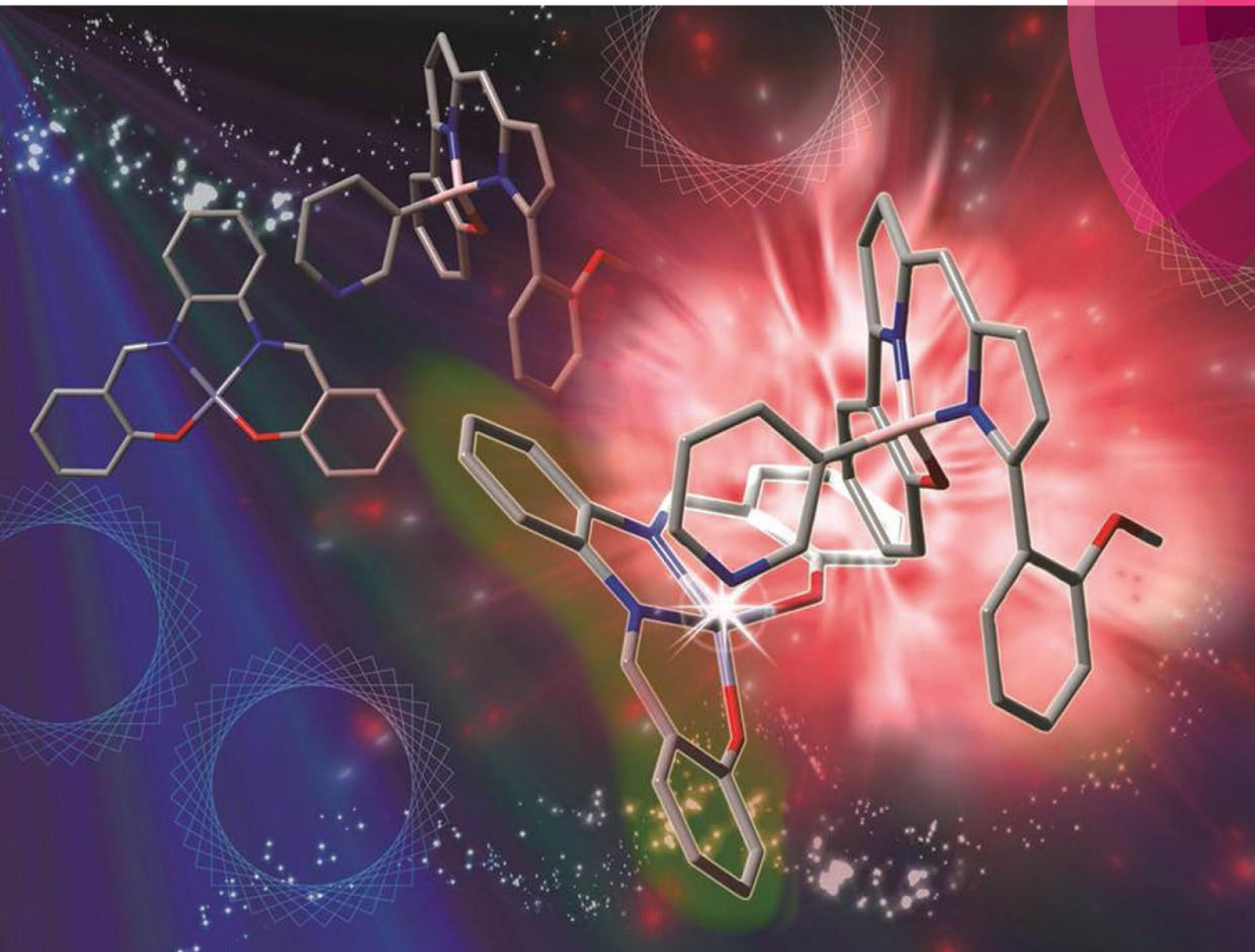


Organic & Biomolecular Chemistry

www.rsc.org/obc



ISSN 1477-0520



PAPER

Tatsuya Nabeshima *et al.*

A facile and high-yield formation of dipyrin-boronic acid dyads and triads: a light-harvesting system in the visible region based on the efficient energy transfer

Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry

www.rsc.org/obc

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 1477-0520 CODEN OBCRAK 13(9) 2485–2812 (2015)



Cover

See Tatsuya Nabeshima *et al.*, pp. 2574–2581.

Image reproduced by permission of Tatsuya Nabeshima from *Org. Biomol. Chem.*, 2015, **13**, 2574.

EDITORIAL

2499

Editorial: Supramolecular chemistry in water

Anthony P. Davis, Stefan Kubik and Antonella Dalla Cort

This themed collection highlights some of the exciting research in supramolecular chemistry in water.



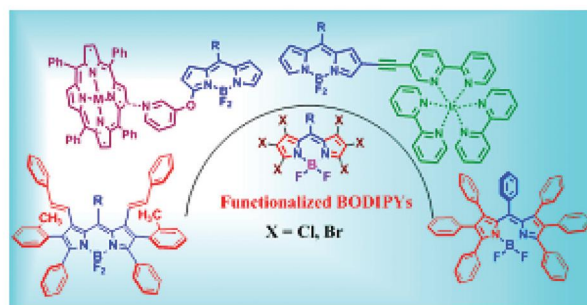
REVIEWS

2501

Halogenated boron-dipyrromethenes: synthesis, properties and applications

Vellanki Lakshmi, Malakalapalli Rajeswara Rao and Mangalampalli Ravikanth*

Synthesis and properties of halogenated boron-dipyrromethenes and their applications in developing various BODIPY systems are described in this review.



