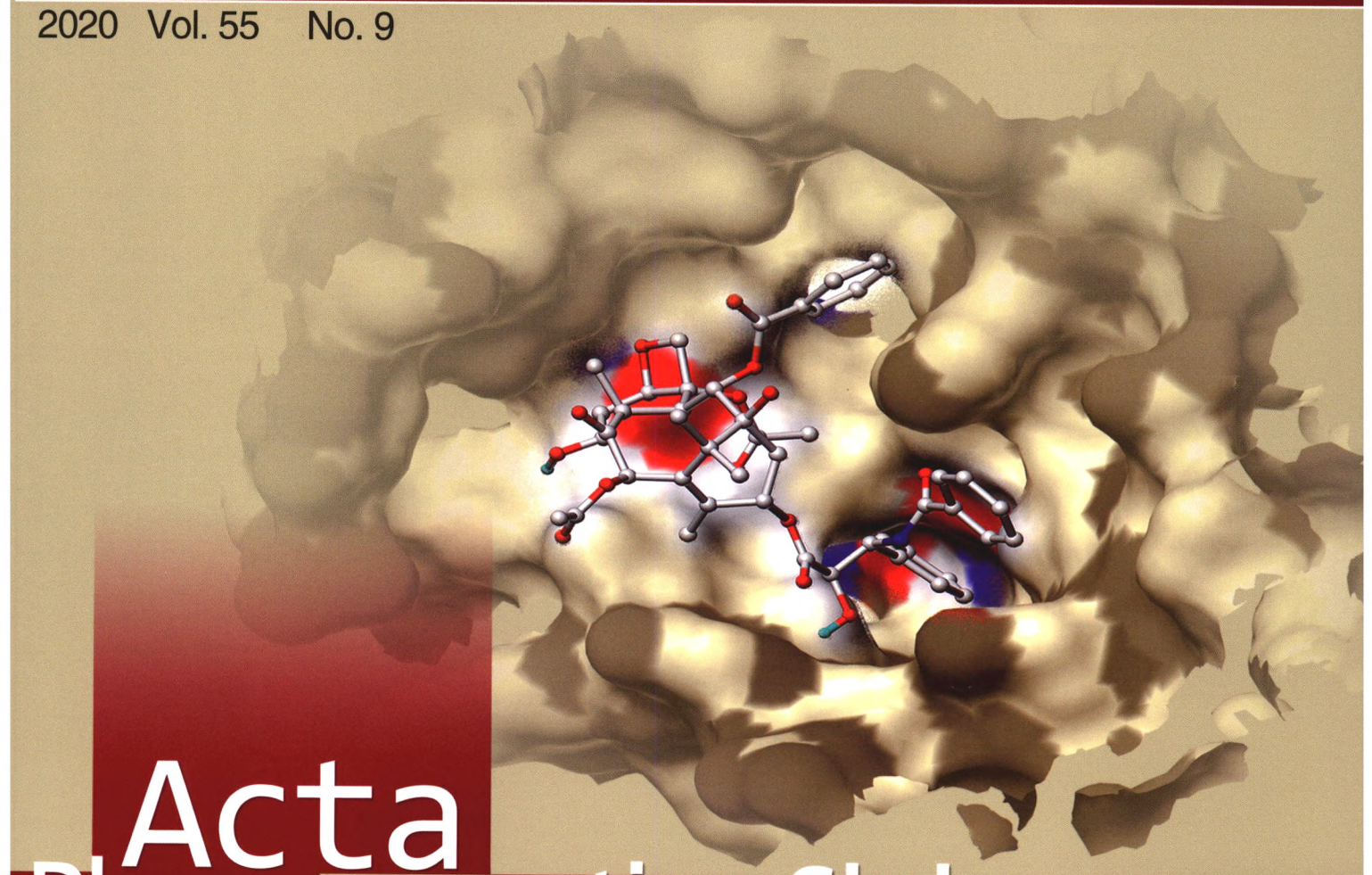
ISSN 0513-4870 CN 11-2163/R

药学学报

第55卷 第9期
2020 Vol. 55 No. 9



Acta Pharmaceutica Sinica

专家论坛

杨 婷, 张卫东等
中药活性成分调控血管新生的研究进展

研究论文

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

中国药学会
中国医学科学院药物研究所

药 学 学 报

第 55 卷　第 9 期　2020 年 9 月

图 文 摘 要

专家论坛

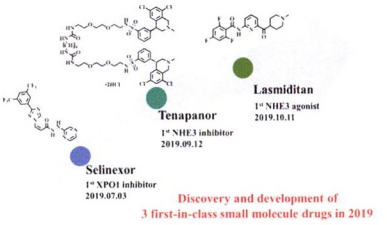
1983

2019 年首创性小分子药物研究实例浅析

王磊 [1,2]，尤启冬 [1,2*]

