

Organic & Biomolecular Chemistry

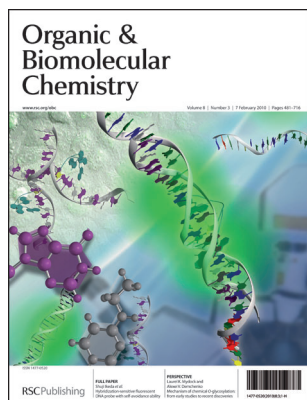
An international journal of synthetic, physical and biomolecular organic chemistry

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IN THIS ISSUE

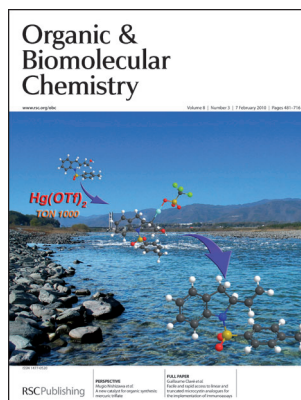
ISSN 1477-0520 CODEN OBCRAK 8(3) 481–716 (2010)



Cover

See Shuji Ikeda *et al.*, pp. 546–551. Inosine (purple)–ethylcytosine (gray) base pair is unstable. The probes containing inosines, ethylcytosines and thiazole orange labels can avoid their self-dimerization (left) and emit hybridization-sensitive fluorescence by hybridization with the target RNA (center).

Image reproduced by permission of Akimitsu Okamoto from *Organic & Biomolecular Chemistry*, 2010, **8**, 546.



Inside cover

See Mugio Nishizawa *et al.*, pp. 511–521. Rich and clean stream of Yoshino-gawa river, Tokushima, Japan. Hg(OTf)₂-catalyzed reaction takes place smoothly in high catalytic turnover under mild conditions.

Image reproduced by permission of Mugio Nishizawa from *Organic & Biomolecular Chemistry*, 2010, **8**, 511.

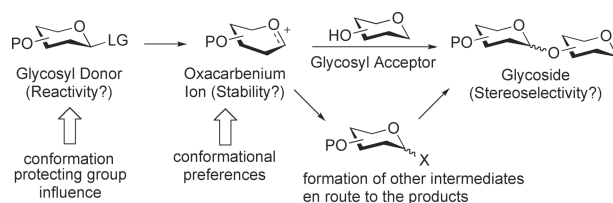
PERSPECTIVES

497

Mechanism of chemical *O*-glycosylation: from early studies to recent discoveries

Laurel K. Mydock and Alexei V. Demchenko*

The main focus of this perspective lies in the discussion of the recent mechanistic theories and supporting experimental evidences that have been put forth in an attempt to advance our understanding of the factors affecting chemical glycosylation.

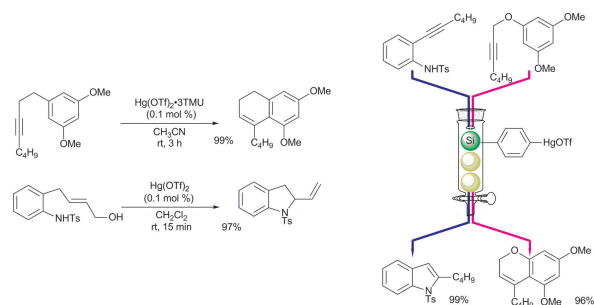


511

A new catalyst for organic synthesis: mercuric triflate

Mugio Nishizawa,* Hiroshi Imagawa and Hirofumi Yamamoto

Herein, we describe Hg(OTf)₂ as a new catalytic system for organic synthesis, which can achieve the hydration of alkynes, C–C bond forming cyclizations, heterocycle synthesis and cyclization initiated by allylic alcohols at very high catalytic turnovers under mild conditions. The first solid-supported mercuric salt, silaphenylmercuric triflate, was also developed and found to act as a powerful catalyst for most Hg(OTf)₂-catalyzed reactions.



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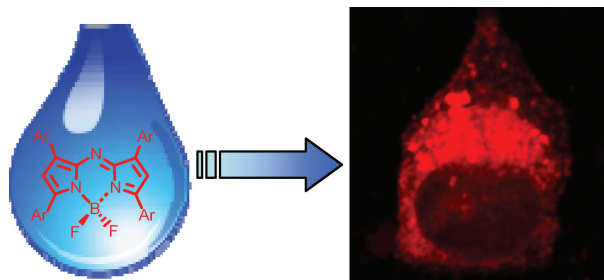
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522

Water-solubilised BF_2 -chelated tetraarylazadipyrromethenes

Mariusz Tasiar, Julie Murtagh, Daniel O. Frimannsson, Shane O. McDonnell and Donal F. O'Shea

Strategic incorporation of sulfonic acid, carboxylic acid or ammonium salt motifs generate water soluble BF_2 -chelated tetraarylazadipyrromethenes which exhibit strong near infra-red (NIR) emissions above 720 nm and can be readily imaged in both eukaryotic and prokaryotic cells.

