

# Organic & Biomolecular Chemistry

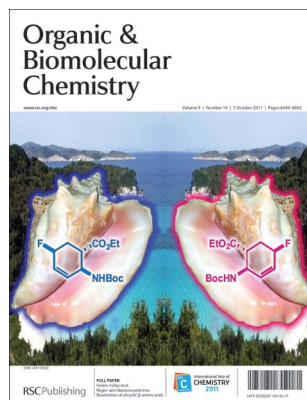
An international journal of synthetic, physical and biomolecular organic chemistry

www.rsc.org/obc

RSC Publishing is a not-for-profit publisher and a division of the Royal Society of Chemistry. Any surplus made is used to support charitable activities aimed at advancing the chemical sciences. Full details are available from www.rsc.org

## IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 9(19) 6449–6852 (2011)



### Cover

See Loránd Kiss *et al.*,  
pp. 6528–6534.

Image reproduced by permission  
of Ferenc Fülöp from *Org. Biomol.  
Chem.*, 2011, **9**, 6528.

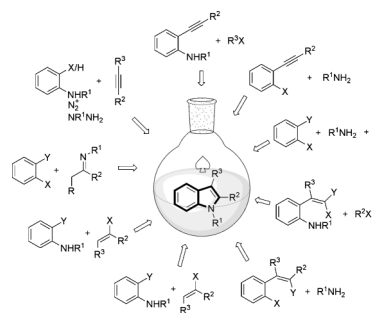
## PERSPECTIVE

6469

### Recent advances in indole syntheses: New routes for a classic target

Rubén Vicente\*

This review summarizes the most recent and relevant approaches towards the preparation of indoles.



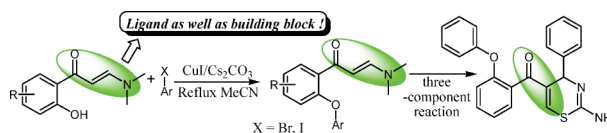
## COMMUNICATIONS

6481

### Direct synthesis of enaminone functionalized biaryl ethers by CuI-catalyzed *O*-arylation of enaminone functionalized phenols

Jie-Ping Wan,\* Chunping Wang and Yunyun Liu

Self-promoted C–O coupling reactions of enaminone functionalized phenols with aryl halides have been performed to give useful biaryl ethers.



## EDITORIAL STAFF

**Editor**

Richard Kelly

**Deputy editor**

Marie Cote

**Development editor**

Francesca Burgoyne

**Senior publishing editor**

Helen Saxton

**Publishing editors**

Nicola Burton, Sarah Dixon, Scott Gallifent-Holmes, Frances Galvin, Ben Merison, Stephen Montgomery, Roxane Owen

**Publishing assistants**

Aliya Anwar, Nathalie Horner

**Publisher**

Emma Wilson

For queries about submitted papers, please contact Helen Saxton, Senior publishing editor in the first instance. E-mail: [obc@rsc.org](mailto:obc@rsc.org)

For pre-submission queries please contact Richard Kelly, Editor. Email: [obc-rsc@rsc.org](mailto:obc-rsc@rsc.org)

Organic & Biomolecular Chemistry (print: ISSN 1477-0520; electronic: ISSN 1477-0539) is published 24 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 Distribution Services, c/o Portland Customer Services, Commerce Way, Colchester, Essex, UK CO2 8HP. Tel +44 (0)1206 226050; E-mail [sales@rscdistribution.org](mailto:sales@rscdistribution.org)

2011 Annual (print+electronic) subscription price: £3726; US\$6955. 2011 Annual (electronic) subscription price: £3353; US\$6260. 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](http://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. Periodicals postage paid at Rahway, NJ, USA and at additional mailing offices. Airfreight and mailing in the USA by Mercury Airfreight International Ltd., 365 Blair Road, Avenel, NJ 07001, USA.

US Postmaster: send address changes to Organic & Biomolecular Chemistry (OBC) c/o Mercury Airfreight International Ltd, 365 Blair Road, Avenel, NJ 07001. All despatches outside the UK by Consolidated Airfreight.

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](mailto:advertising@rsc.org)

For marketing opportunities relating to this journal, contact [marketing@rsc.org](mailto:marketing@rsc.org)

## Organic &amp; Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry

[www.rsc.org/obc](http://www.rsc.org/obc)

*Organic & Biomolecular Chemistry* brings together molecular design, synthesis, structure, function and reactivity in one journal. It publishes fundamental work on synthetic, physical and biomolecular organic chemistry as well as all organic aspects of: chemical biology, medicinal chemistry, natural product chemistry, supramolecular chemistry, macromolecular chemistry, theoretical chemistry, and catalysis.

## EDITORIAL BOARD

**Chair**

Jeffrey Bode, Zürich, Switzerland

**Associate Editor**

Jin-Quan Yu, La Jolla, USA

Margaret Brimble, Auckland, New Zealand

Pauline Chiu, Hong Kong, China  
Veronique Gouverneur, Oxford, UK  
Kenichiro Itami, Nagoya University, JapanStephen Kent, Chicago, USA  
Stefan Matile, Geneva, Switzerland  
Paolo Scrimin, Padova, Italy  
Brian Stoltz, Pasadena, USA  
Keisuke Suzuki, Tokyo, Japan  
Qi-Lin Zhou, Nankai University, China

## ADVISORY BOARD

Roger Alder, Bristol, UK  
Helen Blackwell, Madison, USA  
John S Carey, Tonbridge, UK  
Barry Carpenter, Cardiff, UK  
Michael Crimmins, Chapel Hill, USA  
Antonio Echavarren, Tarragona, Spain  
Jonathan Ellman, New Haven, USA  
Kurt Faber, Graz, Austria  
Ben Feringa, Groningen, The Netherlands  
Nobutaki Fujii, Kyoto, Japan  
Jan Kihlberg, Umeå, SwedenPhilip Kocienski, Leeds, UK  
Steven V Ley, Cambridge, UK  
Stephen Loeb, Ontario, Canada  
Ilan Marek, Haifa, Israel  
Manuel Martín Lomas, San Sebastián, Spain  
Keiji Maruoka, Kyoto, Japan  
Heather Maynard, Los Angeles, USA  
E W 'Bert' Meijer, Eindhoven, The Netherlands  
Eiichi Nakamura, Tokyo, Japan  
Ryoji Noyori, Nagoya, JapanMark Rizzacasa, Melbourne, Australia  
Richmond Sarpong, Berkeley, USA  
Oliver Seitz, Berlin, Germany  
Bruce Turnbull, Leeds, UK  
Chris Welch, Rahway, USA  
Helma Wennemers, Basel, Switzerland  
Peter Wipf, Pittsburg, USA  
Henry N C Wong, Hong Kong, China  
Shuli You, Shanghai, China  
Sam Zard, Palaiseau, France  
Zhang Li-He, Beijing, 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 2011. 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).

Royal Society of Chemistry: Registered Charity No. 207890.

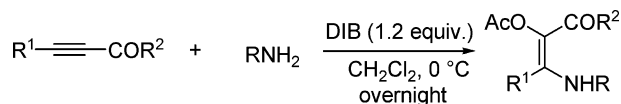
## COMMUNICATIONS

6484

**Highly stereoselective synthesis of tetrasubstituted alkenes *via* hydroamination of alkynes and C–H acetoxylation**

Weibing Liu,\* Cui Chen and Qing Zhang

The additive–oxidative reaction of alkynes and amines in the presence of (diacetoxyiodo)benzene (DIB) leads to tetrasubstituted (*E*)-alkenes with high stereoselectivity.

