

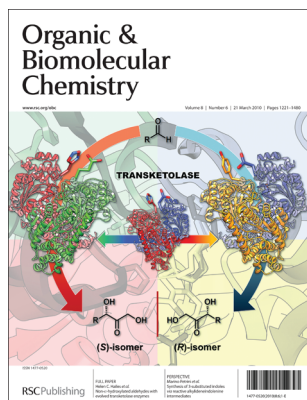
# Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry  
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## IN THIS ISSUE

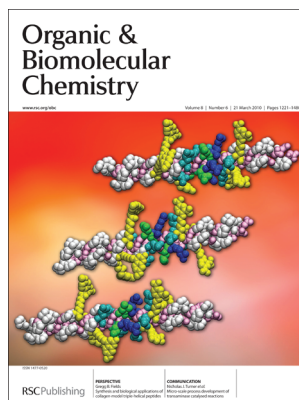
ISSN 1477-0520 CODEN OBCRAK 8(6) 1221–1480 (2010)



### Cover

See Helen C. Hailes *et al.*, pp. 1301–1309.  
 Single-point active site transketolase mutants enhanced and reversed the stereoselectivity of the wild-type enzyme in the conversion of linear and cyclic aliphatic aldehydes to  $\alpha,\alpha'$ -dihydroxyketones.

Image reproduced by permission of Helen C. Hailes from *Org. Biomol. Chem.*, 2010, **8**, 1301.



### Inside cover

See Gregg B. Fields, pp. 1237–1258.  
 Dr Fields' research interests are in the use of chemical approaches to better understand how protein three-dimensional structures influence cellular and enzymatic behaviours.

Image reproduced by permission of Gregg B. Fields from *Org. Biomol. Chem.*, 2010, **8**, 1237.

## PERSPECTIVES

1237

### Synthesis and biological applications of collagen-model triple-helical peptides

Gregg B. Fields\*

Triple-helical peptides (THPs) have been utilized as collagen models since the 1960s. In the last two decades, virtually all aspects of collagen structural biochemistry have been explored with THP models.

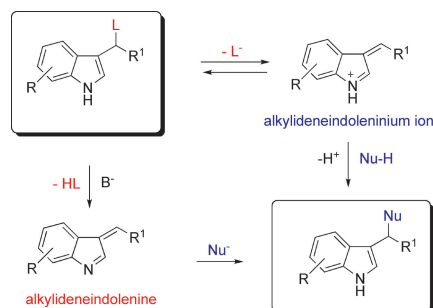


1259

### Synthesis of 3-substituted indoles *via* reactive alkylideneindolenine intermediates

Alessandro Palmieri, Marino Petrini\* and Rafik R. Shaikh

Elimination of suitable leaving groups from 3-substituted indoles under basic or acid conditions readily provides alkylideneindolenine intermediates that may react with a large variety of nucleophilic reagents. This article highlights some recent developments of this synthetic approach for the preparation of functionalized indole derivatives.



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An international journal of synthetic, physical and  
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*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.

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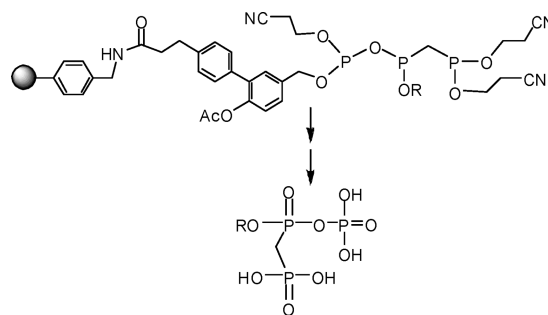
## COMMUNICATIONS

1271

**Synthesis of nucleoside 5'-O- $\alpha,\beta$ -methylene- $\beta$ -triphosphates and evaluation of their potency towards inhibition of HIV-1 reverse transcriptase**

Y. Ahmadibeni, C. Dash, M. J. Hanley, S. F. J. Le Grice, H. K. Agarwal and K. Parang\*

Herein, we report the solid-phase synthesis of 5'-O-nucleoside  $\beta$ -triphosphates containing an  $\alpha,\beta$ -methylene triphosphate bridge by using a novel solid-phase phosphitylating reagent. Cytidine 5'-O- $\alpha,\beta$ -methylene- $\beta$ -triphosphate inhibited RNase H activity of HIV-1 reverse transcriptase with a  $K_i$  value of 225  $\mu$ M.

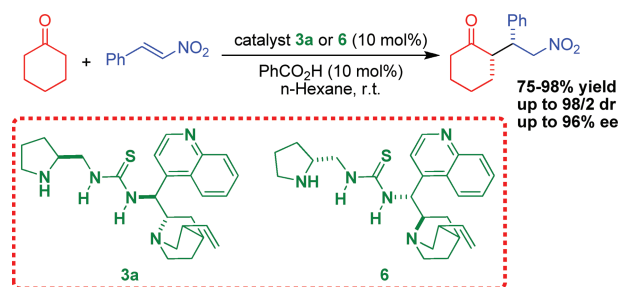


1275

**Novel thiourea-amine bifunctional catalysts for asymmetric conjugate addition of ketones/aldehydes to nitroalkenes: rational structural combination for high catalytic efficiency**

Jia-Rong Chen,\* Yi-Ju Cao, You-Quan Zou, Fen Tan, Liang Fu, Xiao-Yu Zhu and Wen-Jing Xiao\*

A series of thiourea-amine bifunctional catalysts have been developed by a rational combination of prolines with cinchona alkaloids, which are found to be highly efficient catalysts for the conjugate addition of ketones/aldehydes to a wide range of nitroalkenes.

