Key Laboratory of Innovative Application of Characteristic Traditional Chinese Medicine Resources in Southwest Anhui Province, Anqing 246052, China; 4. Wenxian Agricultural Science Research Institute, Jiaozuo 454850, China)



***1**
7'-hydroxybleformin E

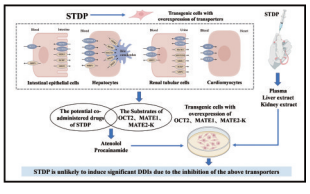
Nineteen compounds were isolated from the dichloromethane portion of the ethanol extract of Chinese Yam (*Dioscorea opposita* Thunb.) peel, Compound **1** is a new compound, compounds **2**, **11**, **16**−**19** were isolated from the Dioscoreaceae family for the first time. Among these, thirteen compounds showed differential inhibitory activities against *α*-glucosidase.

3758
Study on the specialized metabolites from the endophytic fungus *Cercophora* sp. UJN-EF001 in *Vaccinium bracteatum*
LI Jun-jiang[1], PAN Yin-bo[1], ZHAO Jiang-ning[2], WANG Yin-yin[1], ZHANG Jun-sheng[1*], ZHANG Hua[1*]
(1. School of Biological Science and Technology, University of Jinan, Jinan 250022, China; 2. Qingdao Municipal Hospital, Qingdao 266011, China)



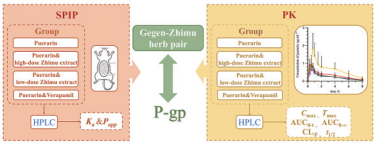
Thirteen conpounds including two new ones (**1** and **2**) were isolated and identified from *Cercophora* sp. UJN-EF001. Compound **13** displayed moderate inhibition against lipopolysaccharide-induced release of nitric oxide in RAW264.7 macrophages with an IC_{50} value of 34.3 ± 3.8 μmol·L^{-1}.

3764
Predication study on Shexiang Tongxin Dropping Pills-drug interactions mediated by transporter inhibition
ZHAO Jie-ping[1], LU Shuang-hui[1,2], YUAN Lüe-xiang[1], ZHANG Heng-bin[1], CHEN Xiu[1], ZHOU Hui[1*], JIANG Hui-di[1*]
(1. Institute of Drug Metabolism and Pharmaceutical Analysis, College of Pharmaceutical Sciences, Zhejiang University, Hangzhou 310058, China; 2. Department of Pharmacy, Zhejiang Cancer Hospital, Hangzhou 310022, China)



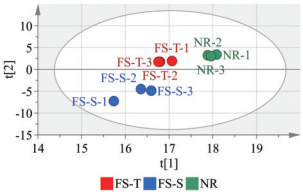
Shexiang Tongxin Dropping Pill (STDP) is unlikely to induce significant drug-drug interactions due to inhibition of transporters.

3775
Study on P-glycoprotein-mediated intestinal absorption and *in vivo* pharmacokinetics of bioactive components in the Gegen-Zhimu herb pair
WANG Xin-yan[1], NIE Cheng-yang[1], CHAO Liang[1,2], WANG Hui[1], WEN Jun[1], HONG Zhan-ying[1*]
(1. Department of Pharmacy, Naval Medical University, Shanghai Key Laboratory for Pharmaceutical Metabolite Research, Shanghai 200433, China; 2. Department of Pharmacy, Hospital of Armed Police Corps Jiangsu Province, Yangzhou 225002, China)



Based on the rat single-pass intestinal perfusion model and pharmacokinetic experiments, this study investigated the effects of Zhimu extract on the absorption and metabolism process of puerarin, thus to elucidate the scientific connotation of the compatibility application of Gegen-Zhimu herb pair from the perspective of P-gp regulation.

3783
Research on multi-equipment general evaluation method of drug taste-masking efficiency based on electronic tongue technology
QI Shu-ye[1,2], TU Hui-dan[1], LIANG Jie[1], LÜ Xiao-yuan[1], LI Jin[1,2*], NING Bao-ming[1,2*]
(1. National Institutes for Food and Drug Control, Beijing 102629, China; 2. State Key Laboratory of Drug Regulatory Science, Beijing 102629, China)



In this paper, a multi-equipment general evaluation method of taste-masking efficiency for drugs was established based on electronic tongue technology, which was reproducible with comparable results among instruments, and had consistent results with human sensory evaluation.