



## Graphical Abstracts/Bioorganic Chemistry 92 (2019) ii-xxxii

## REGULAR ARTICLES

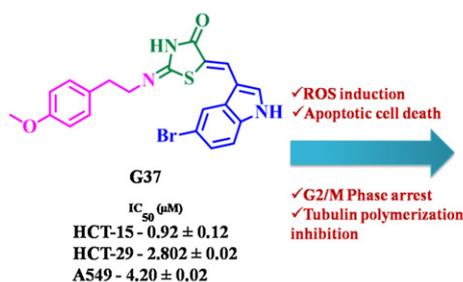
### Discovery of certain benzyl/phenethyl thiazolidinone-indole hybrids as potential anti-proliferative agents: Synthesis, molecular modeling and tubulin polymerization inhibition study

Dilep Kumar Sigalapalli<sup>a,b</sup>, Venkatesh Pooladanda<sup>c</sup>, Priti Singh<sup>b</sup>, Manasa Kadagathur<sup>b</sup>, Sravanthi Devi Guggilapu<sup>b</sup>, Jaya Lakshmi Uppu<sup>c</sup>, Neelima D. Tangellamudi<sup>b</sup>, Pavan Kumar Gangireddy<sup>b</sup>, Chandraiah Godugu<sup>c</sup>, Nagendra Babu Bathini<sup>a</sup>

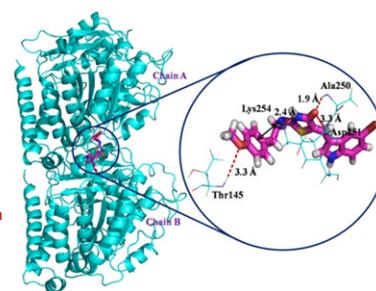
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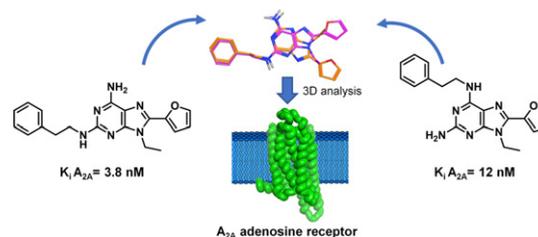
### New $A_{2A}$ adenosine receptor antagonists: a structure-based upside-down interaction in the receptor cavity

Catia Lambertucci<sup>a</sup>, Andrea Spinaci<sup>a</sup>, Michela Buccioni<sup>a</sup>, Diego Dal Ben<sup>a</sup>, Michael Alliance Ngouadjeu Ngnintedem<sup>a</sup>, Sonja Kachler<sup>b</sup>, Gabriella Marucci<sup>a</sup>, Karl-Norbert Klotz<sup>b</sup>, Rosaria Volpini<sup>a</sup>

<sup>a</sup>School of Pharmacy, Medicinal Chemistry Unit, University of Camerino, Camerino, Italy

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### Dual VEGFR-2/PIM-1 kinase inhibition towards surmounting the resistance to antiangiogenic agents via hybrid pyridine and thienopyridine-based scaffolds: Design, synthesis and biological evaluation

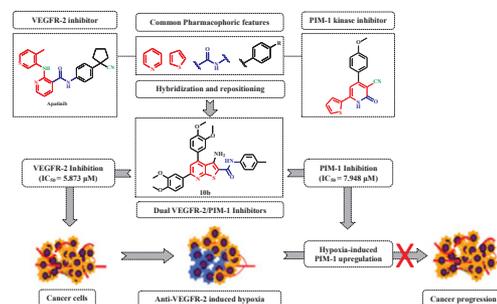
Ola H. Rizk<sup>a,b</sup>, Mohamed Teleb<sup>a</sup>, Marwa M. Abu-Serie<sup>c</sup>, Omaira G. Shaaban<sup>a,b</sup>

<sup>a</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Alexandria University, Alexandria 21521, Egypt

<sup>b</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy & Drug Manufacturing, Pharos University in Alexandria, Alexandria, Egypt

<sup>c</sup>Medical Biotechnology Department, Genetic Engineering and Biotechnology Research Institute, City of Scientific Research and Technological Applications (SRTA-City), Egypt

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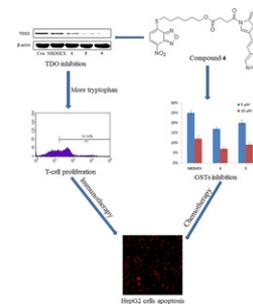


### Novel conjugates with dual suppression of glutathione S-transferases and tryptophan-2,3-dioxygenase activities for improving hepatocellular carcinoma therapy

Bioorganic Chemistry 92 (2019) 103191

Shixian Hua, Xinyi Wang, Feihong Chen, Shaohua Gou

Jiangsu Province Hi-Tech Key Laboratory for Biomedical Research, Southeast University, Nanjing 211189, China  
Pharmaceutical Research Center and School of Chemistry and Chemical Engineering, Southeast University, Nanjing 211189, China

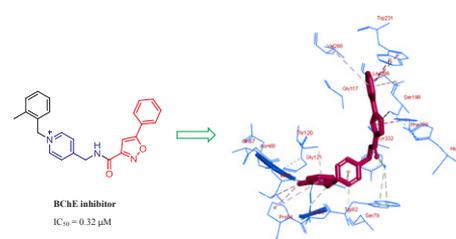


### Novel N-benzylpyridinium moiety linked to arylisoxazole derivatives as selective butyrylcholinesterase inhibitors: Synthesis, biological evaluation, and docking study

Bioorganic Chemistry 92 (2019) 103192

Fahimeh Vafadarnejad<sup>a</sup>, Elahe Karimpour-Razkenari<sup>b</sup>, Bilqees Sameem<sup>a</sup>, Mina Saeedi<sup>c,b</sup>, Omidreza Firuzi<sup>d</sup>, Najmeh Edraki<sup>d</sup>, Mohammad Mahdavi<sup>e</sup>, Tahmineh Akbarzadeh<sup>a,b</sup>

<sup>a</sup>Department of Medicinal Chemistry, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran  
<sup>b</sup>Persian Medicine and Pharmacy Research Center, Tehran University of Medical Sciences, Tehran, Iran  
<sup>c</sup>Medicinal Plants Research Center, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran  
<sup>d</sup>Medicinal and Natural Products Chemistry Research Center, Shiraz University of Medical Sciences, Shiraz, Iran  
<sup>e</sup>Endocrinology and Metabolism Research Center, Endocrinology and Metabolism Clinical Sciences Institute, Tehran University of Medical Sciences, Tehran, Iran

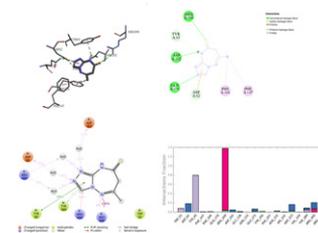


### Synthesis, biological activity and molecular modeling of a new series of condensed 1,2,4-triazoles

Bioorganic Chemistry 92 (2019) 103193

Youness El Bakri<sup>a,b</sup>, Ilias Marmouzi<sup>c</sup>, Meryem El Jemli<sup>c</sup>, El Hassane Anouar<sup>d</sup>, Subramani Karthikeyan<sup>b</sup>, Abdallah Harmaoui<sup>a</sup>, My El Abbas Faouzi<sup>c</sup>, Joel T. Mague<sup>e</sup>, El Mokhtar Essassi<sup>a</sup>

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<sup>b</sup>Organic Chemistry Department, Science Faculty, RUDN University Miklukho-Maklaya st. 6, 117198 Moscow, Russian Federation  
<sup>c</sup>University Mohammed V in Rabat, Faculté de Médecine et de Pharmacie, Laboratoire de Pharmacologie et Toxicologie, équipe de Pharmacocinétique, BP 6203, Rabat Instituts, Rabat, Morocco  
<sup>d</sup>Department of Chemistry, College of Sciences and Humanities, Prince Sattam bin Abdulaziz University, P.O. Box 83, Al Kharj 11942, Saudi Arabia  
<sup>e</sup>Department of Chemistry, Tulane University, New Orleans, LA 70118, USA

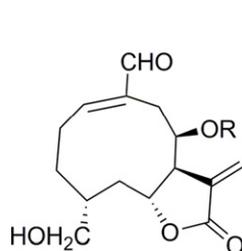


### Germacrane-type sesquiterpenoids with cytotoxic activity from *Sigesbeckia orientalis*

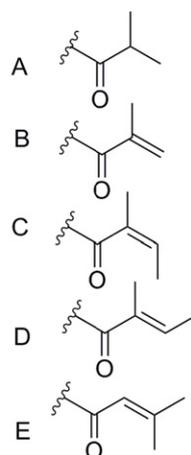
Na Liu<sup>a</sup>, Cong Wu<sup>a</sup>, Jin-hai Yu<sup>a</sup>, Kong-kai Zhu<sup>a</sup>, Mei-na Song<sup>a</sup>, Feng-ying Yang<sup>a</sup>, Run-liang Feng<sup>a</sup>, Yu-ying Zhang<sup>a</sup>, Wen-qiang Chang<sup>b</sup>, Hua Zhang<sup>a</sup>

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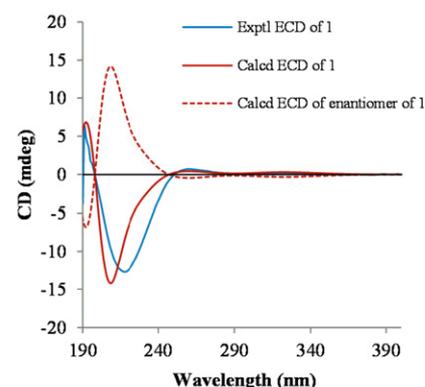
<sup>b</sup>Key Lab of Chemical Biology of Ministry of Education, Shandong University, 44 West Wenhua Road, Jinan 250012, China



- 1 R = A
- 2 R = B
- 3 R = C
- 4 R = D
- 5 R = E



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### Vernodalidimer L, a sesquiterpene lactone dimer from *Vernonia extensa* and anti-tumor effects of vernodalin, vernolepin, and vernolide on HepG2 liver cancer cells

Sanit Thongnest<sup>a</sup>, Pornsuda Chawengrum<sup>b</sup>, Siriporn Keeratchamroen<sup>c</sup>, Kriengsak Lirdprapamongkol<sup>c</sup>, Chatchakorn Eurtivong<sup>b</sup>, Jutatip Boonsombat<sup>a</sup>, Prasat Kittakoop<sup>b</sup>, Jisnusun Svasti<sup>c</sup>, Somsak Ruchirawat<sup>a,b,d</sup>

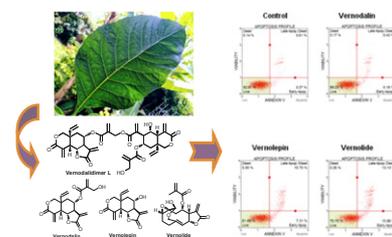
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### Chemical constituents from *Lonicera japonica* flower buds and their anti-hepatoma and anti-HBV activities

Lanlan Ge<sup>a,b,c</sup>, Lingyun Xiao<sup>a,b,c</sup>, Haoqiang Wan<sup>a,d</sup>, Jiemei Li<sup>a,d</sup>, Kongpeng Lv<sup>b,c</sup>, Shusong Peng<sup>d</sup>, Boping Zhou<sup>a</sup>, Tiyan Li<sup>e</sup>, Xiaobin Zeng<sup>a,b,d</sup>

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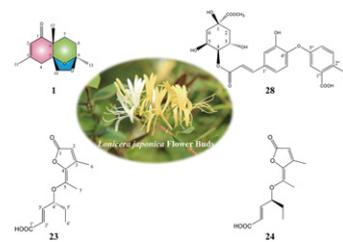
<sup>b</sup>Department of Infectious Disease, Shenzhen People's Hospital, 2nd Clinical Medical College of Jinan University, Shenzhen 518120, Guangdong Province, China

<sup>c</sup>Integrated Chinese and Western Medicine Postdoctoral Research Station, Jinan University, Guangzhou 510632, Guangdong Province, China

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### Biflavones from *Ginkgo biloba* as inhibitors of human thrombin

Tian-Ran Chen<sup>a,b,c,d,e</sup>, Ling-Hua Wei<sup>e,h</sup>,  
Xiao-Qing Guan<sup>e</sup>, Chao Huang<sup>e</sup>, Zhe-Yi Liu<sup>g</sup>,  
Fang-Jun Wang<sup>g</sup>, Jie Hou<sup>f</sup>, Qiang Jin<sup>e</sup>,  
Yi-Fan Liu<sup>a,b,c,d</sup>, Pei-Hao Wen<sup>a,b,c,d</sup>,  
Shui-Jun Zhang<sup>a,b,c,d</sup>, Guang-Bo Ge<sup>e</sup>,  
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<sup>b</sup>Henan Key Laboratory of Digestive Organ Transplantation, China

<sup>c</sup>Zhengzhou Key Laboratory of Hepatobiliary & Pancreatic Diseases and Organ Transplantation, China

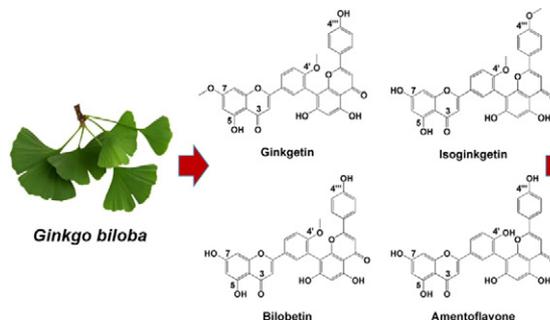
<sup>d</sup>Open and Key Laboratory of Hepatobiliary & Pancreatic Surgery and Digestive Organ Transplantation at Henan Universities, Zhengzhou 450001, China

<sup>e</sup>Institute of Interdisciplinary Integrative Medicine Research, Shanghai University of Traditional Chinese Medicine, Shanghai 201203, China

<sup>f</sup>College of Basic Medical Sciences, Dalian Medical University, Dalian 116044, China

<sup>g</sup>CAS Key Laboratory of Separation Sciences for Analytical Chemistry, Dalian Institute of Chemical Physics, Chinese Academy of Sciences, Dalian 116023, China

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The inhibitory effects of biflavones on human thrombin

Constituents	IC <sub>50</sub> (μM)	K <sub>i</sub> (μM)	Inhibition mode
Ginkgetin	8.05 ± 1.50	6.32	Mixed
Isoginkgetin	13.97 ± 0.92	11.01	Mixed
Bilobetin	9.39 ± 0.51	4.12	Mixed
Amentoflavone	17.83 ± 1.11	8.06	Mixed

### Ultrasound mediated efficient synthesis of new 4-oxoquinazolin-3(4H)-yl furan-2-carboxamides as potent tyrosinase inhibitors: Mechanistic approach through chemoinformatics and molecular docking studies

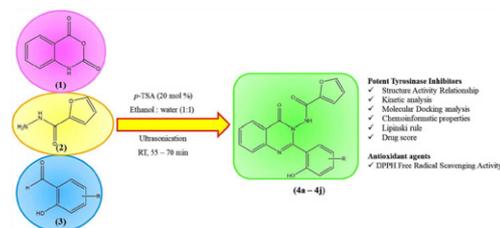
Nilam C. Dige<sup>a</sup>, Prasad G. Mahajan<sup>b</sup>, Hussain Raza<sup>a</sup>, Mubashir Hassan<sup>c</sup>, Balasaheb D. Vanjare<sup>b</sup>, Hansol Hong<sup>a</sup>, Ki Hwan Lee<sup>b</sup>, Jalifah latip<sup>d</sup>, Sung-Yum Seo<sup>a</sup>

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<sup>b</sup>Department of Chemistry, Kongju National University, Gongju, Chungnam 32588, Republic of Korea

<sup>c</sup>Institute of Molecular Biology and Biotechnology, The University of Lahore, Defence Road, Lahore 54590, Pakistan

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Bioorganic Chemistry 92 (2019) 103201

### Nusbiarylins, a new class of antimicrobial agents: Rational design of bacterial transcription inhibitors targeting the interaction between the NusB and NusE proteins

Yangyi Qiu<sup>a,b</sup>, Shu Ting Chan<sup>a,b</sup>, Lin Lin<sup>c</sup>, Tsun Lam Shek<sup>d</sup>, Tsz Fung Tsang<sup>e</sup>, Yufeng Zhang<sup>d</sup>, Margaret Ip<sup>c</sup>, Paul Kay-sheung Chan<sup>c,e</sup>, Nicolas Blanchard<sup>f</sup>, Gilles Hanquet<sup>g</sup>, Zhong Zuo<sup>d</sup>, Xiao Yang<sup>c</sup>, Cong Ma<sup>a,b</sup>

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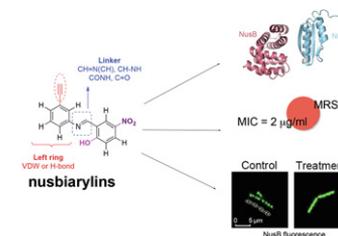
<sup>d</sup>School of Pharmacy, Faculty of Medicine, The Chinese University of Hong Kong, Shatin, New Territories, Hong Kong Special Administrative Region

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<sup>f</sup>Université de Haute-Alsace, Université de Strasbourg, CNRS, LIMA, UMR 7042, Mulhouse 68000, France

<sup>g</sup>Laboratoire d'Innovation Moléculaire et Applications, ECPM, UMR 7042, Université de Strasbourg/Université de Haute-Alsace, CNRS, 67000 Strasbourg, France

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### Novel 3,4-dihydro-4-oxoquinazoline-based acetohydrazides: Design, synthesis and evaluation of antitumor cytotoxicity and caspase activation activity

Bioorganic Chemistry 92 (2019) 103202

Le Cong Huan<sup>a,e</sup>, Phuong-Thao Tran<sup>a</sup>, Cao Viet Phuong<sup>a</sup>, Phan Huy Duc<sup>a</sup>, Duong Tien Anh<sup>a</sup>, Pham The Hai<sup>a</sup>, Le Thi Thu Huong<sup>b</sup>, Nguyen Thi Thuan<sup>a</sup>, Hye Jin Lee<sup>c</sup>, Eun Jae Park<sup>c</sup>, Jong Soon Kang<sup>d</sup>, Nguyen Phuong Linh<sup>f</sup>, Tran Trung Hieu<sup>f</sup>, Dao Thi Kim Oanh<sup>a</sup>, Sang-Bae Han<sup>c</sup>, Nguyen-Hai Nam<sup>a</sup>

<sup>a</sup>Hanoi University of Pharmacy, 13-15 Le Thanh Tong, Hanoi, Viet Nam

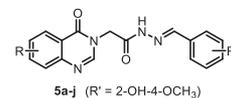
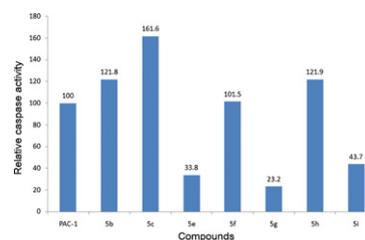
<sup>b</sup>School of Medicine and Pharmacy, Hanoi National University, 144 Xuan Thuy, Hanoi, Viet Nam

<sup>c</sup>College of Pharmacy, Chungbuk National University, 194-31, Osongsaengmyung-1, Heungdeok, Cheongju, Chungbuk 28160, Republic of Korea

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<sup>f</sup>Hanoi Amsterdam High School, Hanoi, Viet Nam



Novel (E)-N'-benzylidene-4-oxoquinazolin-3(4H)-yl acetohydrazides (5a-j) were potently cytotoxic. Some compounds strongly activated caspase-3 activity, arrested cells at G1 phase and significantly induced apoptosis.