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

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



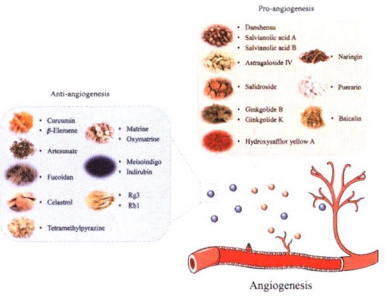
1995

中药活性成分调控血管新生的研究进展

杨婷 [1]，张莉君 [1]，黄睿 [1]，兰海月 [1]，张宏 [1]，栾鑫 [1*]，张卫东 [1,2*]

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

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



综述

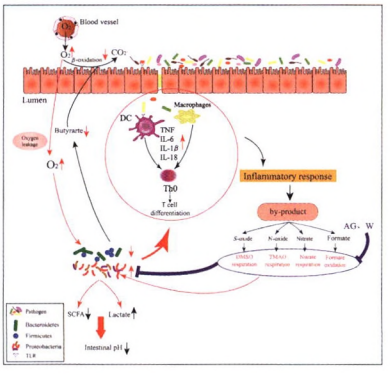
2008

靶向肠道细菌呼吸及能量代谢调控炎症性肠病进程的治疗策略分析

李成曦，王颖异，李建萍，王雨萌，张森，段金廒，郭建明*

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

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



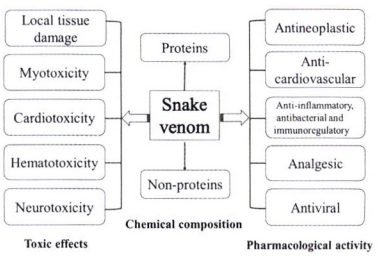
2019

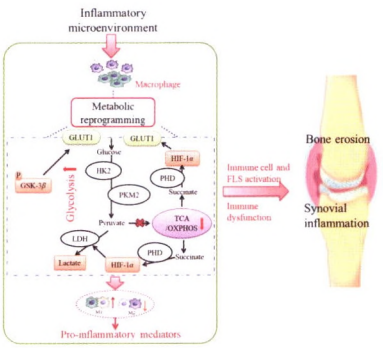
蛇毒研究进展：从致命毒素到新药开发

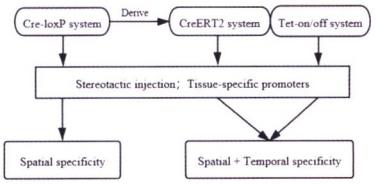
董德刚 [1,2]，王万春 [3]，邓中平 [1*]

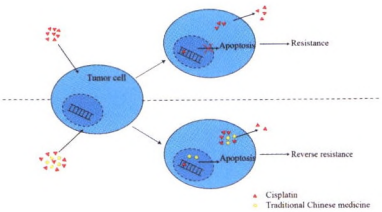
(1. 上海中医药大学创新中药研究院，上海 201203；　2. 江西中医药大学生命科学学院，江西 南昌 330004；　3. 江西中医药大学附属医院，江西 南昌 330006)

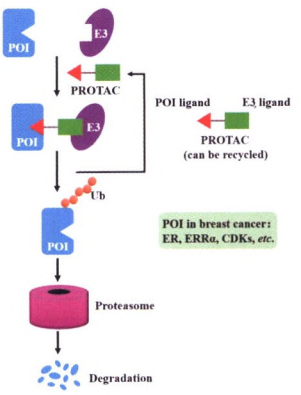
本文介绍了蛇毒主要组分及毒蛇咬伤解毒策略，并归纳了蛇毒的毒性效应与药理活性两个方面作用。