Editorial staff

Editor

Richard Kelly

Deputy editor

Marie Cote

Editorial production manager

Helen Saxton

Development editor

James Anson

Publishing editors

Nicola Burton, Zoe Karthäuser, Elisa Meschini, Roxane Owen, Simon Rankmore, Donna Smith

Publishing assistants

Emily Finney, Rosalind Searle

Publisher

Emma Wilson

For queries about submitted papers, please contact Helen Saxton, Editorial production manager in the first instance. E-mail: obc@rsc.org

For pre-submission queries please contact Richard Kelly, Editor. Email: obc-rsc@rsc.org

Organic & Biomolecular Chemistry (print: ISSN 1477-0520; electronic: ISSN 1477-0539) is published 48 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 RSC 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

2015 Annual (print+electronic) subscription price: £4572; US\$8534. 2015 Annual (electronic) subscription price: £4343; US\$8107 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 RSC 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.

The Royal Society of Chemistry takes reasonable care in the preparation of this publication but does not accept liability for the consequences of any errors or omissions. Inclusion of an item in this publication does not imply endorsement by The Royal Society of Chemistry of the content of the original documents to which that item refers.

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



Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry

www.rsc.org/obc

Organic & Biomolecular Chemistry brings together molecular design, synthesis, structure, function and reactivity in one journal. Broad in scope, it publishes research and reviews on topics across organic synthesis, physical organic chemistry, supramolecular chemistry and chemical biology.

Editorial board

Chair

Andrei Yudin, University of Toronto, Canada

Associate editors

Margaret Brimble, University of Auckland, New Zealand
Jin-Guan Yu, Scripps Research Institute, La Jolla, CA, USA

Editorial board members

Ashraf Brik, Technion-Israel Institute of Technology, Israel
Pauline Chiu, University of Hong Kong, China
Jonathan Clayden, University of Manchester, UK
Anthony Davis, University of Bristol, UK

Christian Hertweck, Leibniz-Institute Jena, Germany
Kenichiro Itami, Nagoya University, Japan
Qi-Lin Zhou, Nankai University, China

Advisory board

Kyo Han Ahn, Pohang University of Science and Technology, Korea

Fredrik Almqvist, Umeå University, Sweden

Jeffrey Bode, ETH Zurich, Switzerland

Barry Carpenter, Cardiff University, UK

David Chen, Seoul National University, Korea

Shunsuke Chiba, Nanyang Technological University, Singapore

Sheng-Hsien Chiu, National Taiwan University, Chinese Taipei

Luiz Carlos Dias, State University of Campinas, Brazil

Antonio Echavarren, Autonomous University of Madrid, Spain

Jonathan Ellman, Yale University, USA

Margaret Faul, Amgen, USA

Ben Feringa, University of Groningen, The Netherlands

Amar Flood, Indiana University Bloomington, USA

Nobutaka Fujii, Kyoto University, Japan

Carmen Galan, University of Bristol, UK

Sam Gellman, University of Wisconsin, USA

Christian Hackenberger, Free University Berlin, Germany

Mimi Hii, Imperial College London, UK

Krishna Kaliappan, IITB, India

Steven V. Ley, University of Cambridge, UK

Shih-Yuan Liu, University of Oregon, USA

Stephen Loeb, University of Windsor, Canada

David Lupton, Monash University, Australia

Ilan Marek, Israel Institute of Technology, Israel

Keiji Maruoka, Kyoto University, Japan

Cristina Nevado, University of Zürich, Switzerland

Dhevalapally B. Ramachary, University of Hyderabad, India

Viresh Rawal, University of Chicago, USA

Mark Rizzacasa, University of Melbourne, Australia

Richmond Sarpong, University of California, Berkeley, USA

Paolo Scrimin, University of Padua, Italy

Oliver Seitz, Humboldt University of Berlin, Germany

Jay Siegel, University of Zürich, Switzerland

Tibor Soos, Hungarian Academy of Sciences, Hungary

Corey Stephenson, University of Michigan, USA

Mark Taylor, University of Toronto, Canada

Dirk Trauner, Ludwig-Maximilian University Munich, Germany

Bruce Turnbull, University of Leeds, UK

Georgios Vassilikogiannakis, University of Crete, Greece

Helma Wennemers, University of Basel, Switzerland

Peter Wipf, University of Pittsburgh, USA

Shuli You, Shanghai Institute of Organic Chemistry, China

Li He Zhang, Peking University, China

Jian Zhou, East China Normal University, China

Information for authors

Full details on how to submit material for publication in *Organic & Biomolecular Chemistry* are given in the Instructions for Authors (available from <http://www.rsc.org/authors>).

Submissions should be made via the journal's homepage: <http://www.rsc.org/obc>.

Authors may reproduce/republish portions of their published contribution without seeking permission from the RSC, 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 2015. 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.

The Royal Society of Chemistry takes reasonable care in the preparation of this publication but does not accept liability for the consequences of any errors or omissions.

© The paper used in this publication meets the requirements of ANSI/NISO Z39.48-1992 (Permanence of Paper).

Registered Charity No. 207890.