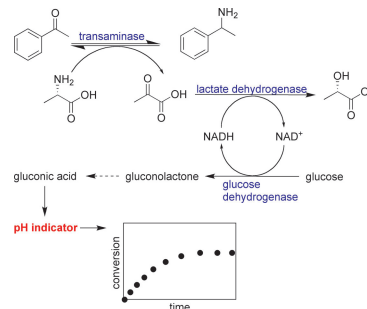


1280

**Micro-scale process development of transaminase catalysed reactions**

Matthew D. Truppo\* and Nicholas J. Turner\*

A micro-scale, pH indicator based, colorimetric assay has been developed for the process development of transaminase catalysed reactions. Enzyme activity and stability as a function of multiple reaction parameters have been determined at 100  $\mu$ L scale.

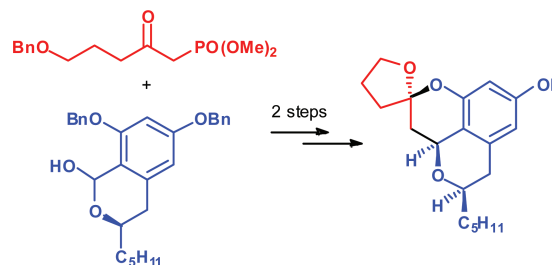


1284

**A flexible asymmetric synthesis of the tetracyclic core of berkeley acid using a Horner–Wadsworth–Emmons/oxa-Michael cascade**

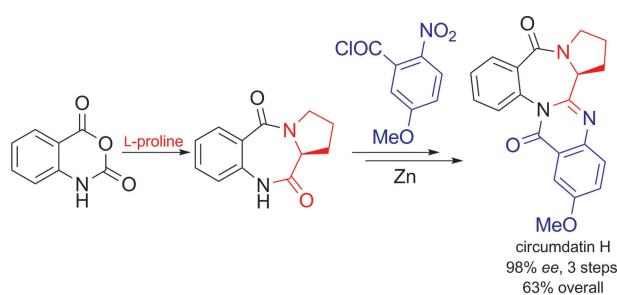
Zoe E. Wilson and Margaret A. Brimble\*

The one-pot Horner–Wadsworth–Emmons/oxa-Michael cascade followed by spiroketalisation affords the tetracyclic benzannulated spiroketal core of berkeley acid, an extremophile natural product with selective activity against ovarian cancer.



## COMMUNICATIONS

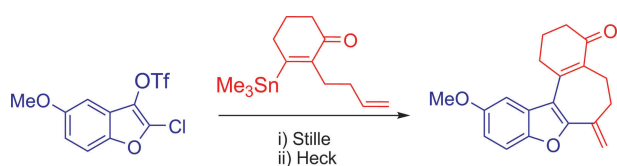
1287

**A concise synthesis of enantiopure circumdatins E, H and J**

Paul E. Zhichkin,\* Xiaomin Jin, Honglu Zhang,  
Lisa H. Peterson, Catherine Ramirez, Tara M. Snyder and  
Hilde S. Burton

Enantiopure circumdatins E, H and J were prepared in 3 steps from isatoic anhydrides, L-proline and 2-nitrobenzoic acids.

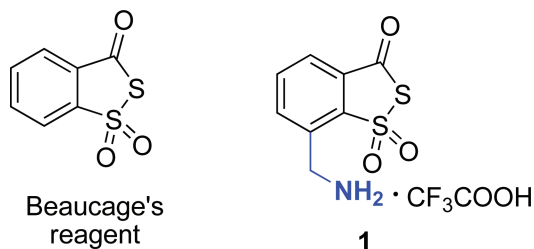
1290

**An efficient synthesis of (±)-frondosin B using a Stille–Heck reaction sequence**

Kye-Simeon Masters and Bernard L. Flynn\*

An efficient synthesis of (±)-frondosin B (34% overall yield) has been developed based on the application of a Stille–Heck reaction sequence of 2-chloro-5-methoxybenzo[*b*]furan-3-yl triflate and 2-(3-butenyl)-3-(trimethylstannyl)cyclohex-2-enone.

1293

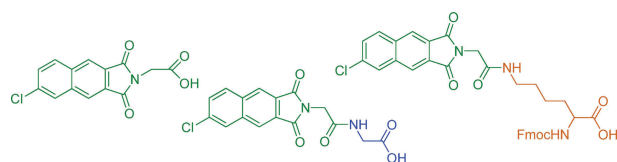
**Thiol-dependent DNA cleavage by aminomethylated Beaucage's reagent**

Jiahui Zheng, Xiaoqian Liu, Qing Yuan, Yoon-Joo Shin,  
Daekyu Sun and Yixin Lu\*

Aminomethylated Beaucage's reagent **1** was found to be more potent than Beaucage's reagent in causing DNA cleavage. This study demonstrated the importance of the amino functionality in enhancing DNA-cleaving activities, and such findings may facilitate development of novel sulfur-containing DNA-cleaving molecules in cancer therapy.

## PAPERS

1296

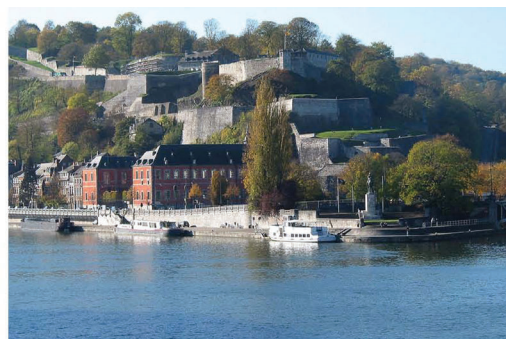
**Synthesis and fluorescence of the new environment-sensitive fluorophore 6-chloro-2,3-naphthalimide derivative**

Alan R. Katritzky,\* Sevil Ozcan and Ekaterina Todadze

Convenient and efficient synthesis of a novel environmentally sensitive chlorine substituted naphthalimide-based fluorophore which can be utilized for the labeling of amino acids is described.