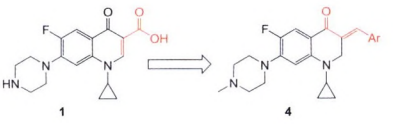






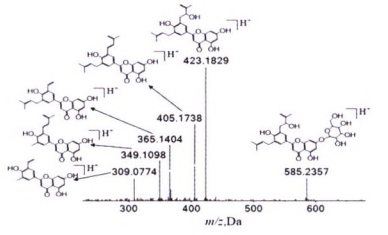




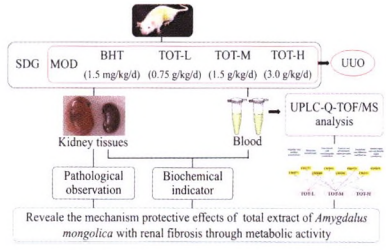


基于氟喹诺酮的作用机制和结构，报道了环丙沙星衍生物 3-芳苄叉基-氟喹啉-4(1*H*)-酮的设计、合成及抗肿瘤活性。

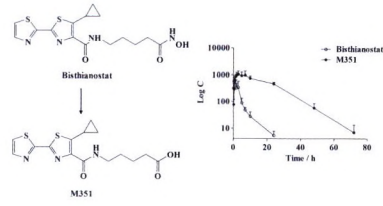
基于高分辨质谱及淫羊藿次生代谢途径的新化合物鉴定研究。



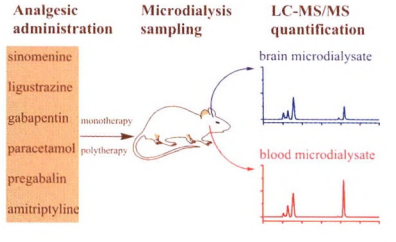
采用 UPLC-Q-TOF/MS 分析方法并结合药效学，对蒙古扁桃总提物干预下的肾纤维化大鼠的血清代谢组学进行了研究。通过对血样中相关生物标志物和代谢通路的分析，从代谢物角度阐述了蒙古扁桃药材抗大鼠肾纤维化的作用机制。

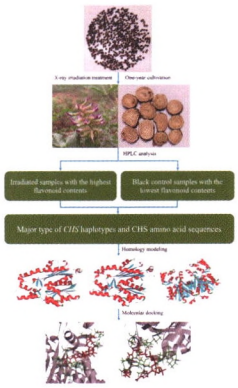


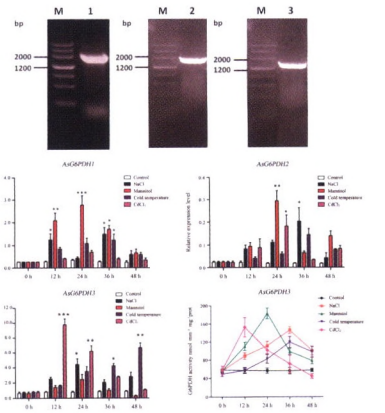
LC-MS/MS 法已成功应用于倍赛诺他和 *N*-羟基酰胺水解代谢物 M351 在肿瘤患者体内的药动学研究。研究表明，代谢物 M351 血浆暴露量约为原形药物的 11 倍，提示在临床研究中应关注代谢物安全性和有效性。

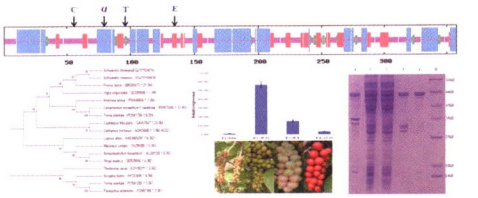


建立大鼠血液和脑组织液中游离型青藤碱、川芎嗪、加巴喷丁、扑热息痛、普瑞巴林和阿米替林的同步微透析液取样及 HPLC-MS/MS 检测方法。









新药发现与研究实例简析

ACTA PHARMACEUTICA SINICA

Volume 55 Number 9 2020 September

Graphical Abstracts

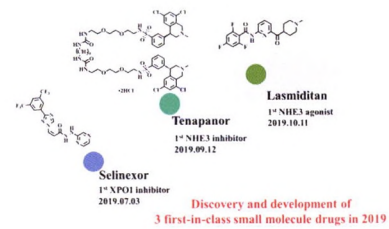
Professionals Forums

1983

First-in-class small molecule drugs in 2019

WANG Lei[1,2], YOU Qi-dong[1,2*]

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



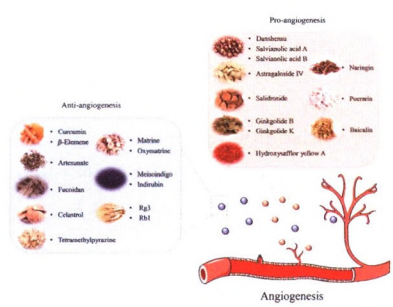
We briefly introduced three representative first-in-class small molecule drugs approved in 2019. Background of drug development, research process and therapeutic application were stated to provide new horizon for discovery and development of more first-in-class small molecule drugs.

