

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 10(30) 5661–6232 (2012)

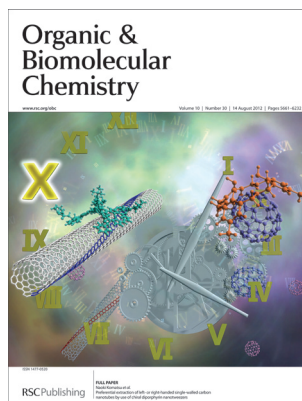


Cover

See Lawrence T. Scott *et al.*, pp. 5747–5749.

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Inside cover

See Naoki Komatsu *et al.*, pp. 5830–5836.

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EDITORIAL

5683

Welcome to the 10th anniversary issue of *Organic & Biomolecular Chemistry*

Introducing the 10th anniversary issue of *Organic & Biomolecular Chemistry*.



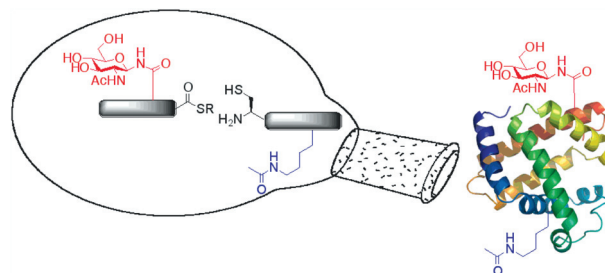
PERSPECTIVES

5684

Chemical and semisynthesis of posttranslationally modified proteins

Peter Siman and Ashraf Brik*

Recent advances in chemical and semisynthesis of proteins are becoming increasingly instrumental to prepare homogeneous posttranslationally modified proteins for biochemical and structural analyses. Here, we survey these efforts and their importance in dissecting the role of several posttranslational modifications on various proteins.



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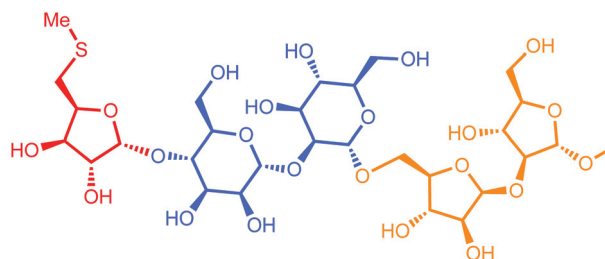
PERSPECTIVES

5698

Methylthioxylose – a jewel in the mycobacterial crown?

W. Bruce Turnbull* and Susanne A. Stalford

The discovery of methylthioxylose and studies toward understanding its origins and biological roles.

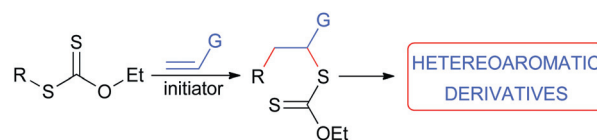


5707

A unified access to diverse heteroaromatic scaffolds using the radical chemistry of xanthates

Myriem El Qacemi, Laurent Petit, Béatrice Quiclet-Sire and Samir Z. Zard*

The radical addition of xanthates to alkenes provides a practical and flexible entry to a broad variety of heteroaromatic structures.

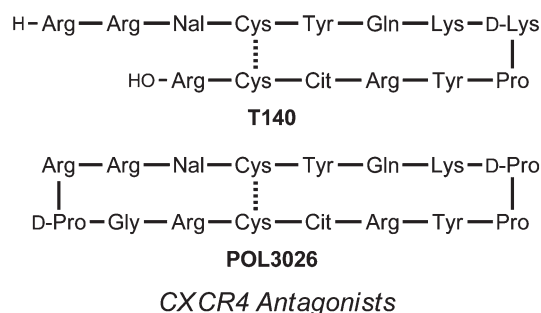


5720

Peptide and peptidomimetic ligands for CXCR4 chemokine receptor 4 (CXCR4)

Shinya Oishi and Nobutaka Fujii*

This perspective article highlights the recent developments of peptide and peptidomimetic ligands for CXCR4 chemokine receptor 4 (CXCR4).

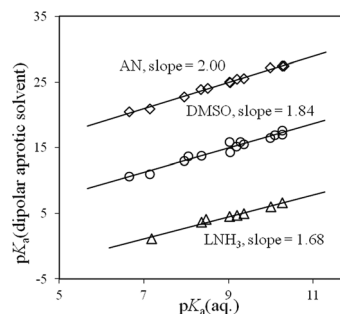


5732

Organic reactivity in liquid ammonia

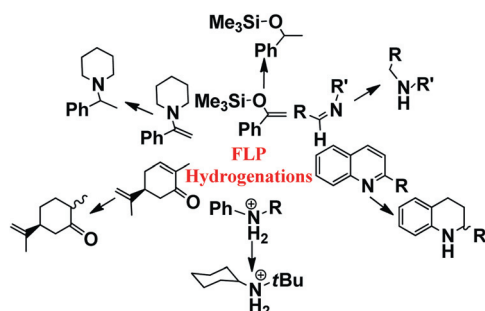
Pengju Ji, John Atherton and Michael I. Page*

Liquid ammonia is a useful solvent for many organic reactions including aliphatic and nucleophilic substitution reactions and has the potential to replace conventional dipolar aprotic solvents in a range of reactions.



