

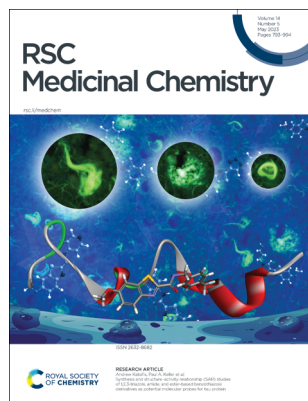
RSC Medicinal Chemistry

rsc.li/medchem

The Royal Society of Chemistry is the world's leading chemistry community. Through our high impact journals and publications we connect the world with the chemical sciences and invest the profits back into the chemistry community.

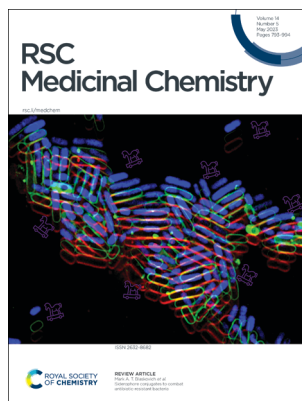
IN THIS ISSUE

ISSN 2632-8682 CODEN RMCSCX 14(5) 793-994 (2023)



Cover

See Andrew Katsifis, Paul A. Keller *et al.*, pp. 858–868.
Image designed and produced by Hendris Wongso and reproduced by permission of Hendris Wongso, Andrew Katsifis and Paul A. Keller from *RSC Med. Chem.*, 2023, 14, 858.



Inside cover

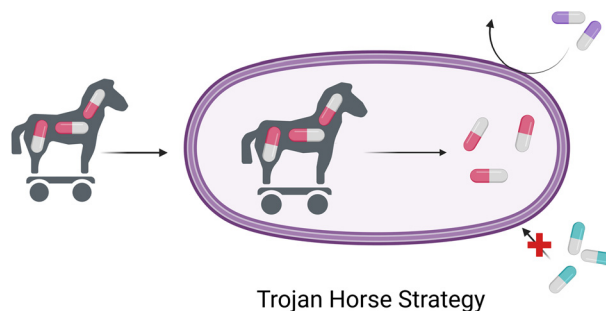
See Mark A. T. Blaskovich *et al.*, pp. 800–822.
Image designed by Beth Rayner and Mark Blaskovich using microscopy image of fluorescently labelled *Escherichia coli* by Bing Zhang and Trojan horse
©Adobe Stock.
Image reproduced by permission of Beth Rayner, Bing Zhang and Mark A. T. Blaskovich from *RSC Med. Chem.*, 2023, 14, 800.

REVIEWS

800

Siderophore conjugates to combat antibiotic-resistant bacteria

Beth Rayner, Anthony D. Verderosa, Vito Ferro and Mark A. T. Blaskovich*

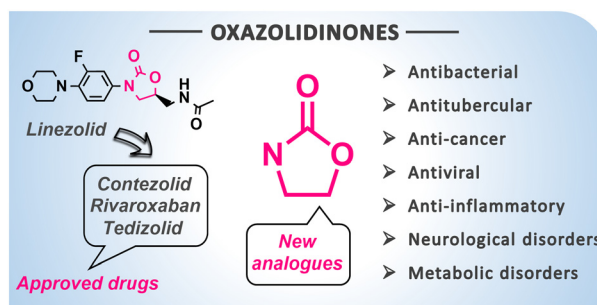


Trojan Horse Strategy

823

Oxazolidinones as versatile scaffolds in medicinal chemistry

Guilherme Felipe Santos Fernandes,*
Cauê Benito Scarim, Seong-Heun Kim, Jingyue Wu and Daniele Castagnolo*



Editorial Staff

Executive Editor

Rebecca Garton

Deputy Editor

Jack Washington

Editorial Production Manager

Sarah Whitehouse

Development Editor

Emily Cuffin-Munday

Publishing Editors

Nicola Burton, Tom Cozens, Katie Fernandez, Ryan Kean, Roxane Owen, Alex Rowles

Editorial Assistant

Amy Cook

Publishing Assistant

Andrea Whiteside

Publisher

Sam Keltie

For queries about submitted papers, please contact Sarah Whitehouse, Editorial Production Manager, in the first instance. E-mail medchem@rsc.org

For pre-submission queries please contact Rebecca Garton, Executive Editor. E-mail medchem-rsc@rsc.org

RSC Medicinal Chemistry (electronic: ISSN 2632-8682) is published 12 times a year by the Royal Society of Chemistry, Thomas Graham House, Science Park, Milton Road, Cambridge, UK CB4 0WF.

