

# Organic & Biomolecular Chemistry

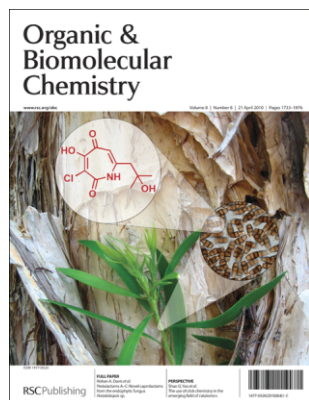
An international journal of synthetic, physical and biomolecular organic chemistry

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## IN THIS ISSUE

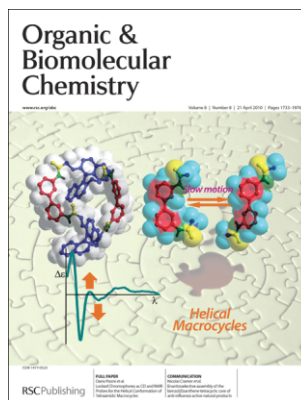
ISSN 1477-0520 CODEN OBCRAK 8(8) 1733–1976 (2010)



### Cover

See Rohan A. Davis *et al.*, pp. 1790–1796. Chemical investigations of a fermentation culture from the endophytic fungus *Pestalotiopsis* sp. yielded three novel natural products, pestalactams A–C. This fungus was isolated from the Australian plant *Melaleuca quinquenervia*.

Image reproduced by permission of Rohan A. Davis from *Org. Biomol. Chem.*, 2010, **8**, 1785.



### Inside cover

See Dario Pasini, pp. 1815–1819. Chiral macrocycles adopt an unusual helical shape when the internal rigidification induced by hydrogen bonding is counterbalanced by flexible spacers.

Image reproduced by permission of Dario Pasini from *Org. Biomol. Chem.*, 2010, **8**, 1807.

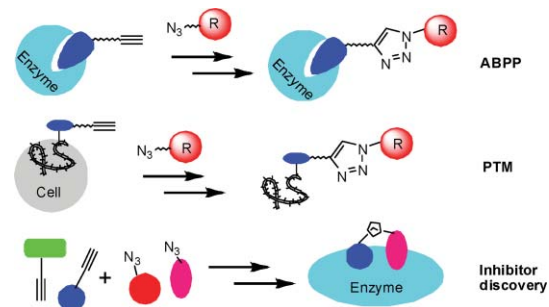
## PERSPECTIVE

1749

### The use of click chemistry in the emerging field of catalomics

Karunakaran A. Kalesh, Haibin Shi, Jingyan Ge and Shao Q. Yao\*

This perspective surveys the significant contributions of click chemistry in catalomics (a sub-area in chemical proteomics), with special emphasis on activity-based protein profiling (ABPP), posttranslational modifications (PTMs) and enzyme inhibitor developments.



## COMMUNICATIONS

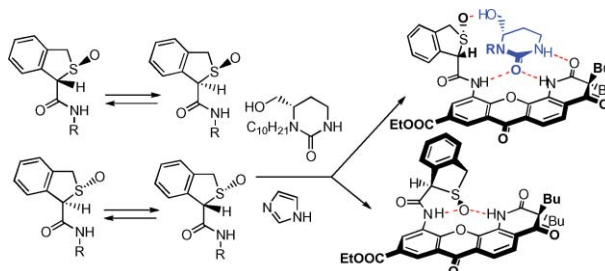
1763



### Synthesis of a chiral artificial receptor with catalytic activity in Michael additions and its chiral resolution by a new methodology

Luis Simón,\* Francisco M. Muñoz, Ángel Fuentes de Arriba, Victoria Alcázar, César Raposo and Joaquín R. Morán

We present the resolution of the racemic mixture of a catalytic receptor using a mimic of the reaction transition state by a novel method alternative to kinetic resolution.



