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药学学报

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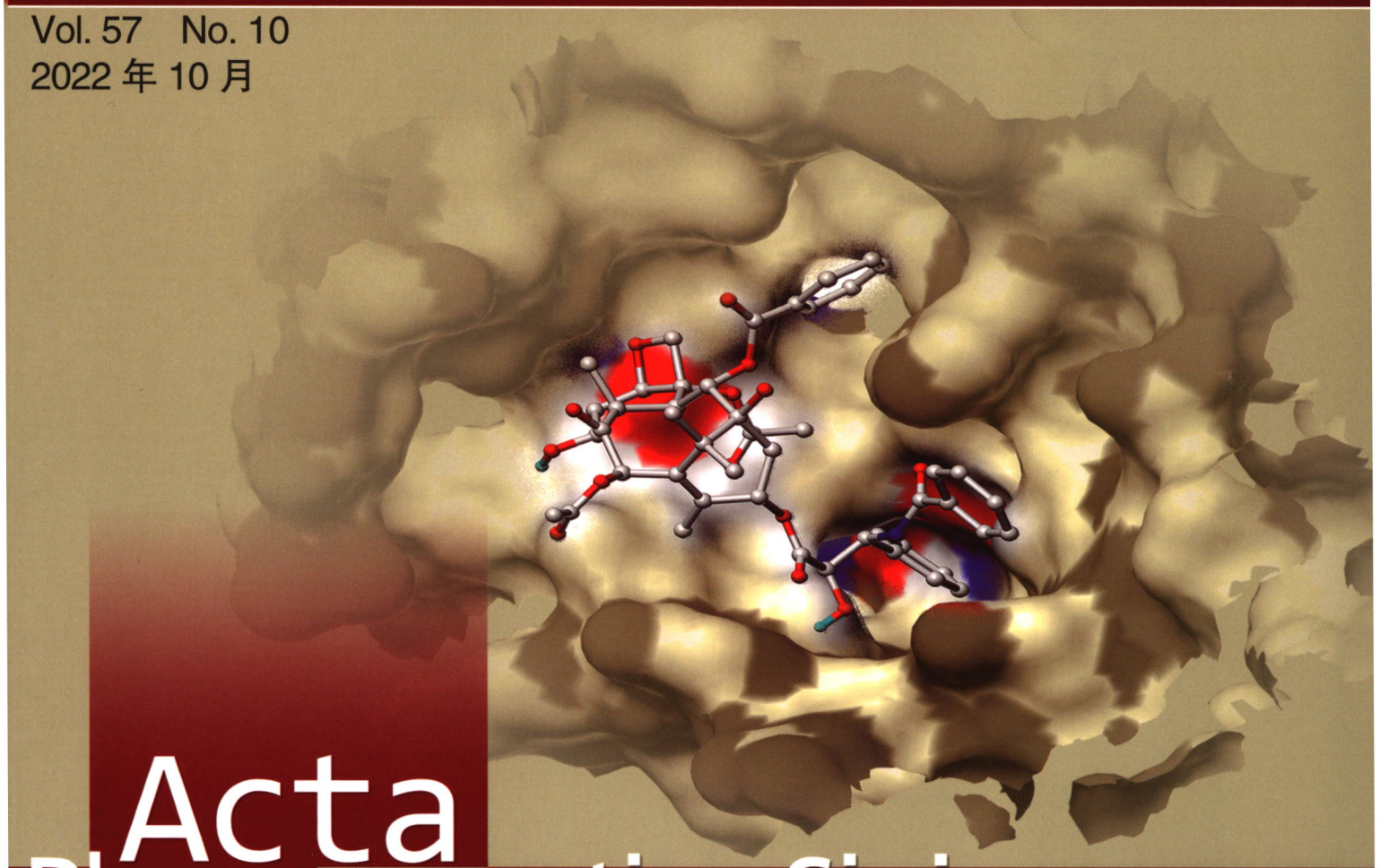


Acta Pharmaceutica Sinica

专题报道I

徐淑静, 刘新泳, 展 鹏等
整合药物化学——药物发现中的新范式

专题报道II

范斯文, 朱 彦等
3D类器官心脏肥大模型的建立及在心血管病治疗中药作用机制解析中的应用

中国药学会
中国医学科学院药物研究所

药 学 学 报

第 57 卷　第 10 期　2022 年 10 月

图 文 摘 要

专题报道 I：药物发现的新靶标、新策略与抗病毒药物研究

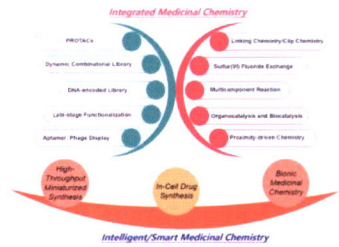
2889

整合药物化学——药物发现中的新范式

徐淑静#，丁当#，刘新泳*，展鹏*

(山东大学药学院药物化学研究所，化学生物学教育部重点实验室，山东 济南 250012)

