

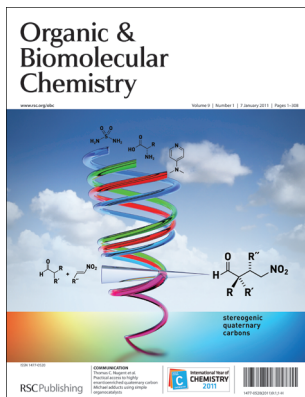
Organic & Biomolecular Chemistry

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IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 9(1) 1–308 (2011)

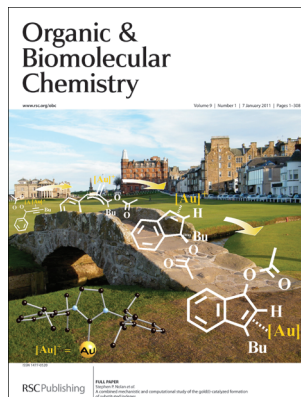


Cover

See Thomas C. Nugent *et al.*, pp. 52–56.

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Inside cover

See Steven P. Nolan *et al.*, pp. 101–104.

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EDITORIAL

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Happy New Year from *Organic & Biomolecular Chemistry*

Following another great year, the OBC team looks forward to 2011.

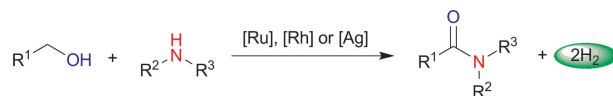
EMERGING AREA

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Oxidative amide synthesis directly from alcohols with amines

Cheng Chen and Soon Hyeok Hong*

This account provides an overview of recent advances and challenges in atom economical transition metal catalyzed oxidative amide synthesis directly from primary alcohols and amines.



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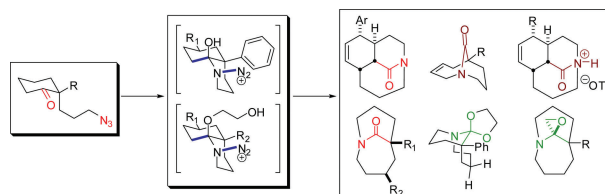
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Medium-bridged lactams: a new class of non-planar amides

Michal Szostak and Jeffrey Aubé*

Medium-bridged twisted lactams, in which a non-planar amide bond is achieved by incorporating the nitrogen atom at the bridgehead position in a medium-sized heterocycle, offer an attractive setting in which to study the properties of distorted amide linkages. This Emerging Area article will describe progress in the preparation and study of these compounds.



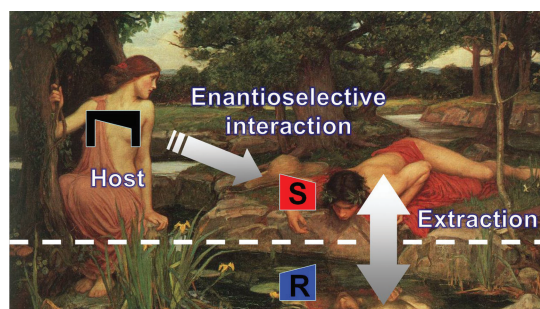
PERSPECTIVE

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Chiral separation by enantioselective liquid–liquid extraction

Boelo Schuur, Bastiaan J. V. Verkuijl, Adriaan J. Minnaard, Johannes G. de Vries,* Hero J. Heeres* and Ben L. Feringa*

In this review the principles of enantioselective liquid–liquid extraction are discussed, and extractant systems are reviewed, structured on extractant classes. The following classes are considered: crown ether based extractants, metal complexes and metalloids, extractants based on tartrates, and a final section with all other types of chiral extractants.



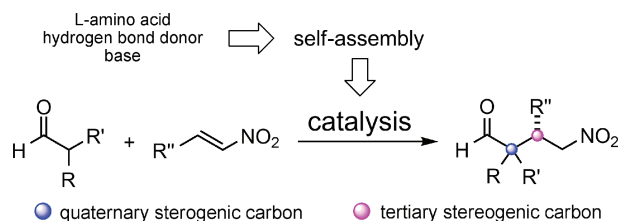
COMMUNICATIONS

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Practical access to highly enantioenriched quaternary carbon Michael adducts using simple organocatalysts

Thomas C. Nugent,* Mohammad Shoib and Amna Shoib

A three component catalyst system entailing an amino acid, a hydrogen bond donor, and an amine base allows α -branched aldehyde addition to nitroalkenes in good to high yield and excellent ee. Importantly, the lowest reported catalyst loading (5.0 mol%) and aldehyde stoichiometry (1.2–2.0 equiv) is demonstrated.