PERSPECTIVES

5740



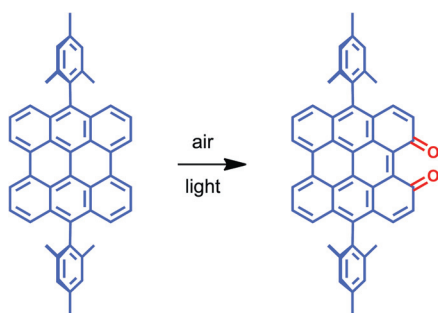
“Frustrated Lewis pair” hydrogenations

Douglas W. Stephan

This perspective article discusses developments of metal-free hydrogenation catalysts derived from “frustrated Lewis pair” (FLP) systems.

COMMUNICATIONS

5747

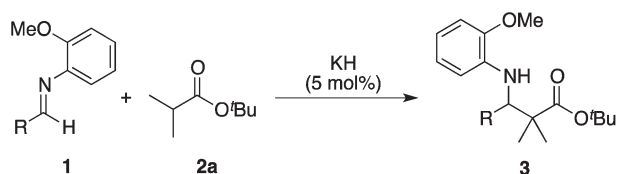


Facile air-oxidation of large aromatic hydrocarbon bay regions to bay region quinones: predicted oxygen-sensitivity of hydrogen-terminated carbon nanotubes

Eric H. Fort and Lawrence T. Scott*

The ease with which dimesitylbisanthene oxidizes in air to the corresponding bay region quinone is seen as a warning that hydrogen-terminated carbon nanotubes having bay regions on their rims may be highly sensitive to air oxidation.

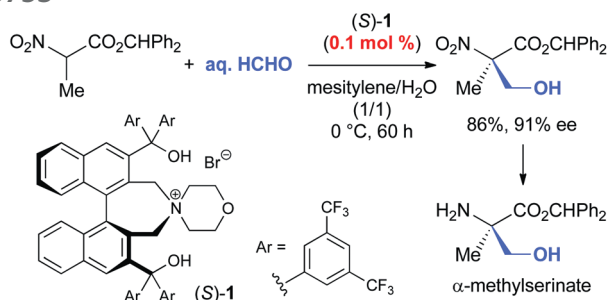
5750

Development of strong Brønsted base catalysis: catalytic direct-type Mannich reactions of non-activated esters *via* a product-base mechanism

Yasuhiro Yamashita, Hirotugu Suzuki and Shū Kobayashi*

A catalytic Mannich reaction of a simple ester with no activating functionality at the α -position *via* a product-base mechanism was reported.

5753

The direct catalytic asymmetric aldol reaction of α -substituted nitroacetates with aqueous formaldehyde under base-free neutral phase-transfer conditions

Seiji Shirakawa, Kensuke Ota, Shogo J. Terao and Keiji Maruoka*

Enantioselective direct aldol reaction of α -substituted nitroacetates with aqueous formaldehyde has been achieved under base-free neutral phase-transfer conditions.

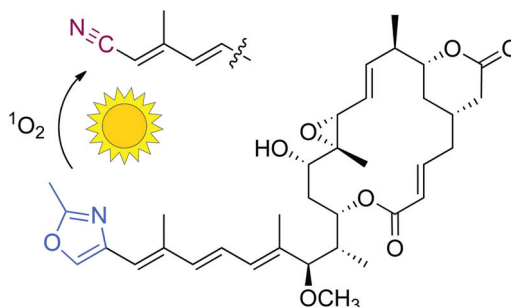
COMMUNICATIONS

5756

Photochemical oxazole–nitrile conversion downstream of rhizoxin biosynthesis and its impact on antimittotic activity

Kirstin Scherlach, Nicole Brendel, Keishi Ishida, Hans-Martin Dahse and Christian Hertweck*

In the endofungal bacterium *Burkholderia rhizoxinica* novel rhizoxin derivatives with unusual nitrile substitutions are formed by a rare photochemical transformation.

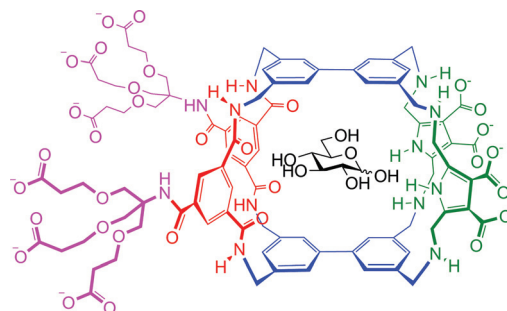


5760

New H-bonding patterns in biphenyl-based synthetic lectins; pyrrolediamine bridges enhance glucose-selectivity

Gururaj Joshi and Anthony P. Davis*

A new synthetic lectin features pyrroles and amines as polar binding units. In aqueous buffer, glucose is bound selectively over all other tested carbohydrates.

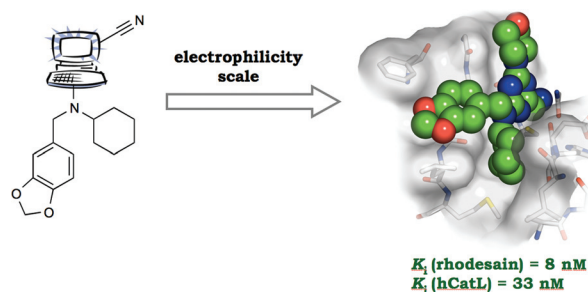


5764

Tuning and predicting biological affinity: aryl nitriles as cysteine protease inhibitors

Veronika Ehmke, Jose Enrico Q. Quinsaat, Pablo Rivera-Fuentes, Cornelia Heindl, Céline Freymond, Matthias Rottmann, Reto Brun, Tanja Schirmeister and François Diederich*

The influence of the electrophilicity of aromatic nitriles on cysteine protease inhibition and cytotoxicity was investigated. Calculations were used to obtain nitrile electrophilicities, revealing a clear correlation with biological affinity.