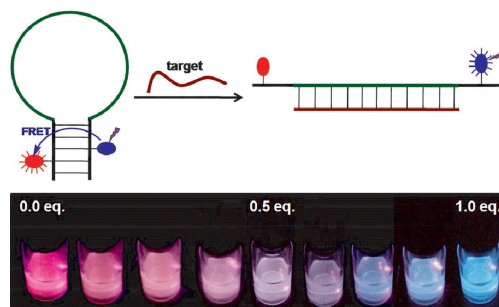


526

Red–white–blue emission switching molecular beacons: ratiometric multicolour DNA hybridization probes

Reji Varghese and Hans-Achim Wagenknecht*

Dual-fluorophore MB derived from pyrene (donor) and nile red (acceptor) exhibits red emission in the hairpin conformation due to FRET from pyrene to nile red that changes to blue through white with a dramatic shift of ≈ 225 nm upon binding to the target (see picture). This colour change can further be tuned by either incorporating mismatches in the stem region or reducing the loop of the beacon.

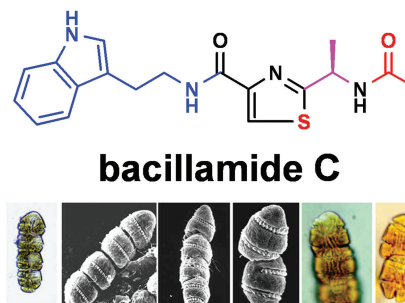


529

(–)-Bacillamide C: the convergent approach

Wei Wang, Shannon Joyner, Kareem Andrew Sameer Khoury and Alexander Dömling*

The newly discovered natural product bacillamide C and several derivatives were convergently synthesized for the first time and in only three steps; the key transformation constitutes a thiazole Ugi multicomponent reaction.

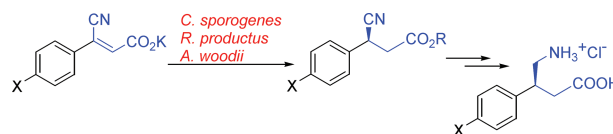


533

A short, chemoenzymatic route to chiral β -aryl- γ -amino acids using reductases from anaerobic bacteria

Anna Fryszkowska,* Karl Fisher, John M. Gardiner and Gill M. Stephens*

A short chemoenzymatic synthesis of β -aryl- γ -aminobutyric acids has been developed, based on a highly enantioselective biocatalytic reduction of β -aryl- β -cyano- α,β -unsaturated carboxylic acids.



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

13 - 15 September 2010

Durham University, UK

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 for further information.

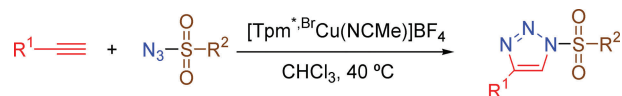
Registration will open in spring 2010.

536

Copper(I) complexes as catalysts for the synthesis of N-sulfonyl-1,2,3-triazoles from N-sulfonylazides and alkynes

Israel Cano, M. Carmen Nicasio* and Pedro J. Pérez*

The well-defined complex $[\text{Tpm}^{\text{Br}}\text{Cu}(\text{NCMe})]\text{BF}_4$ efficiently catalyses the [3+2] cycloaddition between alkynes and N-sulfonylazides under mild conditions, with conversions comparable to others obtained with *in situ* generated catalytic systems previously described for this transformation.

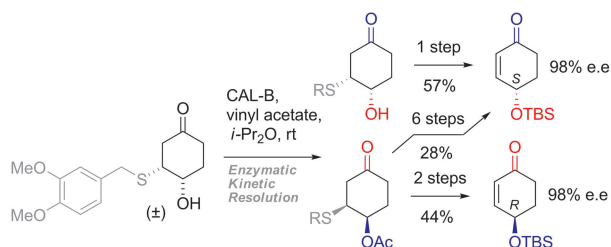


539

The thio-adduct facilitated, enzymatic kinetic resolution of 4-hydroxycyclopentenone and 4-hydroxycyclohexenone

Aisling O'Byrne, Cian Murray, Dearbhla Keegan, Carole Palacio, Paul Evans* and Ben S. Morgan

The use of a sulfide as a temporary steric buttress facilitated the enzymatic kinetic resolution of cyclic secondary alcohols. This unit may then be removed, in several ways, to afford the enantioenriched alkenols.

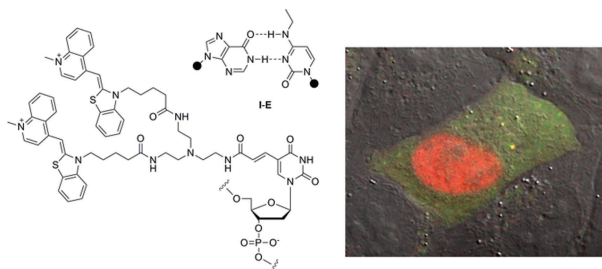


546

Hybridization-sensitive fluorescent DNA probe with self-avoidance ability

Shuji Ikeda, Takeshi Kubota, Mizue Yuki, Hiroyuki Yanagisawa, Shizuho Tsuruma and Akimitsu Okamoto*

New hybridization-sensitive fluorescent probes, IE probes, were synthesized containing three unnatural nucleotides: 2'-deoxyinosine, N⁴-ethyl-2'-deoxycytidine and a doubly thiazole orange-labeled nucleotide to avoid self-dimerization and background fluorescence.



