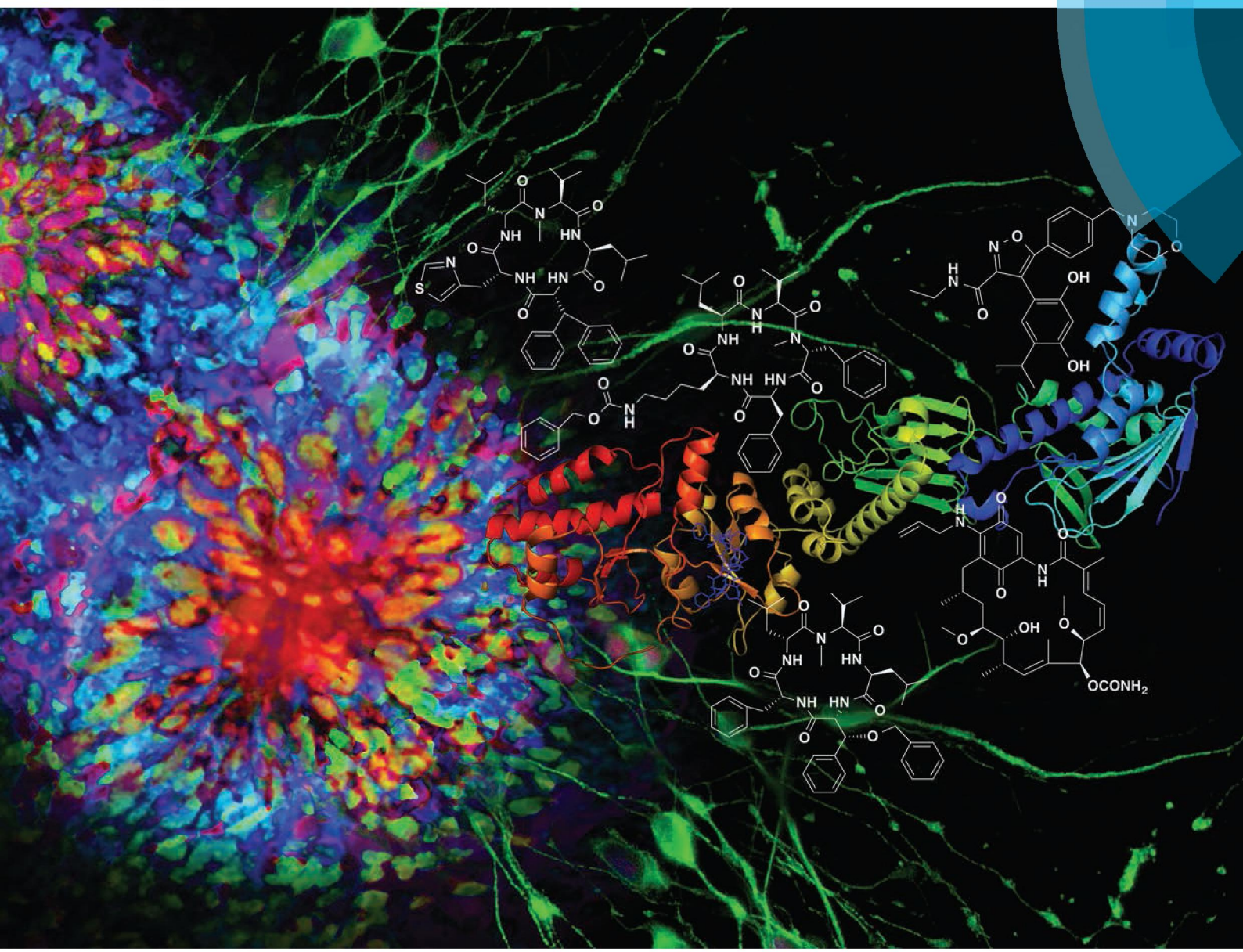
Volume 13 | Number 16 | 28 April 2015 | Pages 4617–4804