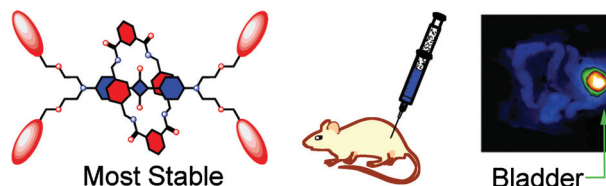


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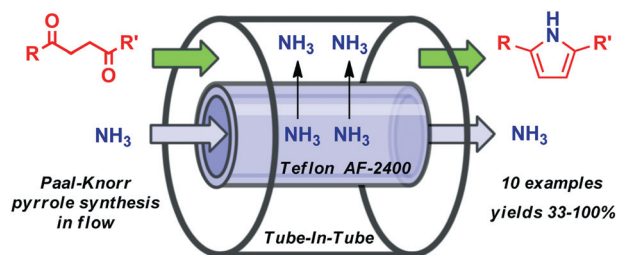
Water-soluble, deep-red fluorescent squaraine rotaxanes

Erin L. Cole, Easwaran Arunkumar, Shuzhang Xiao, Bryan A. Smith and Bradley D. Smith*

Squaraine rotaxanes with four large stopper groups attached to the ends of the encapsulated squaraine are excellent fluorescent tracers with rapid renal clearance and very low tissue uptake in living mice.



5774

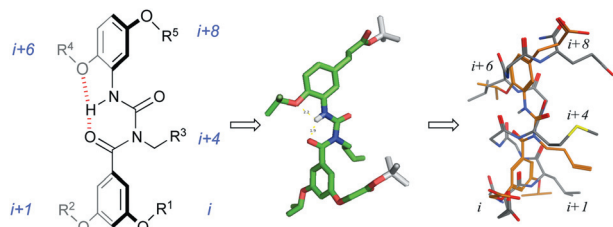


Flow synthesis using gaseous ammonia in a Teflon AF-2400 tube-in-tube reactor: Paal–Knorr pyrrole formation and gas concentration measurement by inline flow titration

Philippa B. Cranwell, Matthew O'Brien, Duncan L. Browne, Peter Koos, Anastasios Polyzos, Miguel Peña-López and Steven V. Ley*

Permeation of ammonia through Teflon AF-2400 (which is temperature and solvent dependent) facilitated the continuous flow Paal–Knorr synthesis of pyrroles.

5780

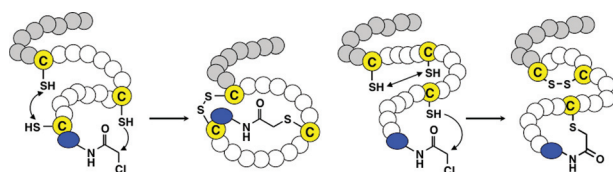


Amphiphilic α -helix mimetics based on a benzoylurea scaffold

Sam Thompson and Andrew D. Hamilton*

The design and synthesis of conformationally constrained amphiphilic benzoylurea α -helix mimetics is described.

5783

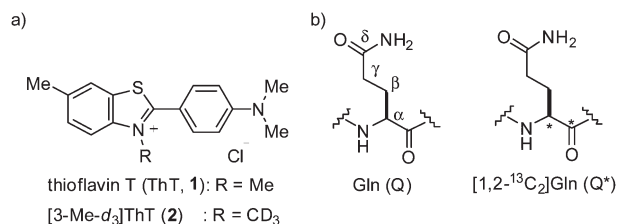


Selective thioether macrocyclization of peptides having the N-terminal 2-chloroacetyl group and competing two or three cysteine residues in translation

Kazuhiro Iwasaki, Yuki Goto, Takayuki Katoh and Hiroaki Suga*

The mode of thioether macrocyclization of peptides containing an N-terminal 2-chloroacetyl group and two or three competing cysteine residues at downstream positions has been extensively studied, leading to a strategy for designated formation of overlapping-bicyclic peptides or dumbbell-type bicyclic peptides.

5787



Short polyglutamine peptide forms a high-affinity binding site for thioflavin-T at the N-terminus

Shigeru Matsuoka,* Motoki Murai, Toshio Yamazaki and Masayuki Inoue*

We report the first observation of direct molecular contacts between ThT and model peptide aggregates by applying solid-state NMR spectroscopy.

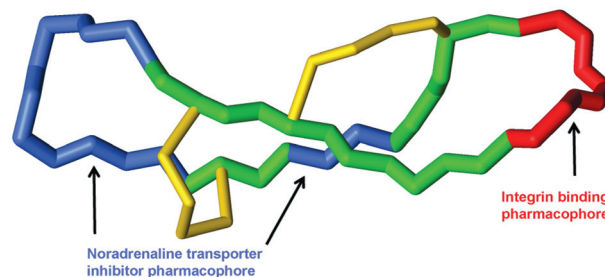
COMMUNICATIONS

5791

Conotoxin engineering: dual pharmacophoric noradrenaline transport inhibitor/integrin binding peptide with improved stability

Zoltan Dekan, Ching-I Anderson Wang, Robert K. Andrews, Richard J. Lewis and Paul F. Alewood

The synthesis, biological activity and pharmacokinetics of a stable cyclic conotoxin with two independent pharmacophores are described.