1995

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

YANG Ting[1], ZHANG Li-jun[1], HUANG Rui[1], LAN Hai-yue[1], ZHANG Hong[1], LUAN Xin[1*], ZHANG Wei-dong[1,2*]

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



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

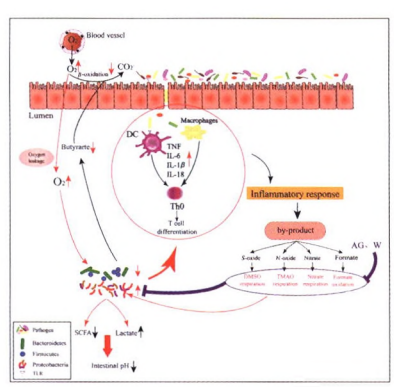
Reviews

2008

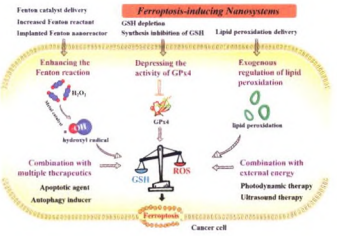
Analysis of the strategy to intervene the progress of inflammatory bowel disease by targeting intestinal bacterial respiration and energy metabolism

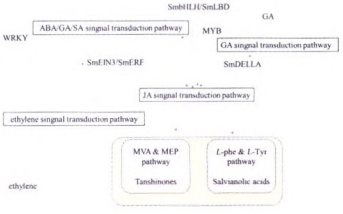
LI Cheng-xi, WANG Ying-yi, LI Jian-ping, WANG Yu-meng, ZHANG Sen, DUAN Jin-ao, GUO Jian-ming*

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

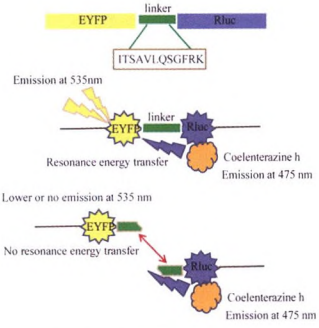


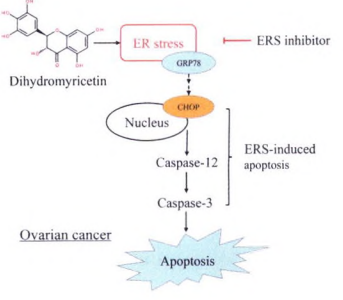
During inflammatory bowel disease (IBD), oxygen and inflammatory reactions change bacterial energy metabolism pathway, leading to the disturbance of intestinal flora and exacerbate intestinal immune imbalance, and targeting bacterial respiration and metabolic products can improve IBD.

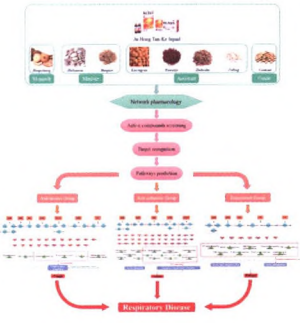


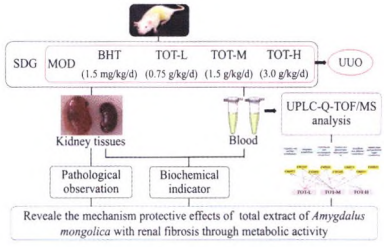


Original Articles

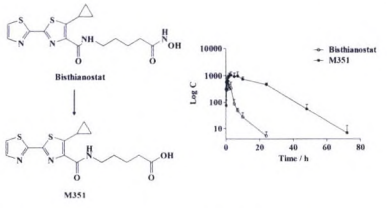




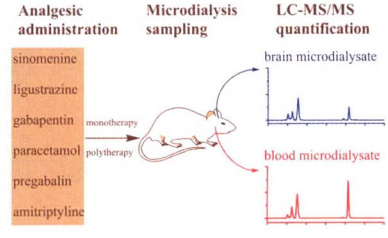




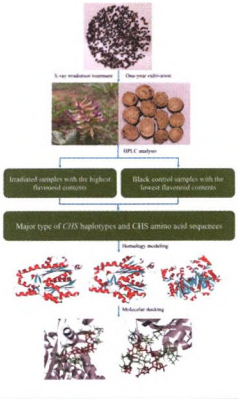
Using UPLC-Q-TOF/MS analysis method combined with pharmacodynamics, the serum metabolomics of renal fibrosis rats under the intervention of total extract of *Amygdalus mongolicus* was studied. Through the analysis of relevant biomarkers and metabolic pathways in serum samples, the mechanism of action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