### Biscoumarin-1,2,3-triazole hybrids as novel anti-diabetic agents: Design, synthesis, *in vitro* α-glucosidase inhibition, kinetic, and docking studies

Bioorganic Chemistry 92 (2019) 103206

Mohammad Sadegh Asgari<sup>a</sup>, Maryam Mohammadi-Khanaposhtani<sup>b</sup>, Mitra Kiani<sup>c</sup>, Parviz Rashidi Ranjbar<sup>a</sup>, Ebrahim Zabihi<sup>b</sup>, Roghayeh Pourbagher<sup>b</sup>, Rahmatollah Rahimi<sup>d</sup>, Mohammad Ali Faramarzi<sup>c</sup>, Mahmood Biglar<sup>e</sup>, Bagher Larijani<sup>e</sup>, Mohammad Mahdavi<sup>e</sup>, Haleh Hamedifar<sup>f</sup>, Mir Hamed Hajimiri<sup>g</sup>

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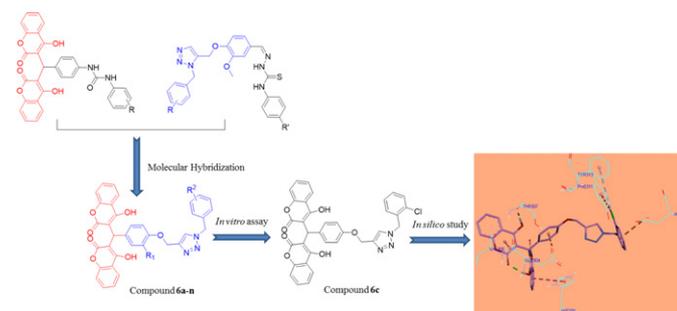
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<sup>e</sup>Endocrinology and Metabolism Research Center, Endocrinology and Metabolism Clinical Sciences Institute, Tehran University of Medical Sciences, Tehran, Iran

<sup>f</sup>CinnaGen Medical Biotechnology Research Center, Alborz University of Medical Sciences, Karaj, Iran

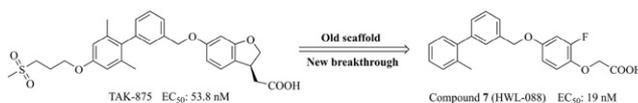
<sup>g</sup>Nano Alvand Company, Avicenna Tech Park, Tehran University of Medical Sciences, Tehran 1439955991, Iran



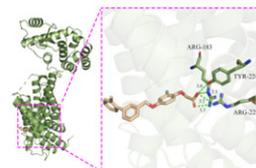
### Discovery of HWL-088: A highly potent FFA1/GPR40 agonist bearing a phenoxyacetic acid scaffold

Bioorganic Chemistry 92 (2019) 103209

Zheng Li<sup>a</sup>, Qiang Ren<sup>a</sup>, Xuekun Wang<sup>b</sup>, Zongtao Zhou<sup>a</sup>, Lijun Hu<sup>a</sup>, Liming Deng<sup>a</sup>, Li Guan<sup>a</sup>, Qianqian Qiu<sup>c</sup>



- Key SAR identified
- Preclinical candidate identified
- Stronger glucose-lowering effect than TAK-875



<sup>a</sup>School of Pharmacy, Guangdong Pharmaceutical University, Guangzhou 510006, PR China

<sup>b</sup>College of Pharmacy, Liaocheng University, Liaocheng 252059, PR China

<sup>c</sup>School of Pharmacy, Jiangsu Provincial Key Laboratory of Coastal Wetland Bioresources and Environmental Protection, Yancheng Teachers' University, Yancheng, PR China

### Spirocyclic sulfonamides with carbonic anhydrase inhibitory and anti-neuropathic pain activity

Y. Kalisha Vali<sup>a</sup>, Rambabu Gundla<sup>a</sup>, Om V. Singh<sup>a</sup>, Yasinalli Tamboli<sup>b</sup>, Lorenzo Di Cesare Manelli<sup>c</sup>, Carla Ghelardini<sup>c</sup>, Abdul-Malek S. Al-Tamimi<sup>d</sup>, Fabrizio Carta<sup>e</sup>, Andrea Angeli<sup>e,f</sup>, Claudiu T. Supuran<sup>e</sup>

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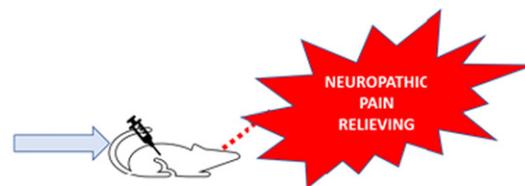
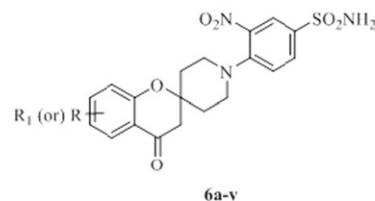
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<sup>c</sup>NEUROFARBA Department, Section of Pharmacology and Toxicology, Università degli Studi di Firenze, Viale Pieraccini 6, 50139 Florence, Italy

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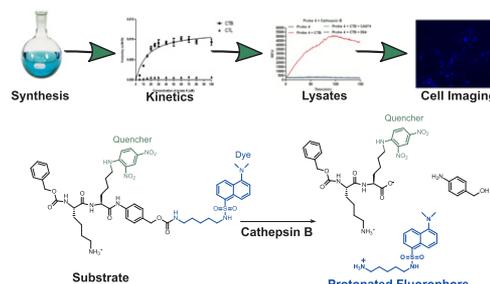
Bioorganic Chemistry 92 (2019) 103210

### Design and synthesis of fluorogenic substrate-based probes for detecting Cathepsin B activity

Shusheng Wang<sup>a</sup>, Brady G. Vigliarolo<sup>a</sup>, Morshed A. Chowdhury<sup>a</sup>, Jennifer N.K. Nyarko<sup>b</sup>, Darrell D. Mousseau<sup>b</sup>, Christopher P. Phenix<sup>a</sup>

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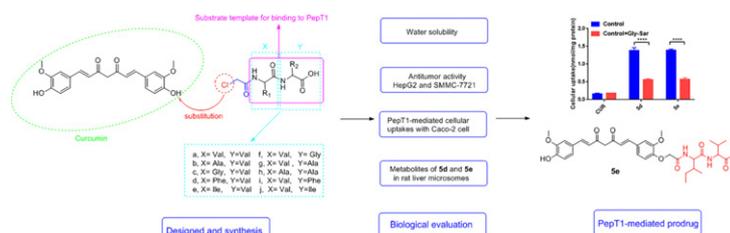


Bioorganic Chemistry 92 (2019) 103194

### Synthesis and biological evaluation of a novel series of curcumin-peptide derivatives as PepT1-mediated transport drugs

Jiyun Zhang, Hongmei Wen, Fei Shen, Xinzhi Wang, Chenxiao Shan, Chuan Chai, Jian Liu, Wei Li

School of Pharmacy, Nanjing University of Chinese Medicine, Nanjing 210023, PR China



Bioorganic Chemistry 92 (2019) 103163

### Physapubescin I from husk tomato suppresses SW1990 cancer cell growth by targeting kidney-type glutaminase

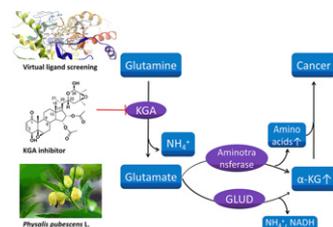
Kai-Yin Yang<sup>a</sup>, Can-Rong Wu<sup>a</sup>, Meng-Zhu Zheng<sup>a</sup>, Ruo-Tian Tang<sup>a</sup>, Xing-Zhou Li<sup>c</sup>, Li-Xia Chen<sup>b</sup>, Hua Li<sup>a,b</sup>

<sup>a</sup>Hubei Key Laboratory of Natural Medicinal Chemistry and Resource Evaluation, School of Pharmacy, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430030, China

<sup>b</sup>Wuya College of Innovation, Key Laboratory of Structure-Based Drug Design & Discovery, Ministry of Education, Shenyang Pharmaceutical University, Shenyang 110016, China

<sup>c</sup>National Engineering Research Center for the Emergency Drug, Beijing Institute of Pharmacology and Toxicology, Beijing 100850, China

Bioorganic Chemistry 92 (2019) 103186

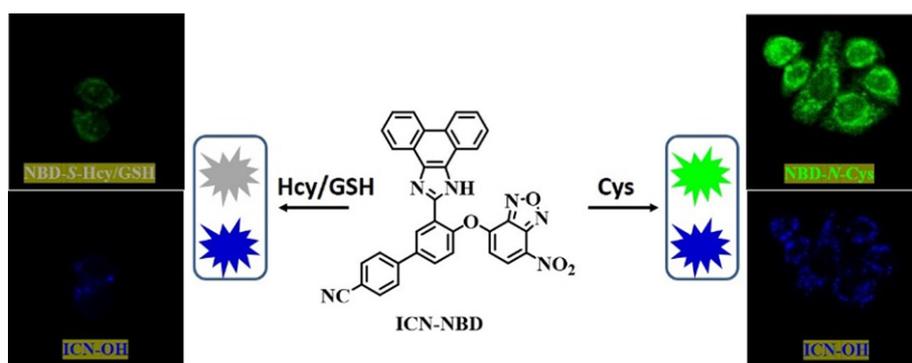


### A dual-emission fluorescent probe for discriminating cysteine from homocysteine and glutathione in living cells and zebrafish models

Zhengliang Lu, Yanan Lu, Xin Sun, Chunhua Fan, Zongyi Long, Liying Gao

School of Chemistry and Chemical Engineering, University of Jinan, Jinan 250022, China

Bioorganic Chemistry 92 (2019) 103215



### Discovery of indoline derivatives that inhibit esophageal squamous cell carcinoma growth by Noxa mediated apoptosis

Dong-Jun Fu<sup>a,b,c</sup>, Miaomiao Li<sup>a</sup>, Sai-Yang Zhang<sup>a,d</sup>, Jiang-Feng Li<sup>a</sup>, Beibei Sha<sup>a</sup>, Longhao Wang<sup>a</sup>, Yan-Bing Zhang<sup>b,c</sup>, Ping Chen<sup>a,d</sup>, Tao Hu<sup>a</sup>

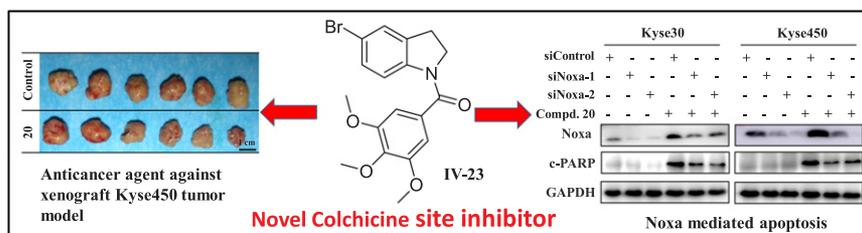
<sup>a</sup>School of Basic Medical Sciences, Zhengzhou University, Zhengzhou 450001, China

<sup>b</sup>New Drug Research & Development Center, School of Pharmaceutical Sciences, Zhengzhou University, Zhengzhou 450001, China

<sup>c</sup>Collaborative Innovation Center of New Drug Research and Safety Evaluation, Zhengzhou 450001, China

<sup>d</sup>Henan Institutes of Advanced Technology, Zhengzhou University, Zhengzhou 450001, China

Bioorganic Chemistry 92 (2019) 103190



### Pyrazole[3,4-*d*] pyridazine derivatives: Molecular docking and explore of acetylcholinesterase and carbonic anhydrase enzymes inhibitors as anticholinergics potentials

Bioorganic Chemistry 92 (2019) 103213

Parham Taslimi<sup>a</sup>, Fikret Türkan<sup>b</sup>, Adnan Cetin<sup>c</sup>, Hakan Burhan<sup>d</sup>, Muhammet Karaman<sup>e,f</sup>, Ishak Bildirici<sup>g</sup>, İlhami Gülçin<sup>h</sup>, Fatih Sen<sup>d</sup>

<sup>a</sup>Department of Biotechnology, Faculty of Science, Bartın University, 74100 Bartın, Turkey

<sup>b</sup>Health Services Vocational School, Iğdır University, 76000 Iğdir, Turkey

<sup>c</sup>Department of Science, Faculty of Education, Mus Alparslan University, 49250 Mus, Turkey

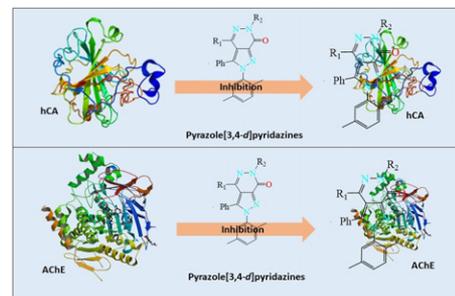
<sup>d</sup>Sen Research Group, Biochemistry Department, Faculty of Arts and Science, Dumlupınar University, Evliya Çelebi Campus, 43100 Kütahya, Turkey

<sup>e</sup>Department of Molecular Biology and Genetics, Faculty of Arts and Science, Kilis 7 Aralık University, 79000 Kilis, Turkey

<sup>f</sup>Advanced Technology Application and Research Center (ATACR), Kilis 7 Aralık University, 79000 Kilis, Turkey

<sup>g</sup>Van Yuzuncu Yıl University, Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Van, Turkey

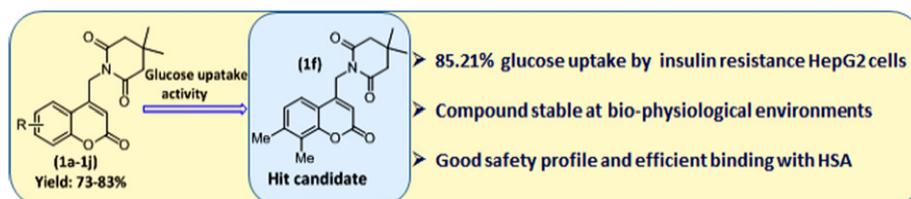
<sup>h</sup>Department of Chemistry, Faculty of Science, Atatürk University, 25240 Erzurum, Turkey



### Coumarin tethered cyclic imides as efficacious glucose uptake agents and investigation of hit candidate to probe its binding mechanism with human serum albumin

Bioorganic Chemistry 92 (2019) 103212

Dinesh S. Reddy<sup>a</sup>, Manasa Kongot<sup>a</sup>, Vishal Singh<sup>b</sup>, Neha Maurya<sup>c</sup>, Rajan Patel<sup>c</sup>, Nitin Kumar Singhal<sup>b</sup>, Fernando Avecilla<sup>d</sup>, Amit Kumar<sup>a</sup>



<sup>a</sup>Centre for Nano and Material Sciences, Jain University, Jain Global Campus, Jakkasandra Post, Bangalore 562112, India

<sup>b</sup>National Agri Food Biotechnology Institute, Mohali 140306, India

<sup>c</sup>Biophysical Chemistry Laboratory, Centre for Interdisciplinary Research in Basic Sciences, Jamia Millia Islamia (A Central University), New Delhi 110025, India

<sup>d</sup>Grupo Xenomar, Centro de Investigaciones Científicas Avanzadas (CICA), Departamento de Química, Facultade de Ciencias, Universidade da Coruña, Campus de A Coruña, 15071 A Coruña, Spain

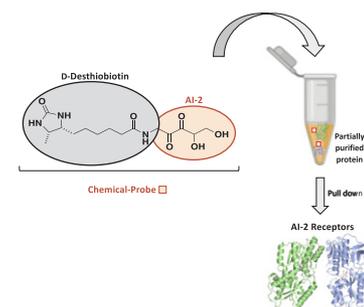
### Synthesis of D-desthiobiotin-AI-2 as a novel chemical probe for autoinducer-2 quorum sensing receptors

Bioorganic Chemistry 92 (2019) 103200

Vanessa Miranda<sup>a</sup>, Inês M. Torcato<sup>a,b</sup>, Karina B. Xavier<sup>b</sup>, M. Rita Ventura<sup>a</sup>

<sup>a</sup>Instituto de Tecnologia Química e Biológica António Xavier, Universidade Nova de Lisboa, Avenida da República, 2780-157 Oeiras, Portugal

<sup>b</sup>Instituto Gulbenkian da Ciência, 2781-901 Oeiras, Portugal



**The application of safe for humans and the environment Polyversum antifungal agent containing living cells of *Pythium oligandrum* for biotransformation of prochiral ketones**

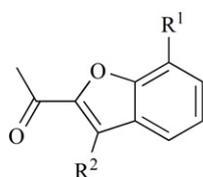
Renata Kolodziejska<sup>a</sup>, Renata Studzinska<sup>b</sup>, Agnieszka Tafelska-Kaczmarek<sup>c</sup>, Hanna Pawluk<sup>a</sup>, Marcin Kwit<sup>d</sup>, Bartosz Stasiak<sup>d</sup>, Alina Wozniak<sup>a</sup>

<sup>a</sup>Nicolaus Copernicus University in Torun, Collegium Medicum in Bydgoszcz, Faculty of Medicine, Department of Medical Biology and Biochemistry, Karłowicza 24, 85-092 Bydgoszcz, Poland

<sup>b</sup>Nicolaus Copernicus University in Torun, Collegium Medicum in Bydgoszcz, Faculty of Pharmacy, Department of Organic Chemistry, Jurasza 2, 85-089 Bydgoszcz, Poland

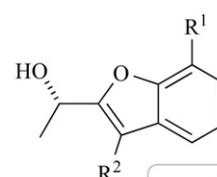
<sup>c</sup>Nicolaus Copernicus University in Torun, Department of Chemistry, Gagarina 7, 87-100 Torun, Poland

<sup>d</sup>Adam Mickiewicz University, Department of Chemistry, Umultowska 89B, 61-614 Poznan, Poland



**POLYVERSUM**  
antifungal agent containing  
*Pythium oligandrum*

Degree of conversion  
**up to 98.1%**



**ee**  
**up to 99%**

Bioorganic Chemistry 92 (2019) 103204

**Synthesis, *in-vitro* cholinesterase inhibition, *in-vivo* anticonvulsant activity and *in-silico* exploration of *N*-(4-methylpyridin-2-yl)thiophene-2-carboxamide analogs**

Gulraiz Ahmad<sup>a</sup>, Nasir Rasool<sup>a</sup>, Komal Rizwan<sup>a,b</sup>, Imran Imran<sup>c</sup>, Ameer Fawad Zahoor<sup>a</sup>, Muhammad Zubair<sup>a</sup>, Abdul Sadiq<sup>d</sup>, Umer Rashid<sup>e</sup>

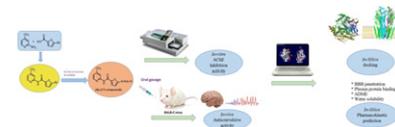
<sup>a</sup>Department of Chemistry, Government College University, Faisalabad 38000, Pakistan

