

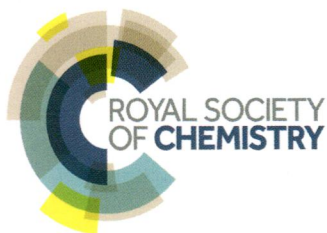
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# Organic & Biomolecular Chemistry

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ISSN 1477-0520



ROYAL SOCIETY  
OF CHEMISTRY

COMMUNICATION

Keiji Maruoka *et al.*  
Chiral Brønsted acid-catalyzed enantioselective addition of indoles to ketimines



# Organic & Biomolecular Chemistry

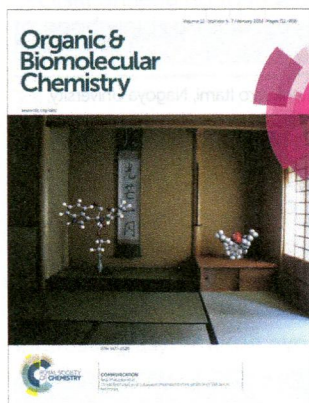
An international journal of synthetic, physical and biomolecular organic chemistry

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## IN THIS ISSUE

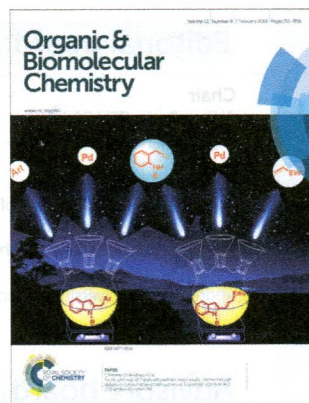
ISSN 1477-0520 CODEN OBCRAK 12(5) 711–856 (2014)



### Cover

See Keiji Maruoka et al., pp. 724–727.

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### Inside cover

See Chinmay Chowdhury et al., pp. 741–748.

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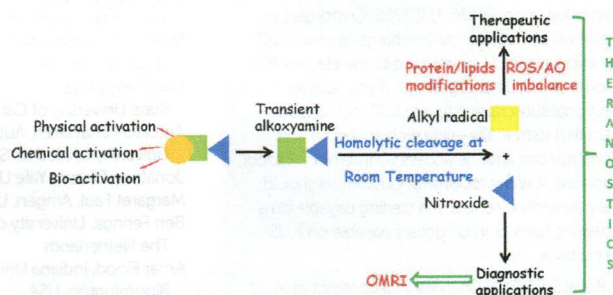
## PERSPECTIVE

719

### Alkoxyamines: a new family of pro-drugs against cancer. Concept for theranostics

G rard Audran, Paul Br mond, Jean-Michel Franconi, Sylvain R. A. Marque,\* Philippe Massot, Philippe Mellet, Elodie Parzy and Eric Thiaud re

Concept to apply alkoxyamines as a theranostic against cancer. The success relies on the highly biological generation of an unselective alkyl radical *at the right time and at the right place*.



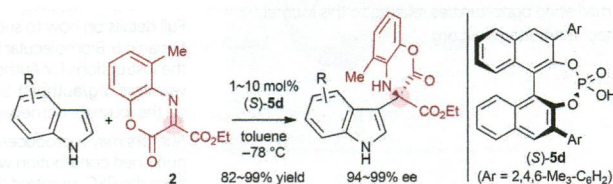
## COMMUNICATIONS

724

### Chiral Br nsted acid-catalyzed enantioselective addition of indoles to ketimines

Taichi Kano, Ryosuke Takechi, Ryohei Kobayashi and Keiji Maruoka\*

A highly enantioselective addition of indoles to ketimine **2** was found to be catalyzed by a chiral phosphoric acid. This organocatalytic process represents a rare example of an addition reaction to a non-aromatic ketimine.



Федеральное государственное  
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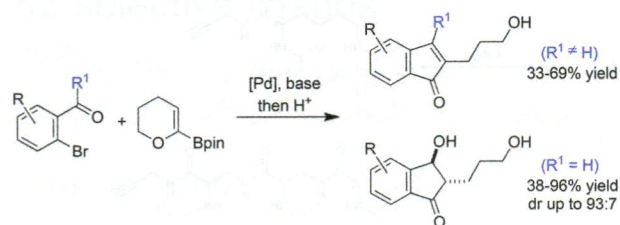


728

### Synthesis of substituted indenones and indanones by a Suzuki–Miyaura coupling/acid-promoted cyclisation sequence

Alexey N. Butkevich, Beatrice Ranieri, Lieven Meerpoel, Ian Stansfield, Patrick Angibaud, Andrei Corbu and Janine Cossy\*

A one-pot Suzuki–Miyaura cross-coupling/acid-catalyzed cyclisation leading to indenones and indanones in modest to good yields is reported.