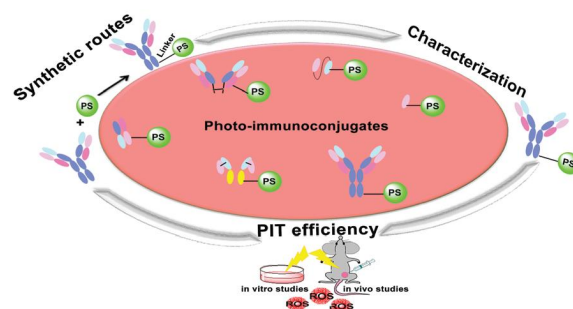
REVIEWS

2518

Antibodies armed with photosensitizers: from chemical synthesis to photobiological applications

Patricia M. R. Pereira, Barbara Korsak, Bruno Sarmento, Rudolf J. Schneider, Rosa Fernandes and João P. C. Tomé*

Targeting photosensitizers to cancer cells by conjugating them with specific antibodies, able to recognize and bind to tumor-associated antigens, is today one of the most attractive strategies in photodynamic therapy (PDT).

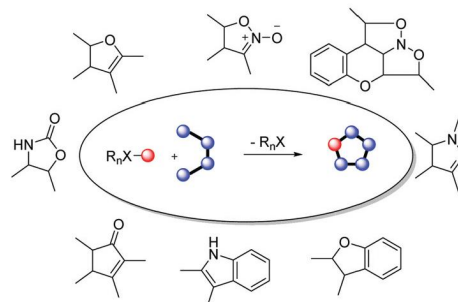


2530

Ylide formal [4 + 1] annulation

Chunyin Zhu,* Ya Ding and Long-Wu Ye*

Ylide [4 + 1] annulation: beyond small-ring formation.



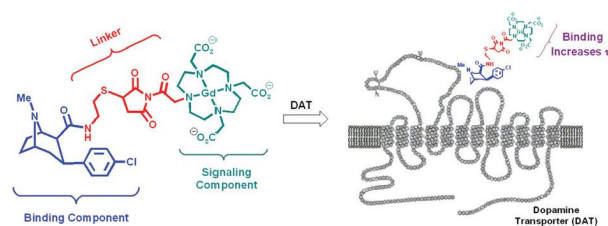
COMMUNICATIONS

2537

Synthesis of a β -CCT-lanthanide conjugate for binding the dopamine transporter

Gregory R. Naumiec, Grace Lincourt, Jeremy P. Clever, Michael A. McGregor, Abraham Kovoov and Brenton DeBoef*

The development of a β -CCT-lanthanide conjugate that binds the dopamine transporter (DAT) with high affinity ($K_d = 303$ nM) is described. This molecular probe could be used for *in vivo* or *in vitro* studies of the DAT via MRI, PET or SPECT.

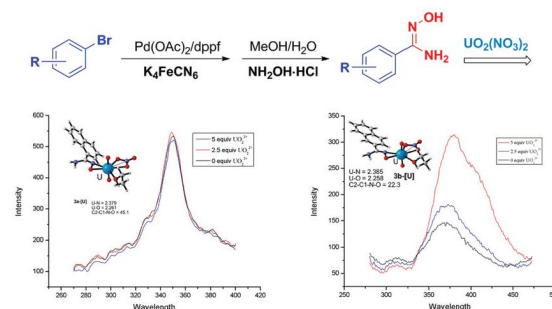


2541

"One-pot" synthesis of amidoxime via Pd-catalyzed cyanation and amidoximation

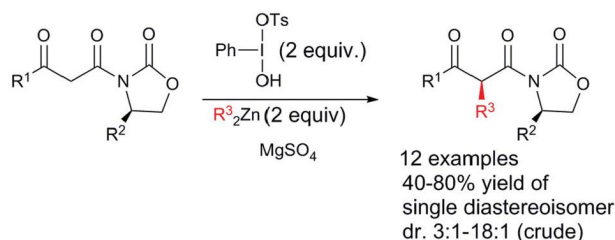
Chu-Ting Yang, Jun Han, Jun Liu, Mei Gu, Yi Li, Jun Wen, Hai-Zhu Yu, Sheng Hu* and Xiaolin Wang*

"One-pot" synthesis of amidoxime was developed for studies on the interactions between amidoxime and uranyl.



COMMUNICATIONS

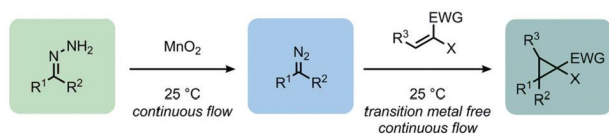
2546

**Oxidative asymmetric umpolung alkylation of Evans' β -ketoimides using dialkylzinc nucleophiles**

Tom A. Targel, Jayprakash N. Kumar, O. Svetlana Shneider, Sukanta Bar, Natalia Fridman, Shimon Maximenko and Alex M. Szpilman*

Umpolung alkylation of Evans' auxiliary substituted β -ketoimides affords the diastereomerically pure products in yields ranging from 40 to 80%.

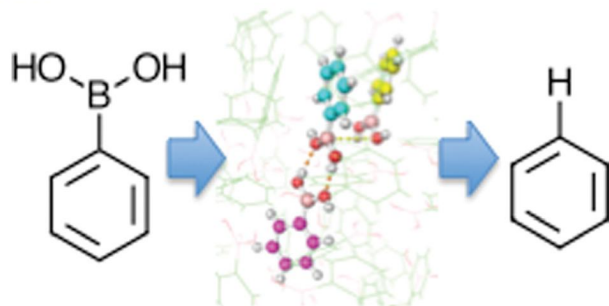
2550

**Cyclopropanation using flow-generated diazo compounds**

Nuria M. Roda, Duc N. Tran, Claudio Battilocchio, Ricardo Labes, Richard J. Ingham, Joel M. Hawkins and Steven V. Ley*

A practical and mild protocol for the cyclopropanation of unstabilised diazo compounds is reported.