<sup>b</sup>Department of Chemistry, Government College Women University, Faisalabad 38000, Pakistan

<sup>c</sup>Department of Pharmacology, Faculty of Pharmacy, Bahauddin Zakariya University 60800 Multan, Pakistan

<sup>d</sup>Department of Pharmacy, University of Malakand, Chakdara 18000 Dir (L), Pakistan

<sup>e</sup>Department of Chemistry, COMSATS University Islamabad, Abbottabad Campus, 22060, Pakistan



Bioorganic Chemistry 92 (2019) 103216

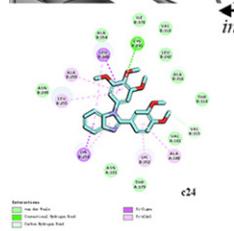
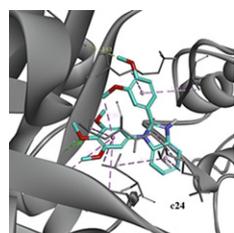
**Synthesis, anticancer activity and molecular docking studies on 1,2-diarylbenzimidazole analogues as anti-tubulin agents**

Ya-Liang Zhang<sup>a</sup>, Rong Yang<sup>a</sup>, Lin-Ying Xia<sup>a</sup>, Ruo-Jun Man<sup>a,b</sup>, Yi-Chun Chu<sup>a</sup>, Ai-Qin Jiang<sup>c</sup>, Zhong-Chang Wang<sup>a</sup>, Hai-Liang Zhu<sup>a</sup>

<sup>a</sup>State Key Laboratory of Pharmaceutical Biotechnology, School of Life Sciences, Nanjing University, Nanjing 210023, People's Republic of China

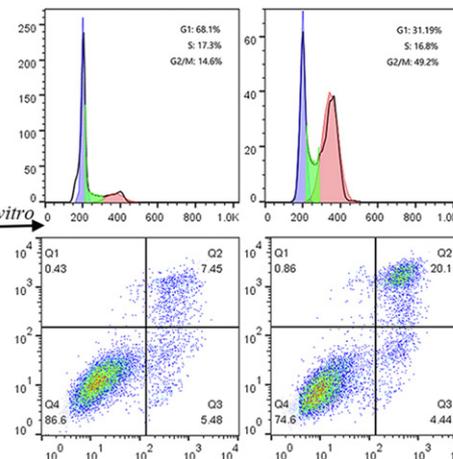
<sup>b</sup>Guangxi Biological Polysaccharide Separation, Purification and Modification Research Platform, Guangxi University for Nationalities, Nanning 530006, People's Republic of China

<sup>c</sup>Medical School, Nanjing University, Nanjing 210093, People's Republic of China



*in vitro*

*in silico*



Bioorganic Chemistry 92 (2019) 103219

### Design, synthesis, and molecular docking study of new piperazine derivative as potential antimicrobial agents

Mahadev Patil<sup>a</sup>, Anurag Noonikara Poyil<sup>b</sup>,  
Shrinivas D. Joshi<sup>c</sup>, Shivaputra A. Patil<sup>d</sup>,  
Siddappa A. Patil<sup>e</sup>, Alejandro Bugarin<sup>e</sup>

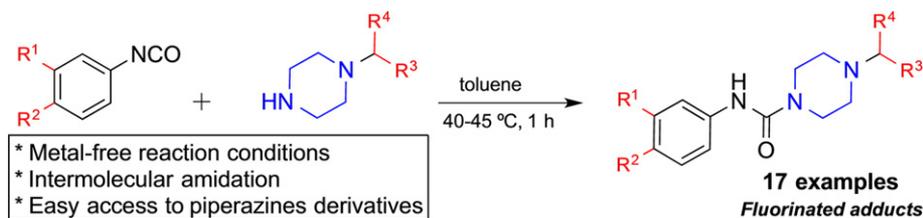
<sup>a</sup>Centre for Nano & Material Sciences, Jain  
University, Jain Global Campus, Bangalore 562112,  
Karnataka, India

<sup>b</sup>Department of Chemistry & Biochemistry,  
University of Texas at Arlington, Arlington, TX  
76019, USA

<sup>c</sup>Novel Drug Design and Discovery Laboratory,  
Department of Pharmaceutical Chemistry, S. E. T's  
College of Pharmacy, Sangolly Rayanna Nagar,  
Dharwad 580 002, Karnataka, India

<sup>d</sup>Pharmaceutical Sciences Department, College of  
Pharmacy, Rosalind Franklin University of Medicine  
and Science, 3333 Green Bay Road, North Chicago,  
IL 60064, USA

<sup>e</sup>Department of Chemistry and Physics, Florida Gulf  
Coast University, Fort Myers, FL 33965, USA



- \* Metal-free reaction conditions
- \* Intermolecular amidation
- \* Easy access to piperazines derivatives

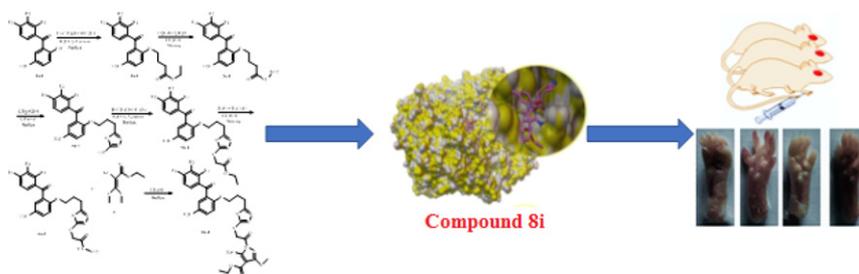
Bioorganic Chemistry 92 (2019) 103217

### Design, synthesis and molecular docking of benzophenone conjugated with oxadiazole sulphur bridge pyrazole pharmacophores as anti-inflammatory and analgesic agents

Zabiulla<sup>a</sup>, A.R. Gulnaz<sup>b</sup>,  
Yasser Hussein Eissa Mohammed<sup>a</sup>,  
Shaukath Ara Khanum<sup>a</sup>

<sup>a</sup>Department of Chemistry, Yuvaraja's College  
(Autonomous), University of Mysore, Mysuru, Karnataka,  
India

<sup>b</sup>Department of Biochemistry, Farooqia Dental College,  
Mysuru, Karnataka, India



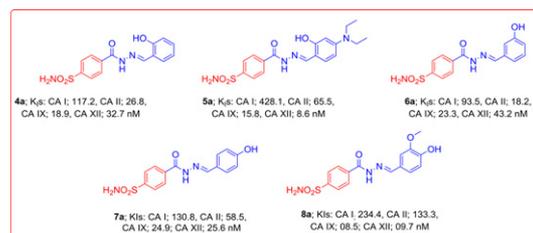
Bioorganic Chemistry 92 (2019) 103220

### Synthesis and comparative carbonic anhydrase inhibition of new Schiff's bases incorporating benzenesulfonamide, methanesulfonamide, and methylsulfonylbenzene scaffolds

Adel S. El-Azab<sup>a</sup>, Alaa A.-M. Abdel-Aziz<sup>a</sup>, Silvia Bua<sup>b</sup>, Alessio Nocentini<sup>b</sup>,  
Mohammed M. Alanazi<sup>a</sup>, Nawaf A. AlSai<sup>a</sup>, Ibrahim A. Al-Suwaidan<sup>a</sup>,  
Mohamed M. Hefnawy<sup>a</sup>, Claudiu T. Supuran<sup>b</sup>

<sup>a</sup>Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, P.O. Box 2457,  
Riyadh 11451, Saudi Arabia

<sup>b</sup>Università degli Studi di Firenze, Dipartimento Neurofarba, Sezione di Scienze Farmaceutiche e  
Nutraceutiche, Via U. Schiff 6, 50019 Sesto Fiorentino, Florence, Italy

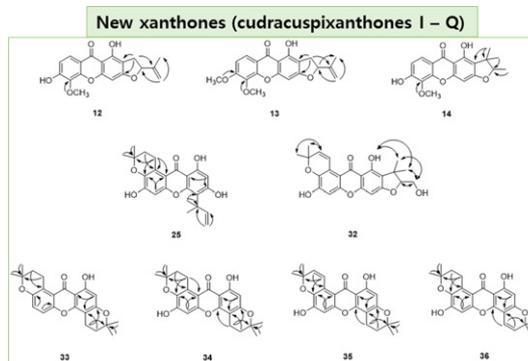


Bioorganic Chemistry 92 (2019) 103225

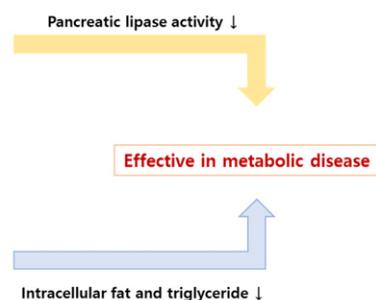
### Xanthenes from the stems of *Cudrania tricuspidata* and their inhibitory effects on pancreatic lipase and fat accumulation

Yang Hee Jo<sup>a</sup>, Seon Beom Kim<sup>a</sup>,  
Jong Hoon Ahn<sup>a</sup>, Ayman Turk<sup>a</sup>,  
Eun-Bin Kwon<sup>b</sup>, Mun-Ock Kim<sup>b</sup>,  
Bang Yeon Hwang<sup>a</sup>, Mi Kyeong Lee<sup>a</sup>

<sup>a</sup>College of Pharmacy, Chungbuk National University, Cheongju 28160, Republic of Korea  
<sup>b</sup>Natural Medicine Research Center, Korea Research Institute of Bioscience and Biotechnology (KRIBB), Cheongju 28116, Republic of Korea



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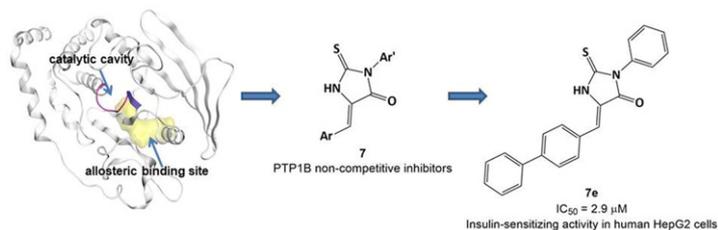
### Design and evaluation of non-carboxylate 5-arylidene-2-thioxo-4-imidazolidinones as novel non-competitive inhibitors of protein tyrosine phosphatase 1B

Rosaria Ottanà<sup>a</sup>, Paolo Paoli<sup>b</sup>, Giulia Lori<sup>b</sup>, Ilenia Adornato<sup>a</sup>,  
Santo Previti<sup>a</sup>, Alexandra Naß<sup>c</sup>, Gerhard Wolber<sup>c</sup>, Rosanna Maccari<sup>a</sup>

<sup>a</sup>Department of Chemical, Biological, Pharmaceutical and Environmental Sciences, University of Messina, Polo Universitario Annunziata, Viale SS. Annunziata, 98168 Messina, Italy

<sup>b</sup>Department of Experimental and Clinical Biomedical Sciences "Mario Serio", University of Firenze, Viale Morgagni 50, 50134 Firenze, Italy

<sup>c</sup>Institute of Pharmacy, Computer-Aided Molecular Design, Freie Universität Berlin, Koenigin-Luisestr. 2 + 4, 14195 Berlin, Germany



Bioorganic Chemistry 92 (2019) 103211

### Chemical constituents from *Alismatis Rhizoma* and their anti-inflammatory activities *in vitro* and *in vivo*

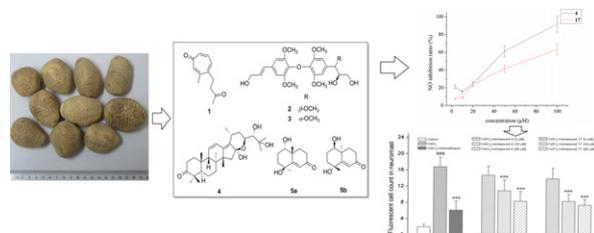
Shan-shan Liu<sup>a,b</sup>, Wen-long Sheng<sup>c</sup>, Yun Li<sup>a</sup>, Shan-shan Zhang<sup>c</sup>, Jing-jing Zhu<sup>a</sup>,  
Hui-min Gao<sup>a</sup>, Li-hua Yan<sup>a</sup>, Zhi-min Wang<sup>a</sup>, Lu Gao<sup>d</sup>, Mei Zhang<sup>b</sup>

<sup>a</sup>National Engineering Laboratory for Quality Control Technology of Chinese Herbal Medicines, Institute of Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100700, China

<sup>b</sup>Beijing Key Laboratory of Organic Materials Testing Technology and Quality Evaluation, Beijing Center for Physical and Chemical Analysis, Beijing 100089, China

<sup>c</sup>Biology Institute, Qilu University of Technology (Shandong Academy of Sciences), Jinan 250103, China

<sup>d</sup>Xiuzheng Pharmaceutical Group Co., Ltd, Tonghua 134000, China



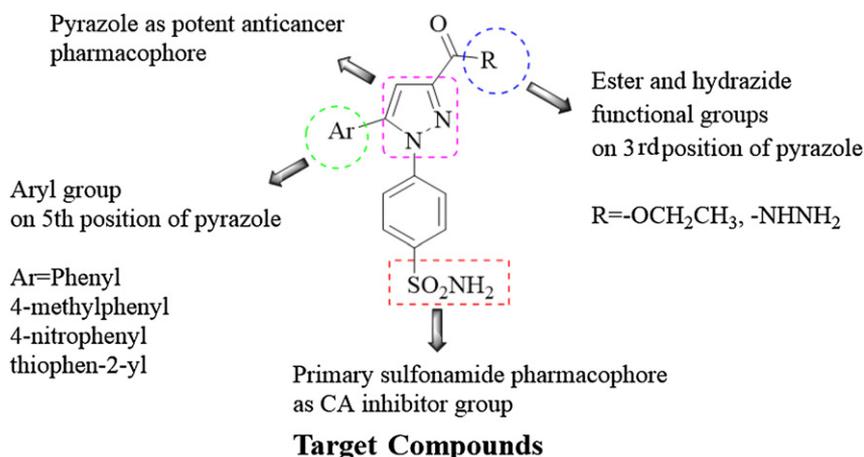
Bioorganic Chemistry 92 (2019) 103226

**Synthesis, biological evaluation and *in silico* modelling studies of 1,3,5-trisubstituted pyrazoles carrying benzenesulfonamide as potential anticancer agents and selective cancer-associated hCA IX isoenzyme inhibitors**

Cem Yamali<sup>a</sup>, Halise Inci Gul<sup>a</sup>, Abdulilah Ece<sup>b</sup>, Silvia Bua<sup>c</sup>, Andrea Angeli<sup>c</sup>, Hiroshi Sakagami<sup>d</sup>, Ertan Sahin<sup>e</sup>, Claudiu T. Supuran<sup>c</sup>

<sup>a</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ataturk University, Erzurum, Turkey  
<sup>b</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Biruni University, Istanbul, Turkey  
<sup>c</sup>Neurofarba Department, Sezione di Scienza Farmaceutiche e Nutraceutiche, Università degli Studi di Firenze, Via U. Schiff 6, 50019 Sesto Fiorentino (Florence), Italy  
<sup>d</sup>Meikai University Research Institute of Odontology (M-RIO), Sakado, Saitama 350-0283, Japan  
<sup>e</sup>Department of Chemistry, Faculty of Science, Ataturk University, Erzurum, Turkey

Bioorganic Chemistry 92 (2019) 103222

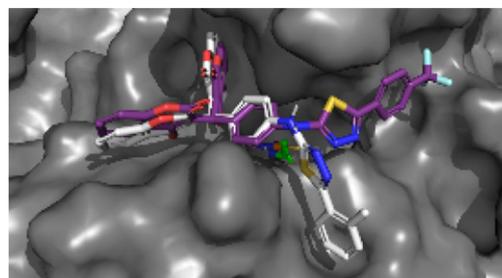


**Design, synthesis, *in vitro* evaluation, molecular docking and ADME properties studies of hybrid bis-coumarin with thiaziazole as a new inhibitor of Urease**

Munther Alomari<sup>a</sup>, Muhammad Taha<sup>b</sup>, Syahrul Imran<sup>c</sup>, Waqas Jamil<sup>d</sup>, Manikandan Selvaraj<sup>e</sup>, Nizam Uddin<sup>f</sup>, Fazal Rahim<sup>g</sup>

<sup>a</sup>Department of Stem Cell Biology, Institute for Research and Medical Consultations, Imam Abdulrahman Bin Faisal University, P.O. Box. 1982, Dammam 31441, Saudi Arabia  
<sup>b</sup>Department of Clinical Pharmacy, Institute for Research and Medical Consultations (IRMC), Imam Abdulrahman Bin Faisal University, P.O. Box 1982, Dammam 31441, Saudi Arabia  
<sup>c</sup>Atta-ur-Rahman Institute for Natural Products Discovery (AuRIIns), Universiti Teknologi MARA Cawangan Selangor Kampus Puncak Alam, 42300 Bandar Puncak Alam, Selangor D. E., Malaysia  
<sup>d</sup>Institute of Advance Research Studies in Chemical Sciences, University of Sindh Jamshoro, Hyderabad 76080, Pakistan  
<sup>e</sup>Monash University School of Chemical Engineering, 47500 Selangor Alam Campus, Bandar Subway 42300, Malaysia  
<sup>f</sup>Department of Chemistry, University of Karachi, Karachi 75270, Pakistan  
<sup>g</sup>Department of Chemistry, Hazara University, Mansehra 21300, Khyber Pakhtunkhwa, Pakistan

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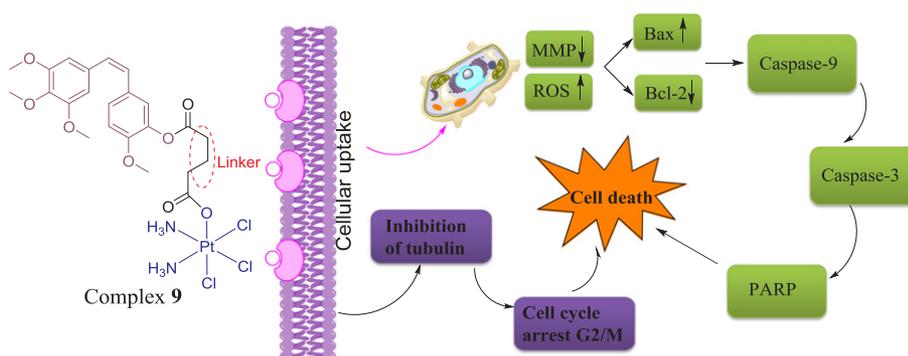
Synthesis of (1-18) hybrid bis-coumarin with thiaziazole as a new inhibitor of Urease

**Dual-targeting antitumor conjugates derived from platinum(IV) prodrugs and microtubule inhibitor CA-4 significantly exhibited potent ability to overcome cisplatin resistance**

Xiaochao Huang<sup>a</sup>, Meng Wang<sup>a</sup>, Chungu Wang<sup>b</sup>, Weiwei Hu<sup>a</sup>, Qinghong You<sup>a</sup>, Yong Yang<sup>a</sup>, Chunhao Yu<sup>a</sup>, Zhixin Liao<sup>b</sup>, Shaohua Gou<sup>b</sup>, Hengshan Wang<sup>c</sup>