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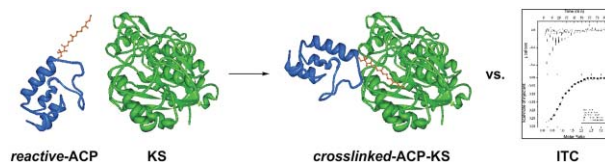
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1769

### Mechanism-based crosslinking as a gauge for functional interaction of modular synthases

Andrew S. Worthington, Douglas F. Porter and Michael D. Burkart\*

Mechanism-based crosslinking of modular domains offers a potential diagnostic to highlight selective interactions between modular pairs. Here we compare kinetics and ITC to correlate crosslinking that occurs in ketosynthase chain elongation.

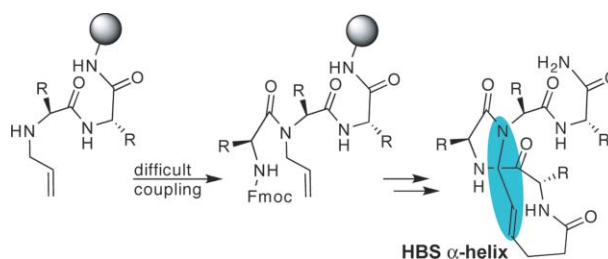


1773

### Solid phase synthesis of hydrogen bond surrogate derived $\alpha$ -helices: resolving the case of a difficult amide coupling

Anupam Patgiri, Michael R. Witten and Paramjit S. Arora\*

Solid-phase synthesis of hydrogen bond surrogate (HBS)  $\alpha$ -helices is described. The methodology describes herein addresses a low-yielding amide bond forming reaction to furnish the synthetic helices in high yields.

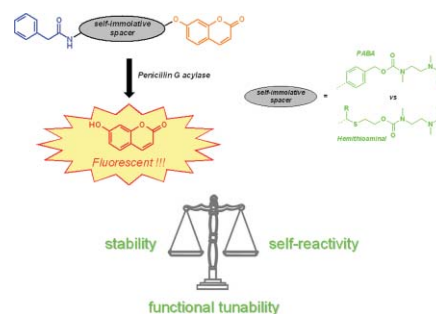


1777

### A comparative study of the self-immolation of *para*-aminobenzylalcohol and hemithioaminal-based linkers in the context of protease-sensitive fluorogenic probes

Yves Meyer, Jean-Alexandre Richard, Bruno Delest, Pauline Noack, Pierre-Yves Renard\* and Anthony Romieu\*

Model pro-fluorescent compounds were synthesised and subjected to PGA hydrolysis to study the release behavior of self-eliminating systems based on PABA or hemithioaminal traceless linkers. 1,6-Benzyl elimination occurs much faster than the fragmentation-cyclisation process involved in the disassembly of hemithioaminal derivatives.

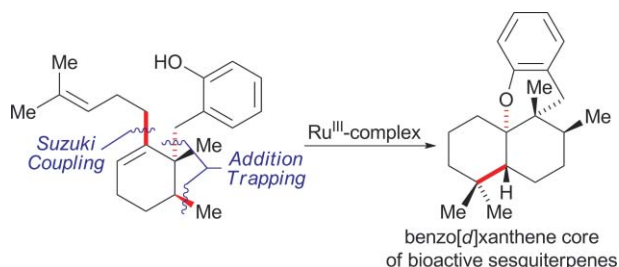


1781

### Enantioselective assembly of the benzo[d]xanthene tetracyclic core of anti-influenza active natural products

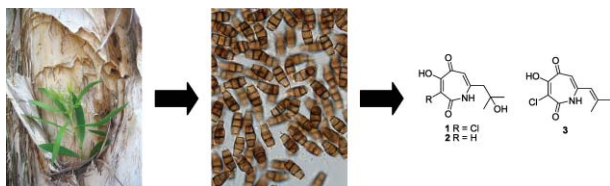
Duc Tran Ngoc, Martin Albicker, Lorenz Schneider and Nicolai Cramer\*

A combination of an enantioselective conjugate addition/trapping sequence and a ruthenium(III)-catalyzed domino cyclization provides a concise access to benzo[d]xanthenes found in several anti-influenza active sesquiterpene natural products.