All orders, with cheques made payable to the Royal Society of Chemistry, should be sent to the Royal Society of Chemistry Order Department, Royal Society of Chemistry, Thomas Graham House, Science Park, Milton Road, Cambridge, CB4 0WF, UK

Tel +44 (0)1223 432398; E-mail orders@rsc.org

2023 Annual (electronic) subscription price: £1643; US\$2435. Customers in Canada will be subject to a surcharge to cover GST. Customers in the EU subscribing to the electronic version only will be charged VAT.

If you take an institutional subscription to any Royal Society of Chemistry journal you are entitled to free, site-wide web access to that journal. You can arrange access via Internet Protocol (IP) address at www.rsc.org/ip

Customers should make payments by cheque in sterling payable on a UK clearing bank or in US dollars payable on a US clearing bank.

Whilst this material has been produced with all due care, the Royal Society of Chemistry cannot be held responsible or liable for its accuracy and completeness, nor for any consequences arising from any errors or the use of the information contained in this publication. The publication of advertisements does not constitute any endorsement by the Royal Society of Chemistry or Authors of any products advertised. The views and opinions advanced by contributors do not necessarily reflect those of the Royal Society of Chemistry which shall not be liable for any resulting loss or damage arising as a result of reliance upon this material. The Royal Society of Chemistry is a charity, registered in England and Wales, Number 207890, and a company incorporated in England by Royal Charter (Registered No. RC000524), registered office: Burlington House, Piccadilly, London W1J 0BA, UK, Telephone: +44 (0) 207 4378 6556.

Advertisement sales:

Tel +44 (0) 1223 432246; Fax +44 (0) 1223 426017;

E-mail advertising@rsc.org

For marketing opportunities relating to this journal, contact marketing@rsc.org

RSC Medicinal Chemistry

rsc.li/medchem

RSC Medicinal Chemistry publishes significant research and review articles in medicinal chemistry and related drug discovery science.