<sup>a</sup>Jiangsu Key Laboratory of Regional Resource Exploitation and Medicinal Research, Huaiyin Institute of Technology, Huaian 223003, China  
<sup>b</sup>Jiangsu Province Hi-Tech Key Laboratory for Biomedical Research, Southeast University, Nanjing 211189, China  
<sup>c</sup>State Key Laboratory for the Chemistry and Molecular Engineering of Medicinal Resources, School of Chemistry and Pharmaceutical Sciences of Guangxi Normal University, Guilin 541004, China

Bioorganic Chemistry 92 (2019) 103236



### Development of novel chromeno [4,3-c] pyrazol-4(2H)-one derivates containing piperazine as inhibitors of PI3Ka

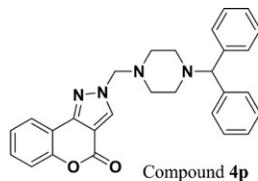
Yong Yin<sup>a,b</sup>, Yang Zhou<sup>b,d</sup>, Shao Sha<sup>b</sup>, Xun Wu<sup>b</sup>, She-Feng Wang<sup>b</sup>, Fang Qiao<sup>b</sup>, Zhong-Cheng Song<sup>b,c</sup>, Hai-Liang Zhu<sup>b</sup>

<sup>a</sup>Jiangsu Key Laboratory of Bioactive Natural Product Research and State Key Laboratory of Natural Medicines, Department of Natural Medicinal Chemistry, School of Traditional Chinese Pharmacy, China Pharmaceutical University, 24 Tong Jia Xiang, Nanjing 210009, People's Republic of China

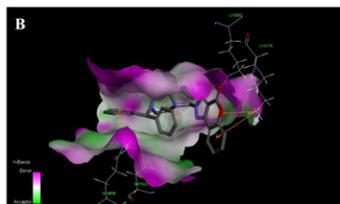
<sup>b</sup>State Key Laboratory of Pharmaceutical Biotechnology, Nanjing University, Nanjing 210093, People's Republic of China

<sup>c</sup>School of Chemistry & Environmental Engineering, Jiangsu University of Technology, 1801 Zhongwu Rd., Changzhou, Jiangsu 213001, People's Republic of China

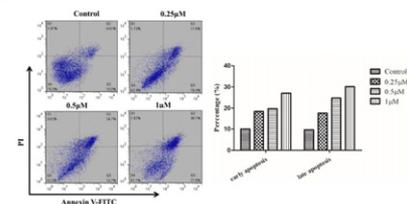
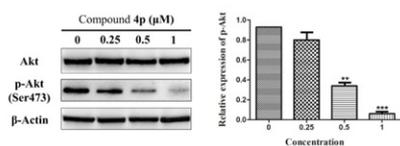
<sup>d</sup>Polymers and Composites Division, Ningbo Institute of Materials Technology and Engineering, Chinese Academy of Sciences, 1219 Zhongguan West Rd, Zhenhai District, Ningbo 315201, People's Republic of China



HCT-116: 0.05  $\mu$ M PI3Ka (wild-type): 0.012  $\mu$ M  
PI3Ka (H1047R mutant): 0.01  $\mu$ M



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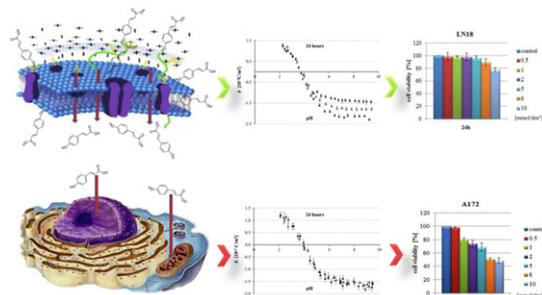
### The modulating effect of lipid bilayer/p-coumaric acid interactions on electrical properties of model lipid membranes and human glioblastoma cells

Monika Naumowicz<sup>a</sup>, Magdalena Kusaczuk<sup>b</sup>, Marcin Andrzej Kruszewski<sup>a</sup>, Miroslav Gál<sup>c</sup>, Rafal Kretowski<sup>b</sup>, Marzanna Cechowska-Pasko<sup>b</sup>, Joanna Kotynska<sup>a</sup>

<sup>a</sup>Institute of Chemistry, University of Białystok, K. Ciolkowskiego 1K, 15-245 Białystok, Poland

<sup>b</sup>Department of Pharmaceutical Biochemistry, Medical University of Białystok, Mickiewicza 2A, 15-222 Białystok, Poland

<sup>c</sup>Slovak University of Technology, Faculty of Chemical and Food Technology, Department of Inorganic Technology, Radlinského 9, 812 37 Bratislava, Slovakia



Bioorganic Chemistry 92 (2019) 103242

### Design, synthesis and biological evaluation of theophylline containing variant acetylene derivatives as $\alpha$ -amylase inhibitors

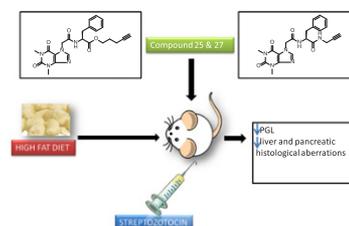
Radhakrishnam Raju Ruddaraju<sup>a</sup>, Gangarapu Kiran<sup>b</sup>, Adharvana Chari Murugulla<sup>a</sup>, Ravichandar Maroju<sup>c</sup>, Devarakonda Krishna Prasad<sup>b</sup>, Boyina Hemanth Kumar<sup>b</sup>, Vasudha Bakshi<sup>b</sup>, Nukala Shravya Reddy<sup>b</sup>

<sup>a</sup>Dr. MACS Bio-Pharma Pvt. Ltd, Factory: Plot-79/B&C, Pashamylaram, Patancheru, Medak (Dist) 502307, Telangana, India

<sup>b</sup>Department of Pharmacy, Anurag Group of Institutions, School of Pharmacy, Venkatapur, Ghatkesar, Medchal, Telangana 500 088, India

<sup>c</sup>Mahatma Gandhi Institute of Technology, Gandipet, Hyderabad 500 075, Telangana, India

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### New series of fused pyrazolopyridines: Synthesis, molecular modeling, antimicrobial, anti-quorum-sensing and antitumor activities

N.S. El-Gohary<sup>a</sup>, S.S. Hawas<sup>a,b</sup>, M.T. Gabr<sup>a,c</sup>, M.I. Shaaban<sup>d</sup>, M.B. El-Ashmary<sup>a</sup>

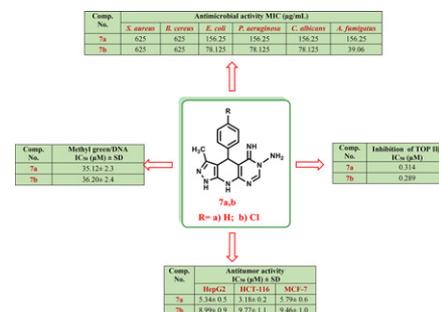
<sup>a</sup>Department of Medicinal Chemistry, Faculty of Pharmacy, Mansoura University, Mansoura 35516, Egypt

<sup>b</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Horus University, New Damietta, Egypt

<sup>c</sup>Department of Chemistry, University of Iowa, Iowa City, IA 52242, USA

<sup>d</sup>Department of Microbiology, Faculty of Pharmacy, Mansoura University, Mansoura 35516, Egypt

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### Design, synthesis and molecular docking of novel pyrazolo [1,5-a] [1,3,5] triazine derivatives as CDK2 inhibitors

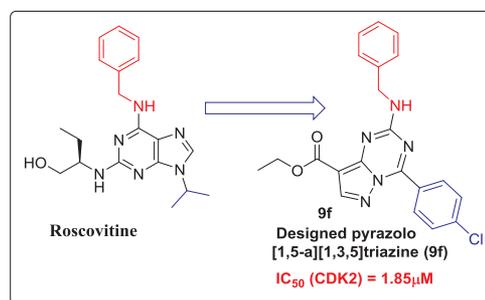
Khulood H. Oudah<sup>a,b</sup>, Mazin A.A. Najm<sup>a,b</sup>, Nermin Samir<sup>a</sup>, Rabah A.T. Serya<sup>a</sup>, Khaled A.M. Abouzid<sup>a,c</sup>

<sup>a</sup>Pharmaceutical Chemistry Department, Faculty of Pharmacy, Ain Shams University, Abbassia, Cairo 11566, Egypt

<sup>b</sup>Pharmaceutical Chemistry Department, College of Pharmacy, Al-Ayen University, Ti-Qar, Iraq

<sup>c</sup>Department of Organic and Medicinal Chemistry, Faculty of Pharmacy, University of Sadat City, Sadat City, Menoufia, Egypt

Bioorganic Chemistry 92 (2019) 103239

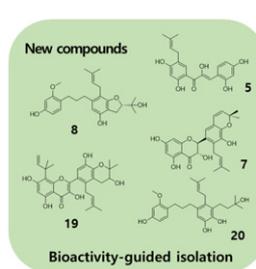


### Anti-inflammatory flavonoids from root bark of *Broussonetia papyrifera* in LPS-stimulated RAW264.7 cells

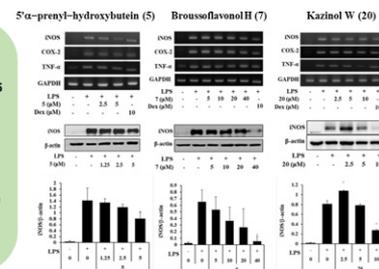
Hyung Won Ryu<sup>a</sup>, Mi Hyeon Park<sup>b</sup>, Ok-Kyoung Kwon<sup>a</sup>, Doo-Young Kim<sup>a</sup>, Jung-Yeon Hwang<sup>a</sup>, Yang Hee Jo<sup>a</sup>, Kyung-Seop Ahn<sup>a</sup>, Bang Yeon Hwang<sup>b</sup>, Sei-Ryang Oh<sup>a</sup>

<sup>a</sup>Natural Medicine Research Center, Korea Research Institute of Bioscience and Biotechnology, Cheongju si, Chungcheongbuk-do 28116, Republic of Korea

<sup>b</sup>College of Pharmacy, Chungbuk National University, Cheongju 28644, Republic of Korea



Bioorganic Chemistry 92 (2019) 103233



### Variably connected 1,8-naphthalimide-7-chloroquinoline conjugates: Synthesis, antimycobacterial and cytotoxic evaluation

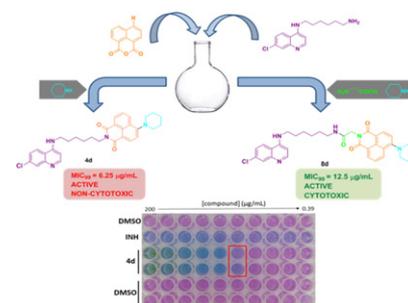
Shalini<sup>a</sup>, Matt D. Johansen<sup>b</sup>, Laurent Kremer<sup>b,c</sup>, Vipin Kumar<sup>a</sup>

<sup>a</sup>Department of Chemistry, Guru Nanak Dev University, Amritsar 143005, Punjab, India

<sup>b</sup>Institut de Recherche en Infectiologie de Montpellier (IRIM), CNRS, UMR 9004, Université de Montpellier, France

<sup>c</sup>INSERM, IRIM, 34293 Montpellier, France

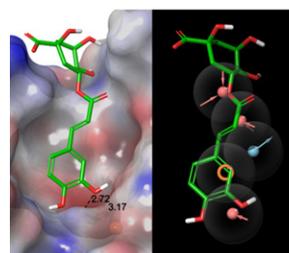
Bioorganic Chemistry 92 (2019) 103241



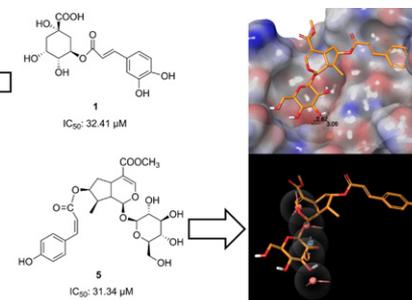
### Tyrosinase inhibitory effects of *Vinca major* and its secondary metabolites: Enzyme kinetics and *in silico* inhibition model of the metabolites validated by pharmacophore modelling

Suat Sari<sup>a</sup>, Burak Barut<sup>b</sup>, Arzu Özel<sup>b,c</sup>, Didem Söhretoglu<sup>d</sup>

<sup>a</sup>Hacettepe University, Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Sıhhiye, Ankara, TR-06100 Ankara, Turkey  
<sup>b</sup>Karadeniz Technical University, Faculty of Pharmacy, Department of Biochemistry, Trabzon, Turkey  
<sup>c</sup>Karadeniz Technical University, Drug and Pharmaceutical Technology Application and Research Center, Trabzon, Turkey  
<sup>d</sup>Hacettepe University, Faculty of Pharmacy, Department of Pharmacognosy, Sıhhiye, Ankara, Turkey



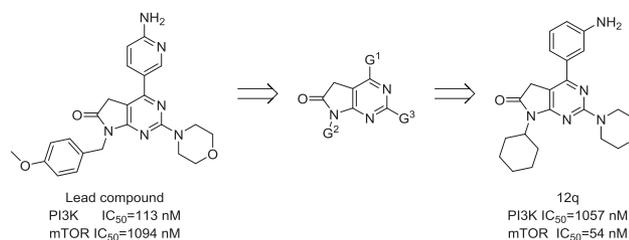
Bioorganic Chemistry 92 (2019) 103259



### Discovery and optimization of 5,7-dihydro-6H-pyrrolo[2,3-d]pyrimidin-6-one derivatives as mTORC1/mTORC2 dual inhibitors

Shengquan Hu, Zhichang Zhao, Hong Yan

Beijing Key Laboratory of Environmental and Viral Oncology, College of Life Science and Bio-engineering, Beijing University of Technology, Beijing 100124, China

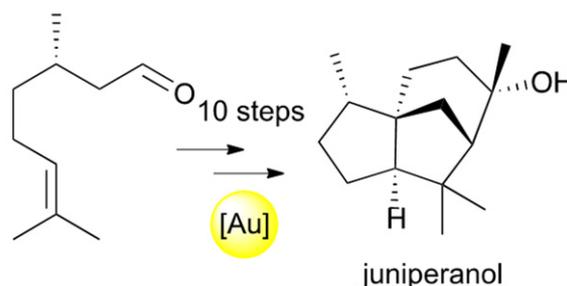


Bioorganic Chemistry 92 (2019) 103232

### Juniperanol: First total synthesis and evaluation in Type 2 Diabetes disease

A. Carrër<sup>a</sup>, S. Turban<sup>b</sup>, N. Provost<sup>b</sup>, A. Caliez<sup>b</sup>, G. Lamarche<sup>b</sup>, G. Zanirato<sup>b</sup>, M. Beucher<sup>b</sup>, C. Pean<sup>b</sup>, O. Mirguet<sup>b</sup>, F. Perron-Sierra<sup>b</sup>, V. Michelet<sup>a,c</sup>

<sup>a</sup>PSL Research University, Chimie ParisTech-CNRS, Institut de Recherche de Chimie Paris, 11 rue P. et M. Curie, 75005 Paris, France  
<sup>b</sup>Institut de Recherches Servier, 125 Chemin de Ronde, 78290 Croissy-Seine, France  
<sup>c</sup>University Côte d'Azur, Institut de Chimie de Nice, Parc Valrose, Faculté des Sciences, 06100 Nice, France



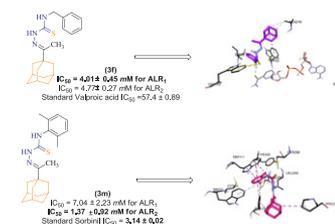
Bioorganic Chemistry 92 (2019) 103243

### Exploring antidiabetic potential of adamantyl-thiosemicarbazones *via* aldose reductase (ALR2) inhibition

Muhammad Tariq Shehzad<sup>a</sup>, Abdul Hameed<sup>b</sup>, Mariya al-Rashida<sup>b</sup>, Aqeel Imran<sup>c</sup>, Maliha Uroos<sup>d</sup>, Asnuzilawati Asari<sup>e</sup>, Habsah Mohamad<sup>f</sup>, Muhammad Islam<sup>a</sup>, Shafia Iftikhar<sup>g</sup>, Zahid Shafiq<sup>a</sup>, Jamshed Iqbal<sup>c</sup>

<sup>a</sup>Institute of Chemical Sciences, Bahauddin Zakariya University, Multan 60800, Pakistan  
<sup>b</sup>Department of Chemistry, Forman Christian College (A Chartered University), Ferozpur Road, Lahore 54600, Pakistan  
<sup>c</sup>Centre for Advanced Drug Research, COMSATS University Islamabad, Abbottabad Campus, Abbottabad 22060, Pakistan  
<sup>d</sup>Institute of Chemistry, University of The Punjab, Lahore 54590, Pakistan  
<sup>e</sup>Faculty of Science and Marine Environment, Universiti Malaysia Terengganu, 21030 Kuala Nerus, Terengganu, Malaysia  
<sup>f</sup>Institute of Marine Biotechnology, Universiti Malaysia Terengganu, 21030 Kuala Nerus, Terengganu, Malaysia  
<sup>g</sup>Department of Chemistry, The University of Lahore, Lahore Pakistan

Bioorganic Chemistry 92 (2019) 103244



### Design, synthesis, and biological evaluation of novel dual FFA1 (GPR40)/PPAR $\delta$ agonists as potential anti-diabetic agents

Bioorganic Chemistry 92 (2019) 103254

Zheng Li<sup>a,b</sup>, Lijun Hu<sup>a</sup>, Xuekun Wang<sup>c</sup>, Zongtao Zhou<sup>a</sup>, Liming Deng<sup>a</sup>, Yawen Xu<sup>a</sup>, Luyong Zhang<sup>a,b,d,e</sup>

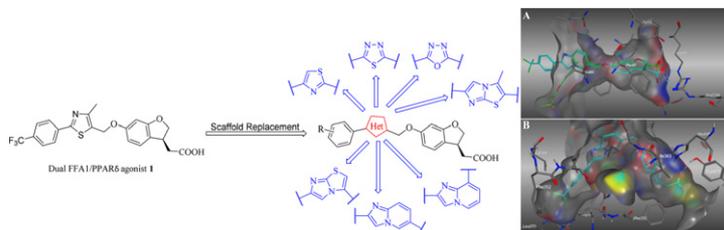
<sup>a</sup>School of Pharmacy, Guangdong Pharmaceutical University, Guangzhou 510006, PR China

<sup>b</sup>Key Laboratory of New Drug Discovery and Evaluation of Ordinary Universities of Guangdong Province, Guangdong Pharmaceutical University, Guangzhou 510006, PR China

<sup>c</sup>College of Pharmacy, Liaocheng University, Liaocheng 252059, PR China

<sup>d</sup>Guangzhou Key Laboratory of Construction and Application of New Drug Screening Model Systems, Guangdong Pharmaceutical University, Guangzhou 510006, PR China

<sup>e</sup>Jiangsu Key Laboratory of Drug Screening, China Pharmaceutical University, Nanjing 210009, PR China



### Effects of *Picrasma quassioides* and its active constituents on Alzheimer's disease *in vitro* and *in vivo*

Bioorganic Chemistry 92 (2019) 103258

Eryan Guo<sup>a</sup>, Yunwei Hu<sup>b</sup>, Tao Du<sup>a</sup>, Huilin Zhu<sup>a</sup>, Lei Chen<sup>b</sup>, Wei Qu<sup>a,c</sup>, Jie Zhang<sup>a</sup>, Ning Xie<sup>d</sup>, Wenyan Liu<sup>b</sup>, Feng Feng<sup>a,c,e</sup>, Jian Xu<sup>a</sup>