1785

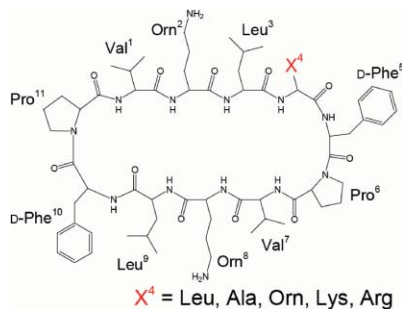


### Pestalactams A–C: novel caprolactams from the endophytic fungus *Pestalotiopsis* sp.

Rohan A. Davis,\* Anthony R. Carroll, Katherine T. Andrews, Glen M. Boyle, Truc Linh Tran, Peter C. Healy, John A. Kalaitzis and Roger G. Shivas

Chemical investigations of a fermentation culture from the endophytic fungus *Pestalotiopsis* sp. yielded three novel caprolactams, pestalactams A–C (**1–3**). These compounds are the first C-7 alkylated caprolactam natural products to be reported.

1791

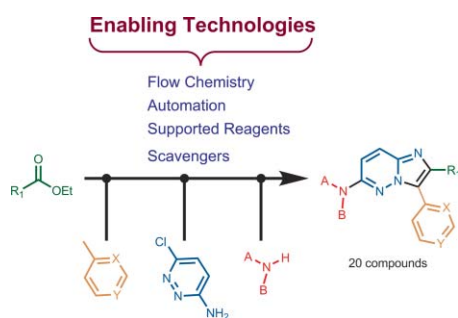


### Antimicrobially active cycloundecapeptides related to gramicidin S having a novel turn structure with *cis* D-Phe-Pro peptide bond

Makoto Tamaki,\* Ichiro Sasaki, Manabu Kokuno, Mitsuno Shindo, Masahiro Kimura and Yoshiki Uchida

We report the syntheses of antimicrobially active cycloundecapeptides related to gramicidin S, which possess antiparallel  $\beta$ -sheet conformation linked by a type II'  $\beta$ -turn around D-Phe<sup>10</sup>-Pro<sup>11</sup> and a novel turn structure around X<sup>4</sup>-D-Phe<sup>5</sup>-Pro<sup>6</sup> sequence with *cis* D-Phe-Pro peptide bond.

1798

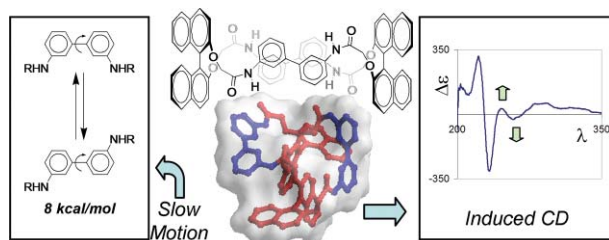


### The application of flow microreactors to the preparation of a family of casein kinase I inhibitors

Francesco Venturoni, Nikzad Nikbin, Steven V. Ley and Ian R. Baxendale

In this article we demonstrate how a combination of enabling technologies such as flow synthesis, solid-supported reagents and scavenging resins utilised under fully automated software control can assist in typical medicinal chemistry programmes.

1807

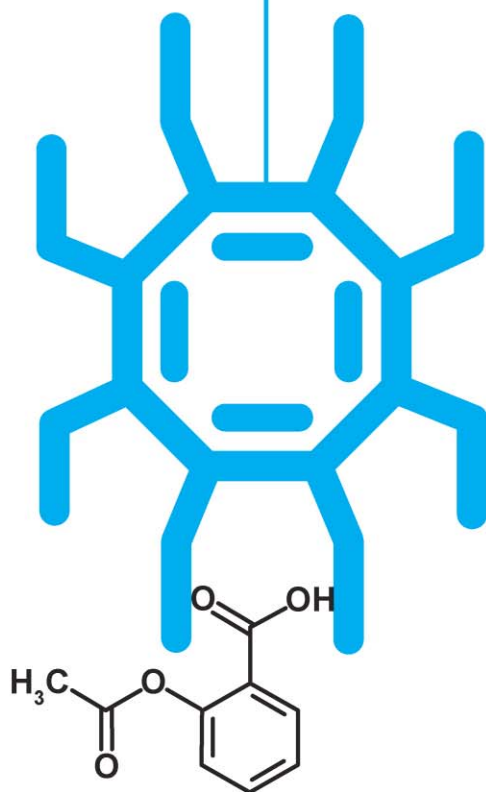


### Locked chromophores as CD and NMR probes for the helical conformation of tetraamidic macrocycles

Carmine Coluccini, Andrea Mazzanti and Dario Pasini\*

Binol-derived chiral macrocycles adopt an unusual helical shape, signalled by CD and NMR spectroscopies, when the internal rigidification induced by hydrogen bonding is counterbalanced by an element of flexibility introduced with the use of a 3,3'-biphenyl spacer.