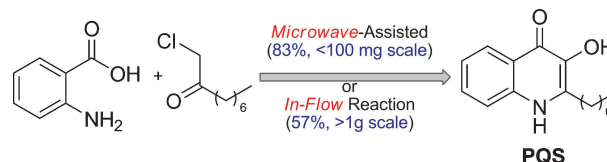


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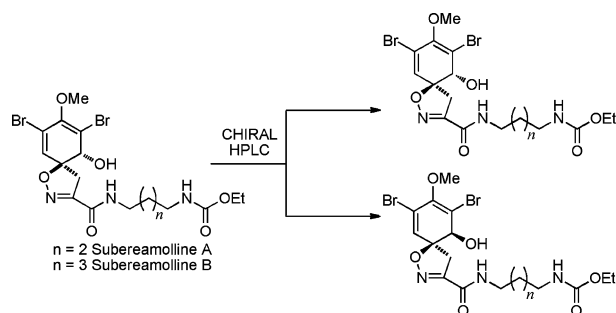
Microwave and flow syntheses of *Pseudomonas* quinolone signal (PQS) and analogues

James T. Hodgkinson, Warren R. J. D. Galloway, Shreya Saraf, Ian R. Baxendale, Steven V. Ley, Mark Ladlow, Martin Welch and David R. Spring*

Expedient syntheses of *Pseudomonas* quinolone signal (PQS) and related structural analogues using microwave and flow methods are reported.



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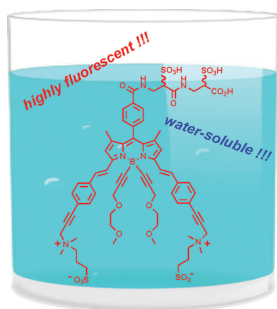


Total syntheses of subereamollines A and B

James W. Shearman, Rebecca M. Myers, James D. Brenton and Steven V. Ley*

The first total syntheses of (+)- and (-)-subereamollines A and B have been achieved by preparative chiral HPLC separation of the racemic natural products.

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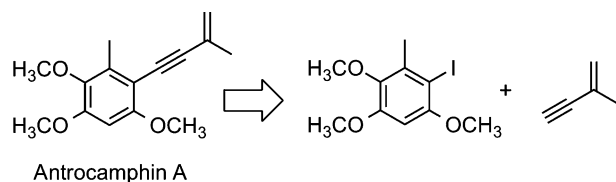


Water-solubilisation and bio-conjugation of a red-emitting BODIPY marker

Song Lin Niu, Cédrik Massif, Gilles Ulrich, Raymond Ziessel,* Pierre-Yves Renard and Anthony Romieu*

A poly-sulfonated di-styryl BODIPY dye has been synthesised by post-synthetic derivatisation of its *N,N*-dimethylpropargylamine arms and *meso*-phenyl carboxylic acid. This new red-emitting fluorescent label exhibits valuable photophysical properties under physiological conditions and is suitable for the labelling of proteins.

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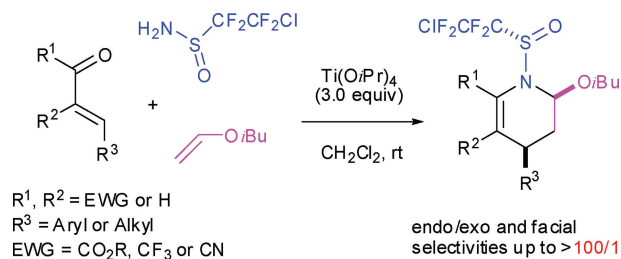


First total synthesis of antrocaphin A and its analogs as anti-inflammatory and anti-platelet aggregation agents

Chia-Lin Lee, Chi-Huan Huang, Hui-Chun Wang, Da-Wei Chuang, Ming-Jung Wu, Sheng-Yang Wang, Tsong-Long Hwang, Chin-Chung Wu, Yeh-Long Chen, Fang-Rong Chang* and Yang-Chang Wu*

This study is the first total synthesis of antrocaphin A and its analogs. Their inhibition ability on NO release, superoxide anion generation, elastase release and platelet aggregation are reported herein.

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Per(poly)fluoroalkanesulfinamides assisted diastereoselective three-component inverse-electron-demand aza Diels–Alder reaction

Peng Li, Li-Juan Liu and Jin-Tao Liu*

A highly stereoselective three-component inverse-electron-demand aza Diels–Alder reaction assisted by novel per(poly)fluoroalkanesulfinamides is described, providing a broad spectrum of piperidine derivatives.