Organic & Biomolecular Chemistry

www.rsc.org/obc



ISSN 1477-0520

ROYAL SOCIETY OF CHEMISTRY

PERSPECTIVE

Y. Wang and S. R. McAlpine

C-terminal heat shock protein 90 modulators produce desirable oncogenic properties

Organic & Biomolecular Chemistry

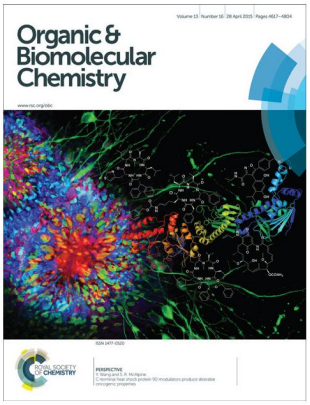
An international journal of synthetic, physical and biomolecular organic chemistry

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.

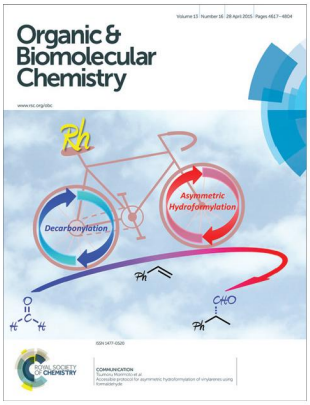
IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 13(16) 4617–4804 (2015)



Cover
See Y. Wang and S. R. McAlpine, pp. 4627–4631.

Image reproduced by permission of S. R. McAlpine from *Org. Biomol. Chem.*, 2015, **13**, 4627.



Inside cover
See Tsumoru Morimoto *et al.*, pp. 4632–4636.

Image reproduced by permission of Tsumoru Morimoto from *Org. Biomol. Chem.*, 2015, **13**, 4632.

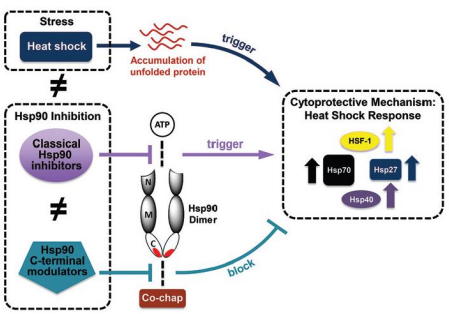
PERSPECTIVE

4627

C-terminal heat shock protein 90 modulators produce desirable oncogenic properties

Y. Wang and S. R. McAlpine*

The cellular protection mechanism, the heat shock response, is only activated by classical heat shock 90 inhibitors (Hsp90) that "target" the N-terminus of the protein, but not by those that modulate the C-terminus.



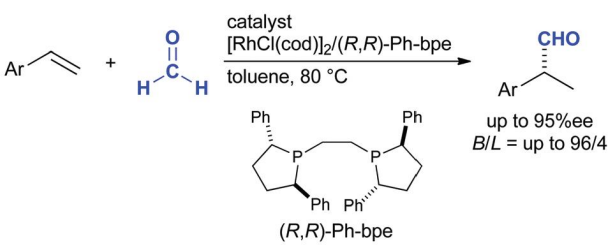
COMMUNICATIONS

4632

Accessible protocol for asymmetric hydroformylation of vinylarenes using formaldehyde

Tsumoru Morimoto,* Tetsuji Fujii, Kota Miyoshi, Gouki Makado, Hiroki Tanimoto, Yasuhiro Nishiyama and Kiyomi Kakiuchi

The rhodium(I)/chiral Ph-bpe-catalyzed reaction of vinylarenes with formaldehyde provides an accessible protocol for asymmetric hydroformylation to enantioenriched aldehydes in high yields.



Editorial staff

Editor
Richard Kelly

Deputy editor
Marie Cote

Editorial production manager
Helen Saxton

Development editor
James Anson

Publishing editors
Nicola Burton, Zoe Karthauser, 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**

MIX
Paper from responsible sources
FSC® C013604

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.

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.