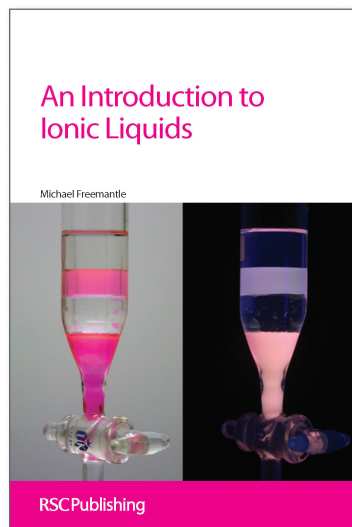
552

Simple 1-dicyanomethylene-2-chloro-3-aminoindene push-pull chromophores: applications in cation and anion sensing

Sara Basurto, Daniel Miguel, Daniel Moreno, Ana G. Neo, Roberto Quesada and Tomás Torroba*

New indene push-pull chromophores are effective copper(II) sensors displaying colour changes upon coordination to metal cations and are also selective cyanide dosimeters through nucleophilic addition of the anion to the indene moiety.





An Introduction to Ionic Liquids

Michael Freemantle

This is the first single-author book on ionic liquids and the first introductory book on the topic. An Introduction to Ionic Liquids is written in a clear, concise and consistent way and provides a useful introduction to ionic liquids for those readers who are not familiar with the topic. It is also wide ranging, embracing every aspect of the chemistry and applications of ionic liquids. The book draws extensively on the primary scientific literature to provide numerous examples of research on ionic liquids. These examples will enable the reader to become familiar with the key developments in ionic liquids chemistry over recent years.

Science students, researchers, teachers in academic institutions and chemists and other scientists in industry and government laboratories will find the book an invaluable introduction to one of the most rapidly advancing and exciting fields of science and technology today.

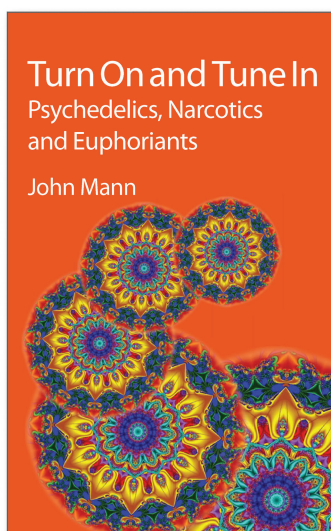
BB Hardback | 281 pages | ISBN 9781847551610 | 2009 | £39.95

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120905



Turn On and Tune In Psychedelics, Narcotics and Euphorians

John Mann

John Mann from Queen's University of Belfast has brought together details of the historical, anthropological and sociological importance of a range of psychoactive substances (both natural and synthetic) including LSD, opium, heroin, cocaine, cannabis, peyote, belladonna, mandrake, and absinthe. He has highlighted the colourful figures, both famous and infamous, involved in drug production, trafficking or use such as Albert Hofmann, Timothy Leary, Thomas de Quincey, Wilde, and many pop stars – John Lennon, Jerry Garcia of the Grateful Dead, Mick Jagger etc.

The basic chemistry and pharmacology are covered together with a brief account of useful drugs that have emerged from a study of the psychoactive ones. This book can be enjoyed by both the scientist and general reader and tells a fascinating story.

BB Hardback | 160 pages | ISBN 9781847559098 | 2009 | £24.95

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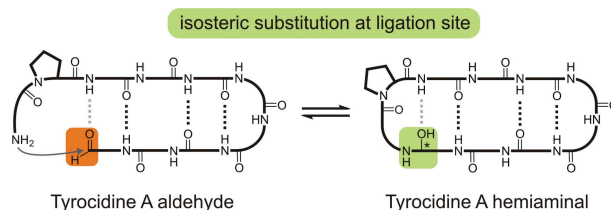
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559

The reversible macrocyclization of Tyrocidine A aldehyde: a hemiaminal reminiscent of the tetrahedral intermediate of macrolactamization

Sebastian Enck, Florian Kopp, Mohamed A. Marahiel* and Armin Geyer*

The carboxylate at the native ligation site of Tyrocidine A was isosterically substituted by an aldehyde, which subsequently closes reversibly and stereoselectively to a stable hemiaminal, enabling the NMR spectroscopic analysis of the macrocyclization equilibrium.

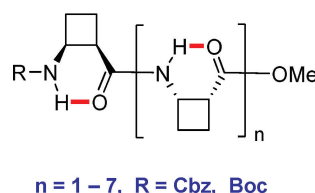


564

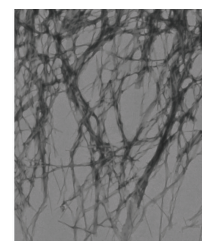
Folding and self-assembling with β -oligomers based on (1*R*,2*S*)-2-aminocyclobutane-1-carboxylic acid

Elisabeth Torres, Esther Gorrea, Kepa K. Burusco, Eric Da Silva, Pau Nolis, Federico Rúa, Stéphanie Boussett, Ismael Díez-Pérez, Samantha Dannenberg, Sandra Izquierdo, Ernest Giralt, Carlos Jaime, Vicenç Branchadell and Rosa M. Ortuno*

All *cis*-cyclobutane β -oligomers show a strand-type preferential conformation in solution. These compounds self-assemble to give nano-sized fibres and some of them also form gels.