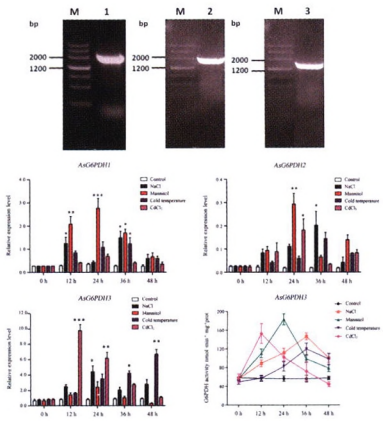
An LC-MS/MS method was applied to a study of bisthianostat and its *N*-hydroxyamide hydrolyzed metabolite M351 in humans. This study showed that the plasma exposure of M351 was about 11 times higher than the parent drug. It is suggested that the safety and efficacy of metabolites should be concerned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



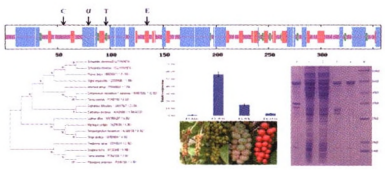
The microdialysis sampling and HPLC-MS/MS quantification method of free-form sinomenine, ligustrazine, gabapentin, paracetamol, pregabalin and amitriptyline in rat blood and brain extracellular fluid was established in this paper.



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



Three new *AsG6PDH* genes were isolated and identified from *Aquilaria sinensis*. The content of *AsG6PDH1* and *AsG6PDH2* was most significantly increased by drought stress, and the transcript level of *AsG6PDH3* was most significantly induced by metal stress. G6PDH activity was stimulated under salt, drought, low temperature and $CdCl_2$ treatments, and G6PDH activity was remarkably increased under drought stress.



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

ACTA PHARMACEUTICA SINICA

Volume 55 Number 9 2020 September

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

本期责任编辑 王 燕

药学学报 (YAOXUE XUEBAO)

(月刊, 1953 年 7 月创刊)

主管单位: 中国科学技术协会

主办单位: 中国药学会 (http://www.cpa.org.cn)
中国医学科学院药物研究所
(http://www.imm.ac.cn)

编辑出版: 药学学报编辑部 (100050 北京市先农坛街 1 号)
电话/传真: 86-10-63026192, 63035012;
电子信箱: yxxb@imm.ac.cn;
网址: http://www.yxxb.com.cn

主编: 王晓良

印刷: 北京科信印刷有限公司

国内订购: 全国各地邮电局

发行范围: 公开发行

国 内: 北京报刊发行局

国 外: 中国国际图书贸易集团有限公司
(北京市 399 信箱, 100044)

ACTA PHARMACEUTICA SINICA

(Monthly, Founded in 1953 July)

Directed by: China Association for Science and Technology

Sponsored by: Chinese Pharmaceutical Association
(http://www.cpa.org.cn)
Institute of Materia Medica, Chinese Academy of Medical Sciences (http://www.imm.ac.cn)

Edited and Published by: Editorial Office of Acta Pharmaceutica Sinica
(1 Xiannongtan Street, Beijing 100050).
Tel / Fax: 86-10-63026192, 63035012;
E-mail: yxxb@imm.ac.cn; http://www.yxxb.com.cn

Editor-in-chief: WANG Xiao-liang

Printed by: Beijing Kexin Printing Co., Ltd.

Domestic subscriptions: Local Post Offices

Distribution

Domestic: Beijing Post Offices

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

ISSN 0513-4870
CN 11-2163/R

2020 年 第 55 卷 第 9 期
2020, Vol. 55, No.9

2020 年 9 月 12 日出版
Publication Date: 2020-09-12

邮发代号: 2-233
Code number: M105
国内定价: 每期 40.00 元

ISSN 0513-4870