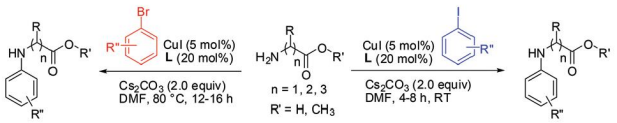
COMMUNICATIONS

4637

Room temperature N-arylation of amino acids and peptides using copper(I) and β-diketone

Krishna K. Sharma, Swagat Sharma, Anurag Kudwal and Rahul Jain*

A mild and efficient Cu-catalyzed procedure for the N-arylation of zwitterionic amino acids, amino acid esters and peptides is described.

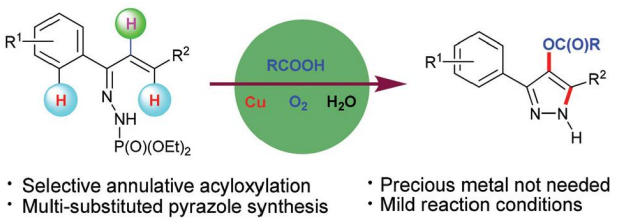


4642

Copper-catalyzed aerobic cascade cycloamination and acyloxylation: a direct approach to 4-acyloxy-1*H*-pyrazoles

Zhengwei Ding, Qitao Tan, Mingchun Gao and Bin Xu*

An efficient copper-catalyzed regioselective olefinic C(sp^2)–H bond cycloamination and acyloxylation was developed to give acyloxylated pyrazoles under mild conditions, which combines the formation of the pyrazole skeleton and installation of an acyloxyl group in a single step.

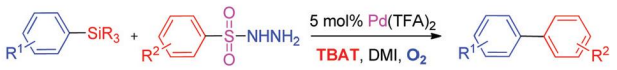


4647

Palladium-catalyzed Hiyama coupling reaction of arylsulfonyl hydrazides under oxygen

Hui Miao, Fenhua Wang, Shuangliu Zhou,* Guangchao Zhang and Yang Li

Palladium-catalyzed Hiyama cross-coupling reactions of various arylsulfonyl hydrazides with a wide variety of aryl silanes have been achieved in good to excellent yields under simple aerobic conditions.

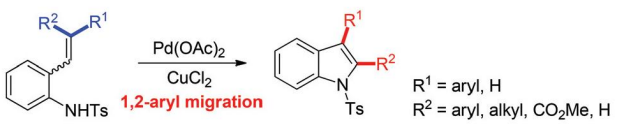


4652

Unusual 1,2-aryl migration in Pd(II)-catalyzed aza-Wacker-type cyclization of 2-alkenylanilines

So Won Youn* and So Ra Lee

The discovery of an unprecedented 1,2-aryl migratory process in Pd(II)-catalyzed aza-Wacker-type cyclization of 2-alkenylanilines that led to a novel synthesis of C3-substituted indoles is demonstrated.



COMMUNICATIONS

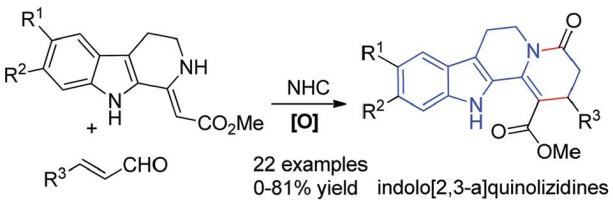
4657

Palladium-catalyzed intermolecular oxidative cyclization of *N*-aryl enamines with isocyanides through double sp^2 C–H bonds cleavage: facile synthesis of 4-aminoquinoline derivatives

Qiang Zheng, Puying Luo,* Yuqing Lin, Wenfan Chen, Xiuxiu Liu, Yadong Zhang and Qiuping Ding*

An efficient method for the synthesis of 4-aminoquinolines *via* palladium-catalyzed intermolecular oxidative cyclization of *N*-aryl enamines and isocyanides through double sp^2 C–H bonds cleavage has been developed.