**strand-type
conformation**



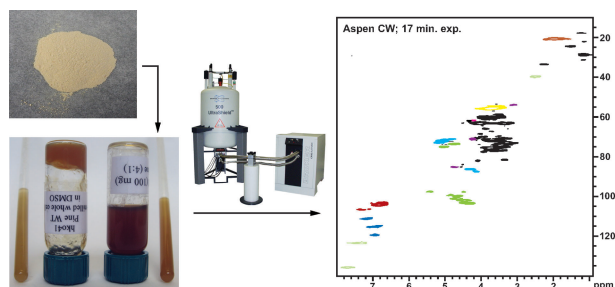
**nano-sized
fibres**

576

Solution-state 2D NMR of ball-milled plant cell wall gels in $\text{DMSO-}d_6/\text{pyridine-}d_5$

Hoon Kim* and John Ralph

2D solution-state NMR (HSQC) fingerprinting of entire plant cell wall fractions (*i.e.* without component fractionation) has been improved by using $\text{DMSO-}d_6/\text{pyridine-}d_5$ (4 : 1, v/v) gels.

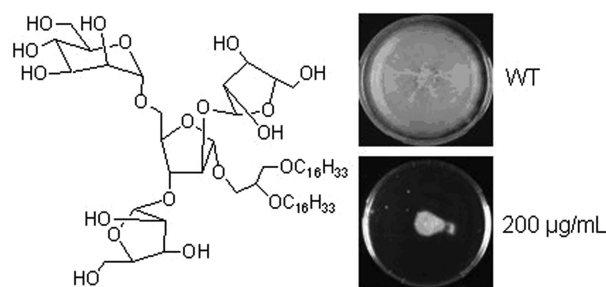


592

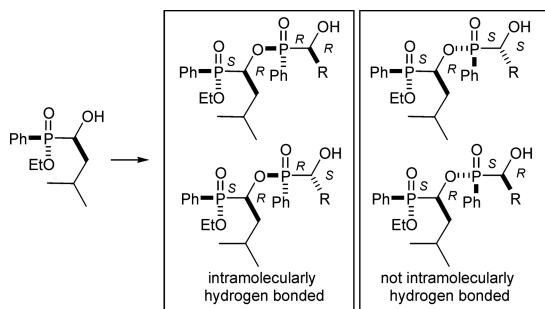
Synthetic arabinomannan glycolipids and their effects on growth and motility of the *Mycobacterium smegmatis*

Kottari Naresh, Binod Kumar Bharati, Prakash Gouda Avaji, Narayanaswamy Jayaraman* and Dipankar Chatterji*

Synthetic arabinomannan glycolipids, relevant to mycobacterial cell-wall components, acted as inhibitors of growth through reduced biofilm formation and impaired motility of bacteria.



600

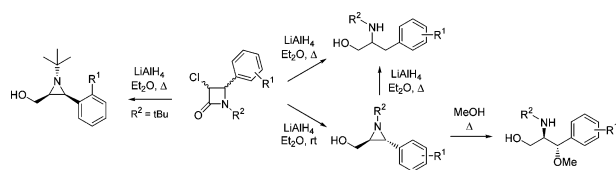


A synthesis of oligomeric α -hydroxy phenylphosphinates and a study of the conformational preferences of the dimers

Kamyar Afarinkia,* Martin Royappa, Ian J. Scowen, Jonathan W. Steed and Hiu-wan Yu

A combination of NMR spectroscopy, X-ray crystallography and computational methods is used to show that the folding patterns of a novel class of oligomers is determined by relative configuration of the carbon and phosphorus stereocenters.

607

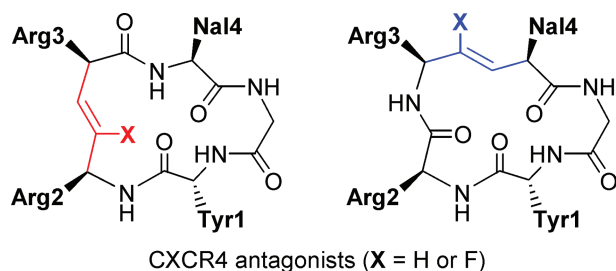


Stereoselective synthesis of *trans*- and *cis*-2-aryl-3-(hydroxymethyl)aziridines through transformation of 4-aryl-3-chloro- β -lactams and study of their ring opening

Matthias D'hooghe, Karen Mollet, Stijn Dekeukeleire and Norbert De Kimpe*

trans- and *cis*-1-Alkyl-4-aryl-3-chloroazetidin-2-ones were transformed into *trans*- and *cis*-2-aryl-3-(hydroxymethyl)aziridines via reductive ring contraction.

616

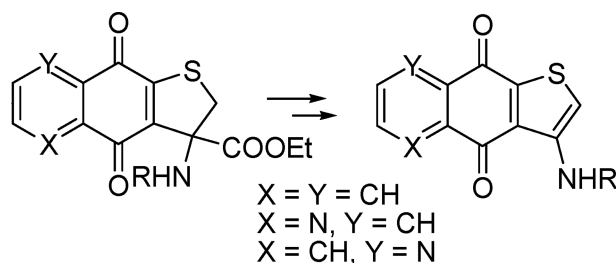


Synthesis and biological evaluation of selective CXCR4 antagonists containing alkene dipeptide isosteres

Tetsuo Narumi, Ryoko Hayashi, Kenji Tomita, Kazuya Kobayashi, Noriko Tanahara, Hiroaki Ohno, Takeshi Naito, Eiichi Kodama, Masao Matsuoka, Shinya Oishi* and Nobutaka Fujii*

A set of cyclic peptide analogues of a selective CXCR4 antagonist FC131 were synthesized and bioevaluated. The CXCR4 antagonism and anti-HIV activity was demonstrated. FC131 and the analogues were shown to selectively inhibit SDF-1 binding to CXCR4.