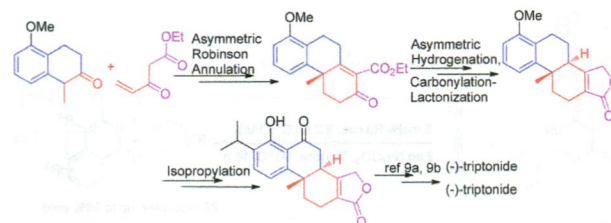


732

### New facile enantio- and diastereo-selective syntheses of (–)-triptonide and (–)-triptolide

Hongrui Zhang, Haifeng Li, Jijun Xue, Rui Chen, Ying Li,\* Yu Tang\* and Chunxin Li

This work provided a facile and scalable synthesis of triptolide using an elegant asymmetric annulation and an interesting asymmetric hydrogenation.

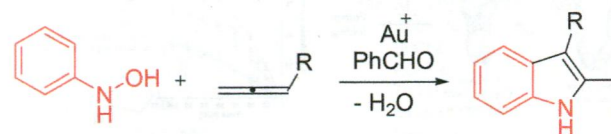


737

### Gold-catalyzed annulations of allenes with *N*-hydroxyanilines to form indole derivatives with benzaldehyde as a promoter

Rahul Kisan Kawade, Po-Han Huang, Somnath Narayan Karad and Rai-Shung Liu\*

Gold-catalyzed syntheses of 2,3-disubstituted indole derivatives from *N*-hydroxyanilines and allenes are described; these reactions require benzaldehyde as an additive to generate nitrones *in situ*.



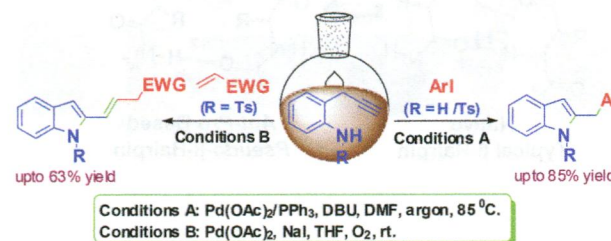
## PAPERS

741

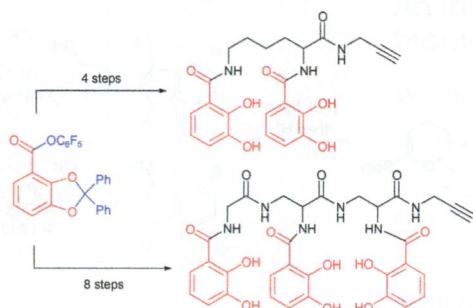
### Facile synthesis of 2-arylmethylindoles and 2-vinyl indoles through palladium-catalyzed heteroannulations of 2-(2-propynyl)aniline and 2-(2-propynyl)tosylanilide

Bimolendu Das, Priyanka Kundu and Chinmay Chowdhury\*

A new one-pot synthesis of 2-arylmethylindoles and 2-vinyl indoles *via* palladium-catalyzed heteroannulation of 2-(2-propynyl)aniline or 2-(2-propynyl)tosylanilide is described.



749

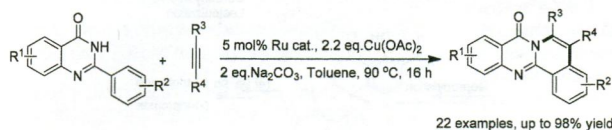


### Diphenyl-benzo[1,3]dioxole-4-carboxylic acid pentafluorophenyl ester: a convenient catechol precursor in the synthesis of siderophore vectors suitable for antibiotic Trojan horse strategies

Etienne Baco, Françoise Hoegy, Isabelle J. Schalk and Gaëtan L. A. Mislin\*

Diphenyl-benzo[1,3]dioxole-4-carboxylic acid pentafluorophenylester was used in the synthesis of alkyne functionalized bis- and tris-catechol compounds shuttling efficiently iron(III) into *E. coli* and *P. aeruginosa*.