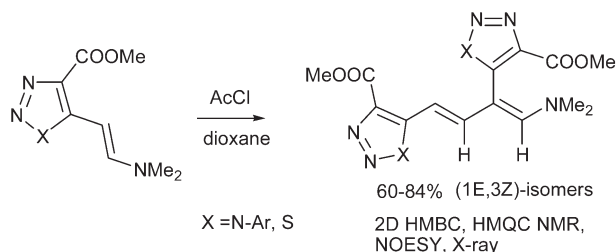


5795

Self condensation of enamines mediated by acetylation. A novel approach to 1-(azol-5-yl)-(1E,3Z)-butadiene-4-N,N-dimethylamines

Yuri Shafran, Yuri Rozin, Tetyana Beryozkina, Sergei Zhidovinov, Oleg Eltsov, Julia Subbotina, Johann Leban, Rashida Novikova and Vasilij Bakulev*

Novel self-condensation of 3-(azol-5-yl)-1,1-dimethylenamines has been found to form new C–C bonds leading to 2,4-(1,2,3-triazole-1,2,3-thiadiazole-3-phenylisothiazole)-(1E,3Z)-5-yl-butadiene-1-amines.

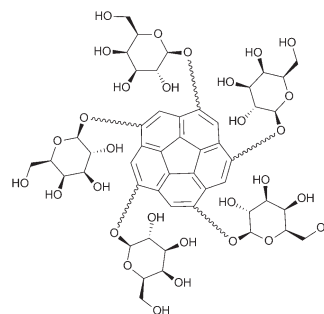


5799

Sym-(CH₂X)₅-corannulenes: molecular pentapods displaying functional group and bioconjugate appendages

Martin Mattarella and Jay S. Siegel*

Pentapodal ω -functional derivatives of corannulene have been synthesized from *sym*-pentachlorocorannulene by iron-catalyzed aryl-alkyl cross coupling reactions.

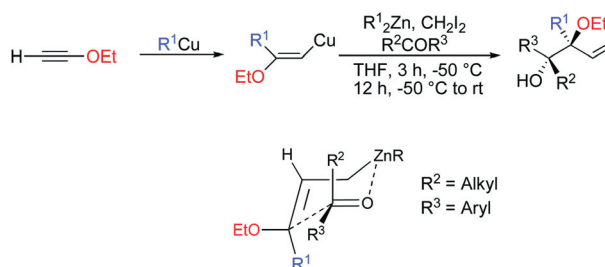


5803

Convergent diastereoselective preparation of adjacent quaternary stereocenters in an acyclic system

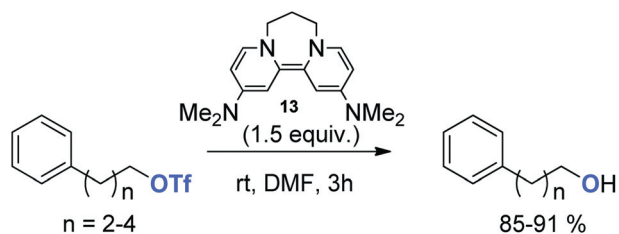
Tom Mejuch, Bishnu Dutta, Mark Botoshansky and Ilan Marek*

The combined carbometalation–zinc homologation–allylation reaction with ketones allows the preparation of allylic vicinal diol derivatives possessing two adjacent quaternary centers in an acyclic system through the concomitant formation of three new carbon-carbon bonds in a single-pot operation.



COMMUNICATIONS

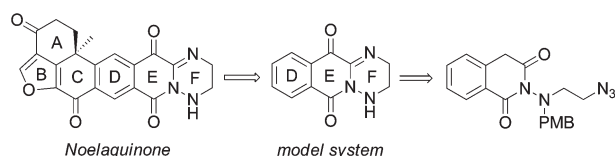
5807


Reactions of triflate esters and triflamides with an organic neutral super-electron-donor

Phillip I. Jolly, Nadia Fleary-Roberts, Steven O'Sullivan, Eswararao Doni, Shengze Zhou and John A. Murphy*

 The bis-pyridinylidene **13** converts aliphatic and aryl triflate esters to the corresponding alcohols and phenols respectively, using DMF as solvent, generally in excellent yields.

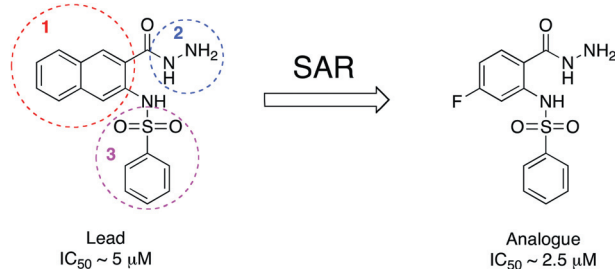
5811


Synthesis of 1,2,4-triazines and the triazinoisoquinolinedione DEF ring system of noelaquinone

Liming Cao, John P. Maciejewski, Stephan Elzner, David Amantini and Peter Wipf*

The intramolecular Staudinger-aza-Wittig reaction was used for the first preparation of the DEF ring system of noelaquinone.

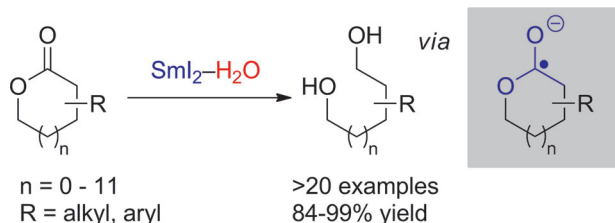
5815


Synthesis and structure–activity relationships of *o*-sulfonamido-arylhydrazides as inhibitors of LL-diaminopimelate aminotransferase (LL-DAP-AT)

Chenguang Fan and John C. Vederas*

 The synthesis of a series of *o*-sulfonamido-arylhydrazides and their activity as inhibitors of LL-diaminopimelic acid aminotransferase are described.