622



Unprecedented synthesis of a novel amino quinone ring system via oxidative decarboxylation of quinone-based α, α -amino esters

Pietro Campiglia, Claudio Aquino, Alessia Bertamino, Nicoletta De Simone, Marina Sala, Sabrina Castellano, Marisabella Santoriello, Paolo Grieco, Ettore Novellino and Isabel M. Gomez-Monterrey*

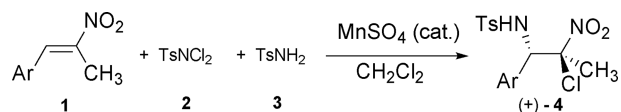
An efficient synthesis of new quinone derivatives through an α, α -amino ester oxidative decarboxylation provides access to new building blocks for the development of potential antitumoral agents.

628

New catalytic system for aminohalogenation of β -methyl- β -nitrostyrenes to give opposite regiochemistry

San-Jun Zhi, Hao Sun, Guangqian Zhang, Guigen Li* and Yi Pan*

A new combination of catalyst and co-additive was found for the aminohalogenation of β -methyl- β -nitrostyrenes with 4-TsNCl₂ by using MnSO₄ as the catalyst. A mechanism involving the formation of chloronium intermediate was proposed.

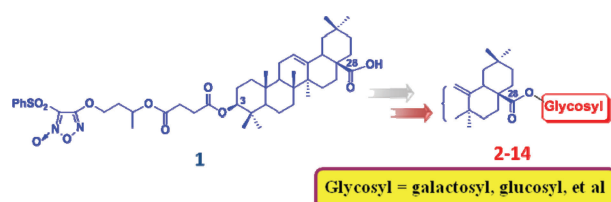


632

Synthesis and *anti*-human hepatocellular carcinoma activity of new nitric oxide-releasing glycosyl derivatives of oleanolic acid

Zhangjian Huang, Yihua Zhang,* Li Zhao, Yongwang Jing, Yisheng Lai, Luyong Zhang,* Qinglong Guo, Shengtao Yuan, Jianjun Zhang, Li Chen, Sixun Peng and Jide Tian

A series of NO-releasing glycosyl derivatives (2–14) of oleanolic acid were synthesized, and 3 exhibited better solubility and strong cytotoxicity against human HCC than the active compound 1.

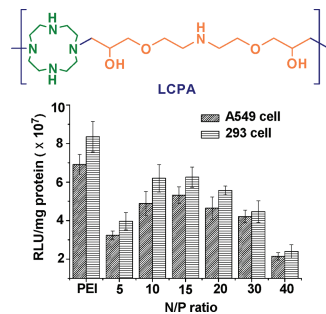


640

Linear cyclen-based polyamine as a novel and efficient reagent in gene delivery

Yong-Zhe Xiang, Zhi-Hua Feng, Ji Zhang,* Yi-Le Liao, Chuan-Jiang Yu, Wen-Jing Yi, Wen Zhu* and Xiao-Qi Yu*

Novel linear cyclen-based polyamine (LCPA) could act as an effective non-viral gene vector towards both A549 and 293 cell lines.

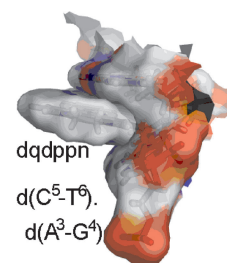
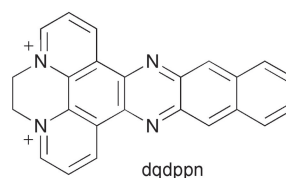


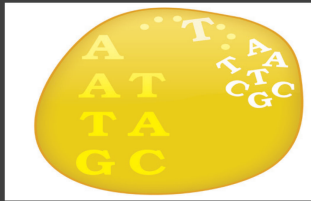
648

Structural analysis of the binding of the diquaternary pyridophenazine derivative dqpdpn to B-DNA oligonucleotides

Philip Waywell, James A. Thomas* and Mike P. Williamson*

The interaction of dqpdpn with several hexa- and octanucleotide duplexes has been studied. The NMR-derived structural model of two of the binding complexes demonstrates that dqpdpn intercalates from the major groove in an unusual 'side-on' geometry, rather than threading through the helix.





ADVANCES IN SYNTHETIC BIOLOGY

4 - 5 March 2010, London, England

Synthetic biology is the design and construction of new biological parts, devices and systems (and the re-design of existing, natural biological systems) for useful purposes. Its interdisciplinary nature between science and engineering, as well as the many potential applications in the health, material and energy sectors, make this a particularly interesting conference.