Editorial Board

Editor-in-Chief

Mike Waring, Newcastle University, UK

Associate Editors

Cynthia Dowd, George Washington University, USA

Maria Duca, Université Côte d'Azur - CNRS, France

Sally-Ann Poulsen, Griffith University,

Queensland, Australia

Jian Zhang, Shanghai Jiao Tong University

School of Medicine, China

Members

Hayley Binch, Hoffmann-La Roche, Switzerland

Paola Castaldi, LifeMine Therapeutics, USA

Matthew Fuchter, Imperial College London, UK

Jayanta Haldar, Jawaharlal Nehru Centre for Advanced Scientific Research, India

Lyn Jones, Dana-Farber Cancer Institute, Boston, USA

Jean-Louis Reymond, University of Bern, Switzerland

Advisory Board

Timor Baasov, Israel Institute of Technology, Israel

Andreas Bender, University of Cambridge, UK

Julian Blagg, Institute of Cancer Research,

Sutton, UK

Margaret Brimble, University of Auckland, New Zealand

Mark Bunnage, Vertex Pharmaceuticals, USA

Christopher Burns, Certar Therapeutics, Australia

Andrea Cavalli, University of Bologna, Italy

Young-Tae Chang, POSTECH, South Korea

James Crawford, Genentech, Inc., USA

Matthew Duncton, Rigel Pharmaceuticals Inc, San Francisco, USA

Stephen Frye, University of North Carolina at Chapel Hill, USA

Sylvie Garneau-Tsodikova, University of Kentucky, USA

Barry Gold, University of Pittsburgh, USA

Gyoonhee Han, Yonsei University, Korea

Mike Hann, GSK Medicine Research Centre, UK

Christian Heinis, EPFL, Switzerland

Laura H. Heitman, Leiden University, Netherlands

Yoshinori Ikeura, Axcelead Drug Discovery Partners, Japan

Ahmed Kamal, NIPER, Hyderabad, India

Bob (Robert) Langer, MIT, USA

Steven V. Ley, University of Cambridge, UK

Maria Luz López Rodríguez, Complutense University of Madrid, Spain

Christa Müller, University of Bonn, Germany

Roberto Pellicciari, University of Perugia, Italy

David Rees, Astex Therapeutics, Cambridge, UK

Motonari Uesugi, Kyoto University, Japan

John C. Vederas, University of Alberta, Canada

Paul Wender, Stanford University, USA

Zhen Yang, Peking University, China

Ming-Qiang Zhang, Amgen, Shanghai, China

Information for Authors

Full details on how to submit material for publication in RSC Medicinal Chemistry are given in the Instructions for Authors (available from <http://www.rsc.org/authors>). Submissions should be made via the journal's homepage: rsc.li/medchem

Authors may reproduce/republish portions of their published contribution without seeking permission from the Royal Society of Chemistry, provided that any such republication is accompanied by an acknowledgement in the form: (Original Citation)–Reproduced by permission of the Royal Society of Chemistry.

This journal is © The Royal Society of Chemistry 2023.

Apart from fair dealing for the purposes of research or private study for non-commercial purposes, or criticism or review, as permitted under the Copyright, Designs and Patents Act 1988 and the Copyright and Related Rights Regulation 2003, this publication may only be reproduced, stored or transmitted, in any form or by any means, with the prior permission in writing of the Publishers or in the case of reprographic reproduction in accordance with the terms of licences issued by the Copyright Licensing Agency in the UK. US copyright law is applicable to users in the USA.

Registered Charity No. 207890.

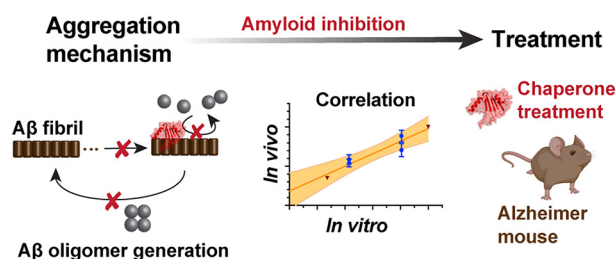


REVIEWS

848

Amyloid inhibition by molecular chaperones *in vitro* can be translated to Alzheimer's pathology *in vivo*

Axel Abelein* and Jan Johansson

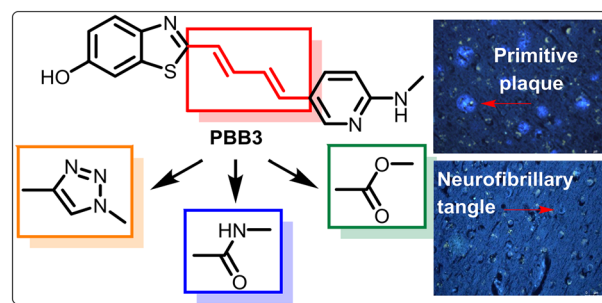


RESEARCH ARTICLES

858

Synthesis and structure–activity relationship (SAR) studies of 1,2,3-triazole, amide, and ester-based benzothiazole derivatives as potential molecular probes for tau protein

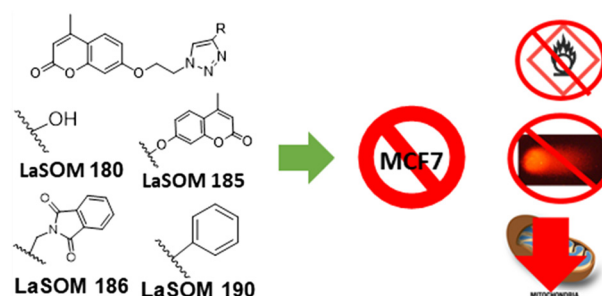
Hendris Wongso, Maiko Ono, Tomoteru Yamasaki, Katsushi Kumata, Makoto Higuchi, Ming-Rong Zhang, Michael J. Fulham, Andrew Katsifis* and Paul A. Keller*