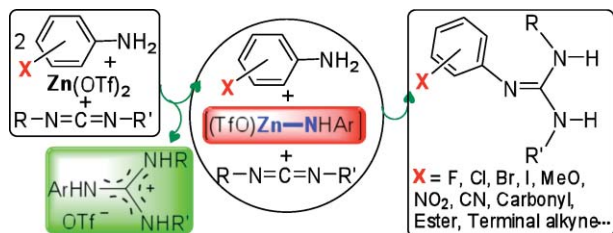
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1816

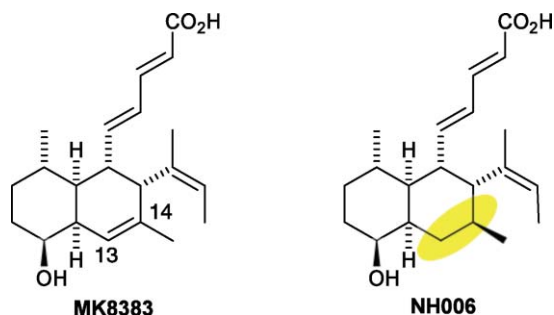


### Zn(OTf)<sub>2</sub>-catalyzed addition of amines to carbodiimides: efficient synthesis of guanidines and unpredicted formation of Zn–N amido species

Dongzhen Li, Jie Guang, Wen-Xiong Zhang,\* Yang Wang and Zhenfeng Xi\*

Zn(OTf)<sub>2</sub> acts as an excellent catalyst precursor for addition of various amines to carbodiimides under an atmosphere of air, offering a convenient synthesis of guanidines with high functional-group tolerance. A Zn–N amido species acts as the active species.

1821

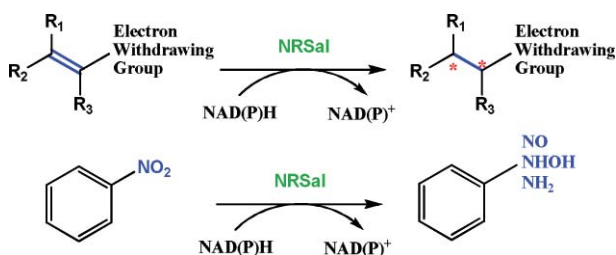


### Synthesis of NH006—a photostable fungicide effective against *Botrytis cinerea*—according to the asymmetric total synthesis of MK8383

Nobuyuki Hayashi, Kentaro Yamamoto, Nobuto Minowa, Masaaki Mitomi and Masahisa Nakada\*

We report the synthesis of NH006, an MK8383 derivative with a saturated C13–14 double bond and (*S*) configuration at C14, based on the asymmetric total synthesis of MK8383. NH006 exhibits good photostability and potent antifungal activity against *B. cinerea*.

1826

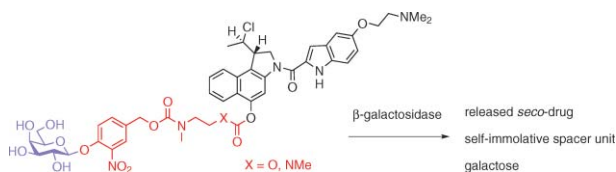


### Nitroreductase from *Salmonella typhimurium*: characterization and catalytic activity

Yanto Yanto, Mélanie Hall and Andreas S. Bommarius\*

Nitroreductase NRSal from *Salmonella typhimurium* displays both nitroreductase and enoate reductase activity in the asymmetric reduction of C=C bonds and aromatic nitro compounds. It also demonstrated the first single isolated enzyme-catalyzed reduction of nitrobenzene to aniline.