本综述总结了药物化学中新技术、通过整合创新形成的新研究领域以及新颖且实用的“化学工具箱”。



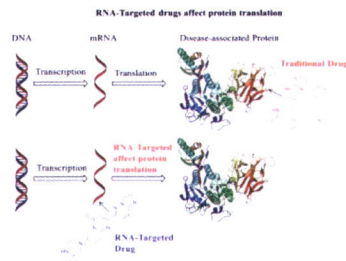
2902

以 RNA 为靶标的小分子药物的研究进展

杜晓利，陈慧慧，叶向阳*，谢恬*，何兴瑞*

(杭州师范大学药学院，浙江省槲香烯类抗癌中药重点实验室，浙产中药材资源开发与应用浙江省工程实验室，浙江省浙八味等浙产中药材综合利用开发 2011 协同创新中心，浙江 杭州 311121)

靶向 RNA 的小分子药物通过影响 mRNA 的翻译过程实现对疾病的治疗。



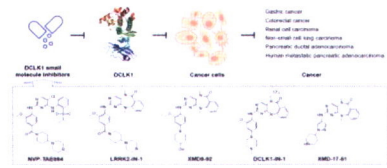
2914

双肾上腺皮质激素样激酶 1 小分子抑制剂的研究进展

陈玉平#，李柯良#，盛春泉*，武善超*

(中国人民解放军海军军医大学，上海 200433)

本文综述了 DCLK1 小分子抑制剂的发现、结构类型、结构优化、生物活性及作用机制等方面的研究进展，以期为开发基于 DCLK1 的新型抗肿瘤小分子抑制剂提供借鉴和参考。



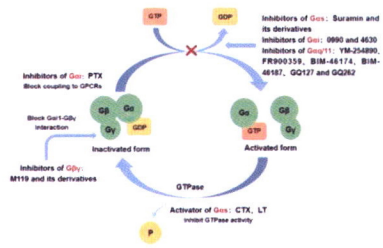
2921

G 蛋白调节剂的研究进展

杨一帆#，胡卓睿#，刘鹤，李一贤，刘璐，熊小峰*

(中山大学药学院，广东 广州 510006)

本文综述 G 蛋白与疾病的关系，并且总结目前已发现的 G 蛋白抑制剂。



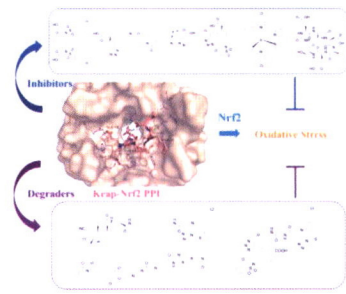
2932

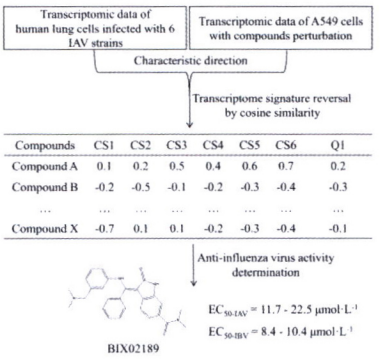
Keap1-Nrf2 蛋白相互作用小分子抑制剂及降解剂研究进展

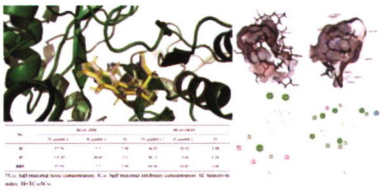
闫健羽，刘国栋，缪震元，庄春林*

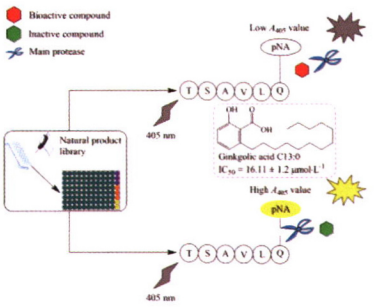
(中国人民解放军海军军医大学药学系，上海 200433)