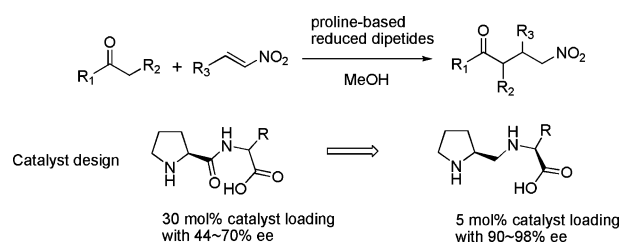


6487

**Proline-based reduced dipeptides as recyclable and highly enantioselective organocatalysts for asymmetric Michael addition**

Xiaohui Cao, Ge Wang, Richeng Zhang, Yingying Wei, Wei Wang, Huichao Sun and Ligong Chen\*

A series of novel proline-based reduced dipeptides was developed and evaluated for a direct Michael addition of ketones and aldehydes to nitroalkenes.

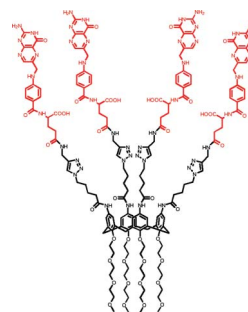


6491

**Design, synthesis, and drug solubilising properties of the first folate–calix[4]arene conjugate**

Grazia M. L. Consoli,\* Giuseppe Granata and Corrada Geraci\*

A multivalent folate conjugate built on a calix[4]arene platform showed self-assembling and indomethacin solubilising properties at physiological pH.

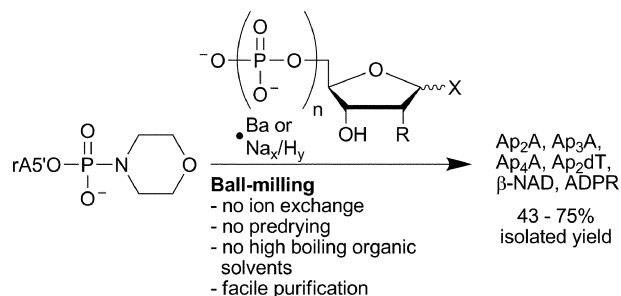


6496

**Rapid synthesis of nucleotide pyrophosphate linkages in a ball mill**

Francesco Ravalico, Ivano Messina, M. Victoria Berberian, Stuart L. James, Marie E. Migaud\* and Joseph S. Vyle\*

Using a ball mill, pyrophosphate coupling between commercially-available adenosine-5'-phosphoromorpholidate and phosphorylated ribose derivatives could be performed within 90 min—in contrast with current methodologies which can take two weeks.

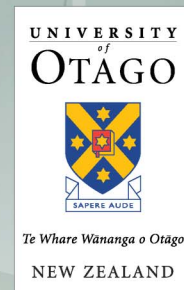




29 Jan – 2 Feb, 2012, Dunedin, New Zealand

# OTAGO

## 2012 ISMSC-7



It is with great pleasure that we extend an invitation to you to join us at the University of Otago in Dunedin, in our summer, for the **2012 International Symposium on Macrocyclic and Supramolecular Chemistry, 29 January – 2 February 2012.**

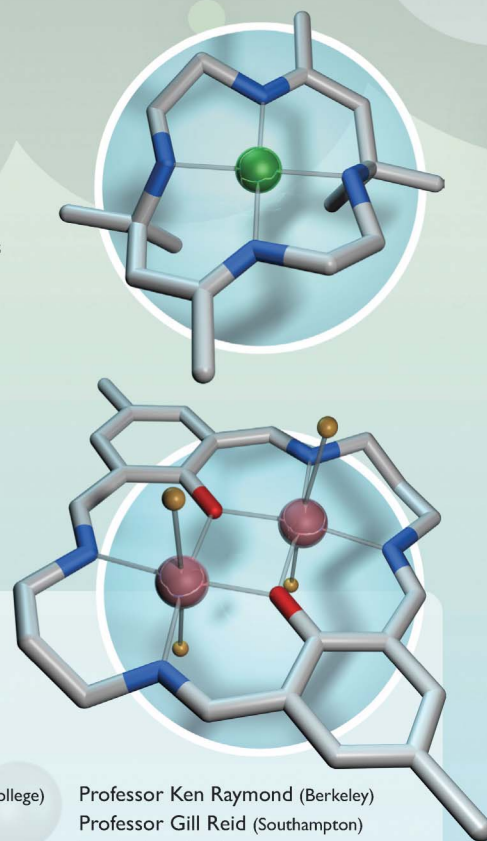
Dunedin and Otago Peninsula are renowned for their beauty. Dunedin is also a gateway to the beautiful scenery of Central Otago, the Catlins and Stewart Island.

Majestic Victorian and Edwardian architecture, a proximity to an abundance of wildlife and a healthy youth culture will make for an exciting and fascinating visit.

We have an impressive list of invited speakers lined up and are confident that attendees will find their trip to the far south, "downunder", both valuable and rewarding.

We promise you a lively and invigorating scientific programme – so why not come and join us!

– Professors Sally Brooker & Keith Gordon



### Confirmed plenary public lecture:

**Professor Sir Fraser Stoddart (Northwestern)**

### Confirmed invited keynote speakers to date:

Professor Paul Beer (Oxford)  
 Professor Terry Collins (Carnegie Mellon)  
 Professor Tony Davis (Bristol)  
 Professor Luisa de Cola (Munster)  
 Professor Sylvia Draper (Trinity Dublin)  
 Professor Kim Dunbar (Texas A&M)  
 Professor Makoto Fujita (Tokyo)  
 Professor Phil Gale (Southampton)  
 Professor Juan Granja (Santiago de Compostela)

Professor Thorri Gunnlaugsson (Trinity College)  
 Professor Mir-Wais Hosseini (Strasbourg)  
 Professor Christopher Hunter (Sheffield)  
 Professor Cameron Kepert (Sydney)  
 Professor Bert Kersting (Leipzig)  
 Professor Mark MacLachlan (UBC)  
 Professor Christine McKenzie (Southern Denmark)  
 Dr Jonathan Nitschke (Cambridge)  
 Professor Annie Powell (Karlsruhe)

Professor Ken Raymond (Berkeley)  
 Professor Gill Reid (Southampton)  
 Dr. Stefano Roelens (Florence)  
 Professor Alan Rowan (Nijmegen)  
 Professor Jonathan Sessler (Texas)  
 Professor Hanadi Sleiman (McGill)  
 Professor Jonathan Steed (Durham)  
 Professor Michael Ward (Sheffield)  
 Professor Vivian Yam (Hong Kong)

N.B. The list of confirmed invited keynote lecturers will be updated periodically so please keep an eye on the conference website.



For further information and to register your interest please go to our conference website:

[www.otago.ac.nz/ismsc2012](http://www.otago.ac.nz/ismsc2012)

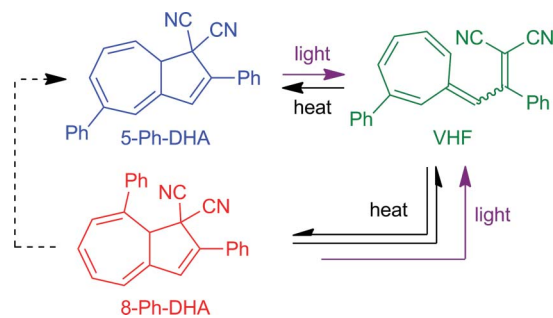
## COMMUNICATIONS

6498

**New synthetic route to substituted dihydroazulene photoswitches**

Louise Skov, Michael Åxman Petersen, Søren Lindbæk Broman, Andrew D. Bond and Mogens Brøndsted Nielsen\*

New phenyl-substituted regioisomers of the dihydroazulene (DHA) photoswitch were prepared and ring-opening/closure of the 5/8-substituted isomers was investigated in detail.