4661

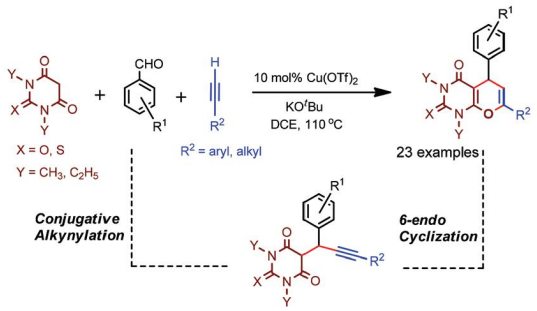


N-Heterocyclic carbene-catalyzed annulation of cyclic β-enamino esters with enals: access to functionalized indolo[2,3-*a*]quinolizidines

Shihe Hu, Bingyang Wang, Yu Zhang, Weifang Tang, Mengyuan Fang, Tao Lu* and Ding Du*

A novel synthetic approach to functionalized indolo[2,3-*a*]-quinolizidines is developed *via* an N-heterocyclic carbene-catalyzed annulation of cyclic β-enamino esters with enals.

4668



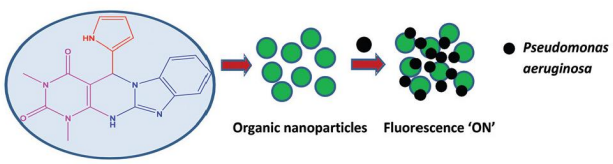
A copper-catalyzed one-pot, three-component tandem conjugative alkynylation/6-*endo* cyclization sequence: access to pyrano[2,3-*d*]-pyrimidines

Nimmakuri Rajesh and Dipak Prajapati*

A copper-catalyzed one-pot, atom/step-economical, three component method for the construction of pyrano-[2,3-*d*]pyrimidines has been developed *via* a tandem conjugative alkynylation/6-*endo* cyclization sequence.

PAPERS

4673



Pyrimidine-based functional fluorescent organic nanoparticle probe for detection of *Pseudomonas aeruginosa*

Gaganpreet Kaur, Tilak Raj, Navneet Kaur* and Narinder Singh*

Organic nanoparticles are developed for the sensing of *Pseudomonas aeruginosa*.

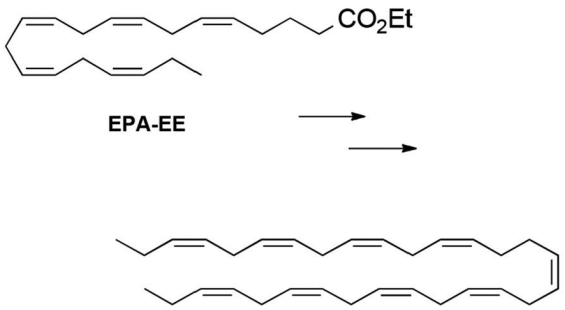
PAPERS

4680

Stereoselective synthesis of (all-*Z*)-hentriaconta-3,6,9,12,15,19,22,25,28-nonaene

Liudmila Filippova, Ida Aarum, Martine Ringdal, Martin Kirkhus Dahl, Trond Vidar Hansen and Yngve Stenstrøm*

EPA-EE was converted in eight steps and 15% overall yield to the natural product (all-*Z*)-hentriaconta-3,6,9,12,15,19,22,25,28-nonaene. The synthesis confirms the all-*Z*-configuration of all nine double bonds.

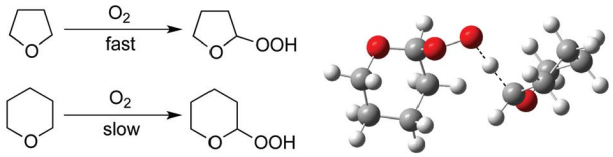


4686

An *ab initio* and DFT study of the autoxidation of THF and THP

Hiroshi Matsubara,* Syouhei Suzuki and Shun Hirano

Ab initio and DFT calculations were carried out to investigate the difference in reactivity for the autoxidation of THP (tetrahydropyran) and THF.