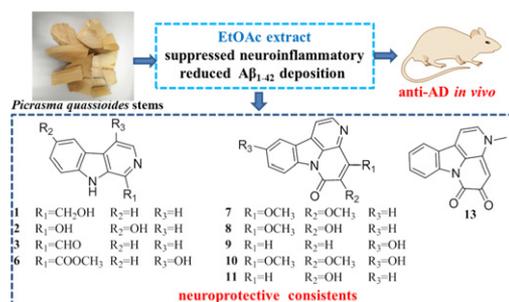
<sup>a</sup>Department of Natural Medicinal Chemistry, School of Traditional Chinese Pharmacy, China Pharmaceutical University, Nanjing 210009, People's Republic of China

<sup>b</sup>Key Laboratory of Drug Quality Control and Pharmacovigilance (China Pharmaceutical University), Ministry of Education, China Pharmaceutical University, Nanjing 210009, People's Republic of China

<sup>c</sup>Key Laboratory of Biomedical Functional Materials, China Pharmaceutical University, Nanjing 211198, People's Republic of China

<sup>d</sup>State Key Laboratory of Innovative Natural Medicines and TCM Injections, Jiangxi Qingfeng Pharmaceutical Co., Ltd., Ganzhou 341000, Jiangxi, China

<sup>e</sup>Jiangsu Food & Pharmaceutical Science College, Huaian 223003, People's Republic of China



### First example of Azo-Sulfa conjugated chromene moieties: Synthesis, characterization, antimicrobial assessment, docking simulation as potent class I histone deacetylase inhibitors and antitumor agents

Bioorganic Chemistry 92 (2019) 103262

Rawda M. Okasha<sup>a</sup>, Mosa Alsehli<sup>a</sup>, Saleh Ihmaid<sup>b</sup>, Sultan S. Althagfan<sup>c</sup>, Mohamed S.A. El-Gaby<sup>d</sup>, Hany E.A. Ahmed<sup>b,e</sup>, Tarek H. Afifi<sup>a,f</sup>

<sup>a</sup>Chemistry Department, Faculty of Science, Taibah University, 30002, Al-Madinah Al-Munawarah, Saudi Arabia

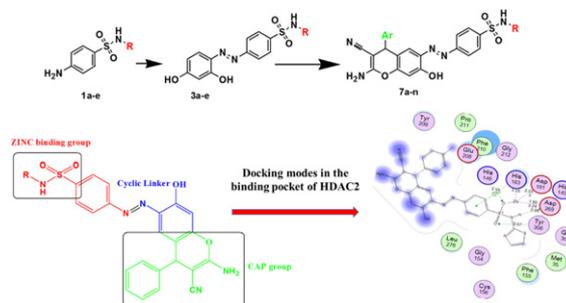
<sup>b</sup>Pharmacognosy and Pharmaceutical Chemistry Department, Pharmacy College, Taibah University, Al-Madinah Al-Munawarah, Saudi Arabia

<sup>c</sup>Clinical and Hospital Pharmacy Department, Taibah University, College of Pharmacy, Taibah University, Al-Madinah Al-Munawarah 41477, Saudi Arabia

<sup>d</sup>Department of Chemistry, Faculty of Science, Al-Azhar University at Assiut, Assiut 71524, Egypt

<sup>e</sup>Pharmaceutical Organic Chemistry Department, Faculty of Pharmacy, Al-Azhar University, 11884 Nasr City, Cairo, Egypt

<sup>f</sup>Chemistry Department, Faculty of Science, Al-Azhar University, 11884 Nasr City, Cairo, Egypt



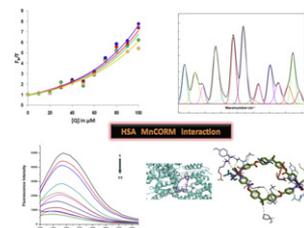
### Molecular interaction of manganese based carbon monoxide releasing molecule (MnCORM) with human serum albumin (HSA)

Bioorganic Chemistry 92 (2019) 103078

Pitchavel Vidhyapriya<sup>a</sup>, Dhanaraj Divya<sup>b</sup>, Bala. Manimaran<sup>b</sup>, Natarajan Sakthivel<sup>a</sup>

<sup>a</sup>Department of Biotechnology, Pondicherry University, Puducherry 605 014, India

<sup>b</sup>Department of Chemistry, Pondicherry University, Puducherry 605 014, India



### Anti-cancer activity of the cell membrane-permeable phytic acid prodrug

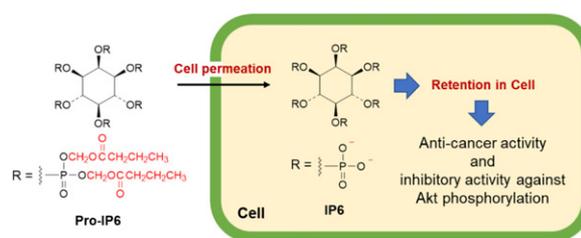
Bioorganic Chemistry 92 (2019) 103240

Takuya Masunaga<sup>a</sup>, Naoki Murao<sup>a</sup>, Hiroshi Tateishi<sup>a</sup>, Ryoko Koga<sup>a</sup>, Takeo Ohsugi<sup>b</sup>, Masami Otsuka<sup>a,c</sup>, Mikako Fujita<sup>a</sup>

<sup>a</sup>Medicinal and Biological Chemistry Science Farm Joint Research Laboratory, Faculty of Life Sciences, Kumamoto University, 5-1 Oe-honmachi, Chuo-ku, Kumamoto, Kumamoto 862-0973, Japan

<sup>b</sup>Department of Laboratory Animal Science, School of Veterinary Medicine, Rakuno-Gakuen University, 582 Bunkyo-dai-midorimachi, Ebetsu, Hokkaido 069-8501, Japan

<sup>c</sup>Science Farm Ltd., 1-7-30 Kuhonji, Chuo-ku, Kumamoto, Kumamoto 862-0976, Japan

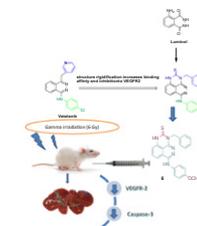


### Design and synthesis of novel pyridazinoquinazoline derivatives as potent VEGFR-2 inhibitors: *In vitro* and *in vivo* study

Bioorganic Chemistry 92 (2019) 103251

Marwa G. El-Gazzar, Rania M. El-Hazek, Nashwa H. Zaher, Mona A. El-Ghazaly

Department of Drug Radiation Research, National Center for Radiation Research and Technology (NCRRT), Egyptian Atomic Energy Authority (EAEA), PO Box 29, Nasr City, Cairo 11765, Egypt



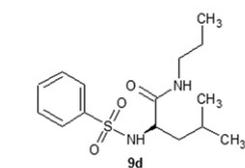
### New carboxamides bearing benzenesulphonamides: Synthesis, molecular docking and pharmacological properties

Bioorganic Chemistry 92 (2019) 103265

Florence Uchenna Eze<sup>a</sup>,  
Uchechukwu Chris Okoro<sup>a</sup>,  
David Izuchukwu Ugwu<sup>a</sup>, Sunday N. Okafor<sup>b</sup>

<sup>a</sup>Department of Pure and Industrial Chemistry, University of Nigeria, Nsukka, Nigeria

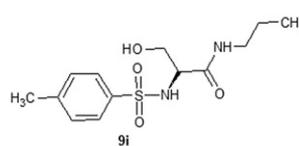
<sup>b</sup>Department of Pharmaceutical and Medicinal Chemistry, University of Nigeria, Nsukka, Nigeria



Anti-inflammatory activities:

% inhibition of compound **9d** = 93.81 at 1 h

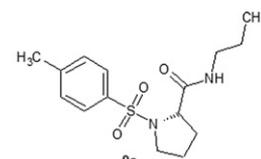
% inhibition of Indomethacin = 78.76 at 1 h



Antimicrobial activities:

MIC of compound **9i** against *E.coli* = 6.24 mg/mL

MIC of ciprofloxacin against *E.coli* = 9.65 mg/mL



Antioxidant activities:

IC<sub>50</sub> of compound **9a** = 0.3052 mg/mL

IC<sub>50</sub> of Vitamin C = 0.2090 mg/mL

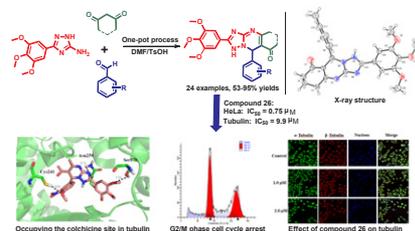
### Novel [1,2,4] triazolo [1,5-a] pyrimidine derivatives as potent antitubulin agents: Design, multicomponent synthesis and antiproliferative activities

Fang Yang<sup>a</sup>, Liang-Zhentian Yu<sup>b</sup>, Peng-Cheng Diao<sup>a</sup>, Xie-Er Jian<sup>a</sup>, Ming-Feng Zhou<sup>a</sup>, Cui-Shan Jiang<sup>a</sup>, Wen-Wei You<sup>a</sup>, Wei-Feng Ma<sup>b</sup>, Pei-Liang Zhao<sup>a</sup>

<sup>a</sup>Guangdong Provincial Key Laboratory of New Drug Screening, School of Pharmaceutical Science, Southern Medical University, Guangzhou 510515, PR China

<sup>b</sup>Department of Microbiology, School of Public Health and Tropical Medicine, Southern Medical University, Guangzhou 510515, PR China

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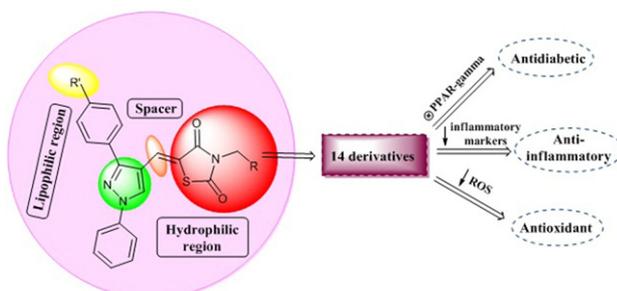
### Synthesis and biological evaluation of thiazolidine-2,4-dione-pyrazole conjugates as antidiabetic, anti-inflammatory and antioxidant agents

Garima Bansal<sup>a</sup>, Shamsher Singh<sup>b</sup>, Vikramdeep Monga<sup>a</sup>, Punniyakoti Veeraveedu Thanikachalam<sup>a</sup>, Pooja Chawla<sup>a</sup>

<sup>a</sup>Department of Pharmaceutical Chemistry, ISF College of Pharmacy, Moga 142001, Punjab, India

<sup>b</sup>Department of Pharmacology, ISF College of Pharmacy, Moga 142001, Punjab, India

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### Novel 2-arylthiopropanoyl-CoA inhibitors of α-methylacyl-CoA racemase 1A (AMACR; P504S) as potential anti-prostate cancer agents

Maksims Yevglevskis<sup>a</sup>, Amit Nathubhai<sup>a,b</sup>, Katty Wadda<sup>a,c</sup>, Guat L. Lee<sup>a</sup>, Suzanne Al-Rawi<sup>a</sup>, Tingying Jiao<sup>a,d</sup>, Paul J. Mitchell<sup>a</sup>, Tony D. James<sup>c</sup>, Michael D. Threadgill<sup>a</sup>, Timothy J. Woodman<sup>a</sup>, Matthew D. Lloyd<sup>a</sup>

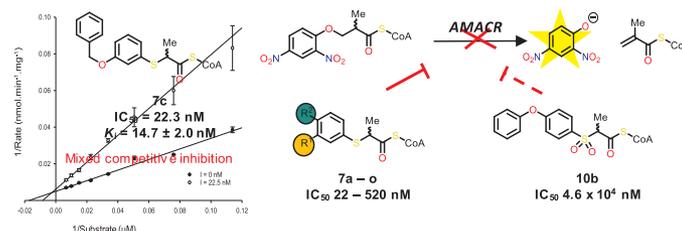
<sup>a</sup>Drug & Target Discovery, Department of Pharmacy & Pharmacology, University of Bath, Claverton Down, Bath BA2 7AY, UK

<sup>b</sup>University of Sunderland, School of Pharmacy and Pharmaceutical Sciences, Sciences Complex, Sunderland SR1 3SD, UK<sup>1</sup>

<sup>c</sup>Department of Chemistry, University of Bath, Claverton Down, Bath BA2 7AY, UK

<sup>d</sup>School of Pharmaceutical Sciences, Shandong University, Jinan, People's Republic of China

Bioorganic Chemistry 92 (2019) 103263



### Identification of novel small-molecule inhibitors of α-methylacyl-CoA racemase (AMACR; P504S) and structure-activity relationships

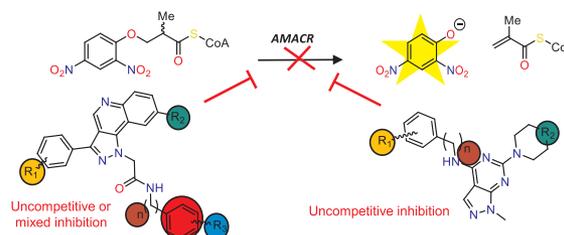
Yoana D. Petrova<sup>a</sup>, Katty Wadda<sup>a,b</sup>, Amit Nathubhai<sup>a,c</sup>, Maksims Yevglevskis<sup>a</sup>, Paul J. Mitchell<sup>a</sup>, Tony D. James<sup>b</sup>, Michael D. Threadgill<sup>a</sup>, Timothy J. Woodman<sup>a</sup>, Matthew D. Lloyd<sup>a</sup>

<sup>a</sup>Drug & Target Discovery, Department of Pharmacy & Pharmacology, University of Bath, Claverton Down, Bath BA2 7AY, UK

<sup>b</sup>Department of Chemistry, University of Bath, Claverton Down, Bath BA2 7AY, UK

<sup>c</sup>School of Pharmacy and Pharmaceutical Sciences, Sciences Complex, City Campus, Dale Building, Room 121, Sunderland SR1 3SD, UK<sup>1</sup>

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### NO inhibitory diterpenoids as potential anti-inflammatory agents from *Euphorbia antiquorum*

Lijun An<sup>a</sup>, Yue Liang<sup>a</sup>, Xueyuan Yang<sup>a</sup>, Huimei Wang<sup>a</sup>, Jie Zhang<sup>b</sup>, Muhetaer Tuerhong<sup>c</sup>, Dihua Li<sup>d</sup>, Chunyan Wang<sup>e</sup>, Dongho Lee<sup>f</sup>, Jing Xu<sup>a</sup>, Ling Shuai<sup>a</sup>, Jin Jin<sup>a</sup>, Yuanqiang Guo<sup>a,g</sup>

<sup>a</sup>State Key Laboratory of Medicinal Chemical Biology, College of Pharmacy, Tianjin Key Laboratory of Molecular Drug Research, and Drug Discovery Center for Infectious Disease, Nankai University, Tianjin 300350, People's Republic of China

<sup>b</sup>Key Laboratory for Green Processing of Chemical Engineering of Xinjiang Bingtuan, School of Chemistry and Chemical Engineering, Shihezi University, Shihezi 832003, People's Republic of China

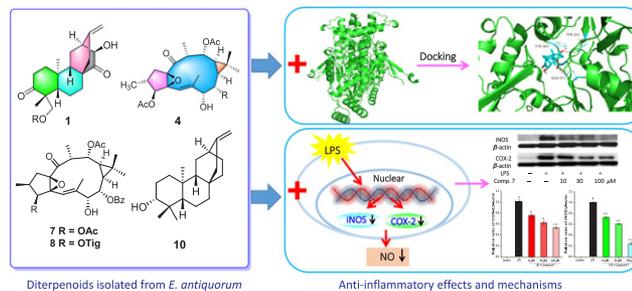
<sup>c</sup>College of Chemistry and Environmental Sciences, Laboratory of Xinjiang Native Medicinal and Edible Plant Resources Chemistry, Kashgar University, Kashgar 844000, People's Republic of China

<sup>d</sup>Tianjin Institute of Acute Abdominal Diseases of Integrated Traditional Chinese and Western Medicine, Nankai Hospital Affiliated to Nankai University, Tianjin 300100, People's Republic of China

<sup>e</sup>Tianjin Second People's Hospital, Tianjin 300192, People's Republic of China

<sup>f</sup>Department of Biosystems and Biotechnology, College of Life Sciences and Biotechnology, Korea University, Seoul 136-713, Republic of Korea

<sup>g</sup>State Key Laboratory for Chemistry and Molecular Engineering of Medicinal Resources, Guangxi Normal University, Guilin 541004, People's Republic of China



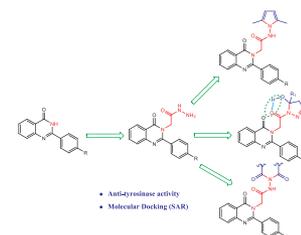
Bioorganic Chemistry 92 (2019) 103237

### Synthesis, biological evaluation and molecular docking analysis of novel benzopyrimidinone derivatives as potential anti-tyrosinase agents

Sarra Chortani<sup>a</sup>, Vijaykumar D. Nimbarte<sup>b</sup>, Mabrouk Horchani<sup>a</sup>, Hichem Ben Jannet<sup>a</sup>, Anis Romdhane<sup>a</sup>

<sup>a</sup>University of Monastir, Faculty of Science of Monastir, Laboratory of Heterocyclic Chemistry, Natural Products and Reactivity (LR11ES39), Team: Medicinal Chemistry and Natural Products, Avenue of Environment, 5019 Monastir, Tunisia

<sup>b</sup>Laboratory of Chemistry, URCOM, EA 3221, INC3M CNRS-F3038, UFR of Sciences and Techniques, University of Havre BP: 1123, 25 rue Philipe Lebon, 76063 Le Havre Cedex, France



Bioorganic Chemistry 92 (2019) 103270

### Triazolopyridazine derivatives: Synthesis, cytotoxic evaluation, c-Met kinase activity and molecular docking

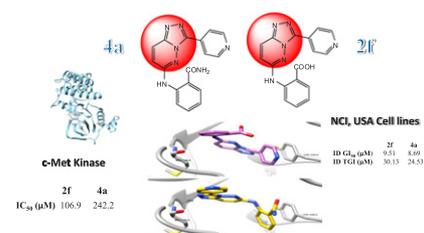
Eman M. Ahmed<sup>a</sup>, Nadia A. Khalil<sup>a</sup>, Azza T. Taher<sup>a,b</sup>, Rana H. Refaey<sup>c</sup>, Yassin M. Nissan<sup>c,d</sup>

<sup>a</sup>Pharmaceutical Organic Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo, Egypt

<sup>b</sup>Pharmaceutical Organic Chemistry Department, Faculty of Pharmacy, October 6 University, Giza, Egypt

<sup>c</sup>Pharmaceutical Chemistry Department, Faculty of Pharmacy, October University for Modern Sciences and Arts (MSA), Giza, Egypt

<sup>d</sup>Pharmaceutical Chemistry Department, Faculty of Pharmacy, Cairo University, Kasr Elini St., Cairo 11562, Egypt