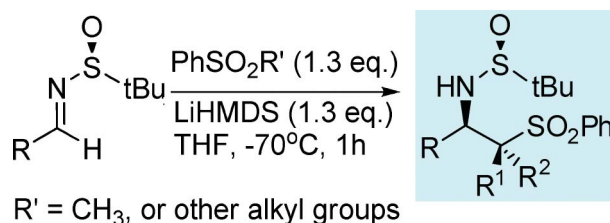


6502

**Practical and stereoselective synthesis of  $\beta$ -amino sulfones from alkyl phenyl sulfones and *N*-(*tert*-butylsulfinyl) aldimines**

Hua Zhang, Ya Li, Wei Xu, Wenrui Zheng, Pei Zhou\* and Zhihua Sun\*

A highly stereoselective and practical synthesis of  $\beta$ -amino sulfones was developed using alkylphenyl sulfones and *N*-(*tert*-butylsulfinyl) aldimines.

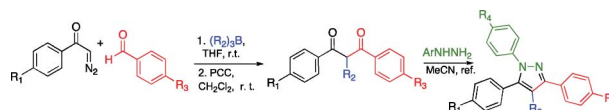


6506

**Base-free two-step synthesis of 1,3-diketones and  $\beta$ -ketoesters from  $\alpha$ -diazocarbonyl compounds, trialkylboranes, and aromatic aldehydes**

Miguel A. Sanchez-Carmona, David A. Contreras-Cruz and Luis D. Miranda\*

The synthetic potential of this protocol was underscored by the synthesis of several symmetrical 1,3,5-triaryl-4-alkyl and 1,3,4,5-tetraaryl substituted pyrazoles in a three-step sequence.

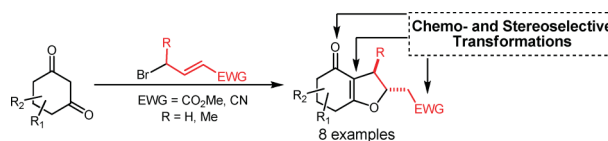


6509

**Domino alkylation/oxa-Michael of 1,3-cyclohexanediones: Steering the *C/O*-chemoselectivity to reach tetrahydrobenzofuranones**

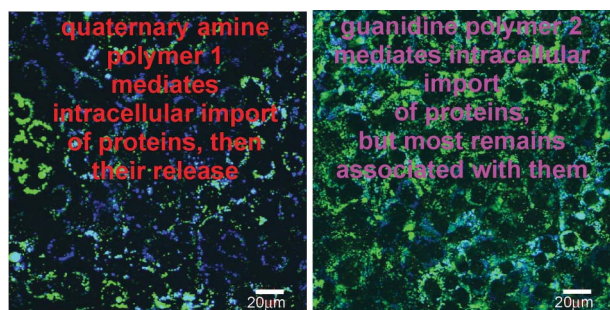
Rema B. Devi, Matthias Henrot, Michaël De Paolis\* and Jacques Maddaluno

A domino reaction afforded substituted tetrahydrobenzofuranone structures from 1,3-cyclohexanediones, overcoming the chemoselectivity and the poor reactivity of the alkylation/oxa-Michael steps.



## COMMUNICATIONS

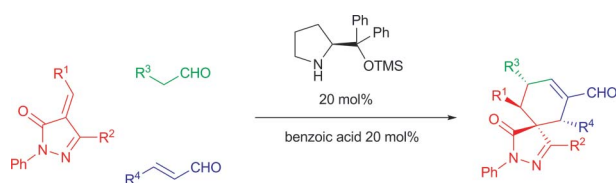
6513

**Cationic polyfluorenes for intracellular delivery of proteins**

Anyanee Kamkaew, Rola Barhoumi, Robert C. Burghardt and Kevin Burgess\*

Delivery of proteins into cells can be mediated by polyfluorene-based polymers with cationic surface groups.

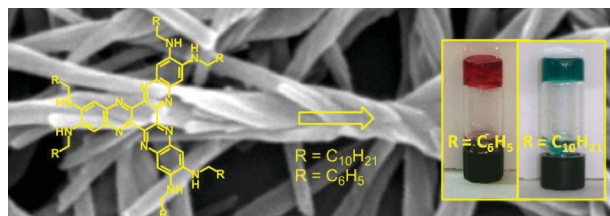
6519

**Highly enantioselective cascade synthesis of spiropyrazolones**

Alex Zea, Andrea-Nekane R. Alba, Andrea Mazzanti, Albert Moyano and Ramon Rios\*

An efficient synthesis of spiropyrazolones affords the final compounds, bearing four contiguous chiral centers, in good yields and excellent diastereo- and enantioselectivities.

6524

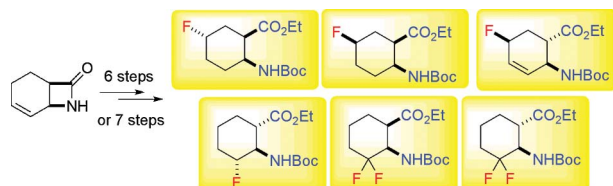
**Novel organogelators based on amine-derived hexaazatriptycene**

Daniel García Velázquez,\* Alejandro González Orive, Alberto Hernández Creus, Rafael Luque and Ángel Gutiérrez Ravelo\*

Novel  $C_3$ -symmetrical hexaazatriptycene (HATNA) gelators with pendant aromatic and aliphatic amines were synthesized *via* self-assembly, forming fibers which are able to gelate solvents of different polarity at low wt%.

## PAPERS

6528

**Regio- and diastereoselective fluorination of alicyclic  $\beta$ -amino acids**

Loránd Kiss, Enikő Forró, Santos Fustero and Ferenc Fülöp\*

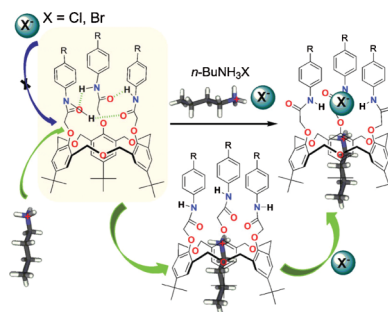
Regio- and stereoselective approaches to fluorinated alicyclic  $\beta$ -amino esters has been developed.

6535

### Novel ion-pair receptors based on hexahomotrioxacalix[3]arene derivatives

Xin-Long Ni, Shofuir Rahman, Xi Zeng, David L. Hughes, Carl Redshaw and Takehiko Yamato\*

A series of ion-pair receptors showed a single selectivity for halide anions in the presence of *n*-BuNH<sub>3</sub><sup>+</sup> through intermolecular hydrogen bonding.

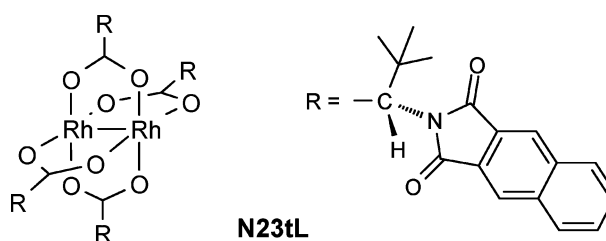


6542

### Optimizing dirhodium(II) tetrakis-carboxylates as chiral NMR auxiliaries

Jens T. Mattiza, Joerg G. G. Fohrer, Helmut Duddeck,\* Michael G. Gardiner and Ashraf Ghanem

Among 13 structurally related candidates the dirhodium complex **N23tL** has been found to be the most versatile and sensitive NMR auxiliary of chiral differentiation.