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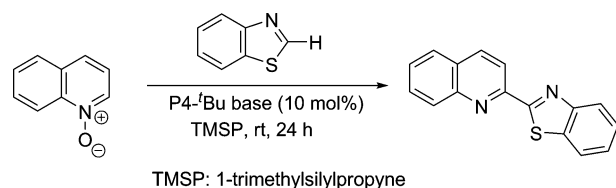
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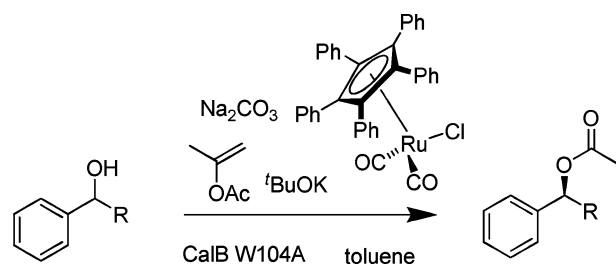


Functionalisation of heteroaromatic *N*-oxides using organic superbase catalyst

Yuta Araki, Koji Kobayashi, Misato Yonemoto and Yoshinori Kondo*

Functionalization of quinoline *N*-oxide was investigated using phophazene base as a catalyst *via* nucleophilic addition-elimination process.

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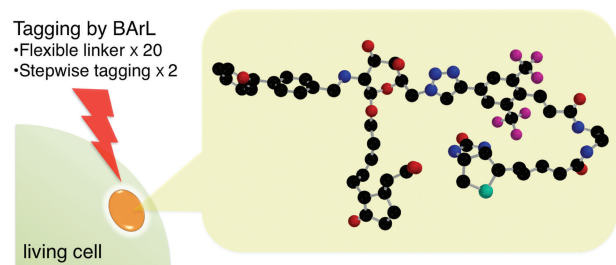


Mutated variant of *Candida antarctica* lipase B in (*S*)-selective dynamic kinetic resolution of secondary alcohols

Karin Engström, Michaela Vallin, Per-Olof Syrén, Karl Hult and Jan-E. Bäckvall*

An (*S*)-selective dynamic kinetic resolution of secondary alcohols, employing a mutated variant of *Candida antarctica* lipase B (CalB) gave products in high yield and with high enantioselectivity.

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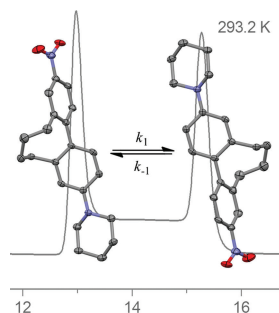
“Click-made” biaryl-linker improving efficiency in protein labelling for the membrane target protein of a bioactive compound

Yoko Nakamura, Sho Inomata, Makoto Ebine, Yoshiyuki Manabe, Izumi Iwakura and Minoru Ueda*

The design, synthesis and assessment of a novel biaryl-linked (BARL) molecular probe for the exploration of low-abundant target proteins for bioactive metabolites is reported

PAPERS

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Racemisation dynamics of torsion angle restricted biphenyl push-pull cyclophanes

Jürgen Rotzler, Heiko Gsellinger, Markus Neuburger, David Vonlanthen, Daniel Häussinger* and Marcel Mayor*

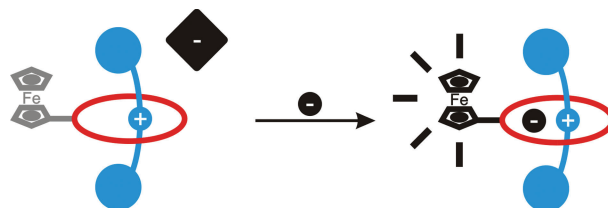
The conformational stability of axial chiral, tailor-made NLO active compounds was studied using ¹H NMR coalescence measurements and dynamic chromatography.

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A ferrocene functionalized rotaxane host system capable of the electrochemical recognition of chloride

Nicholas H. Evans and Paul D. Beer*

A redox-active ferrocene appended rotaxane host system exhibits electrochemical recognition of chloride over basic oxoanions

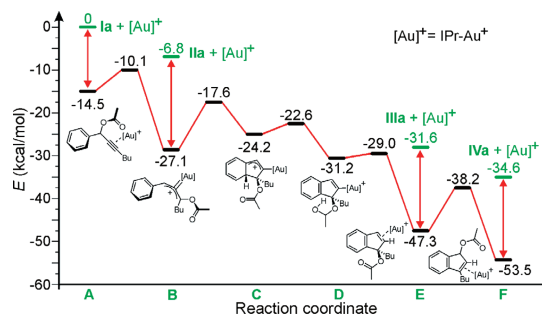


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A combined mechanistic and computational study of the gold(I)-catalyzed formation of substituted indenenes

Pierrick Nun, Sylvain Gaillard, Albert Poater, Luigi Cavallo and Steven P. Nolan*

Substituted indenenes can be prepared after a sequence [1,3] O-acyl shift-hydroarylation-[1,3] O-acyl shift. This interesting silver-free way is fully supported by a computational study justifying the formation of each intermediate.