Confirmed Speakers include:

Alfonson Jaramillo, Professor, Ecole Polytechnique
Yannis Kaznessis, Associate Professor, University of Minnesota
Neil Cameron, Professor, University of Durham
Wilfried Weber, Professor, Swiss Federal Institute of Technology
Peer Staehler, Chief Scientific Officer, Febit
Milan Stojanovic, Head of Group, Columbia University
Ralph Wagner, Chief Executive Officer, GeneArt
Gerard Markx, Research Group Leader, Heriot Watt University
Alistair Elfick, Professor, Edinburgh University
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Douglas Densmore, PostDoc, Synthetic Biology Engineering Research Centre
John Ward, Professor, University College London
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Raphael Gubeli, Professor, University of Freiburg
Sitta Sittampalam, Professor, University of Kansas Cancer Centre
James Brown, University of Cambridge

Be part of the event

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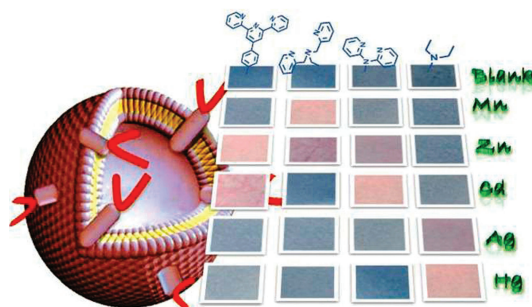
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655

Polydiacetylene vesicles functionalized with N-heterocyclic ligands for metal cation binding

D. Amilan Jose and Burkhard König*

Self assembled poly diacetylene based blue vesicles with embedded N-heterocyclic ligands respond selectively to metal cations in aqueous solution by a visible colour change. The metal ion binding selectivity of the ligands at the vesicle surface is slightly altered at the special environment of the lipid–solution interface.

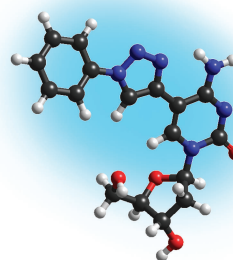


663

Blue fluorescent deoxycytidine analogues: convergent synthesis, solid-state and electronic structure, and solvatochromism

David W. Dodd, Kalen N. Swanick, Jacquelyn T. Price, Allison L. Brazeau, M. J. Ferguson, Nathan D. Jones* and Robert H. E. Hudson*

Intrinsically fluorescent 5-aryltriazolyldeoxycytidine nucleosides are conveniently accessed by “click” chemistry between 5-ethynylcytidine and aryl azides.

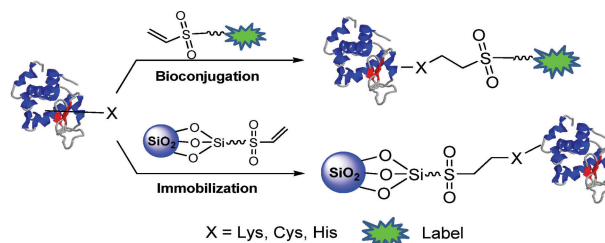


667

Vinyl sulfone: a versatile function for simple bioconjugation and immobilization

Julia Morales-Sanfrutos, Javier Lopez-Jaramillo, Mariano Ortega-Muñoz, Alicia Megia-Fernandez, Francisco Perez-Balderas, Fernando Hernandez-Mateo and Francisco Santoyo-Gonzalez*

The easy functionalization of tags and solid supports with the vinyl sulfone function is a valuable tool in *omic* sciences that allows their coupling, in the absence of metal catalysis and in mild conditions, with the amine and thiol groups of the proteogenic residues of proteins.

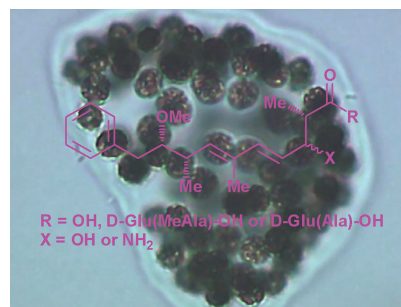


676

Facile and rapid access to linear and truncated microcystin analogues for the implementation of immunoassays

G. Clavé, C. Ronco, H. Boutal, N. Kreich, H. Volland, X. Franck, A. Romieu* and P.-Y. Renard*

β -Amino acid Adda was used to rapidly prepare novel simplified microcystin analogues through peptide coupling or *trans*-amidification reactions. Cross-reactivity experiments aimed at evaluating their recognition by mAbs directed against microcystin-LR were performed. One of the microcystin-LR analogues was successfully used to prepare immunosensors suitable for sensitive detection of such cyanotoxins.