758

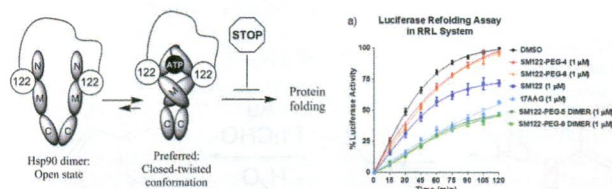


### Cross-coupling/annulations of quinazolones with alkynes for access to fused polycyclic heteroarenes under mild conditions

Hui Lu, Qin Yang, Yirong Zhou, Yanqin Guo, Zhihong Deng, Qiuping Ding and Yiyuan Peng\*

Ruthenium-catalyzed regioselective oxidative cross-coupling/annulations of quinazolones with alkynes were successfully developed for direct access to fused polycyclic heteroarenes in high yields.

765

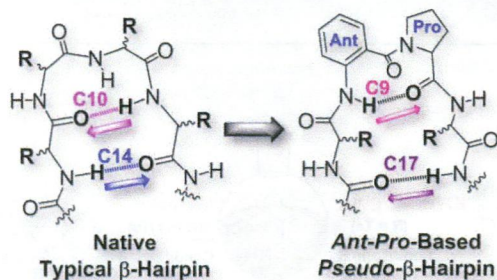


### Dimerization of a heat shock protein 90 inhibitor enhances inhibitory activity

Hendra Wahyudi, Yao Wang and Shelli R. McAlpine\*

Heat shock protein 90 (hsp90) accounts for 1–2% of the total proteins in normal cells and it functions as a dimer.

774



### Formation of a pseudo- $\beta$ -hairpin motif utilizing the Ant-Pro reverse turn: consequences of stereochemical reordering

Roshna V. Nair, Amol S. Kotmale, Snehal A. Dhokale, Rupesh L. Gawade, Vedavadi G. Puranik, Pattuparambil R. Rajamohanam and Gangadhar J. Sanjayan\*

Herein, we report a special case of pseudo- $\beta$ -hairpin formation by tetrapeptide sequences featuring a two-residue Ant-Pro dipeptide motif (Ant = anthranilic acid and Pro = proline) at the loop region.



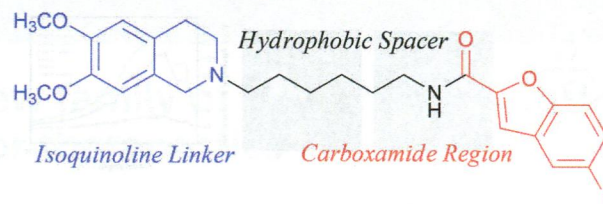
783

### Synthesis and *in vitro* evaluation of tetrahydroisoquinolines with pendent aromatics as sigma-2 ( $\sigma_2$ ) selective ligands

Mark E. Ashford, Vu H. Nguyen, Ivan Greguric, Tien Q. Pham, Paul A. Keller\* and Andrew Katsifis\*

Sigma-2 selective ligands – a SAR study showing increased potency and selectivity with derivatives showing the potential to be converted into radiolabelled ligands.

### $\sigma_2$ -selective ligands

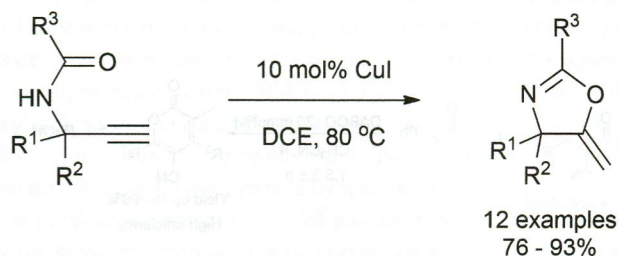


795

### Cul-catalyzed cycloisomerization of propargyl amides

Ali Alhalib and Wesley J. Moran\*

The synthesis of substituted dihydrooxazoles by the CuI-catalyzed cycloisomerization of terminal propargyl amides is reported.

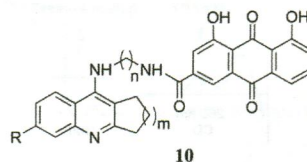


801

### Design, synthesis and evaluation of novel tacrine–rhein hybrids as multifunctional agents for the treatment of Alzheimer's disease

Su-Yi Li, Neng Jiang, Sai-Sai Xie, Kelvin D. G. Wang, Xiao-Bing Wang\* and Ling-Yi Kong\*

A series of tacrine–rhein hybrid compounds have been designed and synthesized as novel multifunctional potent ChE inhibitors.