5820


A general electron transfer reduction of lactones using $\text{SmI}_2-\text{H}_2\text{O}$

Michal Szostak, Karl D. Collins, Neal J. Fazakerley, Malcolm Spain and David J. Procter*

 A selective method for the reduction of lactones of all ring sizes and topologies using $\text{SmI}_2-\text{H}_2\text{O}$ and a Lewis base is described.

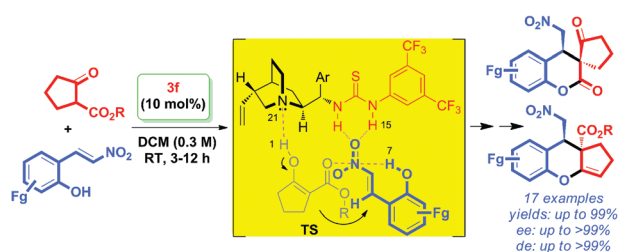
COMMUNICATIONS

5825

Observation of neighboring *ortho*-hydroxyl group participation in organocatalytic asymmetric sequential Michael-lactonization reactions: synthesis of highly substituted chiral spirodihydrocoumarins

Dhevalapally B. Ramachary,* R. Madhavachary and M. Shiva Prasad

A general approach to the asymmetric synthesis of spirodihydrocoumarins with a quaternary stereocenter was achieved through a favoured 21-membered supramolecular transition state using sequential Michael and lactonization reactions.



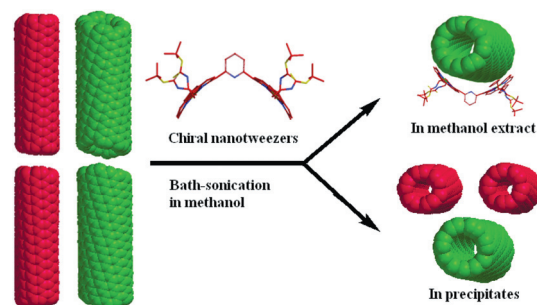
PAPERS

5830

Preferential extraction of left- or right-handed single-walled carbon nanotubes by use of chiral diporphyrin nanotweezers

Gang Liu, Tatsuki Yasumitsu, Li Zhao, Xiaobin Peng, Feng Wang, Ajoy K. Bauri, Shuji Aonuma, Takahide Kimura and Naoki Komatsu*

The 2,6-pyridylene-bridged chiral diporphyrin nanotweezers recognise handedness and diameter of SWNTs simultaneously and independently, yielding optically active SWNTs with narrow diameter range.

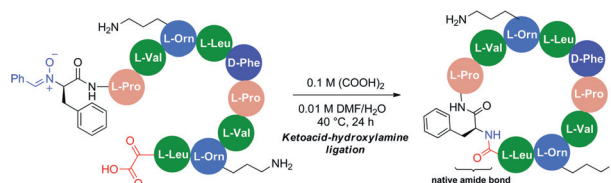


5837

Chemoselective cyclization of unprotected linear peptides by α -ketoacid-hydroxylamine amide-ligation

Takeo Fukuzumi, Lei Ju and Jeffrey W. Bode*

Peptides with an N-terminal nitron and a C-terminal α -ketoacid undergo chemoselective cyclizations without reagents and in the presence of unprotected side chains. The precursors are prepared by Fmoc-SPPS.

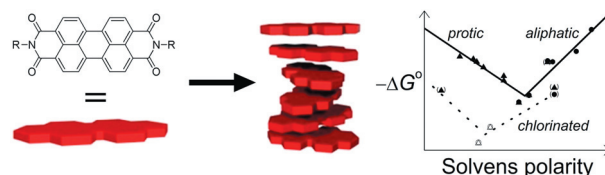


5845

Solvent and substituent effects on aggregation constants of perylene bisimide π -stacks – a linear free energy relationship analysis

Zhijian Chen, Benjamin Fimmel and Frank Würthner*

In this article we have intensively addressed the question how solvents effect the aggregation strength of perylene bisimides.



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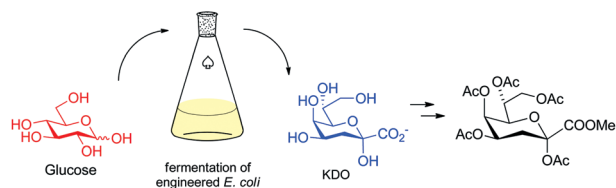
PAPERS

5856

Synthesis of a 3-deoxy-D-manno-octulosonic acid (KDO) building block from D-glucose via fermentation

Gulden Camci-Unal, Rahman M. Mizanur, Yonghai Chai and Nicola L. B. Pohl*

A 3-deoxy-D-manno-octulosonic acid (KDO) building block was made starting from glucose through pathway engineering of *Escherichia coli* and subsequent chemical modifications to provide an alternative method to produce KDO, usually found in plant and bacterial oligosaccharides.

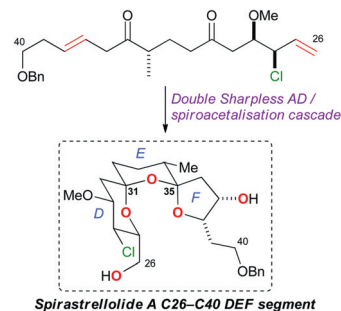


5861

The stereocontrolled total synthesis of spirastrellolide A methyl ester. Expedient construction of the key fragments

Ian Paterson,* Edward A. Anderson, Stephen M. Dalby, Jong Ho Lim, Philip Maltas, Olivier Loiseleur, Julien Genovino and Christian Moessner

A modular strategy for the synthesis of the antimitotic marine macrolide spirastrellolide A methyl ester was adopted based on the envisaged sequential coupling of a series of four suitably functionalised fragments.