869

Antiproliferative activity and toxicity evaluation of 1,2,3-triazole and 4-methyl coumarin hybrids in the MCF7 breast cancer cell line

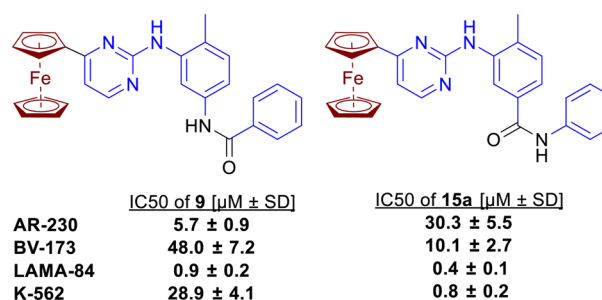
Lucas Volnei Augsten, Gabriela Göethel, Bruna Gauer, Mariele Feiffer Charão, Gilsane von Poser, Romulo F. S. Canto, Marcelo Dutra Arbo, Vera Lucia Eifler-Lima* and Solange Cristina Garcia



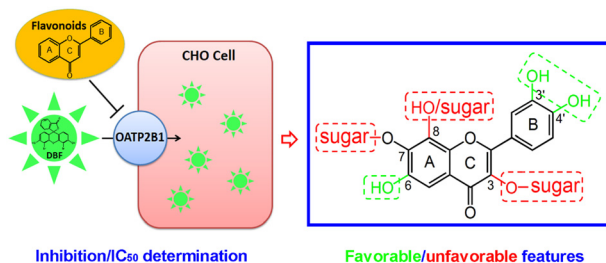
880

Ferrocene modified analogues of imatinib and nilotinib as potent anti-cancer agents

Irena Philipova, Rositsa Mihaylova, Georgi Momekov, Rostislava Angelova and Georgi Stavrakov*



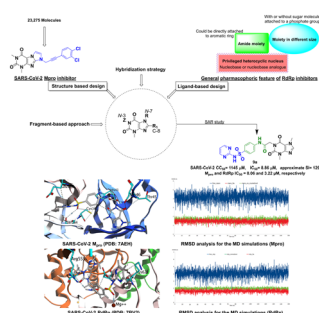
890



Investigating the interactions of flavonoids with human OATP2B1: inhibition assay, IC₅₀ determination, and structure–activity relationship analysis

Taotao Peng, Shuai Liu, Ying Li, Hongjian Zhang, Bruno Hagenbuch and Chunshan Gui*

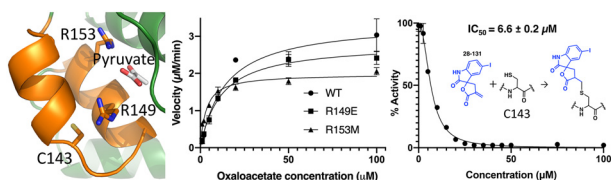
899



Insights into targeting SARS-CoV-2: design, synthesis, *in silico* studies and antiviral evaluation of new dimethylxanthine derivatives

Abdalla R. Mohamed,* Ahmed Mostafa, Mahmoud A. El Hassab, Gomaa M. Hedeab, Sara H. Mahmoud, Riham F. George, Hanan H. Georgey, Nagwa M. Abdel Gawad and Mohamed K. El-Ashrey