# BOSS | XII

## 12<sup>th</sup> Belgian Organic Synthesis Symposium



University of Namur (FUNDP), Auditorium Pedro Arrupe

July 11>16, 2010, Namur, Belgium



The symposium will include:

- > a One-day course delivered by **Prof. David MacMillan (Princeton University, Princeton, New Jersey, USA)**, the recipient of the Tetrahedron Chair
- > a series of 16 plenary lectures
- > a lecture delivered by the recipient of the Janssen Pharmaceutica Prize for Creativity in Organic Synthesis, **Prof. Eric M. Jacobsen (Harvard University, Cambridge, MA, United States)**
- > poster sessions
- > an exhibition
- > social activities

### Janssen Pharmaceutica Prize for Creativity in Organic Synthesis

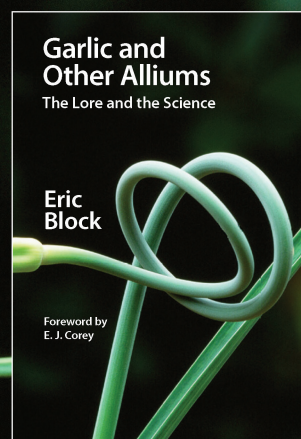
Prof. Eric M. Jacobsen (Harvard University, Cambridge, MA, USA) has been designated by the Jury as the winner of the 2010 'Janssen Pharmaceutica Prize for Creativity in Organic Chemistry', established in order to honour Dr. Paul Janssen, founder of Janssen Pharmaceutica.

### Registration & Abstracts Submission

[www.BOSS12.org](http://www.BOSS12.org)

## Garlic and Other Alliums The Lore and the Science

Eric Block



This unique book, with a foreword by Nobel Laureate **E. J. Corey**, outlines the extensive history and the fascinating past and present uses of these plants. The author has carefully sorted out fact from fiction based upon detailed scrutiny of historic documents as well as numerous laboratory studies.

Readers will be entertained and educated as they learn about early cultivation of garlic and other alliums while being introduced to their remarkable chemistry and biochemistry, much of which prominently features the element sulfur. They will learn how alliums have been portrayed and used in literature, poetry and the arts and how alliums are featured in the world's oldest cookbook.

Written by Eric Block, Carla Rizzo Delray Distinguished Professor of Chemistry at the University at Albany, State University of New York, well known for his discoveries elucidating the natural product chemistry of the *Allium* species. **Garlic and Other Alliums** will make fascinating reading for both scientists and non-scientists alike.

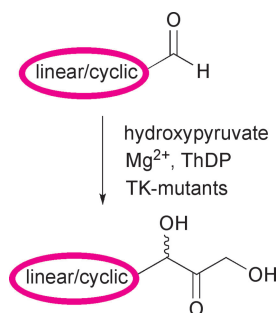
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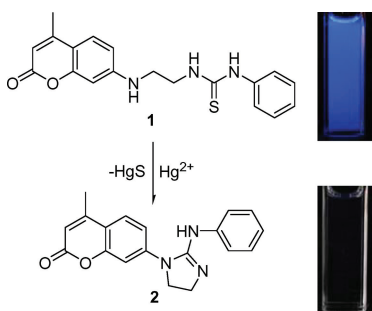


### Non- $\alpha$ -hydroxylated aldehydes with evolved transketolase enzymes

Armando Cázares, James L. Galman, Lydia G. Crago, Mark E. B. Smith, John Strafford, Leonardo Ríos-Solís, Gary J. Lye, Paul A. Dalby and Helen C. Hailes\*

Transketolase mutants have been used with a series of linear and cyclic aliphatic aldehydes, and excellent stereoselectivities observed.

1310

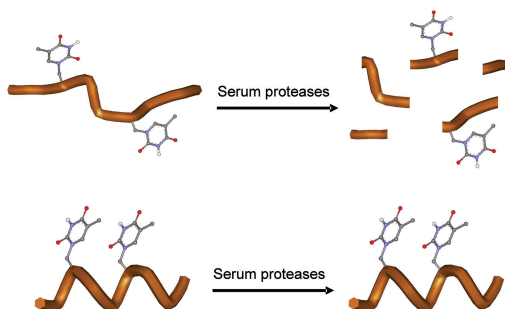


### A coumarin–thiourea conjugate as a fluorescent probe for Hg(II) in aqueous media with a broad pH range 2–12

Yasuhiro Shiraishi,\* Shigehiro Sumiya and Takayuki Hirai

A coumarin–thiourea conjugate (1) behaves as a highly selective fluorescent probe for  $Hg^{2+}$  in aqueous media with a broad pH range, 2–12.

1315

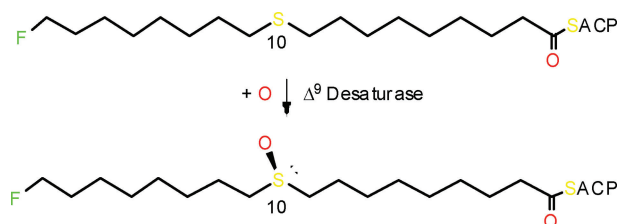


### Replacement of Ala by Aib improves structuration and biological stability in thymine-based $\alpha$ -nucleopeptides

Piero Geotti-Bianchini, Alessandro Moretto, Cristina Peggion, Julien Beyrath, Alberto Bianco\* and Fernando Formaggio\*

Thymine-based nucleo-heptapeptides, containing zero, one or four Aib residues, have been synthesized. A single Aib residue is enough to increase structuration and resistance towards enzymatic degradation.