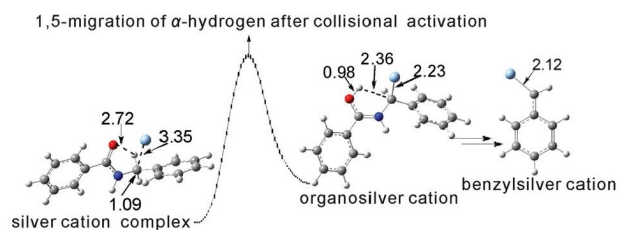
2555

**A mechanistic proposal for the protodeboronation of neat boronic acids: boronic acid mediated reaction in the solid state**

Gary Noonan* and Andrew G. Leach*

Boronic acids that undergo protodeboronation as solids are stable in solution: the solid state organizes them for reaction.

2561

**Gas phase chemistry of *N*-benzylbenzamides with silver(I) cations: characterization of benzylsilver cation**

Hezhi Sun, Zhe Jin, Hong Quan, Cuirong Sun* and Yuanjiang Pan*

Benzylsilver cations are synthesized in the gas phase from the collisional dissociation of argentinated *N*-benzylbenzamides, when the carbonyl oxygen nucleophilically attacks an α -hydrogen.

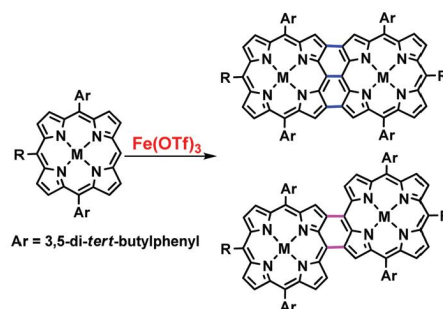
COMMUNICATIONS

2566

Synthesis of directly fused porphyrin dimers through Fe(OTf)₃-mediated oxidative coupling

Chuan-Mi Feng, Yi-Zhou Zhu,* Shao-Chun Zhang, Yun Zang and Jian-Yu Zheng*

A practical and general Fe(OTf)₃-mediated oxidative coupling method was developed for the synthesis of doubly or triply linked porphyrin dimers.

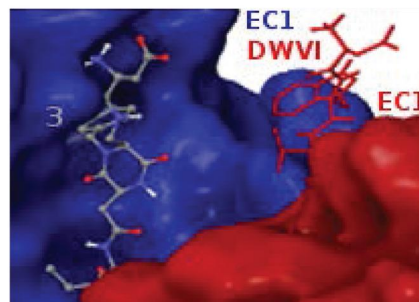


2570

Computational design of novel peptidomimetic inhibitors of cadherin homophilic interactions

Fabio Doro, Cinzia Colombo, Chiara Alberti, Daniela Arosio, Laura Belvisi, Cesare Casagrande, Roberto Fanelli, Leonardo Manzoni, Emilio Parisini, Umberto Piarulli, Elena Luison, Mariangela Figini, Antonella Tomassetti* and Monica Civera*

Peptidomimetic **3** mimicking the DWVI adhesive sequence of the cadherin EC1 domain inhibits cadherin-mediated adhesion in epithelial ovarian cancer cells.



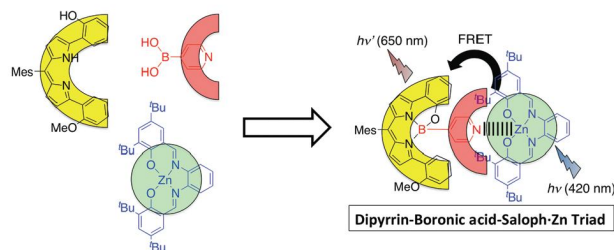
PAPERS

2574

A facile and high-yield formation of dipyrin-boronic acid dyads and triads: a light-harvesting system in the visible region based on the efficient energy transfer

Masaki Yamamura, Shinya Yazaki, Motofumi Seki, Yasunori Matsui, Hiroshi Ikeda and Tatsuya Nabeshima*

Artificial light-harvesting systems, Ar,O-BODIPY dyads and triads conjugated with a light harvester, were synthesized in high yield by the reaction of an N₂O₂-type dipyrin with boronic acids.

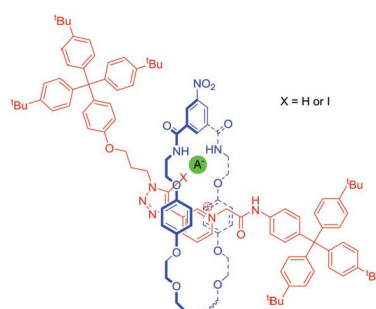


2582

Contrasting anion recognition behaviour exhibited by halogen and hydrogen bonding rotaxane hosts

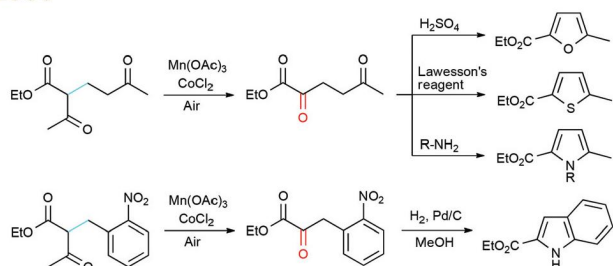
Stuart P. Cornes, Charles H. Davies, David Blyghton, Mark R. Sambrook and Paul D. Beer*

A [2]rotaxane anion host that switches selectivity from dihydrogen phosphate to the halides upon substituting a hydrogen bond donor group for a halogen bond donor group within the axle component is described.