Bioorganic Chemistry 92 (2019) 103272

### Design, synthesis, and biological activity of Plastoquinone analogs as a new class of anticancer agents

Nilüfer Bayrak<sup>a</sup>, Hatice Yildirim<sup>a</sup>, Mahmut Yıldız<sup>b</sup>, Mohamed O. Radwan<sup>c,d,e</sup>, Masami Otsuka<sup>c,d</sup>, Mikako Fujita<sup>a</sup>, Amaç Fatih Tuyun<sup>g</sup>, Halil I. Ciftci<sup>c,d</sup>

<sup>a</sup>Chemistry Department, Engineering Faculty, Istanbul University-Cerrahpasa, Avcilar, 34320 Istanbul, Turkey

<sup>b</sup>Chemistry Department, Gebze Technical University, Gebze 41400, Kocaeli, Turkey

<sup>c</sup>Department of Drug Discovery, Science Farm Ltd., Kumamoto 860-0802, Japan

<sup>d</sup>Department of Bioorganic Medicinal Chemistry, School of Pharmacy, Kumamoto University, Kumamoto 862-0973, Japan

<sup>e</sup>Chemistry of Natural Compounds Department, Pharmaceutical and Drug Industries Research Division, National Research Centre, Dokki 12622, Cairo, Egypt

<sup>f</sup>Research Institute for Drug Discovery, School of Pharmacy, Kumamoto University, Kumamoto 862-0973, Japan

<sup>g</sup>Engineering Sciences Department, Engineering Faculty, Istanbul University-Cerrahpasa, Avcilar, 34320 Istanbul, Turkey

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	Good cytotoxic activity (IC <sub>50</sub> )		Good selectivity (SI)
PQ2	6.40±1.73 (K562)	7.72±1.49 (Jurkat)	46.88
Imatinib	7.47±2.22 (K562)	9.49±2.46 (Jurkat)	5.33

### Immunomodulatory activities of zinc(II)phthalocyanine on the mammalian macrophages through p38 pathway: Potential *ex vivo* immunomodulatory PDT reagents

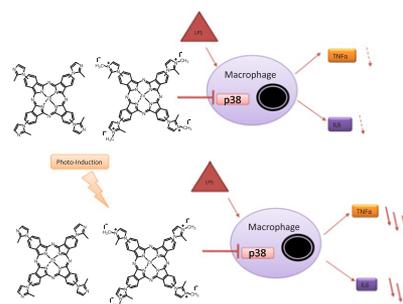
Abdulcelil Yüzer<sup>a</sup>, Furkan Ayaz<sup>b</sup>, Mine Ince<sup>c</sup>

<sup>a</sup>Advanced Technology Research & Application Center, Mersin University, Ciftlikkoy Campus, TR-33343 Mersin, Turkey

<sup>b</sup>Department of Biotechnology, Faculty of Arts and Sciences, Mersin University, Yenisehir, Mersin 33110, Turkey

<sup>c</sup>Department of Energy Systems Engineering, Faculty of Engineering, Tarsus University, Tarsus, Mersin, Turkey

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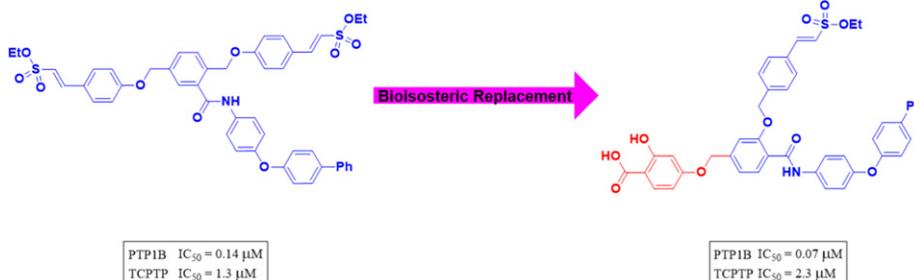
### Discovery of 2-ethoxy-4-(methoxymethyl)benzamide derivatives as potent and selective PTP1B inhibitors

Fangzhou Xie<sup>a</sup>, Yaoyao Liang<sup>a</sup>, Yu Xia<sup>a,b</sup>, Shuhua Luo<sup>a</sup>, Faqin Jiang<sup>a</sup>, Lei Fu<sup>a</sup>

<sup>a</sup>Shanghai Key Laboratory for Molecular Engineering of Chiral Drugs, School of Pharmacy, Shanghai Jiao Tong University (SJTU), 800 Dongchuan Road, Shanghai 200240, China

<sup>b</sup>Viva Biotech (Shanghai) Limited, Shanghai 201203, China

Bioorganic Chemistry 92 (2019) 103273



### **In vitro** assessment of 3-alkoxy-5-nitroindazole-derived ethylamines and related compounds as potential antileishmanial drugs

Bioorganic Chemistry 92 (2019) 103274

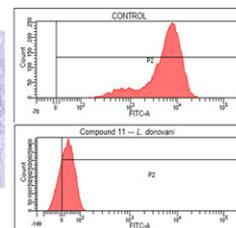
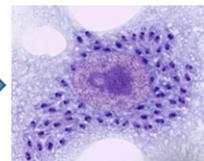
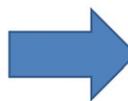
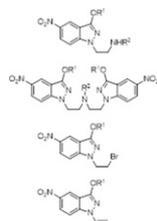
Álvaro Martín-Montes<sup>a</sup>, Benjamín Aguilera-Venegas<sup>b</sup>,  
Rosa M<sup>a</sup> Morales-Martín<sup>a</sup>, Rubén Martín-Escolano<sup>a</sup>,  
Salvador Zamora-Ledesma<sup>c</sup>, Clotilde Marín<sup>a</sup>, Vicente J. Arán<sup>d</sup>,  
Manuel Sánchez-Moreno<sup>a</sup>

<sup>a</sup>Departamento de Parasitología, Instituto de Investigación Biosanitaria (ibs.Granada), Hospitales Universitarios de Granada, Universidad de Granada, c/ Severo Ochoa s/n, 18071 Granada, Spain

<sup>b</sup>Department of Inorganic and Analytical Chemistry, Faculty of Chemical and Pharmaceutical Sciences, University of Chile, Box 233, Santiago 8380492, Chile

<sup>c</sup>Cuerpo Académico de Ecología y Diversidad Faunística, Universidad Autónoma de Querétaro, Avenida de las Ciencias s/n, Juriquilla, Querétaro 76230, Mexico

<sup>d</sup>Instituto de Química Médica (IQM), Consejo Superior de Investigaciones Científicas (CSIC), c/ Juan de la Cierva 3, 28006 Madrid, Spain

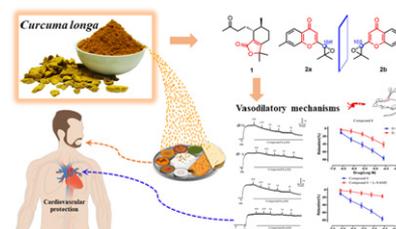


### Curcumane C and (±)-curcumane D, an unusual *seco*-cadinane sesquiterpenoid and a pair of unusual *nor*-bisabolane enantiomers with significant vasorelaxant activity from *Curcuma longa*

Bioorganic Chemistry 92 (2019) 103275

Ming-Ming Qiao, Fei Liu, Yu Liu, Li Guo, Qin-Mei Zhou, Cheng Peng, Liang Xiong

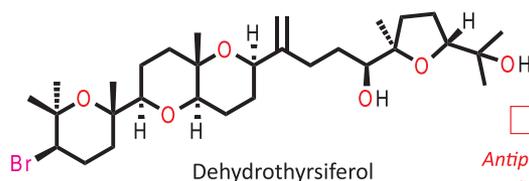
School of Pharmacy, Chengdu University of Traditional Chinese Medicine, Chengdu 611137, China  
Institute of Innovative Medicine Ingredients of Southwest Specialty Medicinal Materials, Chengdu University of Traditional Chinese Medicine, Chengdu 611137, China



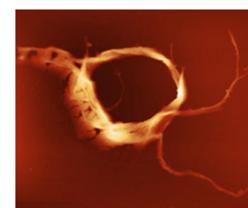
### Antiprotozoal activities of marine polyether triterpenoids

Bioorganic Chemistry 92 (2019) 103276

Ana R. Díaz-Marrero<sup>a</sup>, Atteneri López-Arencibia<sup>b</sup>,  
Carlos J. Bethencout-Estrella<sup>b</sup>,  
Francisco Cen-Pacheco<sup>a,c</sup>, Ines Sifaoui<sup>b</sup>,  
Alberto Hernández Creus<sup>d</sup>,  
María Clara Duque-Ramírez<sup>b</sup>, María L. Souto<sup>a,e</sup>,  
Antonio Hernández Daranas<sup>a,f</sup>,  
Jacob Lorenzo-Morales<sup>b</sup>, José E. Piñero<sup>b</sup>,  
José J. Fernández<sup>a,e</sup>



Antiprotozoal activity



*Trypanosoma cruzi*

<sup>a</sup>Instituto Universitario de Bio-Organica Antonio González (IUBO AG), Centro de Investigaciones Biomédicas de Canarias (CIBICAN), Universidad de La Laguna (ULL), Avda. Astrofísico F. Sánchez 2, 38206 La Laguna, Tenerife, Spain

<sup>b</sup>Instituto Universitario de Enfermedades Tropicales y Salud Pública de Canarias (IETSPC), Universidad de La Laguna (ULL), Avda. Astrofísico F. Sánchez s/n, 38206 La Laguna, Tenerife, Spain

<sup>c</sup>Facultad de Bioanálisis, Campus-Veracruz, Universidad Veracruzana (UV), Veracruz 91700, Mexico

<sup>d</sup>Departamento de Química, Área de Química Física, Instituto de Materiales y Nanotecnología, Universidad de La Laguna (ULL), Avda. Astrofísico F. Sánchez s/n, 38206 Tenerife, Spain

<sup>e</sup>Departamento de Química Orgánica, Universidad de La Laguna (ULL), Avda. Astrofísico F. Sánchez 2, 38206 La Laguna, Tenerife, Spain

<sup>f</sup>Instituto de Productos Naturales y Agrobiología (IPNA), Consejo Superior de Investigaciones Científicas (CSIC), Avda. Astrofísico F. Sánchez s/n, 38206 Tenerife, Spain

### Synthesis and investigation of inhibitory activities of imidazole derivatives against the metallo- $\beta$ -lactamase IMP-1

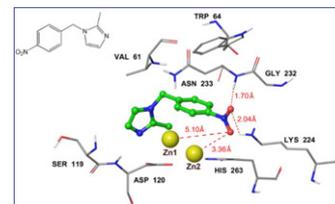
Bioorganic Chemistry 92 (2019) 103277

Omid Khalili Arjomandi<sup>a,b</sup>, Mahboubeh Kavooosi<sup>c</sup>, Hadi Adibi<sup>b</sup>

<sup>a</sup>The University of Queensland, School of Chemistry and Molecular Biosciences, Brisbane, Qld 4072, Australia

<sup>b</sup>Pharmaceutical Sciences Research Center, Health Institute, Kermanshah University of Medical Sciences, Kermanshah, Iran

<sup>c</sup>Department of Biochemistry, Pasteur Institute of Iran, Tehran, Iran



IC<sub>50</sub> = 39  $\mu$ M against IMP-1

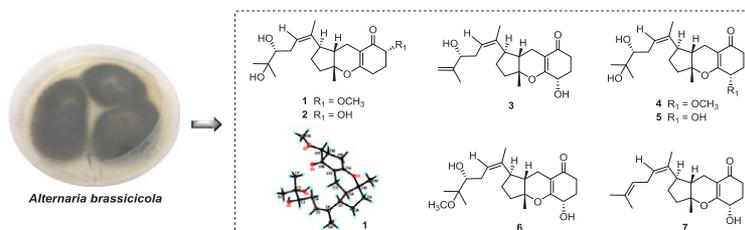
### New cytotoxic tricycloalternarenes from fungus *Alternaria brassicicola*

Bioorganic Chemistry 92 (2019) 103279

Fengli Li<sup>a</sup>, Ying Tang<sup>b</sup>, Weiguang Sun<sup>a</sup>, Jiankun Guan<sup>b</sup>,  
Yuanyuan Lu<sup>b</sup>, Sitian Zhang<sup>a</sup>, Shuang Lin<sup>a</sup>, Jianping Wang<sup>a</sup>,  
Zhengxi Hu<sup>a</sup>, Yonghui Zhang<sup>a</sup>

<sup>a</sup>Hubei Key Laboratory of Natural Medicinal Chemistry and Resource Evaluation, School of Pharmacy, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430030, People's Republic of China

<sup>b</sup>Tongji Hospital, Tongji Medical College, Huazhong University of Science and Technology, Wuhan 430030, People's Republic of China



### Synthesis of Mitomycin C and decarbamoylmitomycin C N<sup>6</sup> deoxyadenosine-adducts

Bioorganic Chemistry 92 (2019) 103280

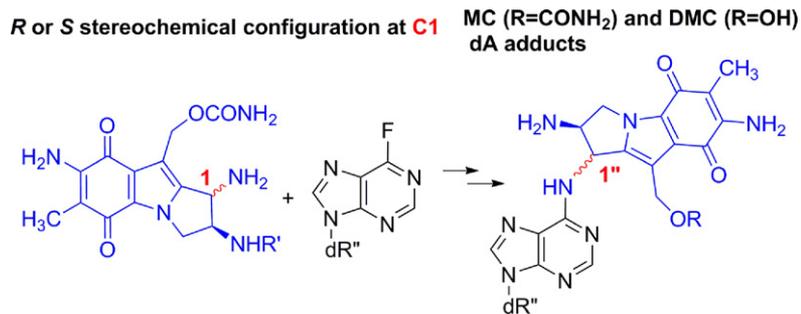
Maggie Zheng<sup>a</sup>, Seokjin Hwang<sup>a</sup>, Timothy Snyder<sup>a</sup>,  
Jake Aquilina<sup>a</sup>, Gloria Proni<sup>a</sup>, Manuel M. Paz<sup>c</sup>,  
Padmanava Pradhan<sup>d</sup>, Shu-Yuan Cheng<sup>a</sup>, Elise Champeil<sup>a,b</sup>

<sup>a</sup>John Jay College of Criminal Justice, New York, 524 West 59<sup>th</sup> Street, New York, NY 10019, USA

<sup>b</sup>The Graduate Center of the City University of New York, New York, NY 10016, USA

<sup>c</sup>Departamento de Química Orgánica, Facultad de Química, Universidade de Santiago de Compostela, 15782 Santiago de Compostela, Spain

<sup>d</sup>The City College, 138th Street at Convent Avenue, New York, NY 10031, USA

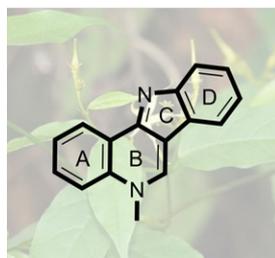


### Design, synthesis and antifungal activity evaluation of isocryptolepine derivatives

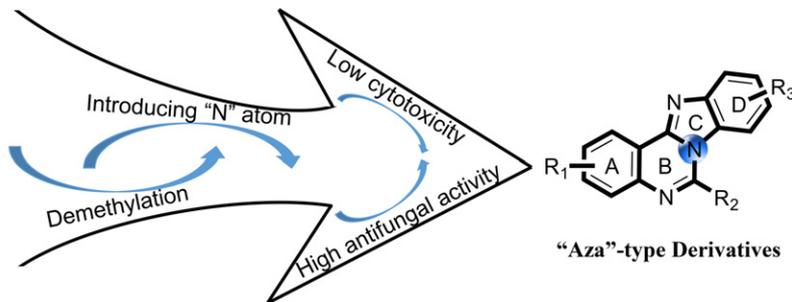
Jun-cai Li<sup>a</sup>,  
Ren-xuan Wang<sup>a</sup>, Yu Sun<sup>a</sup>,  
Jia-kai Zhu<sup>a</sup>,  
Guan-fang Hu<sup>b</sup>,  
Yu-ling Wang<sup>b</sup>, Rui Zhou<sup>a</sup>,  
Zhong-min Zhao<sup>a</sup>,  
Ying-qian Liu<sup>a</sup>,  
Jing-wen Peng<sup>a</sup>,  
Yin-fang Yan<sup>a</sup>,  
Xiao-fei Shang<sup>a</sup>

<sup>a</sup>School of Pharmacy,  
Lanzhou University, Lanzhou  
730000, People's Republic of  
China

<sup>b</sup>Institute of Plant Protection,  
Gansu Academic of  
Agricultural Sciences,  
Lanzhou 730070, People's  
Republic of China



*Cryptolepis sanguinolenta*



"Aza"-type Derivatives

### Bioactivity-based analysis and chemical characterization of hypoglycemic and antioxidant components from *Artemisia argyi*

Jian-Qi Xiao<sup>a,e</sup>, Wen-Yuan Liu<sup>b</sup>, Hao-peng Sun<sup>b</sup>, Wei Li<sup>c</sup>, Kazuo Koike<sup>c</sup>, Takashi Kikuchi<sup>d</sup>,  
Takeshi Yamada<sup>d</sup>, Da Li<sup>e</sup>, Feng Feng<sup>a,e</sup>, Jie Zhang<sup>a</sup>

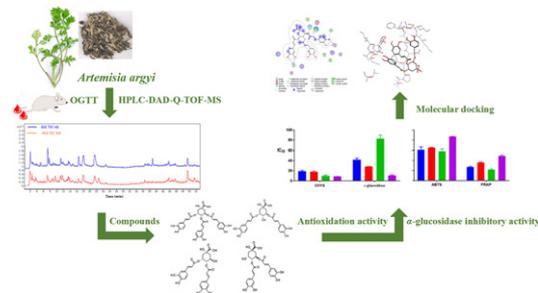
<sup>a</sup>School of Traditional Chinese Pharmacy, China Pharmaceutical University, 24 Tongjiqiang, Nanjing  
210009, China

<sup>b</sup>School of Pharmacy, China Pharmaceutical University, 24 Tongjiqiang, Nanjing 210009, China

<sup>c</sup>Faculty of Pharmaceutical Sciences, Toho University, Miyama 2-2-1, Funabashi, Chiba 274-8510, Japan

<sup>d</sup>Department of Medicinal Molecular Chemistry, Osaka University of Pharmaceutical Sciences, 4-20-1  
Nasahara, Takatsuki, Osaka 569-1094, Japan

<sup>e</sup>Jiangsu Food and Pharmaceutical Science College, Huaian, Jiangsu 223003, China



### Rational design of some substituted phenyl azanediyl (bis) methylene phosphonic acid derivatives as potential anticancer agents and imaging probes: Computational inputs, chemical synthesis, radiolabeling, biodistribution and gamma scintigraphy

Mohammed A. Khedr<sup>a</sup>, Hassan M. Rashed<sup>b,c</sup>, Hamed Farag<sup>d</sup>, Tamer M. Sakr<sup>e</sup>

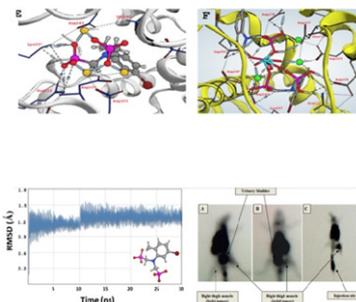
<sup>a</sup>Pharmaceutical Chemistry Department, Faculty of Pharmacy, Helwan University, Postal Code: 11795, Cairo, Egypt