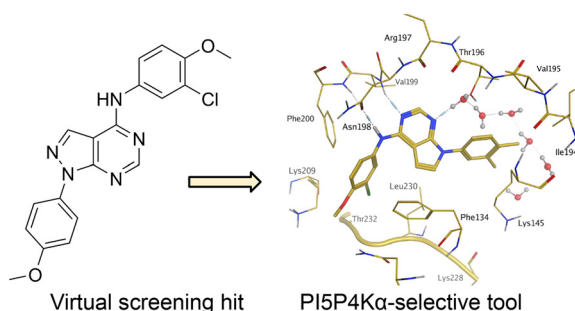
921



Mycobacterium tuberculosis CitA activity is modulated by cysteine oxidation and pyruvate binding

Rasangi Pathirage, Lorenza Favrot, Cecile Petit, Melvin Yamsek, Sarbjit Singh, Jayapal Reddy Mallareddy, Sandeep Rana, Amarnath Natarajan and Donald R. Ronning*

934



Identification of ARUK2002821 as an isoform-selective PI5P4Kα inhibitor

Henriëtte M. G. Willems, Simon Edwards, Helen K. Boffey, Stephen J. Chawner, Christopher Green, Tamara Romero, David Winpenny, John Skidmore, Jonathan H. Clarke and Stephen P. Andrews*

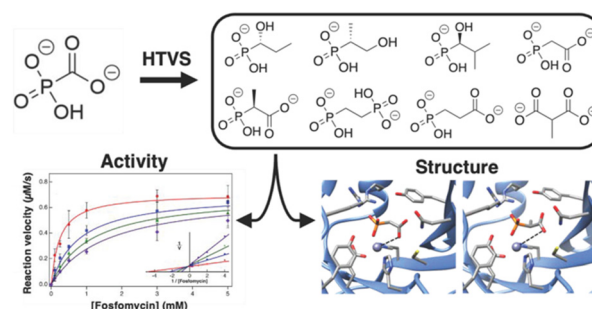


RESEARCH ARTICLES

947

Identification and analysis of small molecule inhibitors of FosB from *Staphylococcus aureus*

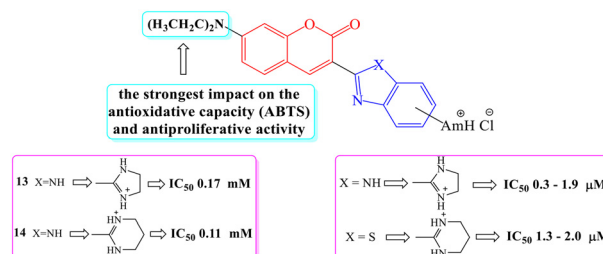
Skye Travis, Keith D. Green, Nishad Thamban Chandrika, Allan H. Pang, Patrick A. Frantom, Oleg V. Tsodikov, Sylvie Garneau-Tsodikova and Matthew K. Thompson*



957

Biological evaluation of novel amidino substituted coumarin-benzazole hybrids as promising therapeutic agents

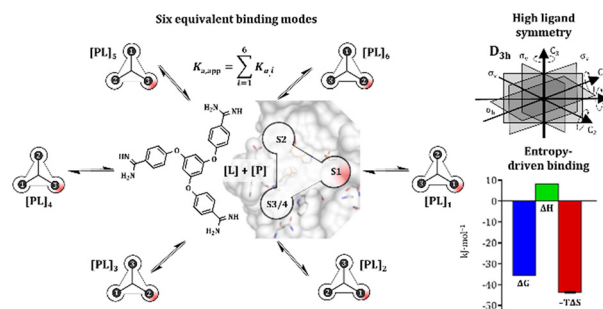
Anja Beč, Livio Racané, Lucija Žonja, Leentje Persoons, Dirk Daelemans, Kristina Starčević, Robert Vianello and Marijana Hranjec*



969

Improving binding entropy by higher ligand symmetry? – A case study with human matriptase

Stefan J. Hammerschmidt, Hannah Maus, Annabelle C. Weldert, Michael Gütschow and Christian Kersten*



983

Titanium complexes affect *Bacillus subtilis* biofilm formation

Shahar Hayet, Mnar Ghayeb, David N. Azulay, Zohar Shpilt, Edit Y. Tshuva* and Liraz Chai*