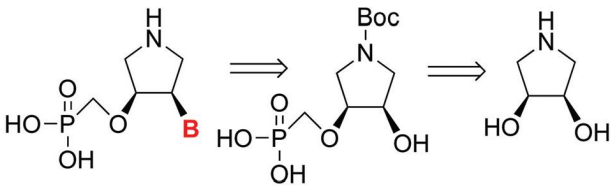


4693

Synthesis, conformational studies, and biological properties of phosphonomethoxyethyl derivatives of nucleobases with a locked conformation *via* a pyrrolidine ring

Radek Pohl, Lenka Poštová Slavětínská, Wai Soon Eng, Dianne T. Keough, Luke W. Guddat and Dominik Rejman*

Novel phosphonate nucleotides were synthesized. An inhibitor of the *P. falciparum* HGXPRT with a K_i of 0.6 μM was found.

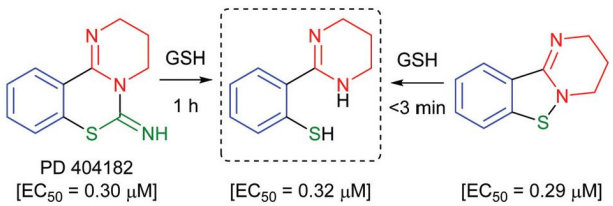


4706

Investigations of possible prodrug structures for 2-(2-mercaptophenyl)tetrahydropyrimidines: reductive conversion from anti-HIV agents with pyrimidobenzothiazine and isothiazolopyrimidine scaffolds

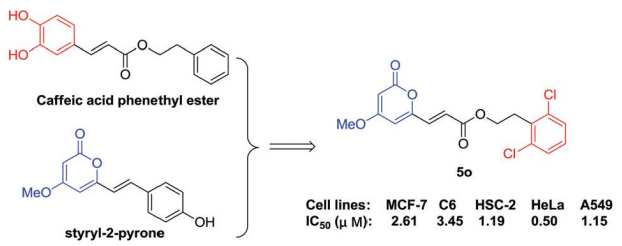
Shiho Okazaki, Shinya Oishi,* Tsukasa Mizuhara, Kazuya Shimura, Hiroto Murayama, Hiroaki Ohno, Masao Matsuoka and Nobutaka Fujii*

PD 404182 and benzo[4,5]isothiazolo[2,3-*a*]pyrimidines are possible prodrug forms of the ring-opened thiophenols with anti-HIV activity.



PAPERS

4714

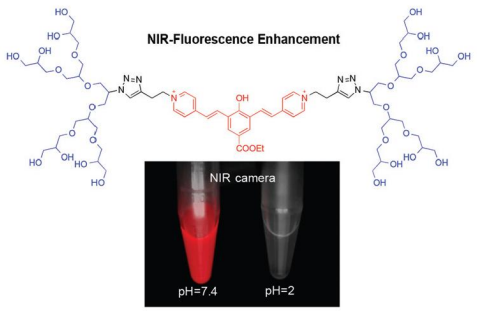


Synthesis, antitumor activity, and mechanism of action of 6-acrylic phenethyl ester-2-pyranone derivatives

Sai Fang, Lei Chen, Miao Yu, Bao Cheng, Yongsheng Lin, Susan L. Morris-Natschke, Kuo-Hsiung Lee, Qiong Gu* and Jun Xu*

The design for 6-acrylic phenethyl ester 2-pyranone derivatives against five tumor cell lines is reported.

4727

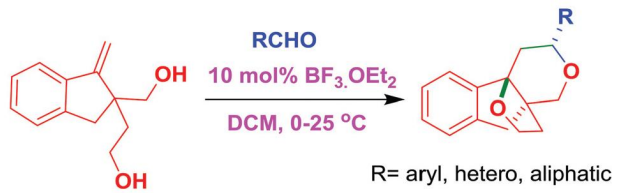


Enhancement of fluorescent properties of near-infrared dyes using clickable oligoglycerol dendrons

Orit Redy-Keisar, Katharina Huth, Uwe Vogel, Bernd Lepenies, Peter H. Seeberger, Rainer Haag and Doron Shabat*

Oligoglycerol dendrons effectively enhance the fluorescence properties of a cyanine NIR dye by increasing the solubility in water and the prevention of aggregate formation.