<sup>b</sup>Labeled Compounds Department, Hot Labs Center, Atomic Energy Authority, P.O. Box 13759, Cairo, Egypt

<sup>c</sup>Department of Pharmaceutics, Faculty of Pharmacy, Sinai University, Egypt

<sup>d</sup>Radiotherapy and Nuclear Medicine Department, National Cancer Institute, Cairo University, Cairo, Egypt

<sup>e</sup>Radioactive Isotopes and Generator Department, Hot Labs Center, Atomic Energy Authority, P.O. Box 13759, Cairo, Egypt



### Geranylated carbazole alkaloids with potential neuroprotective activities from the stems and leaves of *Clausena lansium*

Yan-Ping Liu<sup>a,b,c,d</sup>, Jia-Ming Guo<sup>a,c,d</sup>,  
Xiao-Ping Wang<sup>b</sup>, Yun-Yao Liu<sup>b</sup>,  
Wei Zhang<sup>a,c,d</sup>, Ting Wang<sup>a,c,d</sup>, Lei Qiang<sup>b</sup>,  
Yan-Hui Fu<sup>a,c,d</sup>

<sup>a</sup>Key Laboratory of Tropical Medicinal Resource Chemistry of Ministry of Education, Hainan Normal University, Haikou 571158, PR China

<sup>b</sup>State Key Laboratory of Natural Medicines, China Pharmaceutical University, Nanjing 210009, PR China

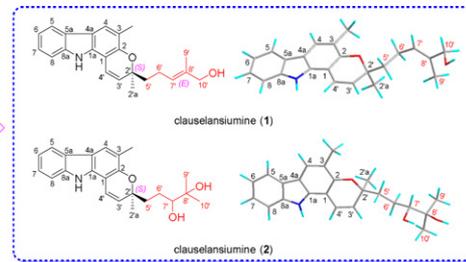
<sup>c</sup>Key Laboratory of Southern Medicinal Plants Resources of Haikou City, Hainan Normal University, Haikou 571158, PR China

<sup>d</sup>Key Laboratory of Tropical Medicinal Plant Chemistry of Hainan Province, Hainan Normal University, Haikou 571158, PR China



*Clausena lansium*

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### Optimization study towards more potent thiazolidine-2,4-dione IKK-β modulator: Synthesis, biological evaluation and *in silico* docking simulation

Ahmed Elkamhawy<sup>a,b</sup>, Nam youn Kim<sup>a</sup>, Ahmed H.E. Hassan<sup>c</sup>, Jung-eun Park<sup>a</sup>, Jeong-Eun Yang<sup>a</sup>,  
Mohamed H. Elsherbeny<sup>a,d</sup>, Sora Paik<sup>a</sup>, Kwang-Seok Oh<sup>e</sup>, Byung Ho Lee<sup>e</sup>, Mi Young Lee<sup>e</sup>, Kye Jung Shin<sup>f</sup>,  
Ae Nim Pae<sup>d,g</sup>, Kyung-Tae Lee<sup>h</sup>, Eun Joo Roh<sup>a,d</sup>

<sup>a</sup>Chemical Kinomics Research Center, Korea Institute of Science and Technology (KIST), Seoul 02792, Republic of Korea

<sup>b</sup>Department of Pharmaceutical Organic Chemistry, Faculty of Pharmacy, Mansoura University, Mansoura 35516, Egypt

<sup>c</sup>Department of Medicinal Chemistry, Faculty of Pharmacy, Mansoura University, Mansoura 35516, Egypt

<sup>d</sup>Division of Bio-Medical Science & Technology, KIST School, Korea University of Science and Technology, Seoul 02792, Republic of Korea

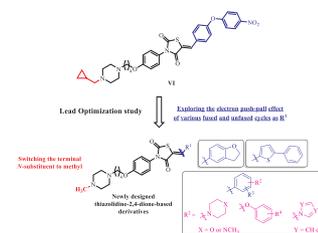
<sup>e</sup>Therapeutics & Biotechnology Division, Korea Research Institute of Chemical Technology, 141 Gajeong-ro, Yuseong, Daejeon 34114, Republic of Korea

<sup>f</sup>Integrated Research Institute of Pharmaceutical Sciences, College of Pharmacy, The Catholic University of Korea, Bucheon-si, Gyeonggi-do 14662, Republic of Korea

<sup>g</sup>Convergence Research Center for Diagnosis, Treatment and Care System of Dementia, Korea Institute of Science and Technology (KIST), Seoul 02792, Republic of Korea

<sup>h</sup>Department of Life and Nanopharmaceutical Sciences, Kyung Hee University, Seoul 02447, Republic of Korea

Bioorganic Chemistry 92 (2019) 103261



### Novel inhibitors of leukocyte transendothelial migration

Tamar Getter<sup>a</sup>, Raanan Margalit<sup>b,e</sup>,  
Shirin Kahremany<sup>a</sup>, Laura Levy<sup>a</sup>, Eliav Blum<sup>a</sup>,  
Netaly Khazanov<sup>a</sup>, Nimrod Y. Keshet-Levy<sup>a,c</sup>,  
Tigist Y. Tamir<sup>d</sup>, M. Ben Major<sup>d</sup>, Ron Lahav<sup>e</sup>,  
Sofia Zilber<sup>c</sup>, Hanoch Senderowitz<sup>a</sup>,  
Paul Bradfield<sup>f</sup>, Beat A. Imhof<sup>g</sup>,  
Evgenia Alpert<sup>c</sup>, Arie Gruzman<sup>a</sup>

<sup>a</sup>Division of Medicinal Chemistry, Department of Chemistry, Faculty of Exact Sciences, Bar-Ilan University, Ramat-Gan, Israel

<sup>b</sup>"Science in Action", Ness-Ziona, Israel

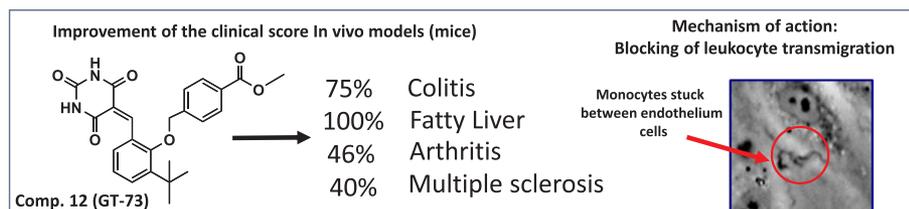
<sup>c</sup>Department of Pathology, Shaare Zedek Medical Center, Jerusalem, Israel

<sup>d</sup>Department of Pharmacology and the Lineberger Comprehensive Cancer Center, University of North Carolina at Chapel Hill, Chapel Hill, NC 27599, USA

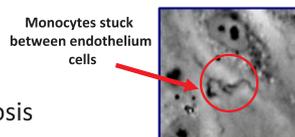
<sup>e</sup>"AltA-ZuZ Therapeutics", Ness-Ziona, Israel

<sup>f</sup>"MesenFlow Technologies", Geneva, Switzerland

<sup>g</sup>Department of Pathology and Immunology, University of Geneva, Geneva, Switzerland



Mechanism of action:  
Blocking of leukocyte transmigration



### New triazinoindole bearing thiazole/oxazole analogues: Synthesis, $\alpha$ -amylase inhibitory potential and molecular docking study

Bioorganic Chemistry 92 (2019) 103284

Fazal Rahim<sup>a</sup>, Sundas Tariq<sup>a</sup>, Muhammad Taha<sup>b</sup>, Hayat Ullah<sup>a</sup>, Khalid Zaman<sup>a</sup>, Imad Uddin<sup>a</sup>, Abdul Wadood<sup>c</sup>, Aftab Ahmad Khan<sup>a</sup>, Ashfaq Ur Rehman<sup>c</sup>, Nizam Uddin<sup>d</sup>, Salman Zafar<sup>e</sup>, Syed Adnan Ali Shah<sup>f,g</sup>

<sup>a</sup>Department of Chemistry, Hazara University, Mansehra 21300, Khyber Pakhtunkhwa, Pakistan

<sup>b</sup>Department of Clinical Pharmacy, Institute for Research and Medical Consultations (IRMC), Imam Abdulrahman Bin Faisal University, P.O. Box 1982, Dammam 31441, Saudi Arabia

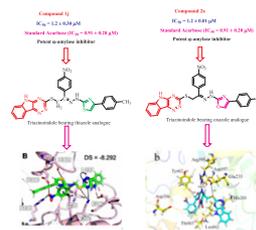
<sup>c</sup>Department of Biochemistry, Abdul Wali Khan University Mardan, Mardan 23200, Pakistan

<sup>d</sup>Department of Chemistry, University of Karachi, Karachi 75270, Pakistan

<sup>e</sup>Institute of Chemical Science, University of Peshawar, Khyber Pakhtunkhwa, Pakistan

<sup>f</sup>Atta-ur-Rahman Institute for Natural Products Discovery (AuRIns), Universiti Teknologi MARA Cawangan Selangor Kampus Puncak Alam, 42300 Bandar Puncak Alam, Selangor D.E., Malaysia

<sup>g</sup>Faculty of Pharmacy, Universiti Teknologi MARA Cawangan Selangor Kampus Puncak Alam, 42300 Bandar Puncak Alam, Selangor D.E., Malaysia



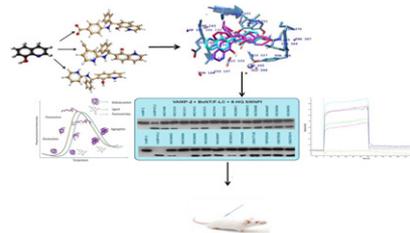
### Targeted 8-hydroxyquinoline fragment based small molecule drug discovery against neglected botulinum neurotoxin type F

Bioorganic Chemistry 92 (2019) 103297

Ritika Chauhan<sup>a</sup>, Vinita Chauhan<sup>a</sup>, Priyanka Sonkar<sup>a</sup>, Manorama Vimal<sup>b</sup>, Ram Kumar Dhaked<sup>a</sup>

<sup>a</sup>Biotechnology Division, Defence Research & Development Establishment, Jhansi Road, Gwalior 474002, MP, India

<sup>b</sup>Synthetic Chemistry Division, Defence Research & Development Establishment, Jhansi Road, Gwalior 474002, MP, India

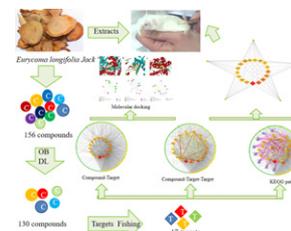


### Chemical constituents and synergistic anti-gout studies on *Eurycoma longifolia* and potential mechanisms evaluation based on systemic analysis approach

Bioorganic Chemistry 92 (2019) 103302

Ying Liu, Lantao Lai, Yan Ju, Caihong Liu, Dali Meng

School of Traditional Chinese Materia Medica, Shenyang Pharmaceutical University, Shenyang 110016, PR China



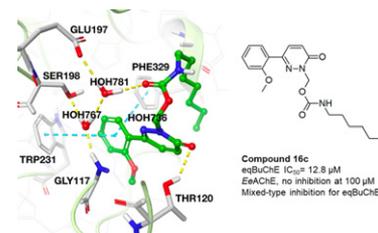
### Novel pyridazinone derivatives as butyrylcholinesterase inhibitors

Bioorganic Chemistry 92 (2019) 103304

Yasemin Dundar<sup>a</sup>, Ozge Kuyrukcu<sup>a</sup>, Gokcen Eren<sup>a</sup>, F. Sezer Senol Deniz<sup>b</sup>, Tijen Onkol<sup>a</sup>, Ilkay Erdogan Orhan<sup>b</sup>

<sup>a</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Gazi University, 06330 Ankara, Turkey

<sup>b</sup>Department of Pharmacognosy, Faculty of Pharmacy, Gazi University, 06330 Ankara, Turkey

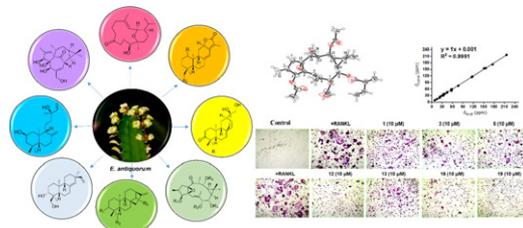


### Discovery of diverse diterpenoid scaffolds from *Euphorbia antiquorum* and their activity against RANKL-induced osteoclastogenesis

Bioorganic Chemistry 92 (2019) 103292

Zhi-Yong Yin, Yan Dai, Pei Hua, Zhe-Jun Sun, Yan-Fang Cheng, Sheng-Heng Yuan, Zi-Yang Chen, Qiong Gu

Research Center for Drug Discovery, School of Pharmaceutical Sciences, Sun Yat-sen University, Guangzhou 510006, People's Republic of China



### Umbelliferone derivatives exert neuroprotective effects by inhibiting monoamine oxidase A, self-amyloid $\beta$ aggregation, and lipid peroxidation

Bioorganic Chemistry 92 (2019) 103293

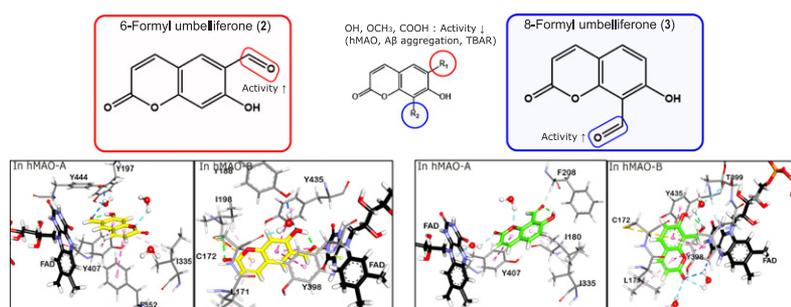
Su Hui Seong<sup>a</sup>, Md Yousof Ali<sup>b,c</sup>, Hyun Ah Jung<sup>d</sup>, Jae Sue Choi<sup>a</sup>

<sup>a</sup>Department of Food and Life Science, Pukyong National University, Busan 48513, Republic of Korea

<sup>b</sup>Department of Chemistry and Biochemistry, Faculty of Arts and Science, Concordia University, 7141 Sherbrooke St. W., Montreal, Quebec, Canada

<sup>c</sup>Centre for Structural and Functional Genomic, Department of Biology, Faculty of Arts and Science, Concordia University, 7141, Sherbrooke St. W., Montreal, Quebec, Canada

<sup>d</sup>Department of Food Science and Human Nutrition, Chonbuk National University, Jeonju 54896, Republic of Korea



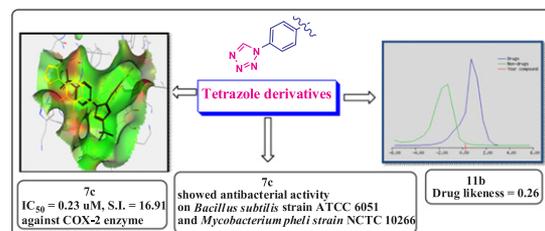
### Synthesis and biological evaluation of tetrazole derivatives as TNF- $\alpha$ , IL-6 and COX-2 inhibitors with antimicrobial activity: Computational analysis, molecular modeling study and region-specific cyclization using 2D NMR tools

Bioorganic Chemistry 92 (2019) 103301

Phoebe F. Lamie<sup>a</sup>, Ahmed F. Azmey<sup>b</sup>

<sup>a</sup>Department of Pharmaceutical Organic Chemistry, Faculty of Pharmacy, Beni-Suef University, Beni-Suef 62514, Egypt

<sup>b</sup>Department of Microbiology and Immunology, Faculty of Pharmacy, Beni-Suef University, Beni-Suef 62514, Egypt



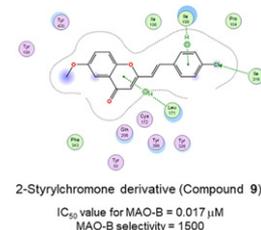
### 2-Styrylchromone derivatives as potent and selective monoamine oxidase B inhibitors

Bioorganic Chemistry 92 (2019) 103285

Koichi Takao<sup>a</sup>, Saki Endo<sup>a</sup>, Junko Nagai<sup>b</sup>, Hitoshi Kamauchi<sup>a</sup>, Yuri Takemura<sup>a</sup>, Yoshihiro Uesawa<sup>b</sup>, Yoshiaki Sugita<sup>a</sup>

<sup>a</sup>Laboratory of Bioorganic Chemistry, Department of Pharmaceutical Sciences, Faculty of Pharmacy and Pharmaceutical Sciences, Josai University, 1-1 Keyaki-dai, Sakado, Saitama 350-0295, Japan

<sup>b</sup>Department of Medical Molecular Informatics, Meiji Pharmaceutical University, 2-522-1 Noshio, Kiyose, Tokyo 204-8588, Japan



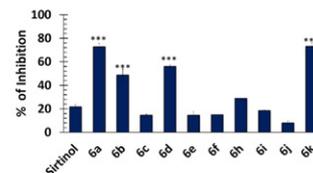
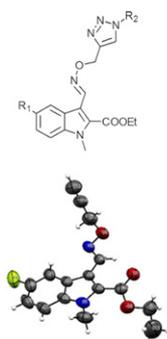
### Design, synthesis, *in-vitro* evaluation and molecular docking studies of novel indole derivatives as inhibitors of SIRT1 and SIRT2

Ramu Manjula<sup>a</sup>, Nikhila Gokhale<sup>b</sup>,  
Sruthi Unni<sup>a</sup>, Prashant Deshmukh<sup>a</sup>,  
Rajkumar Reddyrajula<sup>b</sup>,  
M.M. Srinivas Bharath<sup>c</sup>, Udayakumar Dalimba<sup>b</sup>,  
Balasundaram Padmanabhan<sup>a</sup>

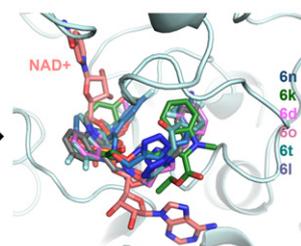
<sup>a</sup>Department of Biophysics, National Institute of Mental Health and Neurosciences (NIMHANS), Hosur Road, Bangalore 560029, India

<sup>b</sup>Organic Chemistry Laboratory, Department of Chemistry, National Institute of Technology Karnataka, Surathkal, Srinivasanagar, Mangalore 575025, India

<sup>c</sup>Department of Clinical Psychopharmacology and Neurotoxicology, National Institute of Mental Health and Neurosciences (NIMHANS), Hosur Road, Bangalore 560029, India



Bioorganic Chemistry 92 (2019) 103281



### Discovery, molecular dynamic simulation and biological evaluation of structurally diverse cholinesterase inhibitors with new scaffold through shape-based pharmacophore virtual screening

Hongyu Yang<sup>a</sup>, Chenxi Du<sup>a</sup>, Qihang Li<sup>a</sup>, Tingkai Chen<sup>a</sup>, Xin Lu<sup>a</sup>, Qi Li<sup>a</sup>, Feng Feng<sup>b</sup>, Yao Chen<sup>c</sup>,  
Wenyuan Liu<sup>d</sup>, Haopeng Sun<sup>a,b</sup>