本文以结构类型分类，对分子的结构、活性及构效关系进行总结，综述了近年来 Keap1-Nrf2 蛋白相互作用抑制剂和基于 Keap1 E3 泛素化系统的降解剂的研究进展。

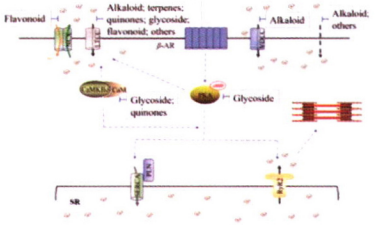


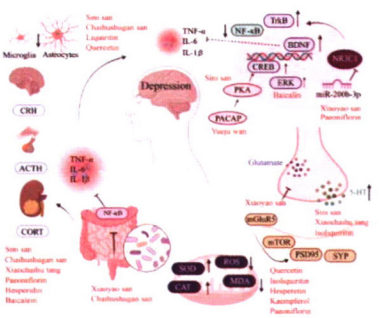




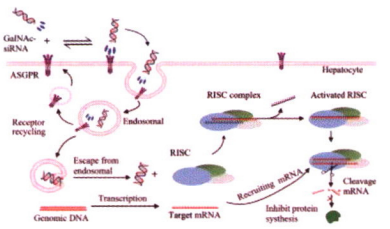


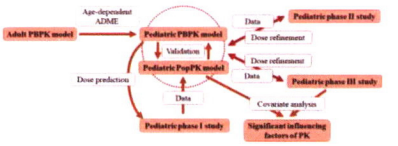
专题报道 II：中药防治心脑相关疾病



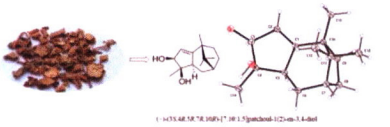


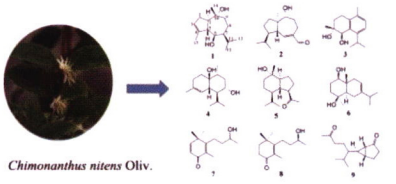
综述

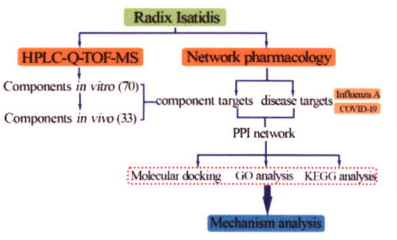




研究论文







新药发现与研究实例简析

ACTA PHARMACEUTICA SINICA

Volume 57 Number 10 2022 October

Graphical Abstracts

Special Reports I: New Targets, New Strategies for Drug Discovery and Advances in Antiviral Drug Research

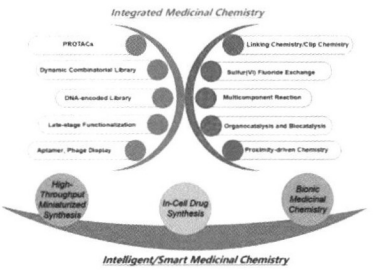
2889

Integrated medicinal chemistry: new modalities and methodologies in drug discovery

XU Shu-jing[#], DING Dang[#], LIU Xin-yong[*], ZHAN Peng[*]

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

This review summarizes new enabling drug discovery technologies, the emergence of new subfields formed through integration innovations and practical chemistry toolbox in the field of medicinal chemistry.



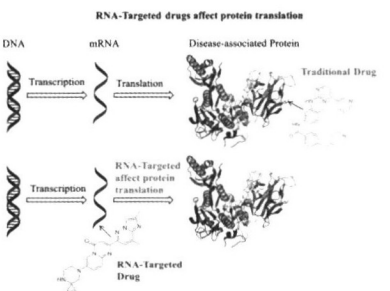
2902

Research progress of small molecular drugs targeting RNA

DU Xiao-li, CHEN Hui-hui, YE Xiang-yang[*], XIE Tian[*], HE Xing-rui[*]

(Key Laboratory of Elemene Class Anti-Cancer Chinese Medicines; Engineering Laboratory of Development and Application of Traditional Chinese Medicines; Collaborative Innovation Center of Traditional Chinese Medicines of Zhejiang Province, School of Pharmacy, Hangzhou Normal University, Hangzhou 311121, China)

Small molecular drugs targeting RNA can treat diseases by affecting the translation process of mRNA.