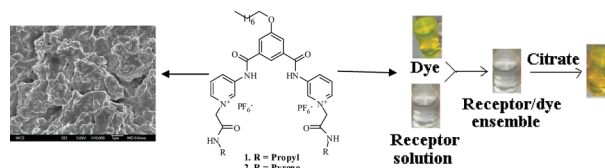


6551

### Pyridinium-based symmetrical diamides as chemosensors in visual sensing of citrate through indicator displacement assay (IDA) and gel formation

Kumares Ghosh\* and Avik Ranjan Sarkar

We report the recognition of citrate using our newly designed receptors **1** and **2** through 'indicator-displacement assay' in aqueous CH<sub>3</sub>CN and gel formation in CH<sub>3</sub>CN.

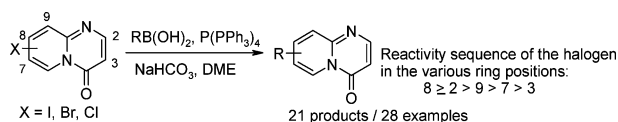


6559

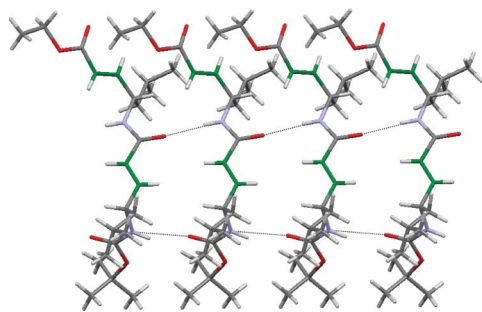
### Suzuki–Miyaura cross-coupling reactions of halo derivatives of 4*H*-pyrido[1,2-*a*]pyrimidin-4-ones

Annamária Molnár, Anita Kapros, László Párkányi, Zoltán Mucsi, Gábor Vlád and István Hermeecz\*

(Het)aryl and (1-pentenyl) derivatives of 4*H*-pyrido[1,2-*a*]pyrimidin-4-one were synthesized in good yields in the Suzuki–Miyaura palladium-catalyzed cross-coupling reactions of halo derivatives.



6566

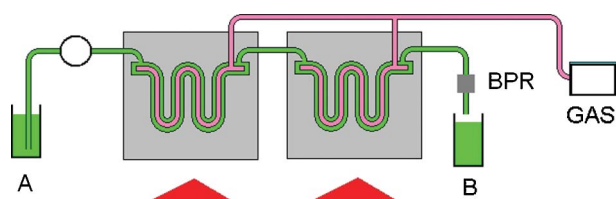


### Synthesis of $\alpha$ , $\beta$ -unsaturated $\gamma$ -amino esters with unprecedented high (*E*)-stereoselectivity and their conformational analysis in peptides

Sachitanand M. Mali, Anupam Bandyopadhyay, Sandip V. Jadhav, Mothukuri Ganesh Kumar and Hosahudya N. Gopi\*

Facile synthesis of *N*-protected  $\alpha$ ,  $\beta$ -unsaturated-  $\gamma$ -amino esters with exceptional high *E*-stereoselectivity is described, and their crystal conformations in monomers and in peptides are studied.

6575

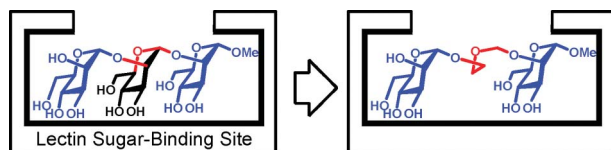


### Continuous-flow, palladium-catalysed alkoxy carbonylation reactions using a prototype reactor in which it is possible to load gas and heat simultaneously

Michael A. Mercadante and Nicholas E. Leadbeater\*

Alkoxy carbonylation reactions have been performed using a prototype tube-in-tube reactor in which it is possible to load gas and heat simultaneously.

6579

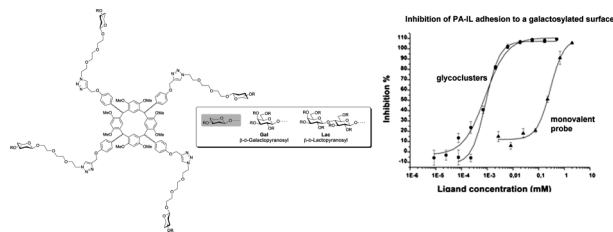


### 2-Oxabutane as a substitute for internal monomer units of oligosaccharides to create lectin ligands

Li-Ying Yang, Yuki Kawada, Lina Bai, Daijiro Kubota and Hideya Yuasa\*

The oligosaccharides inner-sugar units neglected by lectins can be replaced by the oxabutane imitation unit to construct a ligand library.

6587



### CuAAC synthesis of resorcin[4]arene-based glycoclusters as multivalent ligands of lectins

Zahid H. Soomro, Samy Cecioni, Helen Blanchard, Jean-Pierre Praly, Anne Imberty, Sébastien Vidal\* and Susan E. Matthews\*

The synthesis of a family of topological isomeric tetravalent galactose and lactose functionalised macrocycles based on the resorcin[4]arene core and their biological evaluation against PA-IL lectin from *Pseudomonas aeruginosa* and human galectin-1 are described.

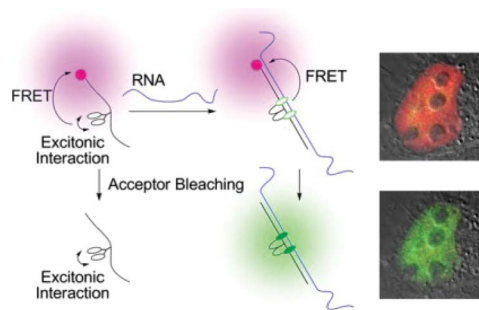


6598

### Emission control by binary energy transfer processes on oligouridine

Shuji Ikeda, Takeshi Kubota, Dan Ohtan Wang, Hiroyuki Yanagisawa, Mizue Yuki and Akimitsu Okamoto\*

The fluorescence emission of the oligonucleotides was controlled well by the two different energy transfer processes, in response to their hybridization to the complementary RNA both *in vitro* and in cells.

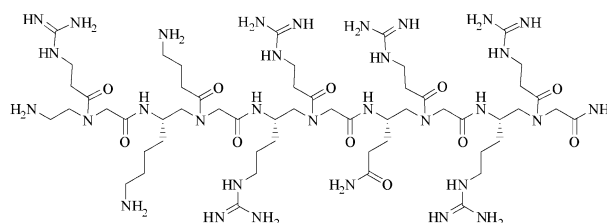


6604

### $\gamma$ -AApeptides bind to RNA by mimicking RNA-binding proteins

Youhong Niu, Alisha "Jonesy" Jones, Haifan Wu, Gabriele Varani\* and Jianfeng Cai\*

An  $\gamma$ -AApeptide that can mimic HIV-1 Tat protein and bind to TAR RNAs of HIV and BIV with low nanomolar affinity, comparable to that of the RNA-binding fragment of Tat (amino acids 48–57).



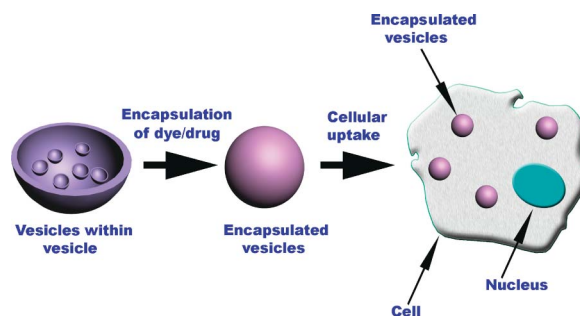
$\gamma$ -AApeptide mimetics of Tat 48-57

6610

### Self-assembling dipeptide-based nontoxic vesicles as carriers for drugs and other biologically important molecules

Jishu Naskar, Subhasish Roy, Anindita Joardar, Sumantra Das and Arindam Banerjee\*

Nontoxic, pH (2-12) stable,  $\text{Ca}^{2+}$  ion-responsive self-assembling dipeptide based multivesicular structures encapsulate and release an anti-cancer drug, a fluorescent dye and cyclic AMP under suitable conditions. Cellular uptake studies show the entry of these biomolecules within the cell keeping their biological function intact.