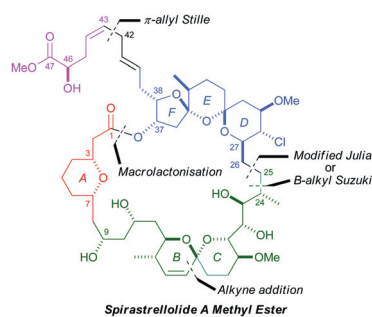


5873

The stereocontrolled total synthesis of spirastrellolide A methyl ester. Fragment coupling studies and completion of the synthesis

Ian Paterson,* Edward A. Anderson, Stephen M. Dalby, Jong Ho Lim and Philip Maltas

The first total synthesis of (+)-spirastrellolide A methyl ester was achieved based on the sequential coupling of four fragments and a remarkably high yielding macrolactonisation. A series of simplified analogues of spirastrellolide incorporating the C26–C47 region were also prepared by π -allyl Stille coupling reactions.

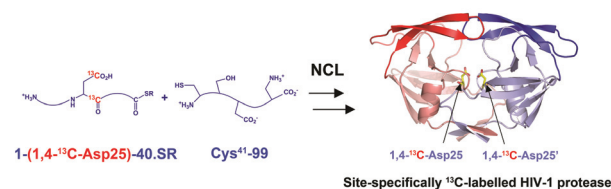


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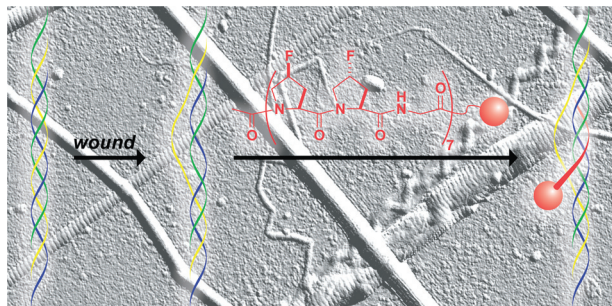
Ionization state of the catalytic dyad Asp25/25' in the HIV-1 protease: NMR studies of site-specifically ^{13}C labelled HIV-1 protease prepared by total chemical synthesis

Vladimir Yu. Torbeev and Stephen B. H. Kent*

Total chemical synthesis was used to site-specifically ^{13}C -label active site Asp25 and Asp25' residues in HIV-1 protease and in several chemically synthesized analogues of the enzyme molecule.



5892

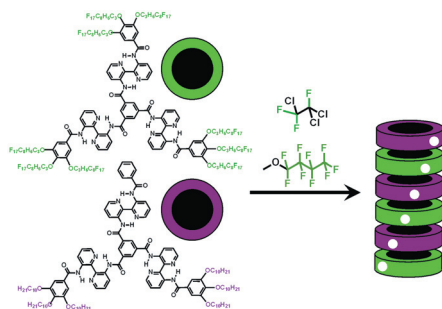


Peptides that anneal to natural collagen *in vitro* and *ex vivo*

Sayani Chattopadhyay, Christopher J. Murphy, Jonathan F. McNulty and Ronald T. Raines*

Collagen mimetic peptides bind tightly to mammalian collagen *in vitro* and *ex vivo*, providing a new modality for wound care.

5898

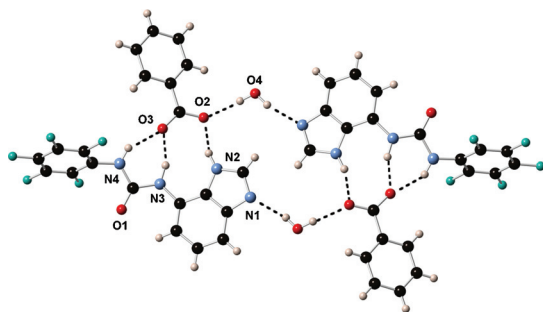


Helical self-assembly and co-assembly of fluorinated, preorganized discotics

Michel H. C. J. van Houtem, Faysal Benaskar, Carel F. C. Fitié, Rafael Martín-Rapún, Jef A. J. M. Vekemans and E. W. Meijer*

Fluorinated bipyridine discotics self-assemble into stable helical columnar mesophases and alternating helical assemblies with hydrocarbon bipyridine discotics in fluorinated media.

5909

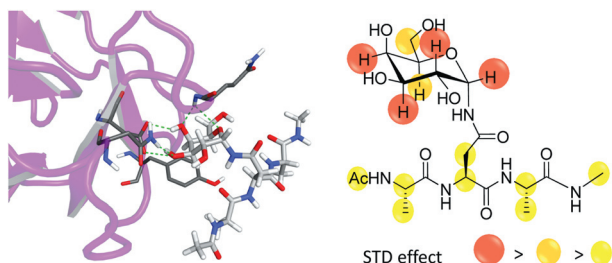


Benzimidazole-based anion receptors: tautomeric switching and selectivity

Philip A. Gale,* Jennifer R. Hiscock, Noémie Lalaoui, Mark E. Light, Neil J. Wells and Marco Wenzel

Tautomeric switching is observed in a series of benzimidazole-based anion receptors upon addition of basic anions.