105

A novel synthesis of oxazolidine-2,4-diones *via* an efficient fixation of CO₂ with 3-aryl-2-alkynamides

Guofei Chen, Chunling Fu and Shengming Ma*

A very mild protocol for fixation of carbon dioxide with 3-aryl-2-alkynamides to synthesize oxazolidine-2,4-diones was developed.

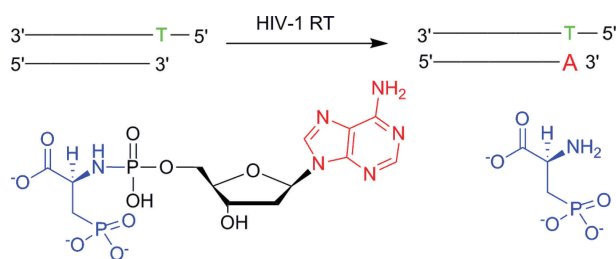


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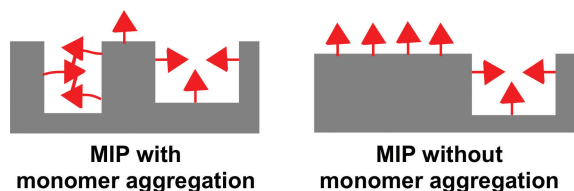
3-Phosphono-L-alanine as pyrophosphate mimic for DNA synthesis using HIV-1 reverse transcriptase

Shiqiong Yang, Mathy Froeyen, Eveline Lescrinier, Philippe Marlière and Piet Herdewijn*

The synthesis and evaluation of a series of sulf(on)ate and phosph(on)ate amino acid phosphoramidate analogues of deoxynucleotides as potential substrates for HIV-1 reverse transcriptase are described.



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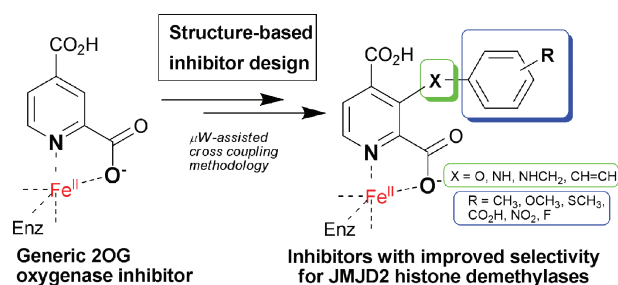


Suppression of background sites in molecularly imprinted polymers *via* urea-urea monomer aggregation

Yagang Zhang, Di Song, Julius C. Brown and Ken D. Shimizu*

Urea monomer aggregation suppresses the formation of background sites yet can still form recognition sites.

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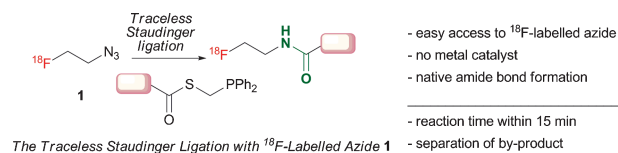


Inhibition of the histone demethylase JMJD2E by 3-substituted pyridine 2,4-dicarboxylates

Armin Thalhammer, Jasmin Mecinović, Christoph Loenarz, Anthony Tumber, Nathan R. Rose, Tom D. Heightman and Christopher J. Schofield

Structure-based design, microwave-assisted synthesis and biochemical evaluation of 3-substituted pyridine dicarboxylates as JMJD2 histone demethylase inhibitors with selectivity over the PHD2 prolyl hydroxylase.

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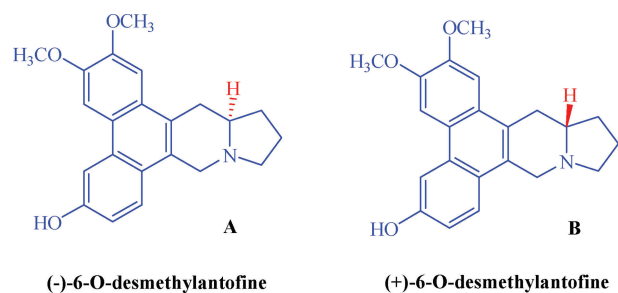


The traceless Staudinger ligation for indirect ^{18}F -radiolabelling

Laurence Carroll, Sophie Boldon, Romain Bejot, Jane E. Moore, Jérôme Declerck and Véronique Gouverneur*

The Staudinger ligation of phosphine-substituted thioesters with ^{18}F -fluoroethylazide has been successfully applied to access ^{18}F -labelled molecules in radiochemical yields superior to 95%; the first fluorous variant of a Staudinger radio-ligation has been validated.