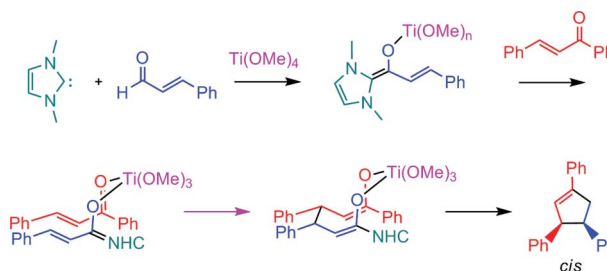


6616

### Understanding the cooperative NHC/LA catalysis for stereoselective annulation reactions with homoenolates. A DFT study

Luis R. Domingo,\* Ramón J. Zaragoza and Manuel Arnó

The NHC/LA catalyzed addition of enals to enones to yield *cis*-cyclopentenones has been investigated at the B3LYP/6-31G\*\* level. Formation of a complex between chalcone and the extended Ti(IV)-Breslow intermediate favors the *cis* stereoselectivity, which is explained by analysis of the corresponding *cis* and *trans* Ti(IV)-complex precursors.



# RSC Advances

An international journal to further the chemical sciences



RSC Advances is a new peer-reviewed journal covering all the chemical sciences, including interdisciplinary fields. Published articles report high quality, well-conducted research that adds to the development of the field.

- An expert editorial team led by Professor Mike Ward, University of Sheffield, UK
- Free access to all content throughout 2011 and 2012
- Free colour, no page charges
- Published online only

Sophisticated behind-the-scenes topic modelling classifies content into one or more of twelve subject categories in the chemical sciences: analytical; biological; catalysis; chemical biology and medicinal; energy; environmental; food; inorganic; materials; nanoscience; organic; and physical.

Read the latest issue

RSC Publishing

[www.rsc.org/advances](http://www.rsc.org/advances)

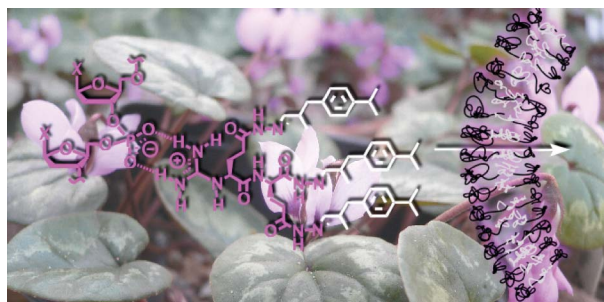
Registered Charity Number 207890

6623

### Synthetic polyion-counterion transport systems in polymersomes and gels

Javier Montenegro, Jörg Braun, Ozana Fischer-Onaca, Wolfgang Meier\* and Stefan Matile\*

The activation of DNA transporters by fragrant counterions is demonstrated, for the first time, in polymersomes and in gels.

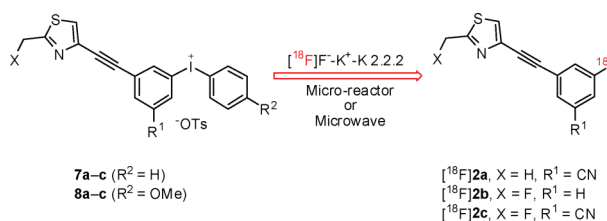


6629

### Syntheses of mGluR5 PET radioligands through the radiofluorination of diaryliodonium tosylates

Sanjay Telu, Joong-Hyun Chun, Fabrice G. Siméon, Shuiyu Lu and Victor W. Pike\*

Radiofluorinations in a micro-reactor or under microwave conditions illustrate how diaryliodonium tosylates **7a–c** and **8a–c** can be effective precursors for three previously poorly accessible  $^{18}\text{F}$ -labeled mGluR5 PET radioligands.

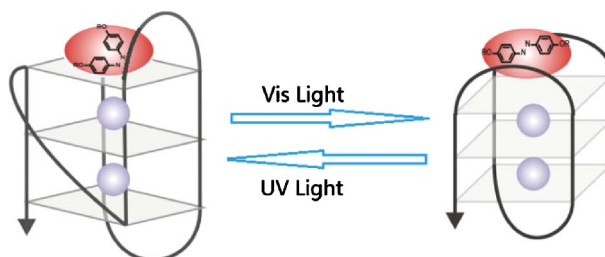


6639

### Light-driven conformational regulation of human telomeric G-quadruplex DNA in physiological conditions

Xiwen Xing, Xiaoling Wang, Liang Xu, Yang Tai, Luyang Dai, Xiaolong Zheng, Wuxiang Mao, Xiaowei Xu and Xiang Zhou\*

Light-driven conformational regulation of human telomeric G-quadruplex DNA in physiological conditions was realized by an azobenzene scaffold.

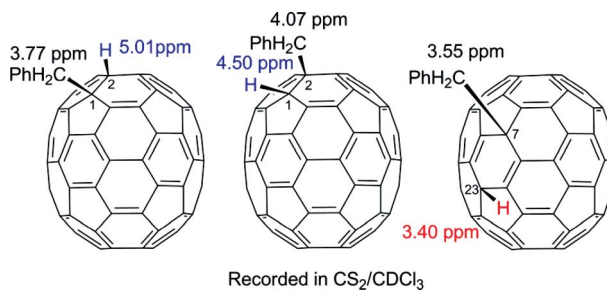


6646

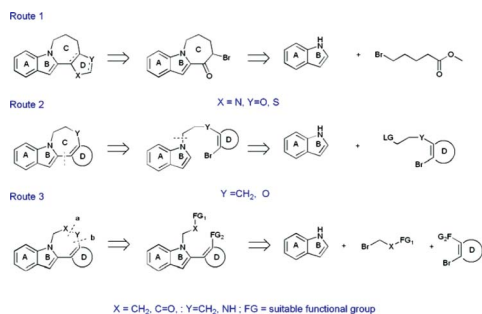
### Preparation and characterisation of an equatorial *para*-adduct of $(\text{PhCH}_2)\text{HC}_{70}$ from the reaction of $\text{C}_{70}^{2-}$ with benzyl bromide and $\text{H}_2\text{O}$ : addition effects in the polar and equatorial regions of $\text{C}_{70}$

Ling Ni, Weiwei Chang, Hui-Lei Hou, Zong-Jun Li and Xiang Gao\*

The “polar” and equatorial adducts of  $\text{C}_{70}$  have shown different properties, implying an environmental variance on the surface of  $\text{C}_{70}$ .



6654

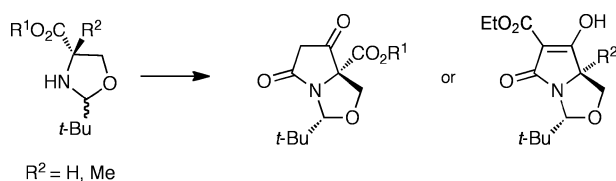


**The synthesis of novel heteroaryl-fused 7,8,9,10-tetrahydro-6*H*-azepino[1,2-*a*]indoles, 4-oxo-2,3-dihydro-1*H*-[1,4]diazepino[1,7-*a*]indoles and 1,2,4,5-tetrahydro-[1,4]oxazepino[4,5-*a*]indoles. Effective inhibitors of HCV NS5B polymerase**

Min Ding,\* Feng He, Michael A. Poss, Karen L. Rigat, Ying-Kai Wang, Susan B. Roberts, Dike Qiu, Robert A. Fridell, Min Gao and Robert G. Gentles

Three synthetic approaches have been developed that allow efficient access to novel heteroaryl fused indole ring systems.