PAPERS

2588

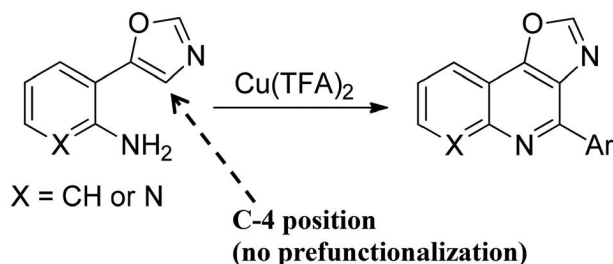


Tandem catalytic oxidative deacetylation of acetoacetic esters and heteroaromatic cyclizations

Yeming Ju, Di Miao, Ruiyang Yu and Sangho Koo*

Coupling of ethyl acetoacetate with MVK and with 2-nitrobenzyl bromides, Mn(III)/Co(II)-catalyzed deacetylation, and heterocyclizations provide pyrroles and indoles, respectively.

2600

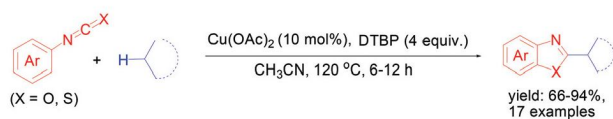


Synthesis of 4-substituted oxazolo[4,5-c]quinolines by direct reaction at the C-4 position of oxazoles

Mahesh Akula, Yadagiri Thigulla, Connor Davis, Mukund Jha and Anupam Bhattacharya*

Cu(TFA)₂ catalysed synthesis of 4-arylsubstituted oxazolo[4,5-c]quinolines/[1,8] naphthyridines has been described via a modified Pictet–Spengler method, without prefunctionalization of the unreactive 4th position of oxazoles.

2606

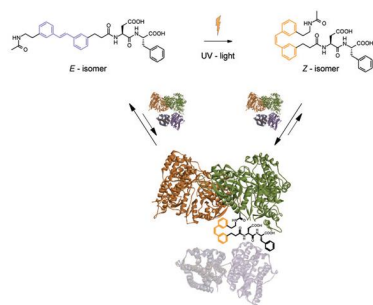


Direct construction of 2-alkylbenzo-1,3-azoles via C–H activation of alkanes for C–C and C–X (X = O, S) bond formation

Arvind K. Yadav and Lal Dhar S. Yadav*

2-Alkylated benzo(oxa)thiazoles were prepared directly from simple alkanes and aryl isocyanates/isothiocyanates in a one-pot procedure.

2612



Phototriggerable peptidomimetics for the inhibition of *Mycobacterium tuberculosis* ribonucleotide reductase by targeting protein–protein binding

Christoffer Karlsson, Magnus Blom, Miranda Johansson (née Varedian), Anna M. Jansson, Enzo Scifo, Anders Karlén, Thavendran Govender and Adolf Gogoll*

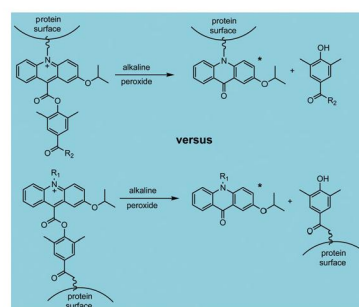
Peptidomimetic inhibitors with photomodulable affinity for the R1–R2 subunit association site were designed based on the R2-subunit C-terminal.

2622

A comparison of chemiluminescent acridinium dimethylphenyl ester labels with different conjugation sites

Anand Natrajan* and David Wen

Chemiluminescent acridinium esters containing conjugation sites at the acridinium nitrogen show increased light yield when labeled to proteins.

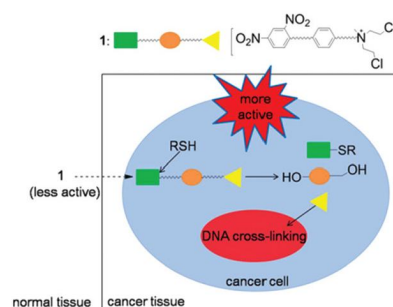


2634

Synthesis and biological studies of the thiols-triggered anticancer prodrug for a more effective cancer therapy

Yuanzhen Xu, Jianjun Chen, Ya Li, Shoujiao Peng, Xueyan Gu, Meng Sun, Kun Gao* and Jianguo Fang*

Thiols-triggered anticancer prodrug **1** produces an active DNA alkylating agent mechlorethamine leading to DNA damage and finally cell death.

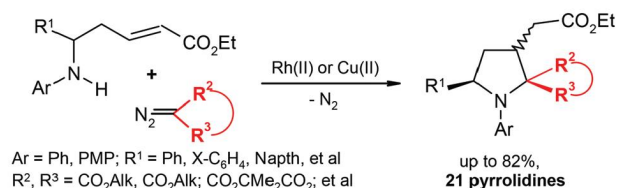


2640

Domino [4 + 1]-annulation of α,β -unsaturated δ -amino esters with Rh(II)-carbenoids – a new approach towards multi-functionalized *N*-aryl pyrrolidines

J. J. Medvedev, O. S. Galkina, A. A. Klinkova, D. S. Giera, L. Hennig, C. Schneider and V. A. Nikolaev*

Catalytic decomposition of diazoesters using Rh(II)- and Cu(II)-complexes in the presence of δ -amino- α,β -unsaturated esters produces multi-functionalized pyrrolidines.

