Organic & Biomolecular Chemistry

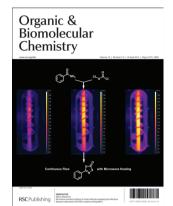
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IN THIS ISSUE

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Cover See Mats Larhed *et al.*, pp. 2713–2729.

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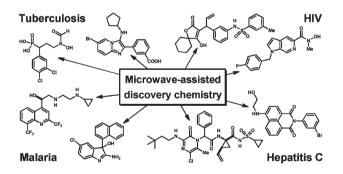
PERSPECTIVE

2713

Microwave-assisted synthesis of small molecules targeting the infectious diseases tuberculosis, HIV/AIDS, malaria and hepatitis C

Johan Gising, Luke R. Odell and Mats Larhed*

Modern microwave chemistry increases the opportunities to develop new antibiotics and antiviral drugs.



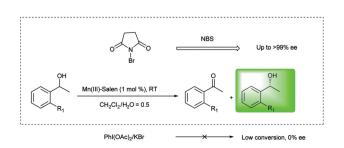
COMMUNICATIONS

2730

Enantioselective oxidation of racemic secondary alcohols catalyzed by chiral Mn(III)-salen complexes with *N*-bromosuccinimide as a powerful oxidant

Daqian Xu, Shoufeng Wang, Zhiqiang Shen, Chungu Xia and Wei Sun*

We developed an efficient asymmetric oxidation reaction catalyzed by Mn(III)-salen complexes using *N*-bromosuccinimide (NBS) as the stoichiometric oxidant. The new protocol resolves the oxidative kinetic resolution of benzylic alcohols with *ortho* substituted aromatic rings.



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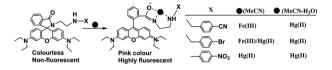
COMMUNICATIONS

2733

Alteration of selectivity in rhodamine based probes for Fe(III) and Hg(II) ion induced dual mode signalling responses

Bamaprasad Bag* and Biswonath Biswal

The substituents attached to the substituted 'amino-ethyl-amido'rhodamine alter the selectivity of the probe to exhibit metal ion induced chromo- and fluorogenic signalling responses.

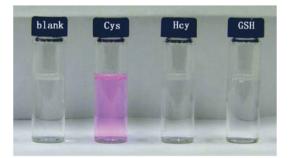


2739

A seminaphthofluorescein-based fluorescent chemodosimeter for the highly selective detection of cysteine

Xiaofeng Yang,* Yixing Guo and Robert M. Strongin*

A cysteine selective indicator based on a seminaphthofluorescein.



PAPERS

2742

Stereoselective synthesis of hydroxy stilbenoids and styrenes by atom-efficient olefination with thiophthalides

Prithiba Mitra, Brateen Shome, Saroj Ranjan De, Anindya Sarkar and Dipakranjan Mal*

Highly stereoselective and atom-efficient olefination of aldehydes with thiophthalides using minimal protecting groups.



2753

A non-metal catalysed oxidation of primary azides to nitriles at ambient temperature

Manjunath Lamani, Pradeep Devadig and Kandikere Ramaiah Prabhu*

An efficient non-metal catalyzed oxidation of organic azides to nitriles under solvent-free conditions is accomplished at room temperature.

	KI (5 mol %) Aq.TBHP (70% solution in water)	
R N ₃		R−C≡N
R = Alkyl, aryl	no solvent RT	

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2760

Aza-Claisen rearrangement of 2-*C*-hydroxymethyl glycals as a versatile strategy towards synthesis of isofagomine and related biologically important azasugars

Y. Suman Reddy, Pavan K. Kancharla, Rashmi Roy and Yashwant D. Vankar*

Synthesis of isofagomine has been achieved using aza-Claisen rearrangement of 2-*C*-hydroxymethyl glycals as a key step and the rearrangement has also been used for the synthesis of biologically important polyhydroxylated piperidine frameworks.

2774

Chemoenzymatic formal synthesis of (–)- and (+)-epibatidine

Derek R. Boyd, Narain D. Sharma, Magdalena Kaik, Peter B. A. M^cIntyre, Paul J. Stevenson* and Christopher C. R. Allen

The (+)-1,2-dihydrodiol metabolite from bromobenzene was converted in four steps to an aminoalcohol intermediate and then a further seven steps into (-) or (+)-epibatidine.