1833



### Synthesis of the first spacer containing prodrug of a duocarmycin analogue and determination of its biological activity

Heiko J. Schuster, Birgit Krewer, J. Marian von Hof, Kianga Schmuck, Ingrid Schuberth, Frauke Alves and Lutz F. Tietze\*

The synthesis of a spacer prodrug is presented, which allows selective activation at the tumour site releasing the cytostatic after sufficient self-immolation of an introduced spacer unit with an IC<sub>50</sub> = 750 pM.

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

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- Applications of C-H and C-X bond activation in organic synthesis

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*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*

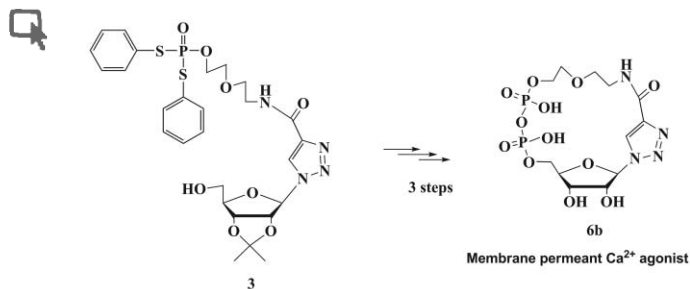
**Offers of contributed papers related to the listed themes for poster presentation are invited by 16 July 2010.**

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1843

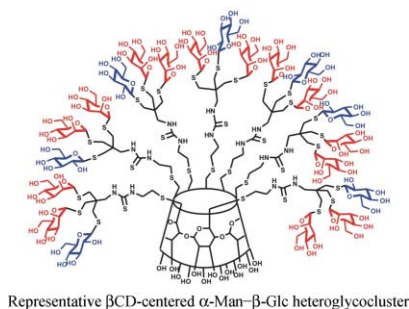


### Novel nucleobase-simplified cyclic ADP-ribose analogue: A concise synthesis and $\text{Ca}^{2+}$ -mobilizing activity in T-lymphocytes

Lingjun Li, Cornelia C. Siebrands, Zhenjun Yang, Liangren Zhang, Andreas H. Guse and Lihe Zhang\*

A purine nucleobase-simplified cyclic ADP ribose (cADPR) analogue was synthesized. It exhibits calcium release activity in intact T-lymphocytes, and indicates that it is a membrane-permeable cADPR mimic.

1849

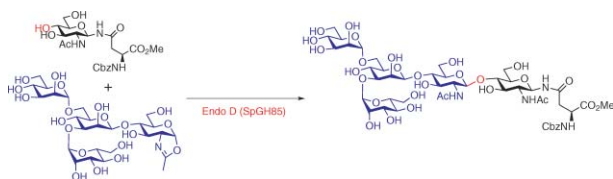


### Comparative studies on lectin-carbohydrate interactions in low and high density homo- and heteroglycoclusters

Marta Gómez-García, Juan M. Benito, Ricardo Gutiérrez-Gallego, Alfredo Maestre, Carmen Ortiz Mellet, José M. García Fernández and José L. Jiménez Blanco\*

A versatile synthetic procedure to construct a series of high- and low-density homo- and heteroglycoclusters is reported. The binding properties of these multivalent glycoconjugates to Con A, a model lectin, have been assessed by using a range of competitive and non-competitive binding assays including ELLA, ITC and SPR.

1861

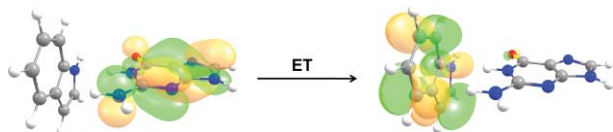


### *Streptococcus pneumoniae* endohexosaminidase D; feasibility of using N-glycan oxazoline donors for synthetic glycosylation of a GlcNAc-asparagine acceptor

Thomas B. Parsons, Mitul K. Patel, Alisdair B. Boraston, David J. Vocadlo and Antony J. Fairbanks\*

Endohexosaminidase D, a family 85 glycoside hydrolase from *S. pneumoniae*, catalyses the glycosylation of a GlcNAc-bearing glycosyl amino acceptor using N-glycan oxazoline oligosaccharides as donors, demonstrating the synthetic potential of this enzyme as a biocatalyst for the synthesis of defined glycoconjugates.