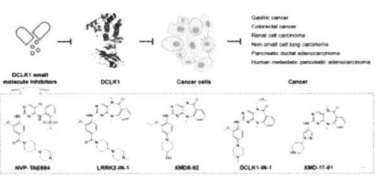
2914

Research progress of small molecule inhibitors of doublecortin-like kinase 1

CHEN Yu-ping[#], LI Ke-liang[#], SHENG Chun-quan[*], WU Shan-chao[*]

(The Second Military Medical University, Shanghai 200433, China)

This article reviews the research progress in the discovery, structure type, structural optimization, biological activity and mechanism of action of DCLK1 small molecule inhibitors, and provides research basis for the development of new anti-tumor small molecule inhibitors targeting DCLK1.



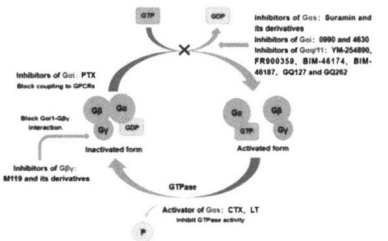
2921

Research progress on the G protein modulators

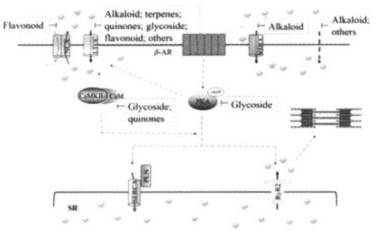
YANG Yi-fan[#], HU Zhuo-rui[#], LIU He, LI Yi-xian, LIU Lu, XIONG Xiao-feng[*]

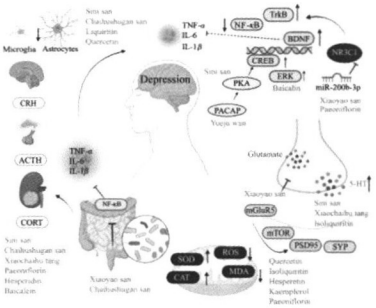
(School of Pharmaceutical Sciences, Sun Yat-sen University, Guangzhou 510006, China)

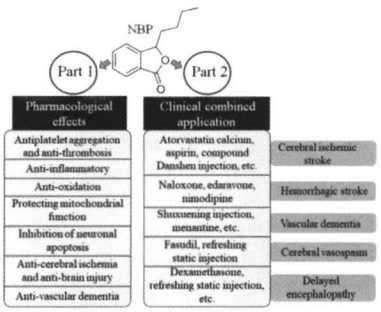
This review summarized the correlations between G protein and diseases, and reviewed the current G protein modulators.

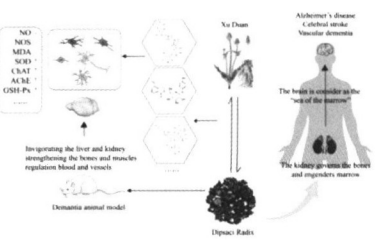


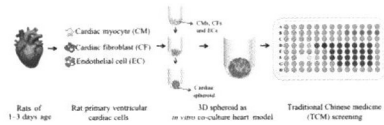
Special Reports II: Traditional Chinese Medicine in the Prevention and Treatment of Cardio-cerebrovascular Related Diseases



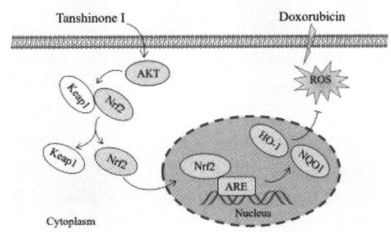




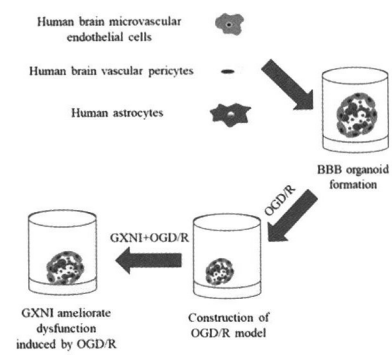




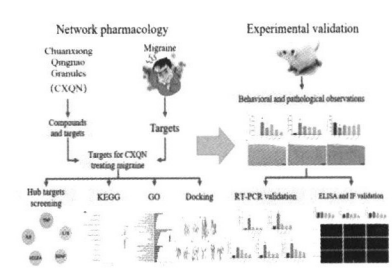
In this study, the new 3D cardiac organoid *in vitro* model was established. Based on this model, the function of organoids and disease indicators were evaluated, and it was used to reveal the role of Guanxinning Injection (GXNI) in the treatment of cardiovascular diseases for the first time.