2010 Meetings (in chronological order)

NF- κ B in Inflammation & Disease
Advances in Biopharmaceuticals
Structural Biology
Structural Genomics: Expanding the Horizons of Structural Biology
Triglycerides & Triglyceride-Rich Particles in Health & Disease (new!)
Alzheimer's Disease Beyond A β
Molecular Basis for Biological Membrane Organization & Dynamics
HIV Biology & Pathogenesis
RNA Silencing: Mechanism, Biology & Application
Molecular Basis for Chromatin Structure & Regulation
Hypoxia: Molecular Mechanisms of Oxygen Sensing & Response Pathways
Adipose Tissue Biology
Neuronal Control of Appetite, Metabolism & Weight*
New Insights into Healthspan & Diseases of Aging
Role of Inflammation in Oncogenesis
Molecular and Cellular Biology of Immune Escape in Cancer
Advances in Molecular Mechanisms of Atherosclerosis
The Macrophage: Intersection of Pathogenic & Protective Inflammation
Antibiotics & Resistance: Challenges & Solutions (new!)
Stem Cell Differentiation & Dedifferentiation
Cell Biology of Virus Entry, Replication & Pathogenesis
RNA Silencing Mechanisms in Plants (new!)
Tolerance & Autoimmunity
Cilia, Signaling & Human Disease
Lymphocyte Activation & Gene Expression
Angiogenesis in Health & Disease
Cardiovascular Development & Repair
Biomolecular Interaction Networks: Function & Disease (new!)
Cell Death Pathways: Apoptosis, Autophagy & Necrosis
Metabolism & Cancer Progression (new!)
Receptors and Signaling in Plant Development & Biotic Interactions
HIV Vaccines
Viral Immunity
Nuclear Receptors: Signaling, Gene Regulation & Cancer
Nuclear Receptors: Development, Physiology & Disease
New Paradigms in Cancer Therapeutics
Integration of Developmental Signaling Pathways
G Protein-Coupled Receptors
Dynamics of Eukaryotic Transcription During Development
Synapses: Formation, Function & Misfunction
Towards Defining the Pathophysiology of Autistic Behavior
Malaria: New Approaches to Understanding Host-Parasite Interactions
Molecular Targets for Control of Vector-Borne Diseases: Bridging Lab & Field Research*
Islet Biology
Diabetes
Computer-Aided Drug Design
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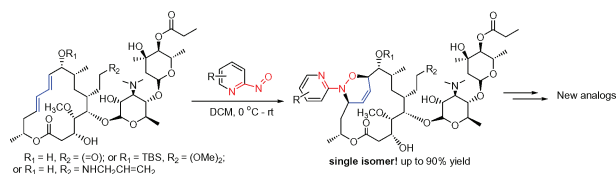
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691

Preparation and biological evaluation of novel leucomycin analogs derived from nitroso Diels–Alder reactions

Baiyuan Yang, Tina Zöllner, Peter Gebhardt, Ute Möllmann and Marvin J. Miller*

Novel macrolide analogs were synthesized using nitroso Diels–Alder reactions of leucomycin A7 and subsequent chemical modifications. Hetero cycloaddition reactions proceeded in a highly regio- and stereoselective fashion. Most analogs retained antibiotic profiles similar to leucomycin A7, and, in contrast to leucomycin itself, several exhibited moderate antiproliferative and cytotoxic activity.

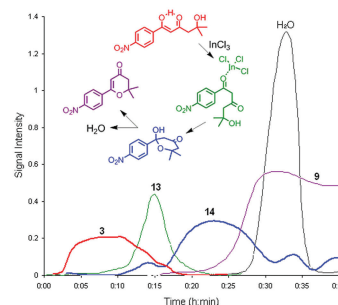


698

Highly efficient indium(III)-mediated cyclisation of 5-hydroxy-1,3-diketones to 2,3-dihydro-4H-pyran-4-ones; mechanistic insights from *in situ* Fourier transform infrared spectroscopy

P. C. Andrews,* W. J. Gee, P. C. Junk and H. Krautscheid

Cyclisation of δ -hydroxy- β -diketones to 2,3-dihydro-4H-pyran-4-ones occurs efficiently in the presence of a catalytic amount of anhydrous InCl_3 and a dehydrating agent, with *in situ* FTIR studies indicating a reaction mechanism sensitive to both temperature and reagent concentration.

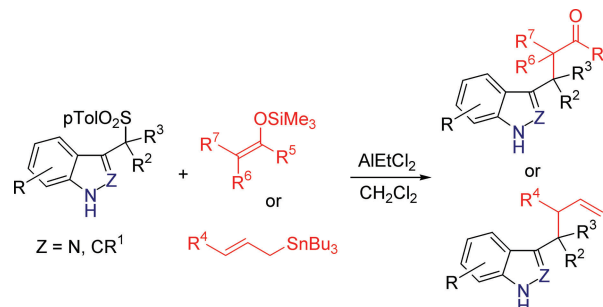


706

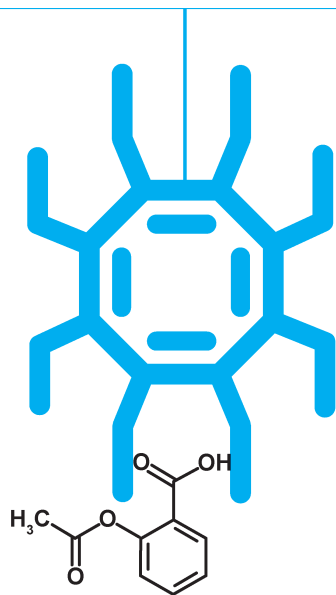
Reaction of carbon nucleophiles with alkylideneindazolium and alkylideneindolium ions generated from their 3-(1-arylsulfonylalkyl) indazole and indole precursors

Laura Marsili, Alessandro Palmieri and Marino Petrini*

Nucleophilic substitution of *p*-toluenesulfonate anion on sulfonyl indazoles and sulfonyl indoles is carried out *via* the corresponding iminium ion generated by reaction with AlEtCl_2 .