6663

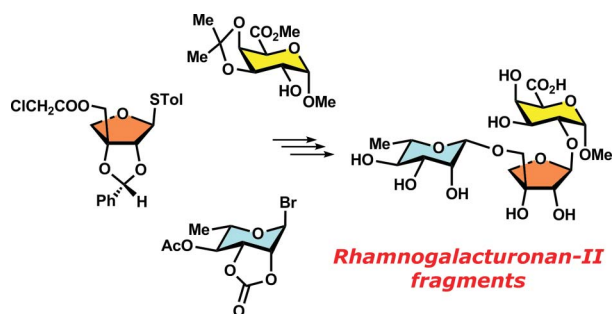


**Control of chemoselectivity in Dieckmann ring closures leading to tetramic acids**

Yong-Chul Jeong, Muhammad Anwar, Tuan Minh Nguyen, Benjamin Song Wei Tan, Christina Li Lin Chai\* and Mark G. Moloney\*

An efficient strategy for the control of the chemoselectivity in Dieckmann ring closures leading to tetramic acids derived from serine and  $\alpha$ -methyl serine is reported, and this provides pathways to diversely substituted systems from a common starting material.

6670

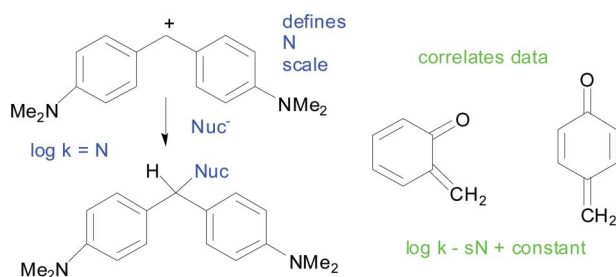


**Synthesis of apiose-containing oligosaccharide fragments of the plant cell wall: fragments of rhamnogalacturan-II side chains A and B, and apiogalacturan**

Sergey A. Nepogodiev,\* Margherita Fais, David L. Hughes and Robert A. Field\*

*p*-Tolylthio apiofuranosyl donors prepared from L-arabinose were used for syntheses of oligosaccharide fragments of rhamnogalacturan-II and apiogalacturan.

6685



**Nucleophilicity parameters for amines, amino acids and peptides in water. Variations in selectivities for quinone methides**

T. William Bentley\*

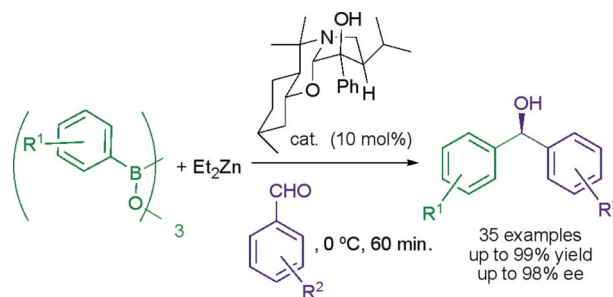
The scope of a modified Ritchie  $N_s$  equation is expanded to include many examples of the title nucleophiles.

6691

### Asymmetric additive-free aryl addition to aldehydes using perhydrobenzoxazines as ligands and boroxins as aryl source

Rebeca Infante, Javier Nieto\* and Celia Andrés\*

Perhydro-1,3-benzoxazines behave as excellent chiral ligands in the zinc-catalyzed addition of arylboroxins to aldehydes. The reaction is found to proceed in high yields and good enantioselectivities even when *ortho*-substituted triaryllboroxins were used.

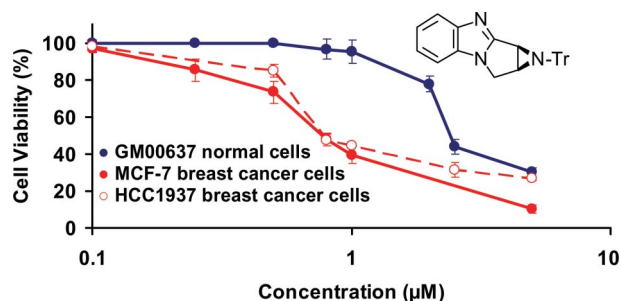


6700

### First synthesis of an aziridinyl fused pyrrolo[1,2-*a*]benzimidazole and toxicity evaluation towards normal and breast cancer cell lines

Sarah Bonham, Liz O'Donovan, Michael P. Carty and Fawaz Aldabbagh\*

Diazole analogue of aziridinomitosen prepared *via* anionic *ipso*-substitution is more cytotoxic towards human breast cancer cells than towards normal human cells.

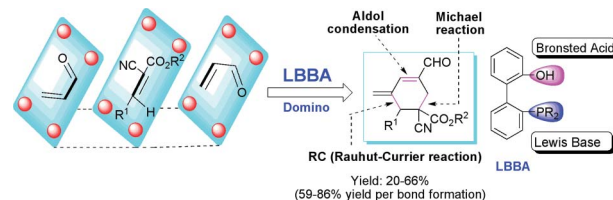


6707

### Bifunctional phosphine-catalyzed cross-Rauhut–Currier/Michael/aldol condensation triple domino reaction: synthesis of functionalized cyclohexenes

Peizhong Xie, You Huang,\* Wenqing Lai, Xiangtai Meng and Ruyu Chen\*

**Bifunctional phosphine organocatalyst, triple domino:** More components, more new bond formation, and more functional groups of products.

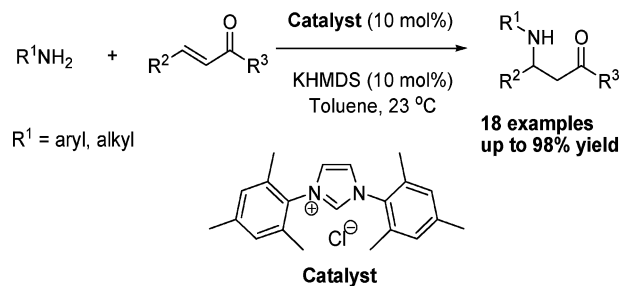


6715

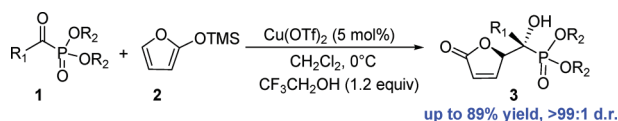
### N-Heterocyclic carbene-catalyzed aza-Michael addition

Qiang Kang and Yugen Zhang\*

A novel aza-Michael addition of amines, including aromatic and aliphatic amines, with  $\alpha$ ,  $\beta$ -unsaturated ketones was realized by employing N-Heterocyclic Carbene (NHC) as bifunctional catalyst which is derived from imidazolium salt. The reactions afford  $\beta$ -amino ketones with up to 98% yield.