1322



### Stereochemistry of 10-sulfoxidation catalyzed by a soluble $\Delta^9$ desaturase

Amy E. Tremblay, Nigel Tan, Ed Whittle, Derek J. Hodgson, Brian Dawson, Peter H. Buist\* and John Shanklin\*

$^1H$ -decoupled  $^{19}F$  NMR is used, in combination with substrate fluorine-tagging, to elucidate the enantioselectivity of soluble  $\Delta^9$  desaturase-mediated sulfoxidation at the nanomole level of detection.

## Dalton Discussion 12: Catalytic C-H and C-X Bond Activation

13 - 15 September 2010

Durham University, UK

[www.rsc.org/DD12](http://www.rsc.org/DD12)



### Call for posters now open

Organised jointly by the Dalton Division and Organic Division, DD12 will bring together the organic, organometallic and inorganic (coordination chemistry) communities from academia and industry to discuss the current state of the art, the development and future of late metal-catalysed cross-coupling strategies involving C-X and/or C-H bonds.

The meeting will highlight the importance of catalytic bond activation in cross-coupling chemistry. The latest research will be presented and discussed.

### Topics

- Synthetic chemistry (including applications)
- Inorganic and organometallic chemistry
- Reaction mechanism (physical organic and organometallic chemistry)
- Transition metal catalysis
- Applications of C-H and C-X bond activation in organic synthesis

### Keynote speakers

**Jennifer Love**  
*The University of British Columbia,  
Canada*

**William D. Jones**  
*University of Rochester, USA*

**Aiwen Lei**  
*Wuhan University, China*

**Zhang-jie Shi**  
*Peking University, China*

### Invited speakers

**Robin Bedford**  
*University of Bristol, UK*

**John M. Brown**  
*University of Oxford, UK*

**Stuart Macgregor**  
*Heriot-Watt University, Edinburgh, UK*

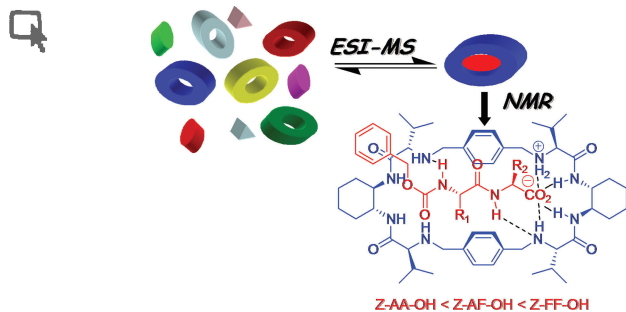
**Hans de Vries**  
*DSM Pharmaceutical Products, The  
Netherlands*

**Offers of contributed papers related to the listed themes for poster presentation are invited by 16 July 2010.**

Visit [www.rsc.org/DD12](http://www.rsc.org/DD12) for further information.

**Registration will open in spring 2010.**

1329

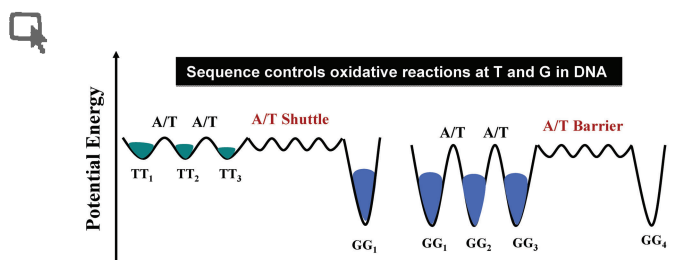


### Molecular recognition of *N*-protected dipeptides by pseudopeptidic macrocycles: a comparative study of the supramolecular complexes by ESI-MS and NMR

Ignacio Alfonso,\* Michael Bolte, Miriam Bru, M. Isabel Burguete, Santiago V. Luis\* and Cristian Vicent

Different experiments based on ESI-MS (competition and CID) and NMR (titration, NOESY and DOSY) were used to study the molecular recognition of *N*-protected amino acids and dipeptides by pseudopeptidic macrocycles.

1340

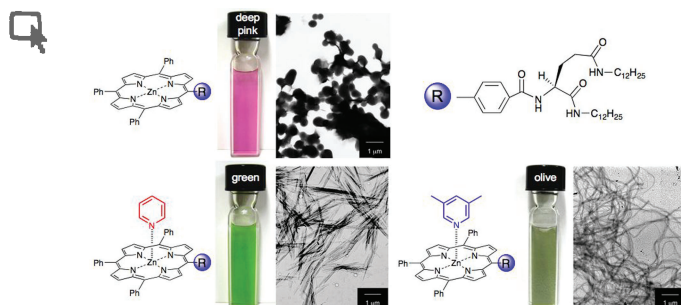


### One-electron oxidation of DNA: thymine *versus* guanine reactivity

Sriram Kanvah and Gary B. Schuster\*

One-electron oxidation of DNA leads to reaction at guanine because it is the nucleobase with lowest  $E_{ox}$ . In the absence of guanine, reaction occurs primarily at TT steps. We find that remote guanines “protect” thymines.

1344

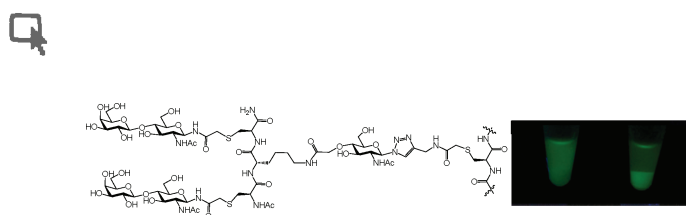


### Versatile chiroptics of peptide-induced assemblies of metalloporphyrins

Hirokuni Jintoku, Takashi Sagawa, Tsuyoshi Sawada, Makoto Takafuji and Hirotaka Ihara\*

Zinc porphyrin functionalized with L-glutamide has been newly synthesized and its unique responses such as ligand-specific induction of secondary chirality through the aggregation morphology change are reported.