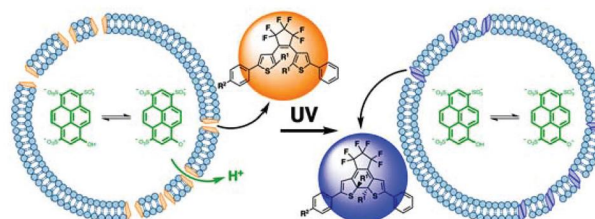


2652

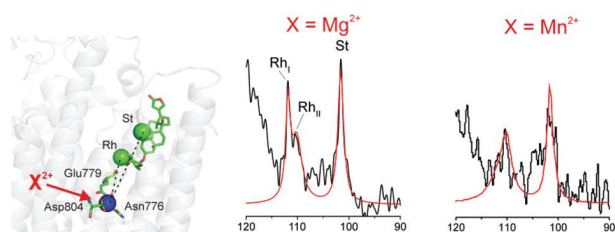
Photocontrol of ion permeation in lipid vesicles with amphiphilic dithienylethenes

Yamuna S. Kandasamy, Jianxin Cai, Alisha Beler, M.-S. Jemeli Sang, Patrick D. Andrews and R. Scott Murphy*

Asymmetrical amphiphilic dithienylethenes have been prepared and photocontrol of ion permeation was observed in lipid vesicles.



2664

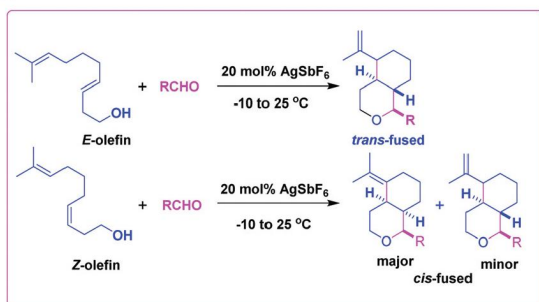


Ligand orientation in a membrane-embedded receptor site revealed by solid-state NMR with paramagnetic relaxation enhancement

Christopher A. P. Whittaker, Simon G. Patching, Mikael Esmann and David A. Middleton*

Paramagnetic relaxation-enhanced solid-state NMR reveals a ouabain analogue with an inverted orientation in the Na, K-ATPase inhibitory site.

2669

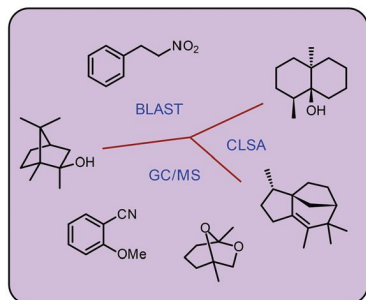


A novel Prins cascade process for the stereoselective synthesis of oxa-bicycles

B. V. Subba Reddy,* A. Venkateswarlu, B. Sridevi, Kanakaraju Marumudi, A. C. Kunwar and G. Gayatri

E- and *Z*-9-Methyldeca-3,8-dien-1-ols undergo smooth cyclization with aldehydes in the presence of 20 mol% AgSbF₆ under extremely mild conditions to generate the corresponding oxa-bicycles in good yields with excellent selectivity.

2673

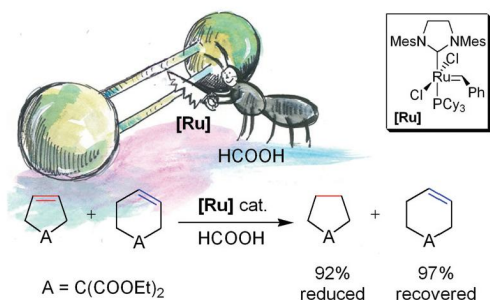


Volatiles from nineteen recently genome sequenced actinomycetes

Christian A. Citron, Lena Barra, Joachim Wink and Jeroen S. Dickschat*

The volatiles from nineteen genome sequenced actinobacteria were analysed by GC/MS and the identified terpenes were correlated to genome data.

2684



In tandem or alone: a remarkably selective transfer hydrogenation of alkenes catalyzed by ruthenium olefin metathesis catalysts

Grzegorz Krzysztof Zieliński, Cezary Samojłowicz, Tomasz Wdowik and Karol Grela*

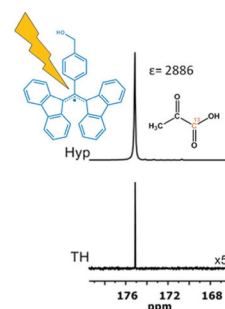
A remarkably selective system for transfer hydrogenation of alkenes, composed of Grubbs' ruthenium metathesis catalyst and HCOONa/HCOOH, is presented. This system can also be formed directly after a metathesis reaction to effect hydrogenation in a single-pot.

2689

A benzyl alcohol derivative of the BDPA radical for fast dissolution dynamic nuclear polarization NMR spectroscopy

J. L. Muñoz-Gómez, E. Monteagudo, V. Lloveras, T. Parella, J. Veciana* and J. Vidal-Gancedo*

A pyruvic acid soluble BDPA derivative, BA-BDPA, is a promising candidate as a polarizing agent for *in vivo* DNP.

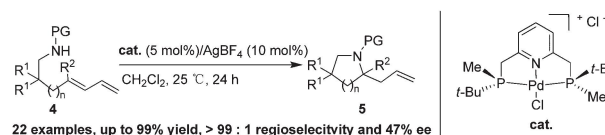


2694

P-stereogenic PNP pincer-Pd catalyzed intramolecular hydroamination of amino-1,3-dienes

Zehua Yang, Chao Xia, DeLong Liu, Yangang Liu, Masashi Sugiya, Tsuneo Imamoto* and Wanbin Zhang*

A new P-stereogenic PNP pincer-Pd complex was readily prepared and was used in the asymmetric intramolecular hydroamination of amino-1,3-dienes, with the desired products being obtained in good yields and with excellent regioselectivities and up to moderate enantioselectivities.