1870



### Electron transfer from aromatic amino acids to guanine and adenine radical cations in $\pi$ stacked and T-shaped complexes

Cristina Butchosa, Sílvia Simon\* and Alexander A. Voityuk\*

Efficient electron transfer (ET) from aromatic amino acid residues to guanine and adenine radical cations has been found in T-shaped complexes. Thus,  $\pi$  stacking of the donor and acceptor sites is not required for the repair of oxidized nucleobases.

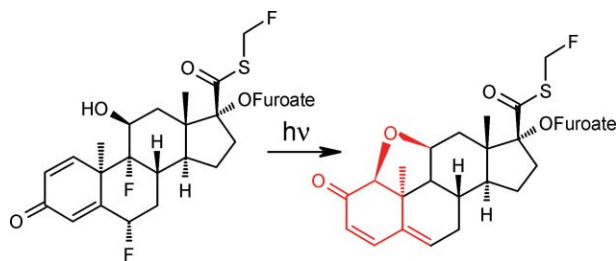


1876

### Structure elucidation and spectroscopic analysis of photodegradants of the *anti*-rhinitis drug fluticasone furoate

Ben Bardsley,\* Marco S. Smith and Bob H. Gibbon

Light-induced degradation of the novel drug fluticasone furoate leads to a rearrangement of the steroid backbone with the resultant elucidated structures exhibiting a number of interesting spectroscopic features.

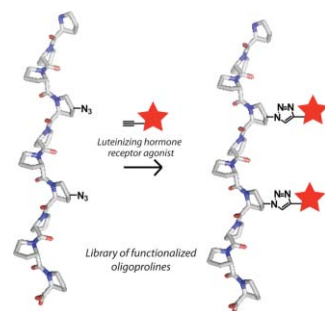


1881

### Oligoprolin helices as structurally defined scaffolds for oligomeric G protein-coupled receptor ligands

Kimberly M. Bonger, Varsha V. Kapoor, Gijsbert M. Grotenbreg, Chris J. van Koppen, C. Marco Timmers, Gijsbert A. van der Marel and Herman S. Overkleeft\*

Oligoprolines are used as rigid backbone scaffolds for the design of oligomeric ligands that target specific G protein-coupled receptors.

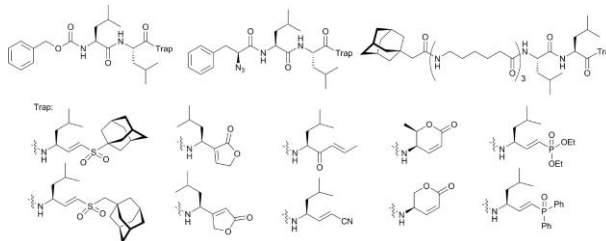


1885

### Proteasome selectivity towards Michael acceptor containing oligopeptide-based inhibitors

Wouter A. van der Linden, Paul P. Geurink, Chris Oskam, Gijsbert A. van der Marel, Bogdan I. Florea and Herman S. Overkleeft\*

Ten Michael acceptors combined with three peptide elements yields 30 potential proteasome inhibitors. These compounds were assessed for their proteasome inhibitory capacities. Cellular targets of two compounds were determined by a two step labeling, affinity purification and LC/MS<sup>2</sup> approach.

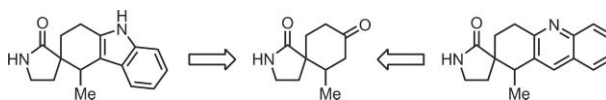


1894

### Synthesis of spirocyclic carbazole- and acridine-lactams

Martina Würdemann and Jens Christoffers\*

Spirocyclic keto-lactams were prepared in five steps from  $\gamma$ -butyrolactam and  $\delta$ -valerolactam. They were further converted by Fischer-indole or Friedländer-quinoline synthesis to give spirocyclic carbazole and acridine lactams.