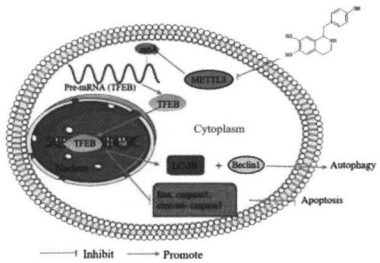
Tanshinone I protects doxorubicin-induced cardiotoxicity by regulating nuclear erythroid factor 2-related factor 2 (Nrf2) pathway.



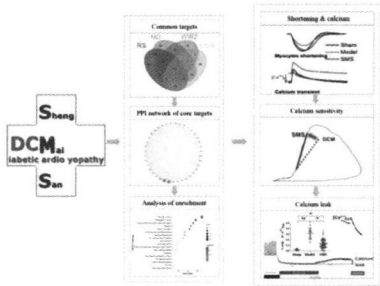
In this study, the oxygen glucose deprivation/reoxygenation (OGD/R) model based on organoid formation was constructed, and the protective effect of Guanxinning injection (GXNI) on OGD/R-induced blood-brain barrier organoid damage was investigated.



Based on the combination of network pharmacology and experimental verification, this study preliminarily revealed that Chuanxiong Qingnao Granules (CXQN) reduced the release of the inflammatory factors and regulated vascular permeability by regulating the expression of TNF-α, IL-6, VEGFA, IL-1β, BDNF and related pathways, modulating vascular permeability and central sensitization to exert protective effects on migraine model.

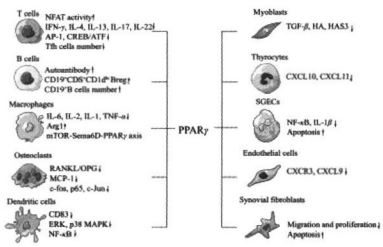


Higenamine inhibits the apoptosis of cardiomyocytes and attenuates isoproterenol-induced myocardial infarction in rat. Its mechanism may be associated with regulating methyltransferase-like 3 (METTL3)/transcription factor EB (TFEB)-mediated cardiomyocyte autophagy.

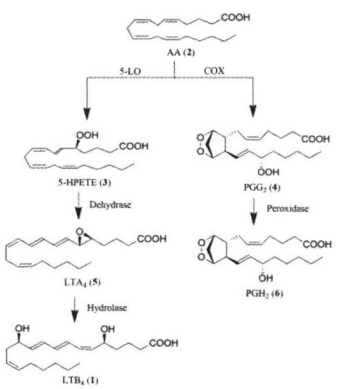


ShengMaiSan may down-regulate the phosphorylation level of ryanodine receptor 2 (RyR2) and reduce the calcium leakage of the sarcoplasmic reticulum through the calcium signaling pathway to protect the myocardial contractile function of diabetic rats.

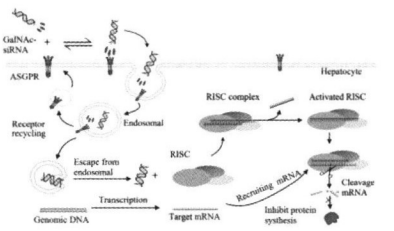
Reviews

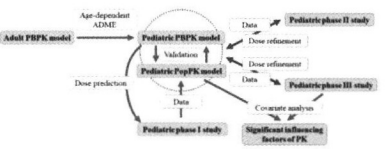


This article summarizes the biological functions and signal transduction pathways and of peroxisome proliferator-activated receptor γ (PPARγ) and concludes the roles of PPARγ in regulating activation, polarization, and function of immune cells and related stromal cells, aiming to provide theoretical support for the research of mechanism and prevention and treatment of AID.

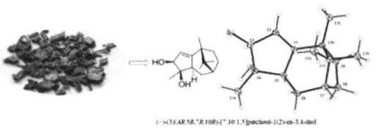


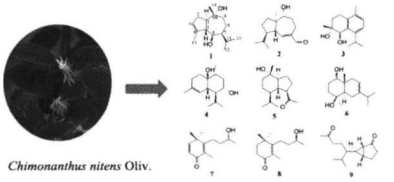
In this review, we briefly describe the biological function of leukotriene B_4 (LTB_4) and summarize the preclinical and clinical developments of LTB_4 receptor antagonists.





Original Articles





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