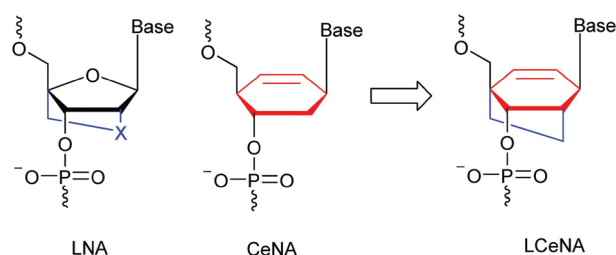


2703

Synthesis of locked cyclohexene and cyclohexane nucleic acids (LCeNA and LCNA) with modified adenosine units

Michal Šála,* Milan Dejmek, Eliška Procházková, Hubert Hřebabecký, Jiří Rybáček, Martin Dračínský, Pavel Novák, Šárka Rosenbergová, Jiří Fukal, Vladimír Sychrovský, Ivan Rosenberg and Radim Nencka*

We designed novel conformationally locked cyclohexene nucleic acid and studied their properties.

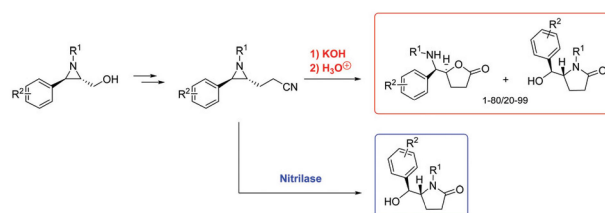


2716

Synthesis of 2-aryl-3-(2-cyanoethyl)aziridines and their chemical and enzymatic hydrolysis towards γ -lactams and γ -lactones

Karen Mollet, Lena Decuyper, Saskia Vander Meeren, Nicola Piens, Karel De Winter, Tom Desmet and Matthias D'hooghe*

Trans- and *cis*-2-aryl-3-(2-cyanoethyl)aziridines were transformed into 4-[aryl(alkylamino)methyl]butyrolactones and/or 5-[aryl(hydroxy)methyl]pyrrolidin-2-ones *via* chemical and enzymatic hydrolysis of the cyano group, followed by ring expansion.



2726

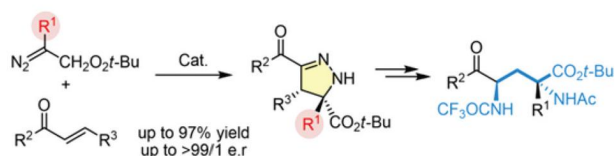


The enantioselective construction of tetracyclic diterpene skeletons with Friedel–Crafts alkylation and palladium-catalyzed cycloalkenylation reactions

Sarah J. Burke, William P. Malachowski,*
Sharan K. Mehta and Roselyn Appenteng

Enantioselective synthesis of natural product-like structures from a two-step extension of the Birch–Cope sequence: intramolecular Friedel–Crafts alkylation and palladium-catalyzed cycloalkenylation.

2745

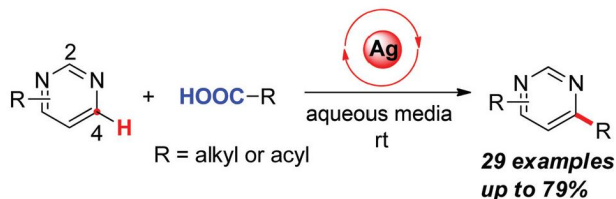


Highly enantioselective catalytic 1,3-dipolar cycloadditions of α -alkyl diazoacetates: efficient synthesis of functionalized 2-pyrazolines

Sung Il Lee, Ka Eun Kim, Geum-Sook Hwang* and
Do Hyun Ryu*

Chiral oxazaborolidinium ion catalyzed 1,3-dipolar cycloaddition reaction of α -substituted diazoacetates gives functionalized 2-pyrazolines in high to excellent enantiomeric ratios.

2750

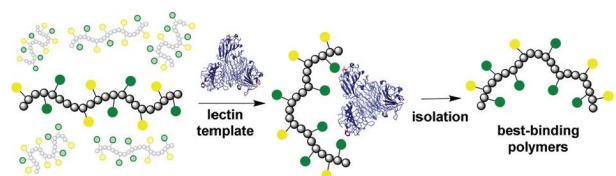


Silver catalysed decarboxylative alkylation and acylation of pyrimidines in aqueous media

Wen-Peng Mai,* Bin Sun, Li-Qin You, Liang-Ru Yang,
Pu Mao,* Jin-Wei Yuan, Yong-Mei Xiao and Ling-Bo Qu*

Decarboxylative alkylation or acylation reactions of simple pyrimidines have been developed in aqueous media.

2756



Templating carbohydrate-functionalised polymer-scaffolded dynamic combinatorial libraries with lectins

Clare S. Mahon, Martin A. Fascione,
Chadamas Sakonsinsiri, Tom E. McAllister,
W. Bruce Turnbull and David A. Fulton*

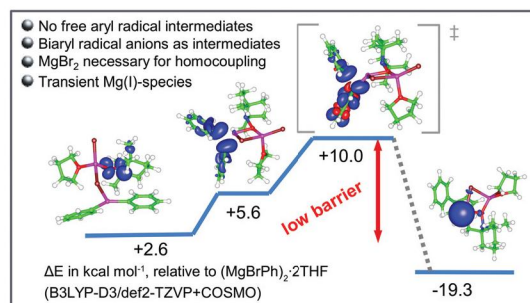
The templating of carbohydrate-functionalised Polymer-Scaffolded Dynamic Combinatorial Libraries affords polymers possessing significantly enhanced affinities for the template, with enhancements in free energy of binding in the range of 5.2–8.8 kJ mol⁻¹ observed.