1351



### Exploring neoglycoprotein assembly through native chemical ligation using neoglycopeptide thioesters prepared *via* N→S acyl transfer

Jonathan P. Richardson, Chung-Hei Chan, Javier Blanc, Mona Saadi and Derek Macmillan\*

Sugars and simplified oligosaccharide “mimics” can be joined with protein fragments at pre-defined sites and assembled into potential neoglycoprotein therapeutics using native chemical ligation.

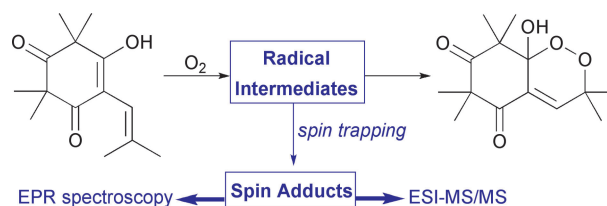


1361

**A combined spin trapping/EPR/mass spectrometry approach to study the formation of a cyclic peroxide by dienolic precursor autoxidation**

Mathilde Triquigneaux, Laurence Charles, Christiane André-Barrès and Béatrice Tuccio\*

Radical intermediates occurring during endoperoxide formation were trapped and the spin adducts were characterised by both EPR and tandem mass spectrometry.

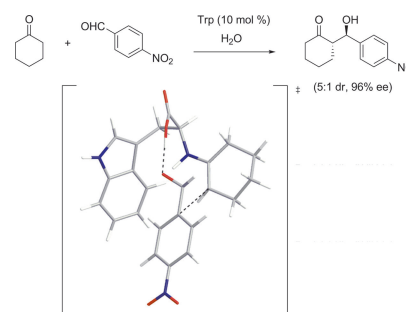


1368

**Direct asymmetric aldol reactions between aldehydes and ketones catalyzed by L-tryptophan in the presence of water**

Zhaoqin Jiang, Hui Yang, Xiao Han, Jie Luo, Ming Wah Wong\* and Yixin Lu\*

Primary amino acids and their derivatives were investigated as catalysts for the direct asymmetric aldol reactions between ketones and aldehydes in the presence of water, and L-tryptophan was shown to be the best catalyst. Solvent effects, substrate scope and the influence of water on the reactions were investigated. Quantum chemical calculations were performed to understand the origin of the observed stereoselectivity.

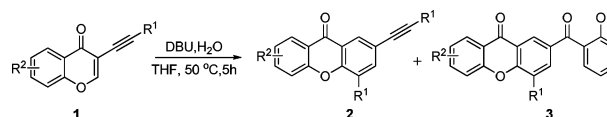


1378

**A base-promoted desalicyloylative dimerization of 3-(1-alkynyl)chromones: An unusual approach to 2-alkynyl xanthenes**

Fuchun Xie, Xuan Pan, Shijun Lin and Youhong Hu\*

A novel base-promoted cascade desalicyloylative dimerization of 3-(1-alkynyl)chromones to produce 2-alkynyl xanthenes has been developed. This tandem process involves multiple reactions, such as Michael additions/cyclizations/desalicyloylation without a transition metal catalyst and inert atmosphere.

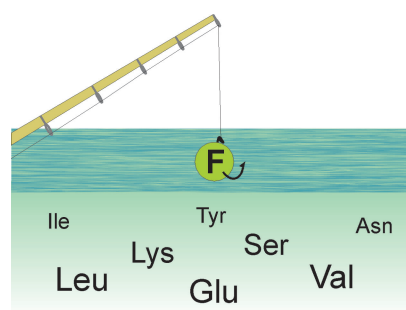


1382

**Towards identifying preferred interaction partners of fluorinated amino acids within the hydrophobic environment of a dimeric coiled coil peptide**

Toni Vagt, Elisabeth Nyakatura, Mario Salwiczek, Christian Jäckel and Beate Kokschr\*

A phage display technique was used to select preferred interaction partners of fluorinated amino acids within the hydrophobic core of a coiled coil peptide from the pool of canonical amino acids.



# A new journal from RSC Publishing Launching mid 2010

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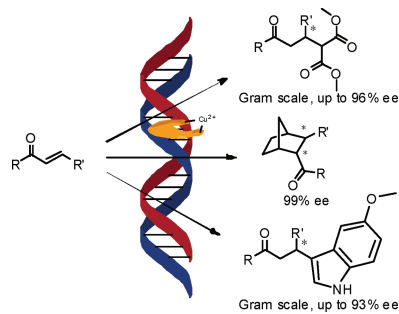
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1387

### Organic co-solvents in aqueous DNA-based asymmetric catalysis

Rik P. Megens and Gerard Roelfes\*

It is possible to use water-miscible organic solvents in DNA-based asymmetric catalysis without affecting the enantioselectivity of the reactions. Additionally, it enables the use of higher substrate concentrations and lower temperatures, which gives rise to higher enantioselectivities with only 0.75 mol% of catalyst. This is an important step towards synthetic application of the DNA-based catalysis concept.

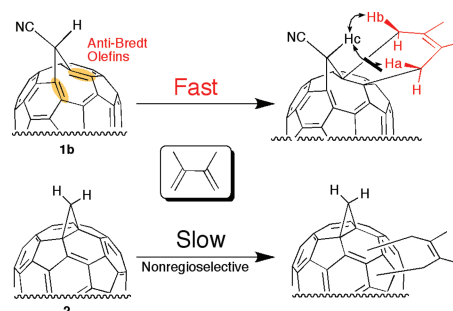


1394

### Kinetics and regioselectivity in the Diels–Alder reaction of fulleroids vs. methanofullerene and C<sub>60</sub>

Naohiko Ikuma, Yasunori Susami and Takumi Oshima\*

[5,6] Open fulleroids were found to display a more enhanced and regioselective Diels–Alder addition at the bridgehead anti-Bredt double bond as compared with [6,6] closed methanofullerene and C<sub>60</sub>.