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First total synthesis of (-)- and (+)-6-O-desmethylantofine

Meng Wu, Ling Li, Bo Su, Zhihui Liu and Qingmin Wang*

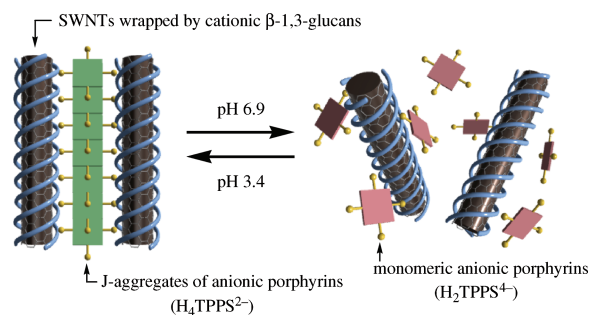
Optically pure (-)-6-O-desmethylantofine (A) with significant biological properties and its enantiomer (+)-6-O-desmethylantofine (B) are synthesized efficiently for the first time.

146

Hierarchical polymer assemblies constructed by the mutual template effect of cationic polymer complex and anionic supramolecular nanofiber

Kouta Sugikawa, Munenori Numata,* Daiki Kinoshita, Kenji Kaneko, Kazuki Sada, Atsushi Asano, Shu Seki and Seiji Shinkai*

One-dimensional supramolecular nanofibers act as a glue for the self-assembly of SWNTs wrapped by cationic β -1,3-glucans. The self-assembling behaviours of SWNT complexes are strongly affected by the packing mode of the nanofiber on the template.

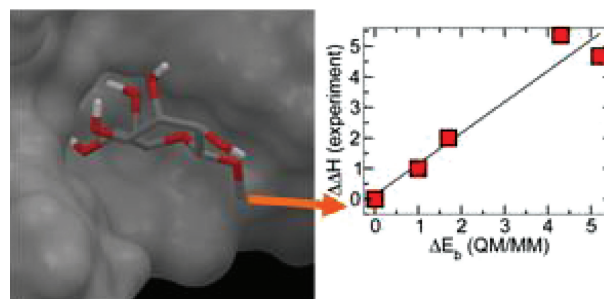


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Computational and experimental investigations of mono-septanoside binding by Concanavalin A: correlation of ligand stereochemistry to enthalpies of binding

Michael R. Duff Jr., W. Sean Fyvie, Shankar D. Markad, Alexandra E. Frankel, Challa V. Kumar,* José A. Gascón* and Mark W. Peczuł*

Isothermal titration calorimetry (ITC) in conjunction with docking simulations and QM/MM established structure–energy relationships between ConA and natural methyl pyranosides and unnatural methyl septanosides.

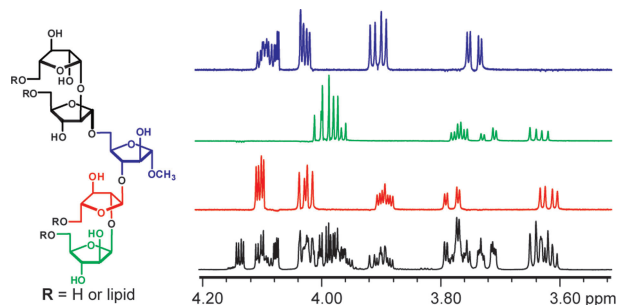


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Synthesis and NMR spectroscopic analysis of acylated pentasaccharide fragments of mycobacterial arabinogalactan

Chunjuan Liu, Michele R. Richards and Todd L. Lowary*

Synthesis and NMR evaluation of arabinofuranose-containing pentasaccharide glycolipids were performed to investigate the effect of acylation on furanose ring conformation.

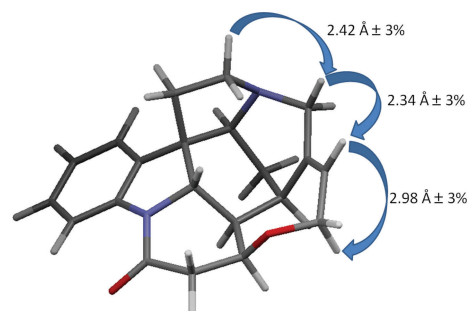


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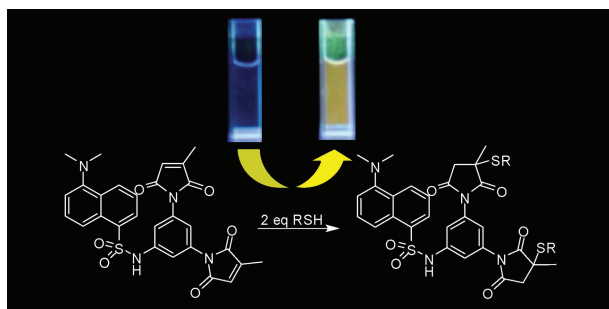
Interproton distance determinations by NOE – surprising accuracy and precision in a rigid organic molecule

Craig P. Butts,* Catharine R. Jones, Emma C. Towers, Jennifer L. Flynn, Lara Appleby and Nicholas J. Barron

NMR Spectroscopy can be used to determine interproton distances for molecules in solution with surprisingly high accuracy.