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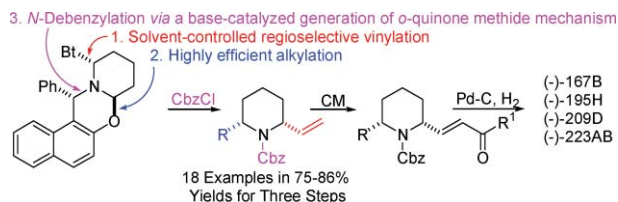
## PAPERS

1899

**Enantiopure 2,6-disubstituted piperidines bearing one alkene- or alkyne-containing substituent: preparation and application to total syntheses of indolizidine-alkaloids**

Hui Liu, Deyong Su, Guolin Cheng, Jimin Xu, Xinyan Wang\* and Yuefei Hu\*

A general and efficient preparation of enantiopure 2,6-disubstituted piperidines bearing one alkene- or alkyne-containing substituent was developed. By using this method, total syntheses of (–)-167B, (–)-195H, (–)-209D and (–)-223AB were accomplished efficiently.

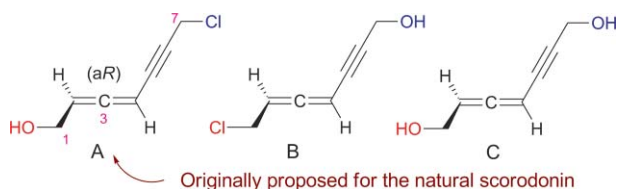


1905

**Synthesis of the structure proposed for the natural allenic antibiotic scorodonin**

Ya-Jun Jian and Yikang Wu\*

The structure originally proposed for the natural scorodonin (A) is supported by enantioselective synthesis despite the *ca.* 2 ppm differences in <sup>13</sup>C NMR, while B and C are excluded.

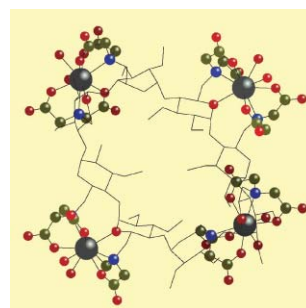


1910

**Novel polycarboxylated EDTA-type cyclodextrins as ligands for lanthanide binding: study of their luminescence, relaxivity properties of Gd(III) complexes, and PM3 theoretical calculations**

D. Maffeo, M. Lampropoulou, M. Fardis, Y. G. Lazarou, I. M. Mavridis, D. A. I. Mavridou, E. Urso, H. Pratsinis, D. Kletsas and K. Yannakopoulou\*

Polycarboxylated EDTA-type CDs coordinate with lanthanide cations. The complexes with Gd(III) display exceptionally high relaxivity values and low toxicity, and thus are promising MRI contrast agents.

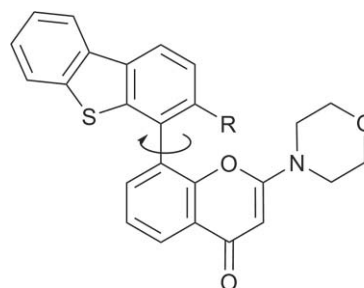


1922

**Atropisomeric 8-arylchromen-4-ones exhibit enantioselective inhibition of the DNA-dependent protein kinase (DNA-PK)**

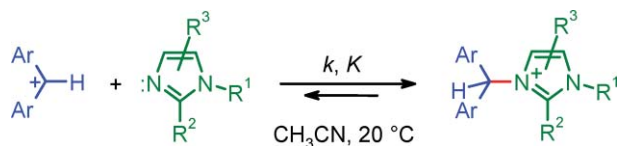
Céline Cano,\* Bernard T. Golding, Karen Haggerty, Ian R. Hardcastle, Marcus Peacock and Roger J. Griffin

We describe the development and resolution of the first atropisomeric DNA-PK inhibitors. Interestingly and as predicted, the biological evaluation of the pairs of atropisomers showed a marked difference in potency, with only one enantiomer being biologically active.



NU7441; R = H  
1; R = *n*-propyl  
2; R = allyl  
3; R = methyl

1929

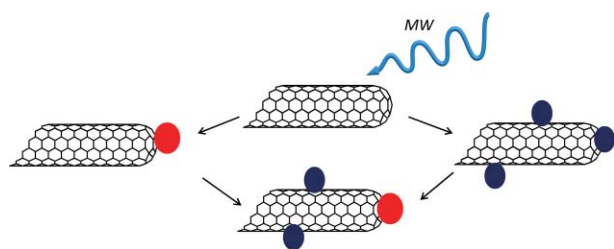


### Nucleophilicities and Lewis basicities of imidazoles, benzimidazoles, and benzotriazoles

Mahiuddin Baidya, Frank Brotzel and Herbert Mayr\*

Determination of rate and equilibrium constants: imidazoles and benzimidazoles are much weaker nucleophiles than expected from their Lewis and Brønsted basicities.