2780

One-pot sequential Ti-/Cu-catalysis for tandem amidation/Ullmann-type cyclization: synthesis of model benzodiazepine(di)ones promoted by microwave irradiation

Leonardo Ciofi, Andrea Trabocchi,* Claudia Lalli, Gloria Menchi and Antonio Guarna

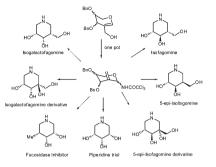
The synthesis of model benzodiazepine(di)ones is reported as a benchmark for sequential Ti-catalyzed amidation and Cu-catalyzed intramolecular *N*-arylation.

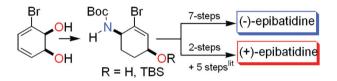
2787

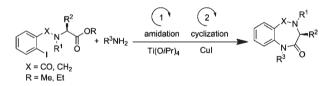
Using light and a molecular switch to 'lock' and 'unlock' the Diels-Alder reaction

Zach Erno, Amir Mahmoud Asadirad, Vincent Lemieux and Neil R. Branda*

Light must first be used to 'unlock' a molecular switch before it can undergo the retro-Diels-Alder reaction.









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2793

Silver-promoted Friedel–Crafts reaction: concise total synthesis of (–)-ardeemin, (–)-acetylardeemin and (–)-formylardeemin

Y. Wang, C. Kong, Y. Du, H. Song,* D. Zhang and Y. Qin*

Total syntheses of multidrug resistant inhibitors (–)-acetylardeemin **2a**, (–)-ardeemin **2b**, and (–)-formylardeemin **3** have been achieved within 10 steps.

2798

Hybrid ligand-alkylating agents targeting telomeric G-quadruplex structures

Filippo Doria, Matteo Nadai, Marco Folini, Marco Di Antonio, Luca Germani, Claudia Percivalle, Claudia Sissi, Nadia Zaffaroni, Stefano Alcaro, Anna Artese, Sara N. Richter* and Mauro Freccero*

Naphthalene-diimides tethered to a quinone methide precursor (QMP), capable of binding and alkylating telomeric DNA, were successfully designed.

2807

A computational study of the enantioselective addition of n-BuLi to benzaldehyde in the presence of a chiral lithium N,P amide

Petra Rönnholm, Jürgen Gräfenstein, Per-Ola Norrby, Göran Hilmersson and Sten O. Nilsson Lill*

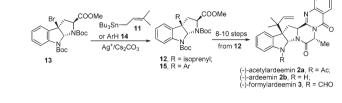
The origin of enantioselectivity in alkylations of benzaldehyde using chiral lithium N,P amides has been investigated.

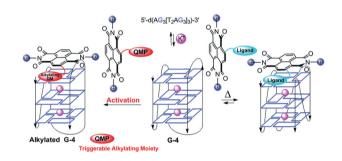
2815

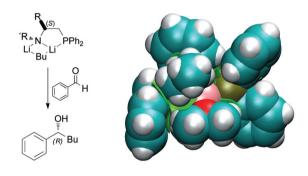
Helix and hairpin nucleation in short peptides using centrally positioned conformationally constrained dipeptide segments

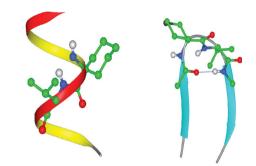
Siddappa Chandrappa, Subrayashastry Aravinda, Srinivasarao Raghothama, Rajesh Sonti, Rajkishor Rai, Veldore V. Harini, Narayanaswamy Shamala* and Padmanabhan Balaram*

Centrally positioned segments with a high propensity to form type I β -turns can nucleate helix formation, while type I' β -turns facilitate hairpin formation.





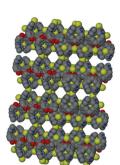




2830

2840

R



cat. Bi(OTf)₃

1.2-DCE

68-95%

(R=Ts, Ms, Tf)

1d (5 mol%) DIPEA (5 mol%)

CH₂Cl₂, 0 °C

R

соон

1d

Tetrakis(methylimidazole) and tetrakis(methylimidazolium) calix[4]arenes: competitive anion binding and deprotonation

Emma K. Bullough, Colin A. Kilner, Marc A. Little and Charlotte E. Willans*

Imidazole and imidazolium calix[4]arenes exhibit a range of hydrogen bond interactions due to the presence of OH groups.

Bismuth(III) triflate promoted intramolecular hydroamination of unactivated alkenyl sulfonamides in the preparation of pyrrolidines

František Mathia and Peter Szolcsányi*

 $Bi(OTf)_3$ efficiently cyclises unactivated alkenyl sulfonamides, giving rise to *N*-protected 2-methyl pyrrolidines in good to excellent yields.