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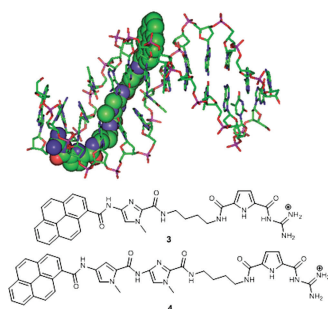


Dramatic increase of quench efficiency in “spacerless” dimaleimide fluorogens

Karine Caron, Virginie Lachapelle and Jeffrey W. Keillor*

New “spacerless” dimaleimide fluorogens undergo fluorogenic thiol addition reactions, increasing their fluorescence by up to 350-fold.

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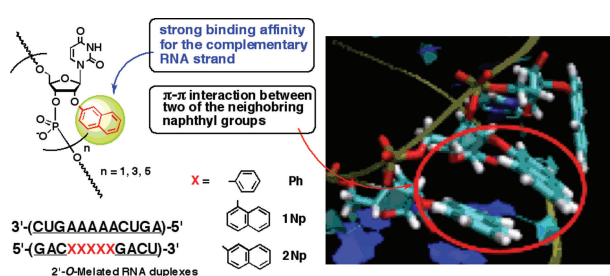


Guanidiniocarbonyl-pyrrole-aryl conjugates as nucleic acid sensors: switch of binding mode and spectroscopic responses by introducing additional binding sites into the linker

Kathrin Gröger, Domagoj Baretić, Ivo Piantanida,* Marko Marjanović, Marijeta Kralj, Marina Grabar, Sanja Tomić and Carsten Schmuck*

Compounds **3** and **4** are specific spectroscopic probes for ds-polynucleotides interacting strongly with ds-DNAs (but only weakly with ds-RNA) being able to distinguish different forms of DNA minor grooves due to different positioning of the pyrene probe in the groove.

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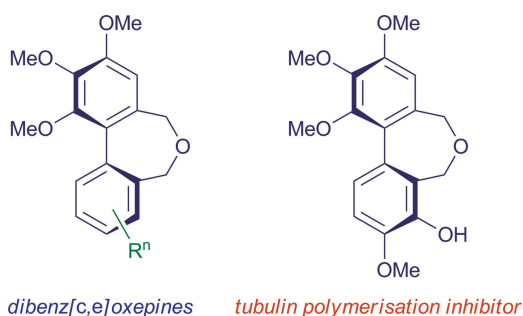


Synthesis and hybridization properties of 2'-O-methylated oligoribonucleotides incorporating 2'-O-naphthyluridines

Mitsuo Sekine,* Yusuke Oeda, Yoshihiro Iijima, Haruhiko Taguchi, Akihiro Ohkubo and Kohji Seio

2'-O-(1-Naphthyl)uridine and 2'-O-(2-naphthyl)uridine were synthesized by a microwave-mediated reaction of 2,2'-anhydrouridine with naphthols. The hybridisation properties of oligoribonucleotides incorporating these modified ribonucleosides were described.

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Tubulin-binding dibenz[*c,e*]oxepines as colchicol analogues for targeting tumour vasculature

David J. Edwards, John A. Hadfield, Timothy W. Wallace* and Sylvie Ducki

Of various dibenz[*c,e*]oxepines prepared as colchicol analogues, 5,7-dihydro-3,9,10,11-tetramethoxydibenz[*c,e*]oxepin-4-ol is a potent inhibitor of microtubule assembly and cytotoxic agent.



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5 - 8 July 2011, MIT, Boston, USA
Poster abstracts by 6 May 2011
Registration deadline 3 June 2011
www.rsc.org/ISACS4

22nd International Symposium: Synthesis in Organic Chemistry

11 - 14 July 2011, Cambridge, UK
Poster abstracts by 27 May 2011
Registration deadline 24 June 2011
www.rsc.org/OS11

Coherence and Control in Chemistry (Faraday Discussion 153)

25 - 27 July 2011, Leeds, UK
Poster abstracts by 30 May 2011
Registration deadline 27 June 2011
www.rsc.org/FD153

Analytical Research Forum 2011

25 - 27 July 2011, Manchester, UK
Poster abstracts by 27 May 2011
Registration deadline 24 June 2011
www.rsc.org/ARF11

Challenges in Chemical Biology (ISACS5)

26 - 29 July 2011, Manchester, UK
Poster abstracts by 27 May 2011
Registration deadline 24 June 2011
www.rsc.org/ISACS5

Ionic Liquids (Faraday Discussion 154)

22 - 24 August 2011, Belfast, UK
Poster abstracts by 17 June 2011
Registration deadline 15 July 2011
www.rsc.org/FD154