1936

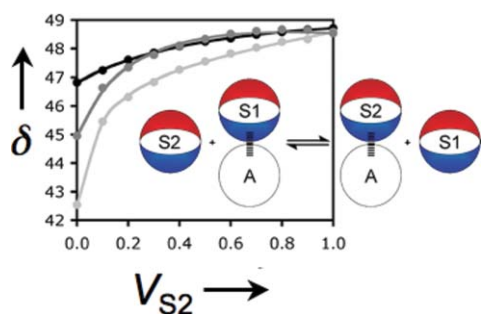


### Versatile microwave-induced reactions for the multiple functionalization of carbon nanotubes

Noelia Rubio, M. Antonia Herrero, Antonio de la Hoz, Moreno Meneghetti, Maurizio Prato\* and Ester Vázquez\*

Doubly functionalized CNTs, obtained *via* microwave activation, can serve as multipurpose, versatile synthons.

1943

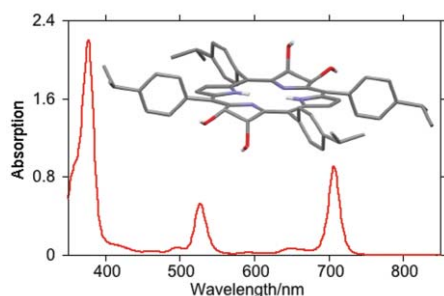


### A thermodynamic study of selective solvation in solvent mixtures

Rafel Cabot and Christopher A. Hunter\*

The <sup>31</sup>P NMR chemical shift of *n*Bu<sub>3</sub>PO provides a probe of selective solvation in solvent mixtures, allowing characterisation of solvation equilibria and quantification of the H-bond properties of non-polar solvents.

1951



### Syntheses, structures, modification, and optical properties of meso-tetraaryl-2,3-dimethoxychlorin, and two isomeric meso-tetraaryl-2,3,12,13-tetrahydroxybacteriochlorins

Lalith P. Samankumara, Matthias Zeller, Jeanette A. Krause and Christian Brückner\*

The refined syntheses, modification, and first X-ray structural characterization of meso-tetraarylporphyrin-derived  $\beta$ -tetraolbacteriochlorins are described.



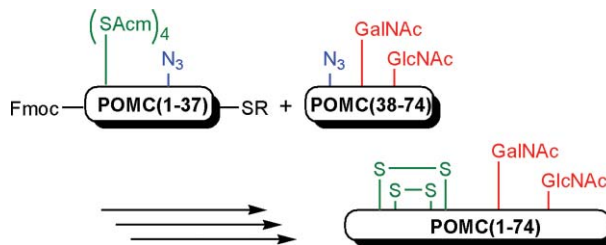
## PAPERS

1966

### Chemical synthesis of mouse pro-opiomelanocortin(1–74) by azido-protected glycopeptide ligation *via* the thioester method

Hidekazu Katayama,\* Hironobu Hojo,\* Ichiko Shimizu, Yuko Nakahara and Yoshiaki Nakahara

The use of azidopeptide as a building block facilitated the chemoselective peptide ligation by the thioester method. A glycoprotein was successfully synthesized by this method.



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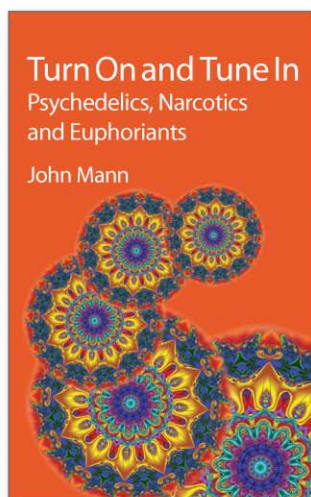
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