6721

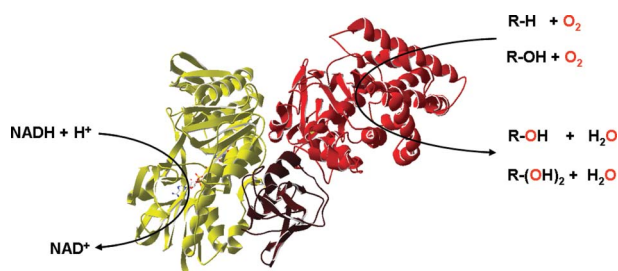


### Highly diastereoselective vinylogous Mukaiyama aldol reaction of $\alpha$ -keto phosphonates with 2-(trimethylsilyloxy)furan catalyzed by $\text{Cu}(\text{OTf})_2$

Jipan Yu, Xiaona Zhao, Zhiwei Miao\* and Ruyu Chen\*

The diastereospecific formation of  $\gamma$ -hydroxyalkylbutenolide phosphonate has been achieved with high yield *via* a vinylogous Mukaiyama aldol reaction giving ratios of diastereomers higher than 19:1, employing  $\text{Cu}(\text{OTf})_2$  as a catalyst and 2,2,2-trifluoroethanol as additive.

6727

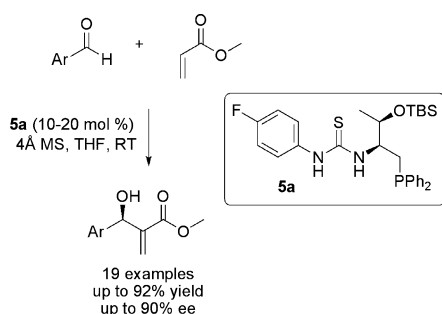


### Regioselective $\omega$ -hydroxylation of medium-chain *n*-alkanes and primary alcohols by CYP153 enzymes from *Mycobacterium marinum* and *Polaromonas* sp. strain JS666

Daniel Scheps, Sumire Honda Malca, Helen Hoffmann, Bettina M. Nestl and Bernhard Hauer\*

Two P450 enzymes from *Mycobacterium marinum* and *Polaromonas* sp. were used to hydroxylate alkanes and primary alcohols with high terminal regioselectivity.

6734

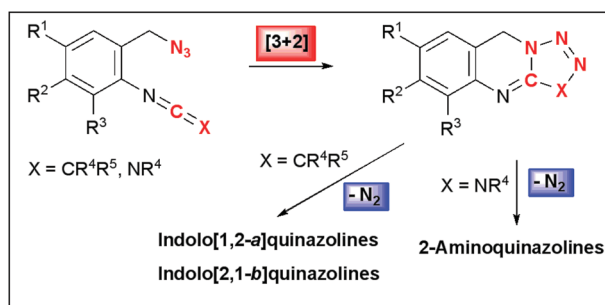


### Enantioselective Morita–Baylis–Hillman reaction promoted by L-threonine-derived phosphine–thiourea catalysts

Xiaoyu Han, Youqing Wang, Fangrui Zhong and Yixin Lu\*

A series of bifunctional phosphine–thiourea catalysts based on natural amino acid scaffolds were designed and prepared. The catalysts were found to be very efficient in promoting asymmetric Morita–Baylis–Hillman reaction of acrylates with aromatic aldehydes, affording the adducts in up to 90% ee.

6741



### Unprecedented intramolecular [3 + 2] cycloadditions of azido-ketenimines and azido-carbodiimides. Synthesis of indolo[1,2-*a*]quinazolines and tetrazolo[5,1-*b*]quinazolines

Mateo Alajarin, Baltasar Bonillo, Maria-Mar Ortin, Raul-Angel Orenes and Angel Vidal\*

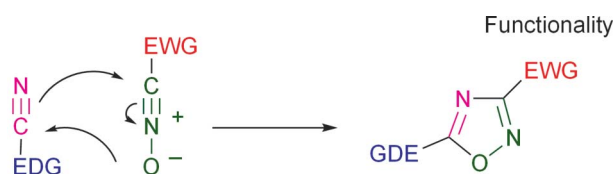
Unprecedented intramolecular [3 + 2] cycloadditions of azido groups with ketenimine and carbodiimide functions.

6750

### Inverse electron-demand 1,3-dipolar cycloaddition of nitrile oxide with common nitriles leading to 3-functionalized 1,2,4-oxadiazoles

Nagatoshi Nishiwaki,\* Kazuya Kobiro, Shotaro Hirao, Jun Sawayama, Kazuhiko Saigo, Yumiko Ise, Yoshikazu Okajima and Masahiro Ariga

Functionalized 1,2,4-oxadiazoles were synthesized directly by inverse electron-demand 1,3-dipolar cycloaddition of a carbamoyl-substituted nitrile oxide with common nitriles.



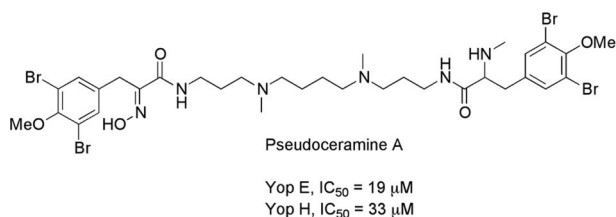
EDG: Electron-Donating Group  
EWG: Electron-Withdrawing Group

6755

### Pseudoceramines A–D, new antibacterial bromotyrosine alkaloids from the marine sponge *Pseudoceratina* sp.

Sheng Yin, Rohan A. Davis, Todd Shelper, Melissa L. Sykes, Vicky M. Avery, Mikael Elofsson, Charlotta Sundin and Ronald J. Quinn\*

New bromotyrosine alkaloids from Australian marine sponge *Pseudoceratina* sp., showed potent inhibitory activity against toxin secretion by the type III secretion pathway in *Yersinia pseudotuberculosis*.

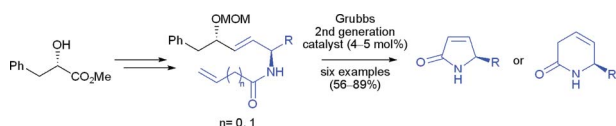


6761

### A new general approach for the stereocontrolled synthesis of functionalised $\gamma$ - and $\delta$ -lactams

Mark Daly, Kathryn Gill, Mairi Sime, Graham L. Simpson and Andrew Sutherland\*

A general stereoselective synthesis of functionalised  $\gamma$ - and  $\delta$ -lactams has been developed using an Overman rearrangement to install the key C–N bond and a ring closing metathesis reaction to form the ring.

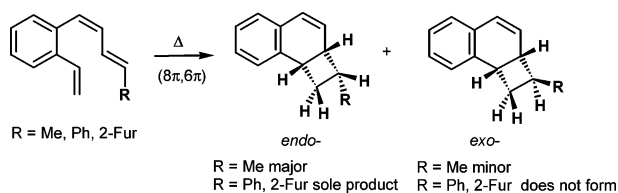


6771

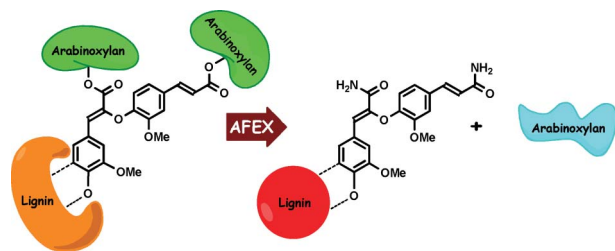
### Thermal reaction of [3,4]-benzo-8-substituted-3Z,5Z,7E-octatetraenes and quantum-chemical study of the (8 $\pi$ ,6 $\pi$ )-electrocyclisation

Irena Škorić,\* Fabijan Pavošević, Mario Vazdar, Željko Marinić, Marija Šindler-Kulyk, Mirjana Eckert-Maksić and Davor Margetić\*

The first (8 $\pi$ ,6 $\pi$ )-electrocyclisation of 1,3,5,7-octatetraene with one double bond embedded in the aromatic moiety was carried out, and the mechanism was studied by DFT calculations.