Highly efficient asymmetric Michael addition of aldehyde to nitroolefin using perhydroindolic acid as a chiral organocatalyst

Lina Zhao, Jiefeng Shen, Delong Liu, Yangang Liu and Wanbin Zhang*

Perhydroindolic acids, the by-products obtained in the industrial production of a trandolapril intermediate, were used as chiral organocatalysts in asymmetric Michael addition reactions of aldehydes to nitroolefins.

2847



6

up to 99/1 dr and 98% ee

Copper(1) acetate-catalyzed azide–alkyne cycloaddition for highly efficient preparation of 1-(pyridin-2-yl)-1,2,3triazoles

Qun Zhang, Xinyan Wang,* Chuanjie Cheng, Rui Zhu, Nan Liu and Yuefei Hu*

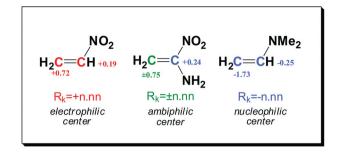
A highly efficient copper(1) acetate-catalyzed CuAAC of 6-substituted tetrazolo[1,5-*a*]pyridines was developed. The *in situ* formed HOAc played dual roles and an activation of 2-azidopyridine–copper(1) complex was observed.

2855

Understanding local electrophilicity/nucleophilicity activation through a single reactivity difference index

Pratim K. Chattaraj,* Soma Duley and Luis R. Domingo*

The local reactivity difference index R_k is able to predict the local electrophilic and/or nucleophilic activation within an organic molecule.

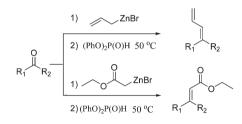


2862

A novel ketone olefination *via* organozinc reagents in the presence of diphenyl phosphite

Hua Cui, Ying Li and Songlin Zhang*

The manuscript describes the synthesis of 1,3-dienes and unsaturated esters by reaction of carbonyl compounds with organozinc reagents in the presence of diphenyl phosphite under mild conditions.



$$\begin{split} R_1 &= C_6H_5, \, 4\text{-CIC}_6H_5, \, 4\text{-MeOC}_6H_5, \, 4\text{-BrC}_6H_5, \, \text{etc} \\ R_2 &= C_6H_5, \, 4\text{-CIC}_6H_5, \, 4\text{-MeOC}_6H_5, \, 2\text{-thienyl}, \, 2\text{-naphthyl}, \, \text{H}, \, \text{etc} \end{split}$$

2870

Molecular design of chiral quaternary ammonium polymers for asymmetric catalysis applications

Md. Masud Parvez, Naoki Haraguchi and Shinichi Itsuno*

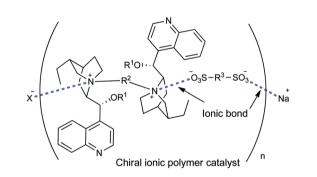
Chiral ionic polymers were prepared from a chiral quaternary ammonium dimer and disulfonate, and showed excellent catalytic activity in asymmetric alkylation.



Access to chiral α -bromo and α -H-substituted tertiary allylic alcohols *via* copper(1) catalyzed 1,2-addition of Grignard reagents to enones

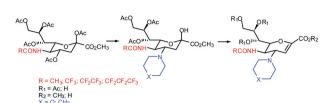
Ashoka V. R. Madduri, Adriaan J. Minnaard* and Syuzanna R. Harutyunyan*

Catalytic asymmetric synthesis of α -Br- and α -H-substituted tertiary allylic alcohols is established *via* Cu-catalysed 1,2-addition of Grignard reagents to enones.









A simple synthesis of *N*-perfluoroacylated and *N*-acylated glycals of neuraminic acid with a cyclic aminic substituent at the 4α position as possible inhibitors of sialidases

Paola Rota,* Pietro Allevi, Irene S. Agnolin, Roberto Mattina, Nadia Papini and Mario Anastasia

A simple access to 4α -aminosubstituted glycals of *N*-acylated and *N*-perfluoroacylated neuraminic acid from peracetylated Neu5Ac methyl ester is proposed.

The Case of the Doisonous Socks Tales from Chemistry

The Case of the Poisonous Socks

Tales from Chemistry William H. Brock

Written by a respected science historian and established author, this collection of essays touches on all aspects of chemistry. It contains 42 tales about chemists and their discoveries from the nineteenth and twentieth centuries. The title is taken from the lead chapter which describes how respected chemist, William Crookes, solved a mystery from the 1860s of how brilliantly coloured socks were causing the feet of unfortunate wearers to swell. Other topics covered include: the quirky beliefs of American philanthropist, George Hodgkins; the development of the chemical laboratory since the 1830s, and the career of C.P. Snow before he became a novelist.

Light in style, and presented as a series of unconnected vignettes, the book will interest chemists, teachers, historians and anyone with an interest in science.

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