- Afarinkia, Kamyar, 600
 Andrews, Philip C., 698
 Aquino, Claudio, 622
 Avaji, Prakash Gouda, 592
 Basurto, Sara, 552
 Bertamino, Alessia, 622
 Bharati, Binod Kumar, 592
 Bousser, Stéphanie, 564
 Boutal, H., 676
 Branchadell, Vicenç, 564
 Brazeau, Allison L., 663
 Burusco, Kepa K., 564
 Campiglia, Pietro, 622
 Cano, Israel, 536
 Castellano, Sabrina, 622
 Chatterji, Dipankar, 592
 Chen, Li, 632
 Clavé, G., 676
 Da Silva, Eric, 564
 Dannenberg, Samantha, 564
 De Kimpe, Norbert, 607
 De Simone, Nicoletta, 622
 Dekeukeleire, Stijn, 607
 Demchenko, Alexei V., 497
 D'hooghe, Matthias, 607
 Diez-Pérez, Ismael, 564
 Dodd, David W., 663
 Dömling, Alexander, 529
 Enck, Sebastian, 559
 Evans, Paul, 539
 Feng, Zhi-Hua, 640
 Ferguson, M. J., 663
 Fisher, Karl, 533
 Franck, X., 676
 Frimannsson, Daniel O., 522
 Fryszkowska, Anna, 533
 Fujii, Nobutaka, 616
 Gardiner, John M., 533
 Gebhardt, Peter, 691
 Gee, William J., 698
 Geyer, Armin, 559
- Giralt, Ernest, 564
 Gomez-Monterrey, Isabel M., 622
 Gorrea, Esther, 564
 Grieco, Paolo, 622
 Guo, Qinglong, 632
 Hayashi, Ryoko, 616
 Hernandez-Mateo, Fernando, 667
 Huang, Zhangjian, 632
 Hudson, Robert H. E., 663
 Ikeda, Shuji, 546
 Imagawa, Hiroshi, 511
 Izquierdo, Sandra, 564
 Jaime, Carlos, 564
 Jayaraman, Narayanaswamy, 592
 Jing, Yongwang, 632
 Jones, Nathan D., 663
 Jose, D. Amilan, 655
 Joyner, Shannon, 529
 Junk, Peter C., 698
 Keegan, Dearbhla, 539
 Khoury, Kareem Andrew Sameer, 529
 Kim, Hoon, 576
 Kobayashi, Kazuya, 616
 Kodama, Eiichi, 616
 König, Burkhard, 655
 Kopp, Florian, 559
 Krautscheid, Harald, 698
 Kreich, N., 676
 Kubota, Takeshi, 546
 Lai, Yisheng, 632
 Li, Guigen, 628
 Liao, Yi-Le, 640
 Lopez-Jaramillo, Javier, 667
 Marahiel, Mohamed A., 559
 Marsili, Laura, 706
 Matsuoka, Masao, 616
 McDonnell, Shane O., 522
 Megia-Fernandez, Alicia, 667
 Miguel, Daniel, 552
 Miller, Marvin J., 691
- Mollet, Karen, 607
 Möllmann, Ute, 691
 Morales-Sanfrutos, Julia, 667
 Moreno, Daniel, 552
 Morgan, Ben S., 539
 Murray, Cian, 539
 Murtagh, Julie, 522
 Mydock, Laurel K., 497
 Naito, Takeshi, 616
 Naresh, Kottari, 592
 Narumi, Tetsuo, 616
 Neo, Ana G., 552
 Nicasio, M. Carmen, 536
 Nishizawa, Mugio, 511
 Nolis, Pau, 564
 Novellino, Ettore, 622
 O'Byrne, Aisling, 539
 Ohno, Hiroaki, 616
 Oishi, Shinya, 616
 Okamoto, Akimitsu, 546
 Ortega-Muñoz, Mariano, 667
 Ortuño, Rosa M., 564
 O'Shea, Donal F., 522
 Palacio, Carole, 539
 Palmieri, Alessandro, 706
 Pan, Yi, 628
 Peng, Sixun, 632
 Perez-Balderas, Francisco, 667
 Pérez, Pedro J., 536
 Petrini, Marino, 706
 Price, Jacquelyn T., 663
 Quesada, Roberto, 552
 Ralph, John, 576
 Renard, P.-Y., 676
 Romieu, A., 676
 Ronco, C., 676
 Royappa, Martin, 600
 Rúa, Federico, 564
 Sala, Marina, 622
 Santoriello, Marisabella, 622
 Santoyo-Gonzalez, Francisco, 667
- Scowen, Ian J., 600
 Steed, Jonathan W., 600
 Stephens, Gill M., 533
 Sun, Hao, 628
 Swanick, Kalen N., 663
 Tanahara, Noriko, 616
 Tasiór, Mariusz, 522
 Thomas, James A., 648
 Tian, Jide, 632
 Tomita, Kenji, 616
 Torres, Elisabeth, 564
 Torroba, Tomás, 552
 Tsuruma, Shizuho, 546
 Varghese, Reji, 526
 Volland, H., 676
 Wagenknecht, Hans-Achim, 526
 Wang, Wei, 529
 Waywell, Philip, 648
 Williamson, Mike P., 648
 Xiang, Yong-Zhe, 640
 Yamamoto, Hirofumi, 511
 Yanagisawa, Hiroyuki, 546
 Yang, Baiyuan, 691
 Yi, Wen-Jing, 640
 Yu, Chuan-Jiang, 640
 Yu, Hiu-wan, 600
 Yu, Xiao-Qi, 640
 Yuan, Shengtao, 632
 Yuki, Mizue, 546
 Zhang, Guangqian, 628
 Zhang, Ji, 640
 Zhang, Jianjun, 632
 Zhang, Luyong, 632
 Zhang, Yihua, 632
 Zhao, Li, 632
 Zhi, San-Jun, 628
 Zhu, Wen, 640
 Zöllner, Tina, 691



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