4733

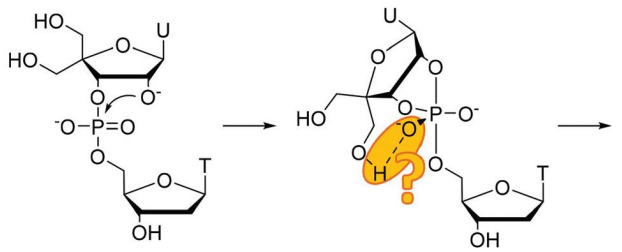


A novel domino cyclization for the stereoselective synthesis of indeno[2,1-*c*]pyran and cyclopenta[*c*]-pyran derivatives

B. V. Subba Reddy,* N. Prudhvi Raju, B. Someswarao, B. Jagan Mohan Reddy, B. Sridhar, Kanakaraju Marumudi and A. C. Kunwar

A domino cyclization of *exo*-methylenediol and aldehydes with 10 mol% $BF_3{\cdot}OEt_2$ provides the indeno[2,1-*c*]pyran scaffolds in good yields.

4737



Participation of an additional 4′-hydroxymethyl group in the cleavage and isomerization of ribonucleoside 3′-phosphodiesters

Luigi Lain, Harri Lönnberg and Tuomas Lönnberg*

The impact of an additional 4′-hydroxymethyl group on the cleavage and isomerization of an RNA model compound is modest.

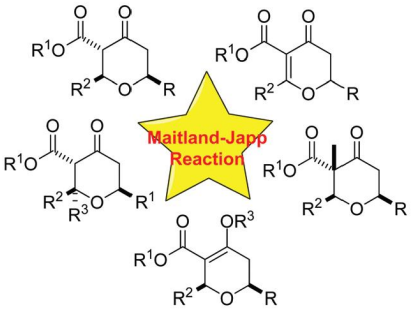
PAPERS

4743

A Maitland–Japp inspired synthesis of dihydropyran-4-ones and their stereoselective conversion to functionalised tetrahydropyran-4-ones

Paul A. Clarke,* Philip B. Sellars and Nadiah Mad Nasir

New variations of the Maitland–Japp reaction have been developed to enable the synthesis of dihydropyrans and tetrahydropyrans with tertiary and quaternary stereocentres, including the functionalised tetrahydropyrans in Civet and the A-ring of lasonolide A.

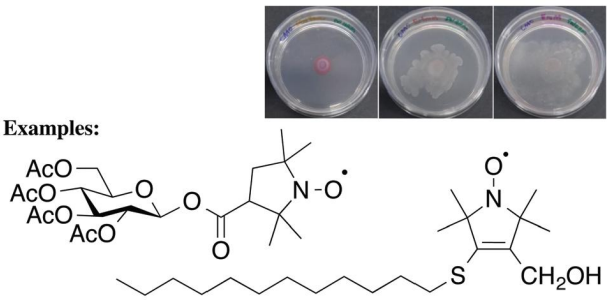


4751

Nitroxides as anti-biofilm compounds for the treatment of *Pseudomonas aeruginosa* and mixed-culture biofilms

Stefanie-Ann Alexander, Caroline Kyi and Carl H. Schiesser*

A series of nitroxides was prepared and tested for bacterial biofilm modulatory activity.