Challenges in Organic Materials & Supramolecular Chemistry (ISACS6)

2 - 5 September 2011, Beijing, China
Poster abstracts by 8 July 2011
Registration deadline 5 August 2011
www.rsc.org/ISACS6

Artificial Photosynthesis (Faraday Discussion 155)

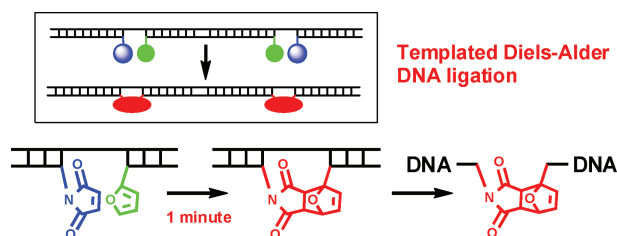
5 - 7 September 2011, Edinburgh, UK
Poster abstracts by 1 July 2011
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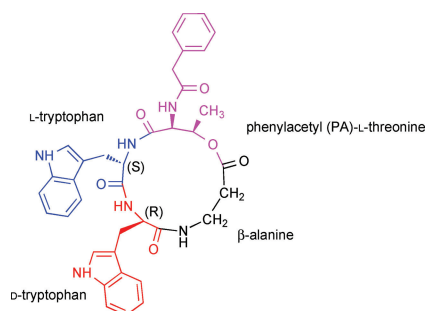


Rapid chemical ligation of oligonucleotides by the Diels–Alder reaction

Afaf H. El-Sagheer,* Vee Vee Cheong and Tom Brown*

Simultaneous templated Diels–Alder chemical ligation of multiple furan and maleimide oligonucleotides proceeds within 1 min at room temperature.

236

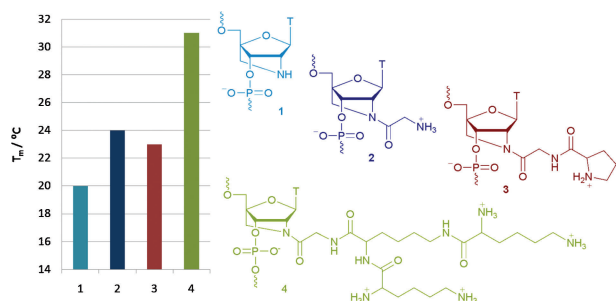


Synthesis and assignment of stereochemistry of the antibacterial cyclic peptide xenematide

Kuo-yuan Hung, Paul W. R. Harris, Amanda M. Heapy and Margaret A. Brimble*

The synthesis of the antimicrobial cyclic peptide xenematide was accomplished by Fmoc solid phase peptide synthesis using a modified Yamaguchi esterification. Comparison of the optical rotation and NMR data of the synthesized diastereomers to that of the natural product confirmed its structure.

243

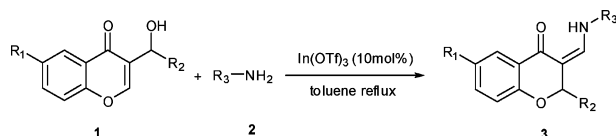


Amino acids attached to 2'-amino-LNA: synthesis and excellent duplex stability

Marie W. Johannsen, Lia Crispino, Michael C. Wamberg, Neerja Kalra and Jesper Wengel*

2'-Amino-LNA-derived monomers with positive charges improve thermal stability of duplexes.

253



In(III)-Catalyzed tandem reaction of chromone-derived Morita–Baylis–Hillman alcohols with amines

Chen Wu, Yuliang Liu, Hao Zeng, Li Liu,* Dong Wang and Yongjun Chen

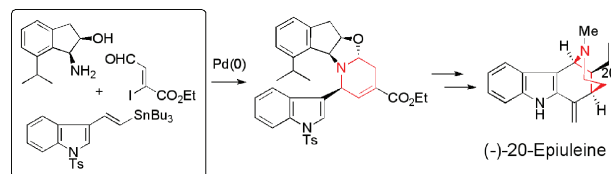
The tandem reaction of chromone-derived cyclic Morita–Baylis–Hillman alcohols with amines catalyzed by In(OTf)₃ was developed for the convenient and efficient synthesis of 2-substituted-3-aminomethylenechromans.

257

Total synthesis of (–)-20-epiuleine *via* stereocontrolled one-pot asymmetric azaelectrocyclization followed by novel 1,4-addition reaction

Taku Sakaguchi, Shohei Kobayashi and Shigeo Katsumura*

The first total synthesis of (–)-20-epiuleine was achieved using one-pot asymmetric azaelectrocyclization.