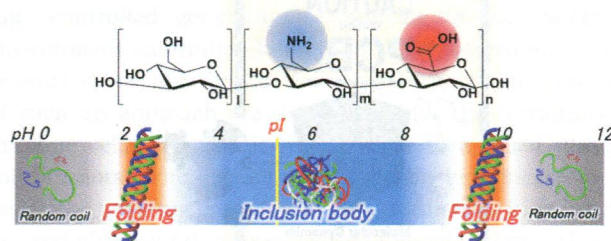
**10b:**  $m = 2$ ,  $n = 6$ ,  $R = H$ ;  
AChE:  $IC_{50} = 27.3$  nM;  
BuChE:  $IC_{50} = 200$  nM;  
AChE-induced A $\beta$  aggregation:  
70.2% at 100  $\mu$ M;  
Metal chelating property;  
Low hepatotoxicity.

815

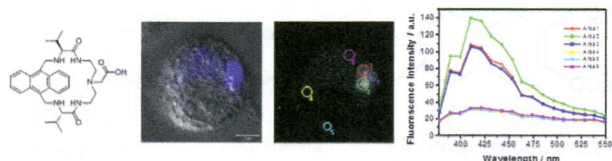
### Giant amino acids designed on the polysaccharide scaffold and their protein-like structural interconversion

Shun-ichi Tamaru,\* Daisuke Tokunaga, Kaori Hori, Sayaka Matsuda and Seiji Shinkai

Protein-like polysaccharides possessing pH-responsive structural interconversion were developed. The unique artificial protein model polysaccharides can act as a new potential polymeric host to construct bio-compatible smart materials.



823

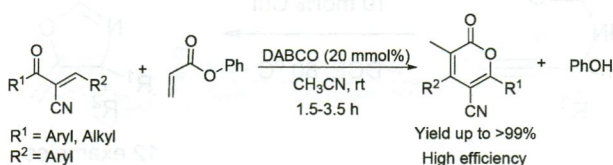


### Fluorescent macrocyclic probes with pendant functional groups as markers of acidic organelles within live cells

Prashant D. Wadhavane, M. Ángeles Izquierdo, Dennis Lutters, M. Isabel Burguete, María J. Marin, David A. Russell, Francisco Galindo\* and Santiago V. Luis\*

A new family of acidity sensitive fluorescent macrocycles has been synthesized and fully characterized.

832

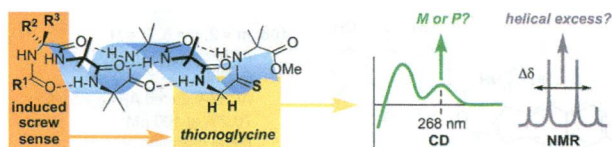


### DABCO catalyzed cross-Rauhut–Currier/ transesterification reactions of activated alkenes with phenyl acrylates: scope and mechanistic insight

Wen Liu and Gang Zhao\*

A cross-Rauhut–Currier/transesterification catalyzed by DABCO reaction is developed and the mechanism of cross-Rauhut–Currier reaction promoted by Brønsted acid is proposed.

836

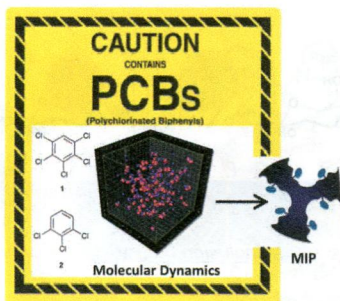


### Thionoglycine as a multifunctional spectroscopic reporter of screw-sense preference in helical foldamers

Matteo De Poli and Jonathan Clayden\*

Inserting a thionoglycine residue into a helical foldamer reports both the sign and magnitude (by CD and by  $^1\text{H}$  NMR) of the adopted screw-sense.

844



### Molecular dynamics approaches to the design and synthesis of PCB targeting molecularly imprinted polymers: interference to monomer–template interactions in imprinting of 1,2,3-trichlorobenzene

Dougal Cleland, Gustaf D. Olsson, Björn C. G. Karlsson, Ian A. Nicholls and Adam McCluskey\*

Molecular dynamic simulations identify MeOH as disrupting the FM–T interactions and reducing imprinting efficacy with 1,2,3-trichlorobenzene (2).