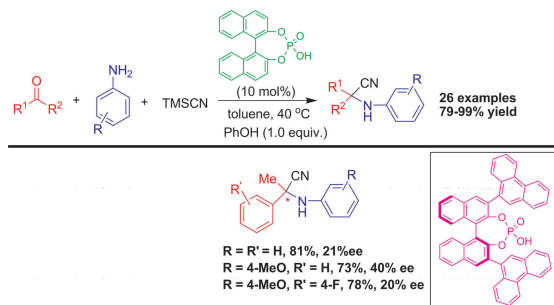


1399

### Brønsted acid-catalyzed efficient Strecker reaction of ketones, amines and trimethylsilyl cyanide

Guang-Wu Zhang, Dong-Hua Zheng, Jing Nie, Teng Wang and Jun-An Ma\*

A general method for the one-pot, three-component Strecker reaction of ketones, amines and trimethylsilyl cyanide was developed using Brønsted acids as organocatalysts to afford  $\alpha$ -aminonitriles in good to excellent yields.

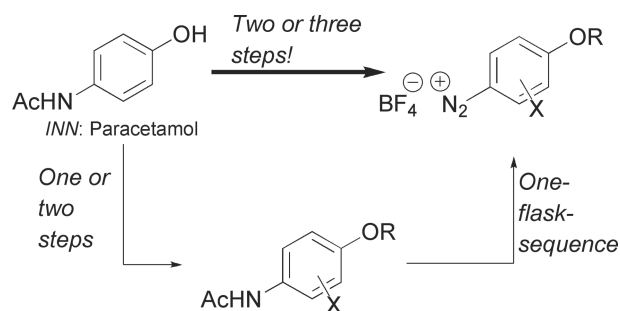


1406

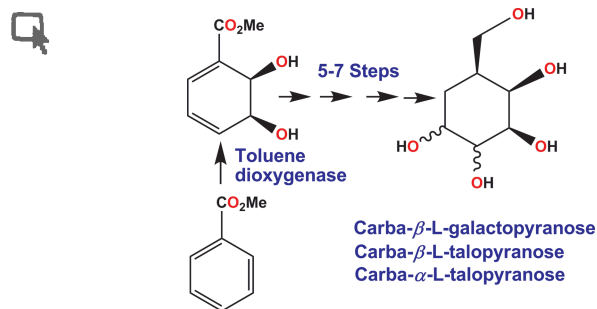
### Functionalized alkoxy arene diazonium salts from paracetamol

Bernd Schmidt,\* René Berger and Frank Hölter

Functionalized arene diazonium tetrafluoroborates are obtained from acetamides in a convenient one-flask procedure. A diazonium salt obtained *via* this method was used in the synthesis of the natural product de-*O*-methyl centrolobine.



1415

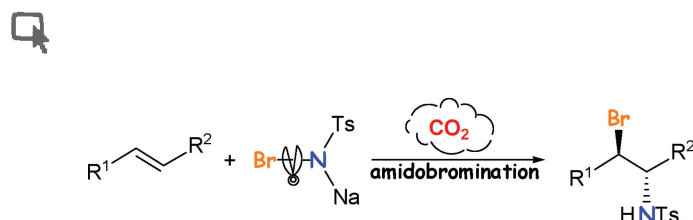


### Chemoenzymatic synthesis of the carbasugars carba-β-L-galactopyranose, carba-β-L-talopyranose and carba-α-L-talopyranose from methyl benzoate

Derek R. Boyd,\* Narain D. Sharma, Nigel I. Bowers, Gerard B. Coen, John F. Malone, Colin R. O'Dowd, Paul J. Stevenson and Christopher C. R. Allen

The *cis*-dihydrodiol metabolite from methyl benzoate has been used as a synthetic precursor of carba-β-L-galactopyranose, carba-β-L-talopyranose, carba-α-L-talopyranose and carba-β-L-galactopyranose.

1424

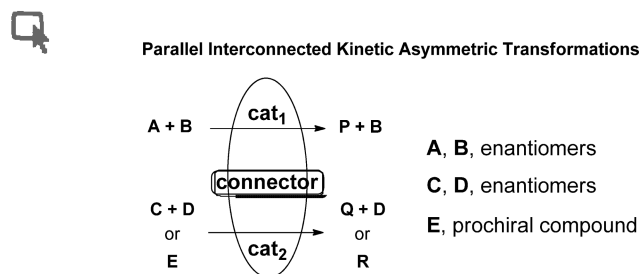


### CO<sub>2</sub>-induced amidobromination of olefins with bromamine-T

Junpei Hayakawa, Mitsuhiro Kuzuhara and Satoshi Minakata\*

The carbon dioxide (CO<sub>2</sub>)-induced amidobromination of olefins with bromamine-T is described. The method can be used in reactions with a wide range of olefins, leading to the regioselective formation of amidobrominated compounds.

1431

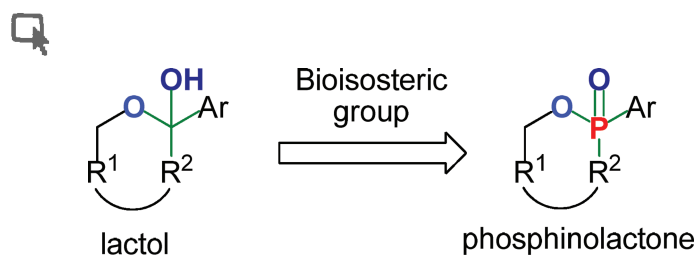


### Biocatalysed concurrent production of enantioenriched compounds through parallel interconnected kinetic asymmetric transformations

Ana Rioz-Martínez, Fabricio R. Bisogno, Cristina Rodríguez, Gonzalo de Gonzalo, Iván Lavandera, Daniel E. Torres Pazmiño, Marco W. Fraaije and Vicente Gotor\*

Examples of *parallel interconnected kinetic asymmetric transformations* are presented. In a *one-pot* reaction using two biocatalysts, optically active ketones, sulfoxides and *sec*-alcohols could *concurrently* be achieved in a strict *parallel* fashion.