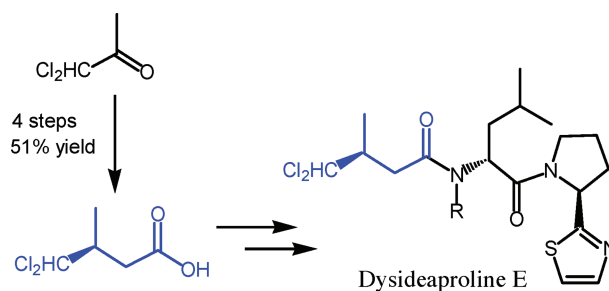


265

Synthesis of dysideaproline E using organocatalysis

Ernest Owusu-Ansah, Amanda C. Durow, John R. Harding, Angela C. Jordan, Susan J. O'Connell and Christine L. Willis*

(*S*)-4,4-Dichloro-3-methylbutanoic acid was prepared using an organocatalytic transfer hydrogenation of 4,4-dichloro-3-methylbut-2-enal as the key step then used in the first total synthesis of the marine natural product dysideaproline E.

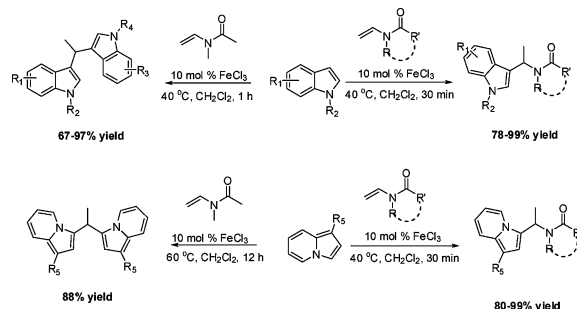


273

FeCl₃-promoted alkylation of indoles by enamides

Tianmin Niu, Lehao Huang, Tianxing Wu and Yuhong Zhang*

An efficient iron-promoted alkylation of indoles with enamides has been accomplished under mild reaction conditions. The reaction proceeded with remarkable regioselectivity leading exclusively to substitution by indoles at α -position of enamides.

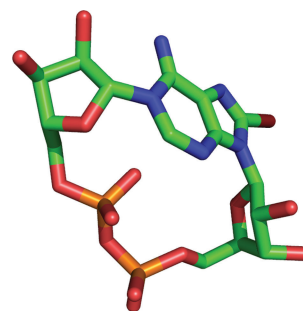


278

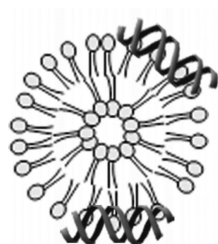
Synthesis of cyclic adenosine 5'-diphosphate ribose analogues: a C2' *endo/syn* “southern” ribose conformation underlies activity at the sea urchin cADPR receptor

Christelle Moreau, Gloria A. Ashamu, Victoria C. Bailey, Antony Galione, Andreas H. Guse and Barry V. L. Potter*

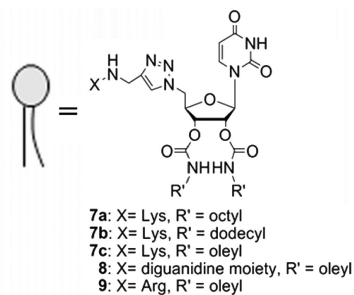
Conformational analysis of cyclic ADP-ribose analogues reveals a correlation between a C2' *endo/syn* “southern” ribose conformation and activity in sea urchin egg homogenates.



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Lipoplex

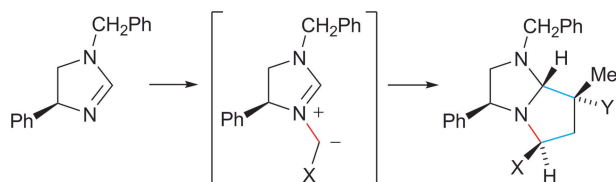


Cationic nucleolipids as efficient siRNA carriers

Hye Won Yang, Jeong Wu Yi, Eun-Kyoung Bang,
 Eun Mi Jeon and Byeang Hyeon Kim*

Five novel uridine-based cationic nucleolipids, synthesized by introducing basic amino acid residues at the 5' position of uridine, through 1,3-dipolar cycloaddition, and hydrophobic alkyl moieties at the 2' and 3' positions, through carbamate linkages, delivered siRNAs efficiently to cells without any severe toxicity.

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Cycloaddition of homochiral dihydroimidazoles: A 1,3-dipolar cycloaddition route to optically active pyrrolo[1,2-a]imidazoles

Raymond C. F. Jones,* Kevin J. Howard, John S. Snaith,
 Alexander J. Blake, Wang-Shei Li and Peter J. Steel

Optically active dihydroimidazoles are prepared and converted into homochiral pyrroloimidazoles *via* an N-alkylation–deprotonation–cycloaddition cascade generating three bonds in one operation.