5916



α -N-Linked glycopeptides: conformational analysis and bioactivity as lectin ligands

Filipa Marcelo, Francisco Javier Cañada, Sabine André, Cinzia Colombo, Fabio Doro, Hans-Joachim Gabius, Anna Bernardi and Jesús Jiménez-Barbero*

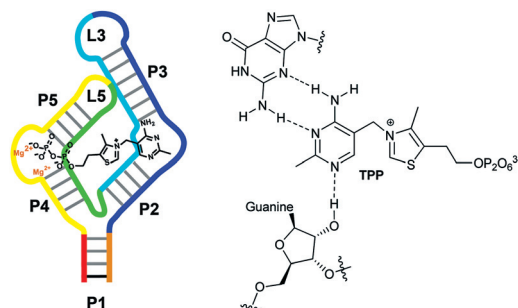
NMR and modelling give new insights on the molecular recognition of unnatural α -Gal-N-linked glycopeptides by lectins.

5924

Probing riboswitch–ligand interactions using thiamine pyrophosphate analogues

LiuHong Chen, Elena Cressina, Neil Dixon, Karl Erixon, Kwasi Agyei-Owusu, Jason Micklefield, Alison G. Smith, Chris Abell and Finian J. Leeper*

The binding of thiamine pyrophosphate (TPP) to the *thiM* riboswitch has been systematically explored by testing a range of TPP analogues in which the aminopyrimidine ring, the central thiazolium ring, and the pyrophosphate tail are each replaced in turn by similar structures.

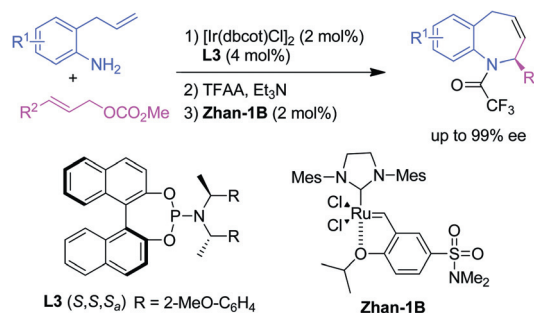


5932

Enantioselective synthesis of 2,5-dihydrobenzo[*b*]azepine derivatives via iridium-catalyzed asymmetric allylic amination with 2-allylanilines and ring-closing-metathesis reaction

Ke-Yin Ye, Li-Xin Dai and Shu-Li You*

Iridium-catalyzed asymmetric allylic amination of allylic carbonates with 2-allylanilines and ring-closing-metathesis reaction provided highly enantioenriched 2,5-dihydrobenzo[*b*]azepine derivatives.

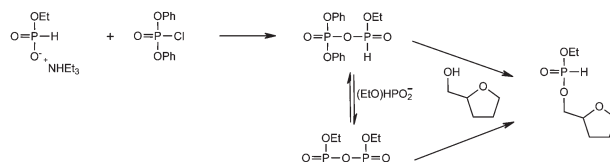


5940

Reactive intermediates in the H-phosphonate synthesis of oligonucleotides

Nicholas Powles, John Atherton and Michael I. Page*

The formation of H-phosphonate diesters is an important step in the synthesis of oligonucleotides.

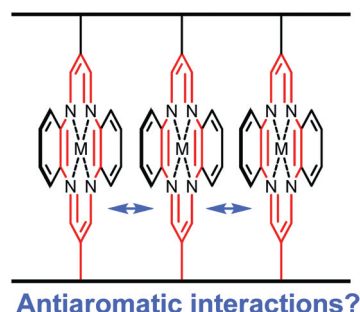


5948

Double stranded polymeric ladderphanes with 16- π -electron antiaromatic metallocycle linkers

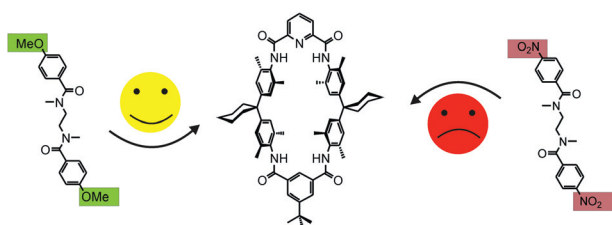
Hsin-Hua Huang, Chih-Gang Chao, Shern-Long Lee, Hung-Jen Wu, Chun-hsien Chen and Tien-Yau Luh*

Three double stranded polymeric ladderphanes with 16- π -electron antiaromatic metallocycle linkers are synthesised by ring opening metathesis polymerisation of the corresponding bisnorbornene monomers.



Antiaromatic interactions?

5954

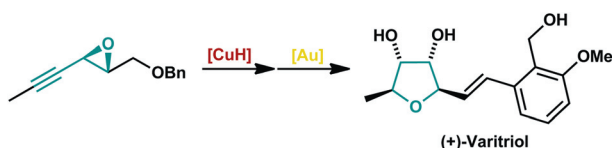


Substituent effects on axle binding in amide pseudorotaxanes: comparison of NMR titration and ITC data with DFT calculations

Lena Kaufmann, Egor V. Dzyuba, Friedrich Malberg, Nora L. Löw, Matthias Groschke, Boris Brusilowskij, Juhani Huuskonen, Kari Rissanen, Barbara Kirchner* and Christoph A. Schalley*

Guest discrimination: NMR titration and ITC experiments were used to examine the binding behavior of differently disubstituted diamide guests to a tetralactam macrocycle.