2762

TEMPO-mediated homocoupling of aryl Grignard reagents: mechanistic studies

Sandip Murarka, Juri Möbus, Gerhard Erker, Christian Mück-Lichtenfeld* and Armido Studer*

The mechanism of TEMPO mediated oxidative homo-coupling of aryl Grignard reagents to biphenyls is investigated in detail by experimental and computational studies.

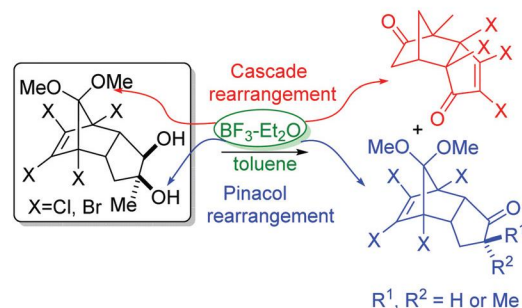


2768

BF₃-Et₂O mediated skeletal rearrangements of norbornyl appended cyclopentenediols

Chintada Nageswara Rao and Faiz Ahmed Khan*

Synthetically useful norbornyl appended cyclopentenones and pinacolone products are reported during the BF₃-Et₂O mediated skeletal rearrangement of norbornyl appended cyclopentenediols.

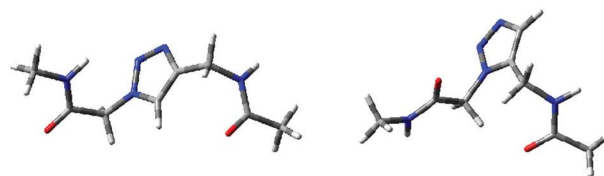


2776

Conformational properties of 1,4- and 1,5-substituted 1,2,3-triazole amino acids – building units for peptidic foldamers

Nina Kann,* Johan R. Johansson* and Tamás Beke-Somfai*

Conformational diversity of 1,4- and 1,5-substituted 1,2,3-triazole amino acids makes them promising building units for novel peptidic foldamers.

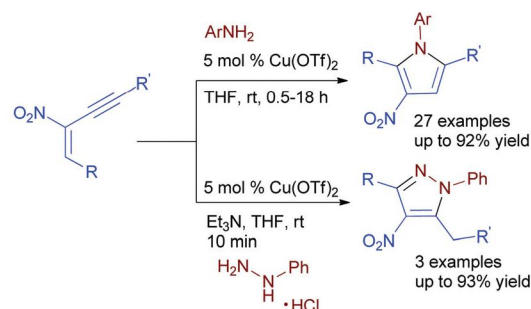


2786

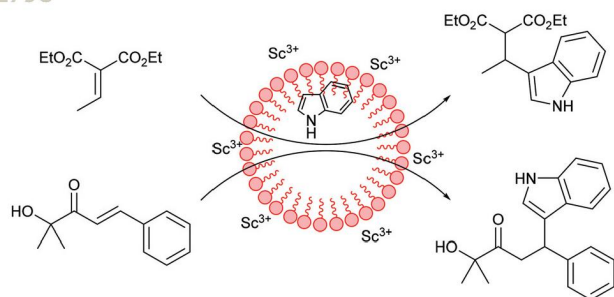
Expedient synthesis of tetrasubstituted pyrroles via a copper-catalyzed cascade inter-/intramolecular cyclization of 1,3-enynes carry a nitro group with amines

Ganesan Bharathiraja, Mani Sengoden, Masanam Kannan and Tharmalingam Punniyamurthy*

Various tetrasubstituted pyrroles/pyrazoles have been prepared from nitro-substituted 1,3-enynes with aromatic amines/hydrazines via a copper-catalyzed cascade aza-Michael addition, cyclization and aromatization.



2793

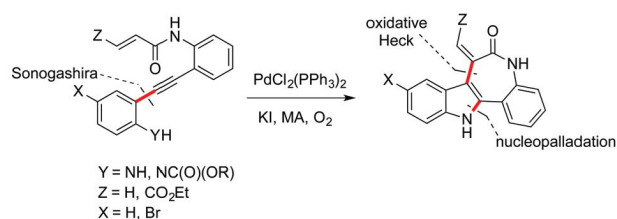


Alkylidene malonates and α,β -unsaturated α' -hydroxyketones as practical substrates for vinylogous Friedel–Crafts alkylations in water catalysed by scandium(III) triflate/SDS

Jens Oelerich and Gerard Roelfes*

Alkylidene malonates and α,β -unsaturated α' -hydroxyketones are excellent substrates for the $\text{Sc}(\text{OTf})_3/\text{SDS}$ catalysed Friedel–Crafts alkylation in water.

2800



Synthesis of 7-alkylidene-7,12-dihydroindolo-[3,2-d]benzazepine-6(5H)-ones (7-alkylidene-paullones) by N-cyclization–oxidative Heck cascade and characterization as sirtuin modulators

J. G. Denis, G. Franci, L. Altucci, J. M. Aurrecochea, Á. R. de Lera* and R. Álvarez*

A palladium-induced cascade of N-cyclization and oxidative Heck reaction of *o*-alkynylanilines produced 7-alkylidene-indolobenzazepinones (paullones) that have sirtuin modulation activities.