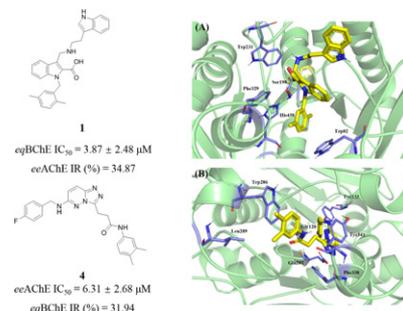
<sup>a</sup>Department of Medicinal Chemistry, School of Pharmacy, China Pharmaceutical University, Nanjing 211198, People's Republic of China

<sup>b</sup>Jiangsu Food and Pharmaceutical Science College, Huaian 223003, People's Republic of China

<sup>c</sup>School of Pharmacy, Nanjing University of Chinese Medicine, Nanjing 210023, People's Republic of China

<sup>d</sup>Department of Analytical Chemistry, China Pharmaceutical University, Nanjing 210009, People's Republic of China

Bioorganic Chemistry 92 (2019) 103294



### Synthesis and investigation of polyhydroxylated pyrrolidine derivatives as novel chemotypes showing dual activity as glucosidase and aldose reductase inhibitors

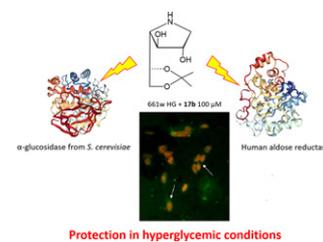
Lorenzo Guazzelli<sup>a</sup>, Felicia D'Andrea<sup>a</sup>, Stefania Sartini<sup>a</sup>, Francesco Giorgelli<sup>a</sup>, Gianluca Confini<sup>a</sup>, Luca Quattrini<sup>a</sup>,  
Ilaria Piano<sup>a</sup>, Susanna Nencetti<sup>a</sup>, Elisabetta Orlandini<sup>b,c</sup>, Claudia Gargini<sup>a</sup>, Concettina La Motta<sup>a</sup>

<sup>a</sup>Department of Pharmacy, University of Pisa, Via Bonanno 6/33, 56126 Pisa, Italy

<sup>b</sup>Department of Earth Sciences, University of Pisa, Via Santa Maria 53, 56126 Pisa, Italy

<sup>c</sup>Research Center "E. Piaggio", University of Pisa, Pisa, 56122, Italy

Bioorganic Chemistry 92 (2019) 103298



### Synthesis, structural characterization and *in vivo* anti-diabetic evaluation of some new sulfonylurea derivatives in normal and silicate coated nanoparticle forms as anti-hyperglycemic agents

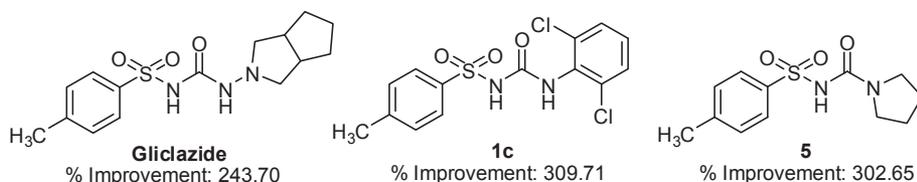
Bioorganic Chemistry 92 (2019) 103290

Farid M. Sroor<sup>a</sup>, Samir Y. Abbas<sup>a</sup>,  
Wahid M. Basyouni<sup>a</sup>, Khairy A.M. El-Bayouki<sup>a</sup>,  
Mohamed F. El-Mansy<sup>a</sup>, Hanan F. Aly<sup>b</sup>,  
Sanaa A. Ali<sup>b</sup>, Azza F. Arafa<sup>b</sup>,  
Ahmed A. Haroun<sup>c</sup>

<sup>a</sup>Organometallic and Organometalloid Chemistry  
Department, National Research Centre, 12622  
Cairo, Egypt

<sup>b</sup>Department of Therapeutic Chemistry, National  
Research Centre, Cairo, Egypt

<sup>c</sup>Chemical Industries Research Division, National  
Research Centre, Cairo, Egypt



Promising anti-diabetic agents better than gliclazide

## REVIEW ARTICLES

### Arylpropionic acid-derived NSAIDs: New insights on derivatization, anticancer activity and potential mechanism of action

Bioorganic Chemistry 92 (2019) 103224

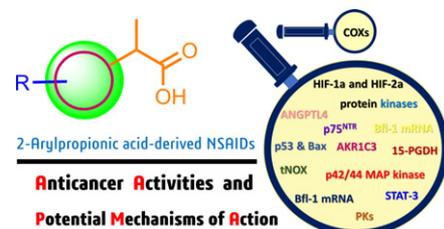
Ahmed M. Gouda<sup>a</sup>, Eman A. Beshr<sup>b</sup>, Faisal A. Almalki<sup>c</sup>, Hadeel H. Halawah<sup>d</sup>, Batool Fawzi Taj<sup>d</sup>,  
Athir Faiz Alnafaei<sup>d</sup>, Rahaf Sulaiman Alharazi<sup>d</sup>, Weam Mahmood Kazi<sup>d</sup>, Malak M. AlMatrafi<sup>d</sup>

<sup>a</sup>Department of Medicinal Chemistry, Faculty of Pharmacy, Beni-Suef University, Beni-Suef 62514, Egypt

<sup>b</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Minia University, Minia 61519, Egypt

<sup>c</sup>Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Umm Al-Qura University, Makkah 21955, Saudi Arabia

<sup>d</sup>B-Pharmacy Program, Faculty of Pharmacy, Umm Al-Qura University, Makkah 21955, Saudi Arabia



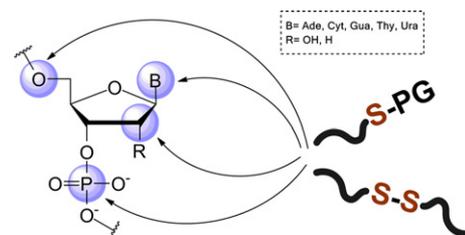
### Disulfide bridge as a linker in nucleic acids' bioconjugation. Part I: An overview of synthetic strategies

Bioorganic Chemistry 92 (2019) 103223

Anna R. Stasinska<sup>a,b</sup>, Piotr Putaj<sup>b</sup>, Marcin K. Chmielewski<sup>a,b</sup>

<sup>a</sup>Institute of Bioorganic Chemistry, Polish Academy of Sciences, Noskowskiego 12/14, 61-704 Poznan, Poland

<sup>b</sup>FutureSynthesis Sp. z o.o., Rubież 46H, 61-612 Poznan, Poland



### The role of long noncoding RNA in major human disease

Bioorganic Chemistry 92 (2019) 103214

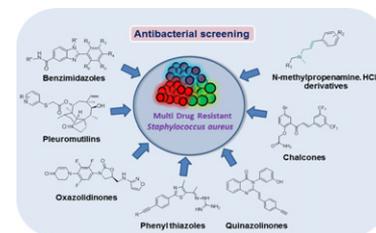
Xiaoli Zhang<sup>a</sup>, Ruiyun Hong<sup>b</sup>, Weiqiang Chen<sup>a</sup>, Minwen Xu<sup>b</sup>, Liefeng Wang<sup>a</sup>

<sup>a</sup>Department of Biotechnology, Gannan Medical University, Ganzhou 341000, China

<sup>b</sup>First Affiliated Hospital of Gannan Medical University, Ganzhou 341000, China

**Promising antibacterial agents against multidrug resistant *Staphylococcus aureus***

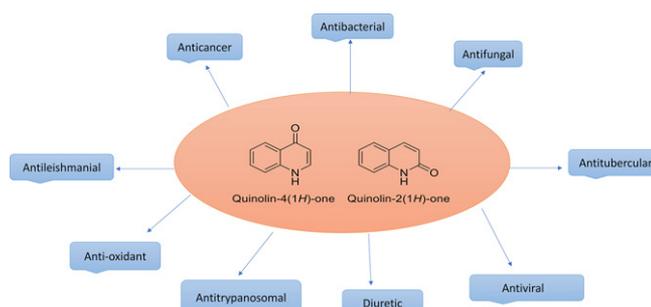
Bioorganic Chemistry 92 (2019) 103252

Srikanth Gatadi<sup>a</sup>, Y.V. Madhavi<sup>a</sup>, Sidharth Chopra<sup>b</sup>, Srinivas Nanduri<sup>a</sup><sup>a</sup>Department of Medicinal Chemistry, National Institute of Pharmaceutical Education and Research (NIPER), Hyderabad 500037, India<sup>b</sup>Division of Microbiology, CSIR-Central Drug Research Institute, Sitapur Road, Sector 10, Janakipuram Extension, Lucknow 226031, Uttar Pradesh, India**Recent advances in the synthetic and medicinal perspective of quinolones: A review**

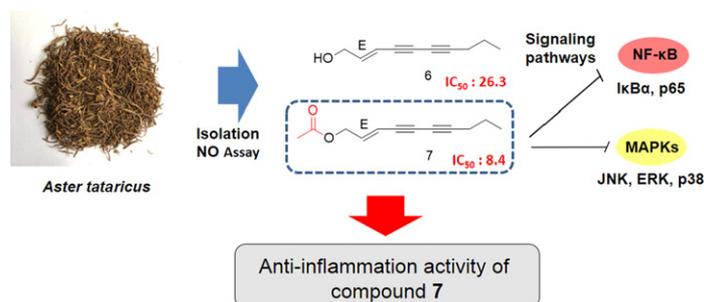
Bioorganic Chemistry 92 (2019) 103291

Prashant Dhiman, Nidhi Arora, Punniyakoti Veeraveedu Thanikachalam, Vikramdeep Monga

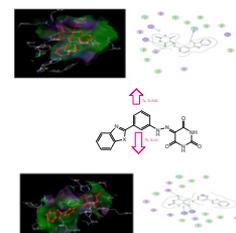
Department of Pharmaceutical Chemistry, ISF College of Pharmacy, G.T. Road, Ghal Kalan, Moga 142001, Punjab, India

**PRELIMINARY COMMUNICATIONS****Identification of potential inflammatory inhibitors from *Aster tataricus***

Bioorganic Chemistry 92 (2019) 103208

Xiang Dong Su<sup>a</sup>, Hyun-Jae Jang<sup>b</sup>, Hong Xu Li<sup>a</sup>, Young Ho Kim<sup>a</sup>, Seo Young Yang<sup>a</sup><sup>a</sup>College of Pharmacy, Chungnam National University, Daejeon 34134, Republic of Korea<sup>b</sup>Natural Medicine Research Center, Korea Research Institute of Bioscience and Biotechnology, 30 Cheongju, Chungbuk 28116, Republic of Korea**New pyrimidine-benzoxazole/benzimidazole hybrids: Synthesis, antioxidant, cytotoxic activity, *in vitro* cyclooxygenase and phospholipase A2-V inhibition**

Bioorganic Chemistry 92 (2019) 103218

Mohamed A. Abdelgawad<sup>a,b</sup>, Rania B. Bakr<sup>a,b</sup>, Waqas Ahmad<sup>c</sup>, Mohammad M. Al-Sanea<sup>a</sup>, Heba A.H. Elshemy<sup>b</sup><sup>a</sup>Pharmaceutical Chemistry Department, College of Pharmacy, Jouf University, Aljouf 2014, Saudi Arabia<sup>b</sup>Pharmaceutical Organic Chemistry, Faculty of Pharmacy, Beni-Suef University, Beni-Suef 62514, Egypt<sup>c</sup>School of Pharmaceutical Sciences, University Sains Malaysia, 11800 Pulau Pinang, Malaysia

### Design, synthesis and biological evaluation of some tetrazole acetamide derivatives as novel non-carboxylic PTP1B inhibitors

Bioorganic Chemistry 92 (2019) 103221

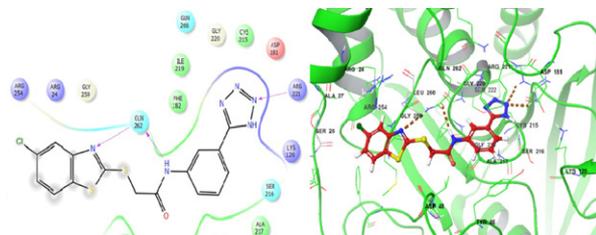
Neelesh Maheshwari<sup>a</sup>, Chandrabose Karthikeyan<sup>a,b</sup>, Shraddha V. Bhadada<sup>c</sup>, Amit K. Verma<sup>d</sup>, Chandan Sahi<sup>d</sup>, N.S. Hari Narayana Moorthy<sup>a,b</sup>, Piyush Trivedi<sup>a</sup>

<sup>a</sup>School of Pharmaceutical Sciences, Rajiv Gandhi Proudyogiki Vishwavidyalaya, Airport Bypass Road, Gandhi Nagar, Bhopal, MP 462036, India

<sup>b</sup>Department of Pharmacy, Indira Gandhi National Tribal University, Lalpur, Amarkantak, MP 484887, India

<sup>c</sup>Department of Pharmacology, Institute of Pharmacy, Nirma University, Ahmedabad 382481, Gujarat, India

<sup>d</sup>Department of Biological Sciences, Indian Institute of Science Education and Research, Bhopal, Madhya Pradesh 462066, India



### A novel adaptive fluorescent probe for cell labelling

Bioorganic Chemistry 92 (2019) 103295

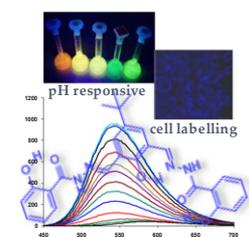
Anca G. Coman<sup>a</sup>, Anca Paun<sup>a</sup>, Codruta C. Popescu<sup>a</sup>, Niculina D. Hadade<sup>b</sup>, Anamaria Hanganu<sup>c</sup>, Gabriela Chiritoiu<sup>d</sup>, Ileana C. Farcasanu<sup>a</sup>, Mihaela Matache<sup>a</sup>

<sup>a</sup>University of Bucharest, Faculty of Chemistry, Department of Organic Chemistry, Biochemistry and Catalysis, Research Centre of Applied Organic Chemistry, 90-92 Panduri Street, RO-050663 Bucharest, Romania

<sup>b</sup>Faculty of Chemistry and Chemical Engineering, Supramolecular Organic and Organometallic Chemistry Centre, "Babes-Bolyai" University, 11 Arany Janos Str., RO-400028 Cluj-Napoca, Romania

<sup>c</sup>Institute of Organic Chemistry "C.D. Nenitescu" of the Romanian Academy, 202B Spl. Independentei, 060023 Bucharest, Romania

<sup>d</sup>Institute of Biochemistry of the Romanian Academy, 296 Spl. Independentei, 060031 Bucharest, Romania



### Discovery of a series of selective and cell permeable beta-secretase (BACE1) inhibitors by fragment linking with the assistance of STD-NMR

Bioorganic Chemistry 92 (2019) 103253

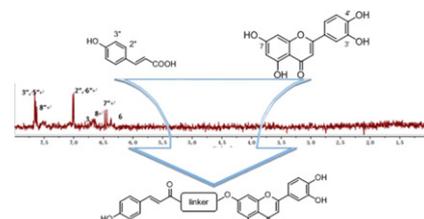
Wei-Shuo Fang<sup>a</sup>, De-yang Sun<sup>a</sup>, Shuang Yang<sup>a</sup>, Chen Cheng<sup>b</sup>, Katrin Moschke<sup>c,d</sup>, Tianqi Li<sup>a</sup>, Shanshan Sun<sup>a</sup>, Stefan F. Lichtenthaler<sup>c,d</sup>, Jian Huang<sup>b</sup>, Yinghong Wang<sup>a</sup>

<sup>a</sup>State Key Laboratory of Bioactive Substances and Functions of Natural Medicines, Institute of Materia Medica, Chinese Academy of Medical Sciences & Peking Union Medical College (CAMS & PUMC), 2A Nan Wei Road, Beijing 100050, PR China

<sup>b</sup>College of Life Sciences, Wuhan University, Wuhan, Hubei 430072, PR China

<sup>c</sup>German Center for Neurodegenerative Diseases (DZNE) and Munich Cluster for Systems Neurology (SyNergy), Technical University of Munich, Feodor-Lynen-Strasse 17, 81377 Munich, Germany

<sup>d</sup>Neuroproteomics, Klinikum rechts der Isar, Technical University of Munich, 81675 Munich, Germany



### Dihydro- $\beta$ -agarofuran sesquiterpenoid derivatives with anti-inflammatory activity from the leaves of *Tripterygium wilfordii*

Bioorganic Chemistry 92 (2019) 103288

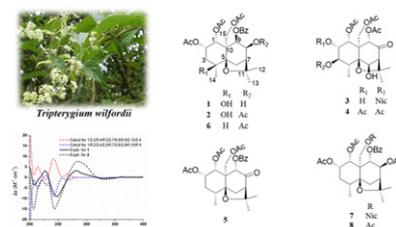
Qing-Jun He<sup>a</sup>, Le Zhou<sup>a</sup>, Li-Wei Lu<sup>a</sup>, Feng Zhao<sup>b</sup>, Xiao-Xiao Huang<sup>a,d</sup>, Bin Lin<sup>c</sup>, Shao-Jiang Song<sup>a</sup>

<sup>a</sup>School of Traditional Chinese Materia Medica, Key Laboratory of Computational Chemistry-Based Natural Antitumor Drug Research & Development, Liaoning Province, Shenyang Pharmaceutical University, Shenyang 110016, People's Republic of China

<sup>b</sup>Key Laboratory of Molecular Pharmacology and Drug Evaluation, Ministry of Education, Collaborative Innovation Center of Advanced Drug Delivery System and Biotech Drugs in Universities of Shandong, School of Pharmacy, Yantai University, Yantai 264005, People's Republic of China

<sup>c</sup>School of Pharmaceutical Engineering, Liaoning Province, Shenyang Pharmaceutical University, Shenyang 110016, People's Republic of China

<sup>d</sup>Chinese People's Liberation Army 967 Hospital, Dalian 116021, People's Republic of China



**Corrigendum to “Design, synthesis and biological evaluation of pyrazolopyrimidinone based potent and selective PDE5 inhibitors for treatment of erectile dysfunction” [Bioorg. Chem. 89 (2019) 103022]**

Bioorganic Chemistry 92 (2019) 103257

G. Lakshma Reddy<sup>a,e</sup>, Mohd. Ishaq Dar<sup>b,e</sup>, Abhinandan D. Hudwekar<sup>a,e</sup>, Priya Mahajan<sup>c,e</sup>, Amit Nargotra<sup>c,e</sup>, Adil Manzoor Baba<sup>b,f</sup>, Utpal Nandi<sup>d,e</sup>, Priya Wazir<sup>d</sup>, Gurdarshan Singh<sup>d,e</sup>, Sonali S. Bharate<sup>g</sup>, Ram A. Vishwakarma<sup>a,e</sup>, Sajad Hussain Syed<sup>b,e,f</sup>, Sanghapal D. Sawant<sup>a,e</sup>

<sup>a</sup>Medicinal Chemistry Division, CSIR-Indian Institute of Integrative Medicine, Canal Road, Jammu 180 001, India

<sup>b</sup>Cancer Pharmacology Division, CSIR-Indian Institute of Integrative Medicine, Canal Road, Jammu 180 001, India

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<sup>e</sup>Academy of Scientific and Innovative Research, Anusandhan Bhawan, 2 Rafi Marg, New Delhi 110 001, India

<sup>f</sup>Pharmacology Division, CSIR-Indian Institute of Integrative Medicine, Sanat Nagar, Srinagar 190 005, India

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