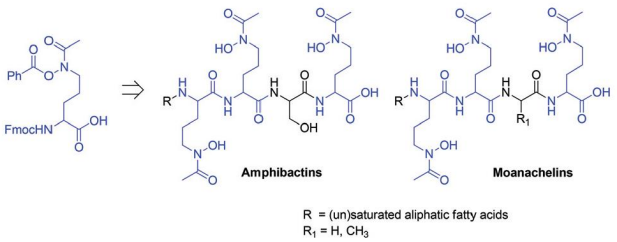


4760

An efficient solid-phase strategy for total synthesis of naturally occurring amphiphilic marine siderophores: amphibactin-T and moanachelin ala-B

Prabhakar Cherkupally, Suhas Ramesh, Thavendran Govender, Hendrik G. Kruger, Beatriz G. de la Torre* and Fernando Albericio*

The first total synthesis of the naturally obtainable marine siderophores amphibactin-T and moanachelin ala-B on solid-phase using standard Fmoc-chemistry is reported.

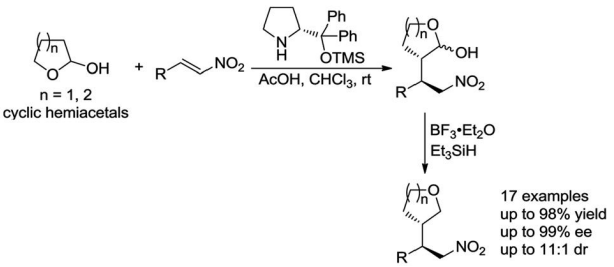


4769

Organocatalytic enantioselective Michael addition of cyclic hemiacetals to nitroolefins: a facile access to chiral substituted 5- and 6-membered cyclic ethers

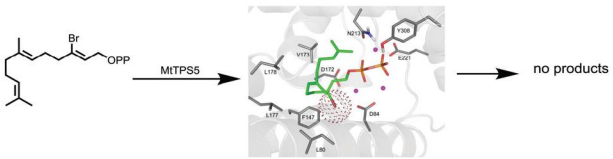
Yadong Zhu, Pengfei Qian, Jiyang Yang, Shaohua Chen, Yanwei Hu,* Ping Wu, Wei Wang, Wei Zhang* and Shilei Zhang*

An efficient aminocatalytic enantioselective Michael addition of readily available cyclic hemiacetals to nitroolefins has been developed.



PAPERS

4776

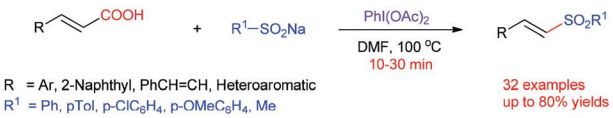


Inhibition of a multiproduct terpene synthase from *Medicago truncatula* by 3-bromoprenyl diphosphates

Abith Vattekkatte, Nathalie Gatto, Eva Schulze, Wolfgang Brandt and Wilhelm Boland*

3-Bromo prenyl analogues bind to the active site and act as competitive inhibitors for terpene cyclases and -synthases.

4785

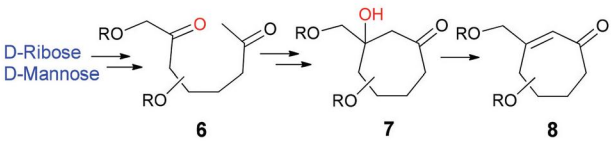


$PhI(OAc)_2$ mediated decarboxylative sulfonylation of β-aryl-α,β-unsaturated carboxylic acids: a synthesis of (*E*)-vinyl sulfones

Praewpan Katrun, Sornsiri Hlekhlai, Jatuporn Meesin, Manat Pohmakotr, Vichai Reutrakul, Thaworn Jaipetch, Darunee Soorukram and Chutima Kuhakarn*

A novel and expedient method for the decarboxylative sulfonylation of β-aryl-α,β-unsaturated carboxylic acids to their (*E*)-vinyl sulfones is described.

4795



Intramolecular direct aldol reactions of sugar 2,7-diketones: syntheses of hydroxylated cycloalka(e)nones

Tony K. M. Shing* and Hau M. Cheng

A regio- and stereoselective intramolecular direct aldol reaction of 2,7-diketones derived from carbohydrates has been developed to construct cycloalkanones **7**, which were dehydrated to obtain heavily oxygenated cycloalkenones **8**.