1438



### Drug discovery: phosphinolactone, *in vivo* bioisostere of the lactol group

Jean-Noël Volle,\* Damien Filippini, Bartłomiej Krawczy, Nikolay Kaloyanov, Arie Van der Lee, Tangui Maurice, Jean-Luc Pirat and David Virieux\*

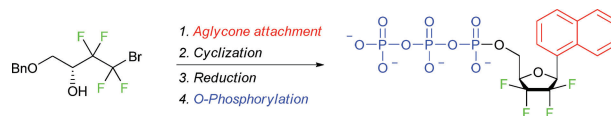
In drug discovery, structural modifications over the lead molecule are often crucial for the development of a drug. Herein, we reported the first *in vivo* bioisosteric effect of phosphinolactone function in relation to the lactol group constituting the bioactive molecule: Hydroxybupropion.

1445

### Synthesis and *O*-phosphorylation of 3,3,4,4-tetrafluoroaryl-*C*-nucleoside analogues

Laurent Bonnac, Sarah E. Lee, Guy T. Giuffredi, Lucy M. Elphick, Alexandra A. Anderson, Emma S. Child, David J. Mann and Véronique Gouverneur\*

Enantioenriched tetrafluorinated aryl-*C*-nucleosides were synthesised as single diastereomers from (2*R*)-1-benzyloxy-4-bromo-3,3,4,4-tetrafluorobutan-2-ol. The presence of the tetrafluorinated ethylene group proved compatible with *O*-phosphorylation, as demonstrated by the successful preparation of the tetrafluorinated naphthyl-*C*-nucleotide.

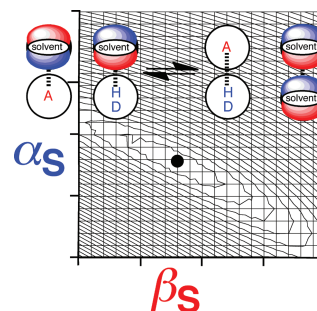


1455

### Hydrogen bonding properties of non-polar solvents

Rafel Cabot, Christopher A. Hunter\* and Lisa M. Varley

High-throughput NMR titrations on H-bonded complexes were used to characterise the H-bonding properties of non-polar organic solvents, like alkanes and perfluorocarbons.

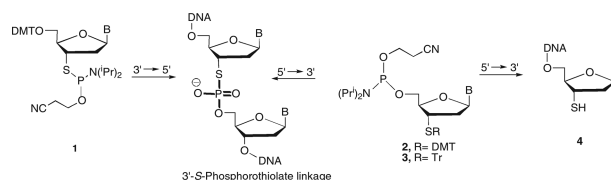


1463

### Reverse-direction (5' → 3') synthesis of oligonucleotides containing a 3'-*S*-phosphorothiolate linkage and 3'-terminal 3'-thionucleosides

James W. Gaynor, Michael M. Piperakis, Julie Fisher and Richard Cosstick\*

The synthesis of oligodeoxynucleotides containing 3'-thionucleosides has been explored using a reverse-direction (5' → 3') approach, based on nucleoside monomers which contain a trityl- or dimethoxytrityl-protected 3'-thiol and a 5'-*O*-phosphoramidite.

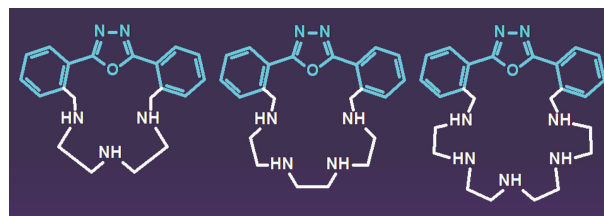


1471

### New family of polyamine macrocycles containing 2,5-diphenyl[1,3,4]oxadiazole as a signaling unit. Synthesis, acid–base and spectrophotometric properties

Gianluca Ambrosi, Mauro Formica, Vieri Fusi,\* Luca Giorgi, Eleonora Macedi, Mauro Micheloni,\* Giovanni Piersanti and Roberto Pontellini

Synthesis, acid–base and photochemical properties of a new family of polyamine macrocycles containing the 2,5-diphenyl[1,3,4]oxadiazole fluorescent probe.