6779

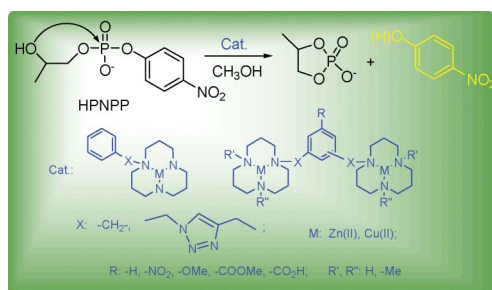


### Reactions of dehydrodiferulates with ammonia

Ali Azarpira,\* Fachuang Lu and John Ralph

AFEX cleavage products from grass cell wall diferulate cross-linkages were elucidated using models of major grass cell wall diferulates.

6788

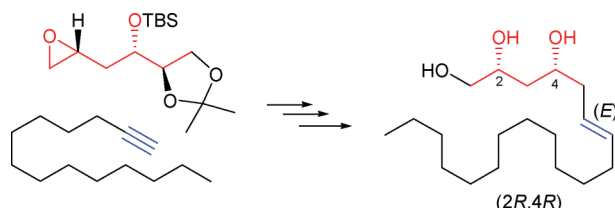


### Synthesis of mono- and di-[12]aneN<sub>3</sub> ligands and study on the catalytic cleavage of RNA model 2-hydroxypropyl-*p*-nitrophenyl phosphate with their metal complexes

Zhi-Fo Guo, Hao Yan, Zhi-Fen Li and Zhong-Lin Lu\*

Metal complexes of mono- and di-[12]aneN<sub>3</sub> ligands were found to synergistically catalyze the cleavage of RNA model phosphate.

6797

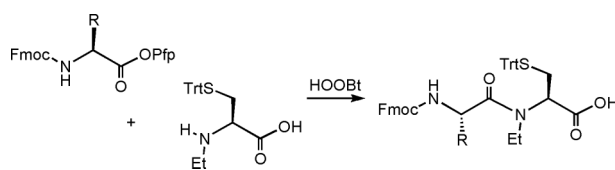


### Synthesis and configuration of the nonadecenetriol isolated from seeds of *Persea americana*

Xin Yan, Shao-Min Zhang, Yikang Wu\* and Po Gao\*

The nonadec-6-ene-1,2,4-triol from *Persea americana* has been shown to be of (2*R*,4*R*) configuration by a chiral-pool based synthesis.

6807



### Efficient preparation of Fmoc-aminoacyl-*N*-ethylcysteine unit, a key device for the synthesis of peptide thioesters

Hironobu Hojo,\* Hajime Kobayashi, Risa Ubagai, Yuya Asahina, Yuko Nakahara, Hidekazu Katayama, Yukishige Ito and Yoshiaki Nakahara\*

Synthesis of Fmoc-aminoacyl-*N*-ethyl-*S*-triphenylmethylcysteine, a key device for the preparation of peptide thioesters, is described.

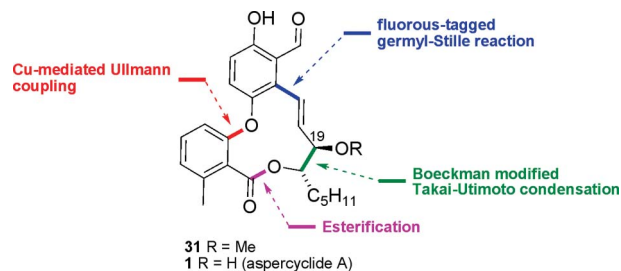


6814

### Synthesis of the C19 methyl ether of aspercyclide A via germyl-Stille macrocyclisation and ELISA evaluation of both enantiomers following optical resolution

James L. Carr, Jimmy J. P. Sejberg, Fabienne Saab, Mary D. Holdom, Anna M. Davies, Andrew J. P. White, Robin J. Leatherbarrow, Andrew J. Beavil, Brian J. Sutton, Stephen D. Lindell and Alan C. Spivey\*

The synthesis of ( $\pm$ )-aspercyclide A C19 methyl ether (**31**) via a Pd(0)-catalysed, fluorously-tagged alkenylgermane/aryl bromide macrocyclisation (germyl-Stille reaction) is described.

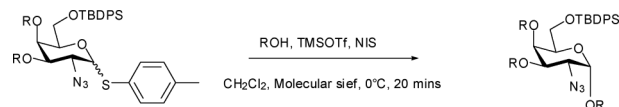


6825

### Development of highly stereoselective GaN<sub>3</sub> donors and their application in the chemical synthesis of precursors of Tn antigen

George Ngoje, Janet Addae, Harpreet Kaur and Zhitao Li\*

Two GaN<sub>3</sub> thioglycoside donors were designed and prepared based on protecting group-stereoselectivity relationship study for optimum alpha selectivity. These donors showed excellent stereoselectivity in test reactions with various acceptors and were successfully applied in the synthesis of precursors for Tn antigen and a core structure of O-glycan.

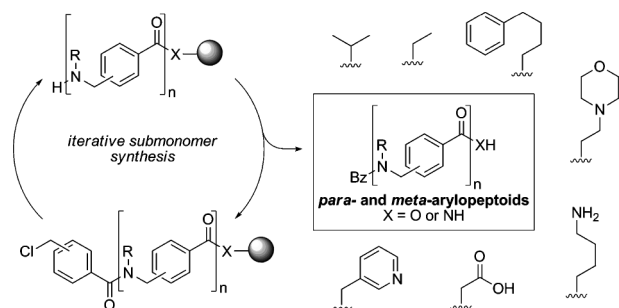


6832

### Efficient and versatile COMU-mediated solid-phase submonomer synthesis of arylopeptoids (oligomeric N-substituted aminomethyl benzamides)

Thomas Hjelmgaard,\* Sophie Faure, Dan Staerk, Claude Taillefumier and John Nielsen\*

A highly efficient and versatile methodology for COMU-mediated submonomer solid-phase synthesis of *para*- and *meta*-arylopeptoids (oligomeric *N*-substituted aminomethyl benzamides) is described.

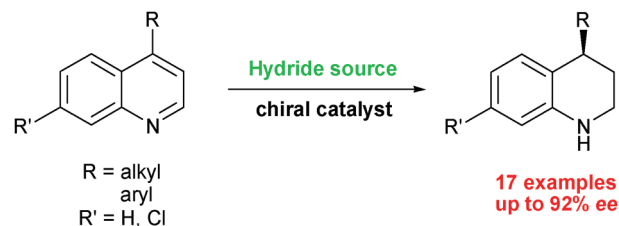


6844

### Direct enantioselective access to 4-substituted tetrahydroquinolines by catalytic asymmetric transfer hydrogenation of quinolines

Magnus Rueping,\* Thomas Theissmann, Mirjam Stoeckel and Andrey P. Antonchick

The first direct enantioselective synthesis of 4-substituted tetrahydroquinolines has been developed. The applied hydrogenation protocol offers convenient access to a broad range of chiral amines with high enantioselectivity under mild and metal-free reaction conditions.



# RSC Prizes and Awards

## Rewarding Excellence and Dedication

### Organic Chemistry Awards

The Organic Chemistry awards portfolio rewards excellence in both industry and academia, for original research in any aspect of organic chemistry as well as specific areas including organometallic and physical organic chemistry.

We have a wide range of Prizes and Awards to acknowledge those undertaking excellent work. In recognition of their achievement award winners receive up to £5,000 prize money. Visit our website for further details and to make your nomination.

**Reward achievement**  
**2012 nominations open on 1 September 2011**

To view our full list of Prizes and Awards visit our website.

Closing date for nominations is  
15 January 2012