## AUTHOR INDEX

- Agarwal, H. K., 1271  
 Ahmadibeni, Y., 1271  
 Alfonso, Ignacio, 1329  
 Allen, Christopher C. R., 1415  
 Ambrosi, Gianluca, 1471  
 Anderson, Alexandra A., 1445  
 André-Barrès, Christiane, 1361  
 Berger, René, 1406  
 Beyrath, Julien, 1315  
 Bianco, Alberto, 1315  
 Bisogno, Fabricio R., 1431  
 Blanc, Javier, 1351  
 Bolte, Michael, 1329  
 Bonnac, Laurent, 1445  
 Bowers, Nigel I., 1415  
 Boyd, Derek R., 1415  
 Brimble, Margaret A., 1284  
 Bru, Miriam, 1329  
 Buist, Peter H., 1322  
 Burguete, M. Isabel, 1329  
 Burton, Hilde S., 1287  
 Cabot, Rafel, 1455  
 Cao, Yi-Ju, 1275  
 Cázares, Armando, 1301  
 Chan, Chung-Hei, 1351  
 Charles, Laurence, 1361  
 Chen, Jia-Rong, 1275  
 Child, Emma S., 1445  
 Coen, Gerard B., 1415  
 Cosstick, Richard, 1463  
 Crago, Lydia G., 1301  
 Dalby, Paul A., 1301  
 Dash, C., 1271  
 Dawson, Brian, 1322  
 de Gonzalo, Gonzalo, 1431  
 Elphick, Lucy M., 1445  
 Fields, Gregg B., 1237  
 Filippini, Damien, 1438  
 Fisher, Julie, 1463  
 Flynn, Bernard L., 1290  
 Formaggio, Fernando, 1315  
 Formica, Mauro, 1471  
 Fraaije, Marco W., 1431  
 Fu, Liang, 1275  
 Fusi, Vieri, 1471  
 Galman, James L., 1301  
 Gaynor, James W., 1463  
 Geotti-Bianchini, Piero, 1315  
 Giorgi, Luca, 1471  
 Giuffredi, Guy T., 1445  
 Gotor, Vicente, 1431  
 Gouverneur, Véronique, 1445  
 Hailes, Helen C., 1301  
 Han, Xiao, 1368  
 Hanley, M. J., 1271  
 Hayakawa, Junpei, 1424  
 Hirai, Takayuki, 1310  
 Hodgson, Derek J., 1322  
 Hölter, Frank, 1406  
 Hu, Youhong, 1378  
 Hunter, Christopher A., 1455  
 Ihara, Hirotaka, 1344  
 Ikuma, Naohiko, 1394  
 Jäckel, Christian, 1382  
 Jiang, Zhaoqin, 1368  
 Jin, Xiaomin, 1287  
 Jintoku, Hirokuni, 1344  
 Kaloyanov, Nikolay, 1438  
 Kanvah, Sriram, 1340  
 Katritzky, Alan R., 1296  
 Koksich, Beate, 1382  
 Krawczy, Bartłomiej, 1438  
 Kuzuhara, Mitsuhiro, 1424  
 Lavandera, Iván, 1431  
 Le Grice, S. F. J., 1271  
 Lee, Sarah E., 1445  
 Lin, Shijun, 1378  
 Liu, Xiaoqian, 1293  
 Lu, Yixin, 1293, 1368  
 Luis, Santiago V., 1329  
 Luo, Jie, 1368  
 Lye, Gary J., 1301  
 Ma, Jun-An, 1399  
 Macedi, Eleonora, 1471  
 Macmillan, Derek, 1351  
 Malone, John F., 1415  
 Mann, David J., 1445  
 Masters, Kye-Simeon, 1290  
 Maurice, Tangui, 1438  
 Megens, Rik P., 1387  
 Micheloni, Mauro, 1471  
 Minakata, Satoshi, 1424  
 Moretto, Alessandro, 1315  
 Nie, Jing, 1399  
 Nyakatura, Elisabeth, 1382  
 O'Dowd, Colin R., 1415  
 Oshima, Takumi, 1394  
 Ozcan, Sevil, 1296  
 Palmieri, Alessandro, 1259  
 Pan, Xuan, 1378  
 Parang, K., 1271  
 Peggion, Cristina, 1315  
 Peterson, Lisa H., 1287  
 Petrini, Marino, 1259  
 Piersanti, Giovanni, 1471  
 Piperakis, Michael M., 1463  
 Pirat, Jean-Luc, 1438  
 Pontellini, Roberto, 1471  
 Ramirez, Catherine, 1287  
 Richardson, Jonathan P., 1351  
 Ríos-Solis, Leonardo, 1301  
 Rioz-Martínez, Ana, 1431  
 Rodríguez, Cristina, 1431  
 Roelfs, Gerard, 1387  
 Saadi, Mona, 1351  
 Sagawa, Takashi, 1344  
 Salwiczek, Mario, 1382  
 Sawada, Tsuyoshi, 1344  
 Schmidt, Bernd, 1406  
 Schuster, Gary B., 1340  
 Shaikh, Rafik R., 1259  
 Shanklin, John, 1322  
 Sharma, Narain D., 1415  
 Shin, Yoon-Joo, 1293  
 Shiraiishi, Yasuhiro, 1310  
 Smith, Mark E. B., 1301  
 Snyder, Tara M., 1287  
 Stevenson, Paul J., 1415  
 Strafford, John, 1301  
 Sumiya, Shigehiro, 1310  
 Sun, Daekyu, 1293  
 Susami, Yasunori, 1394  
 Takafuji, Makoto, 1344  
 Tan, Fen, 1275  
 Tan, Nigel, 1322  
 Todadze, Ekaterina, 1296  
 Torres Pazmiño, Daniel E., 1431  
 Tremblay, Amy E., 1322  
 Triquigneaux, Mathilde, 1361  
 Truppo, Matthew D., 1280  
 Tuccio, Béatrice, 1361  
 Turner, Nicholas J., 1280  
 Vagt, Toni, 1382  
 Van der Lee, Aric, 1438  
 Varley, Lisa M., 1455  
 Vicent, Cristian, 1329  
 Virieux, David, 1438  
 Volle, Jean-Noël, 1438  
 Wang, Teng, 1399  
 Whittle, Ed, 1322  
 Wilson, Zoe E., 1284  
 Wong, Ming Wah, 1368  
 Xiao, Wen-Jing, 1275  
 Xie, Fuchun, 1378  
 Yang, Hui, 1368  
 Yuan, Qing, 1293  
 Zhang, Guang-Wu, 1399  
 Zhang, Honglu, 1287  
 Zheng, Dong-Hua, 1399  
 Zheng, Jiahui, 1293  
 Zhichkin, Paul E., 1287  
 Zhu, Xiao-Yu, 1275  
 Zou, You-Quan, 1275

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