5965

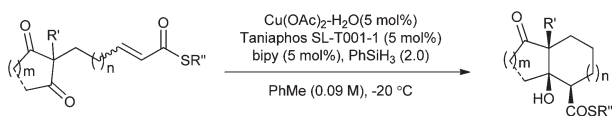


Combined coinage metal catalysis in natural product synthesis: total synthesis of (+)-varitriol and seven analogs

Tao Sun, Carl Deutsch and Norbert Krause*

The combined use of the coinage metals copper and gold allows an efficient stereoselective synthesis of (+)-varitriol and various analogs.

5971

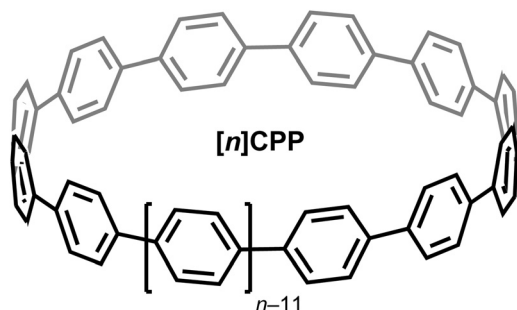


Desymmetrizing reductive aldol cyclizations of enediole derivatives of 1,3-diones catalyzed by a chiral copper hydride

Jun Ou, Wing-Tak Wong and Pauline Chiu*

Enediole derivatives of prochiral 1,3-diones underwent desymmetrizing catalytic reductive aldol cyclizations to furnish β -hydroxythioesters with >98 : 2 dr, up to 94% yield and 98% ee.

5979



Combined experimental and theoretical studies on the photophysical properties of cycloparaphenylenes

Yasutomo Segawa, Aiko Fukazawa, Sanae Matsuura, Haruka Omachi, Shigehiro Yamaguchi,* Stephan Irle* and Kenichiro Itami*

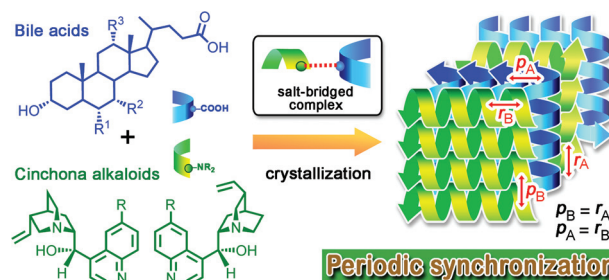
Absorption and fluorescence in solution/solid states. High fluorescence quantum yields. Assignment of UV-vis absorption. Explanation of unique HOMO–LUMO behaviour.

5985

Construction of multi-component supramolecular architectures of bile acids and cinchona alkaloids through helical-pitch-synchronized crystallization

Toshiyuki Sasaki, Norie Shizuki, Eri Hiraishi, Ichiro Hisaki,*
Norimitsu Tohnai and Mikiji Miyata*

Multi-component organic crystals containing different kinds of helical motifs were constructed based on bile acids and cinchona alkaloids.

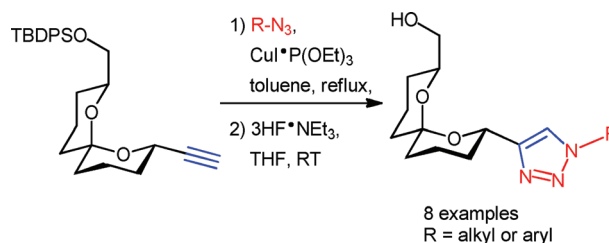


5993

Enantioselective synthesis of C-linked spiroacetal-triazoles as privileged natural product-like scaffolds

Jui Thiang Brian Kueh, Ka Wai Choi and Margaret A. Brimble*

The union of the biologically privileged 6,6-spiroacetal scaffold with azides by the regioselective Copper-Catalysed Azide-Alkyne Cycloaddition (CuAAC) affords novel C-linked spiroacetal-triazoles. The anomeric C-linkage is anticipated to provide increased stability towards hydrolytic cleavage.

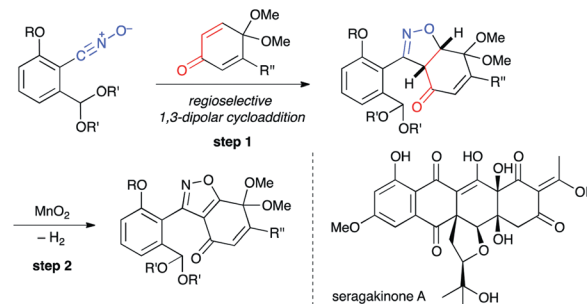


6003

Synthesis of isoxazoles en route to semi-aromatized polyketides: dehydrogenation of benzonitrile oxide-para-quinone acetal cycloadducts

Yoshimitsu Hashimoto, Akiomi Takada, Hiroshi Takikawa and Keisuke Suzuki*

A facile access has been developed to highly functionalized polycyclic isoxazoles, suitable intermediates for the synthesis of semi-aromatized polyketides, via a two-step protocol including regioselective 1,3-dipolar cycloaddition and MnO_2 -mediated dehydrogenation.

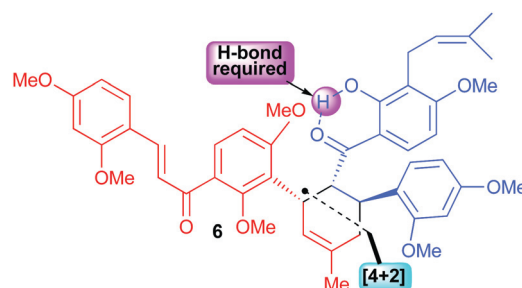


6010

Synthetic studies towards the mulberry Diels-Alder adducts: H-bond accelerated cycloadditions of chalcones

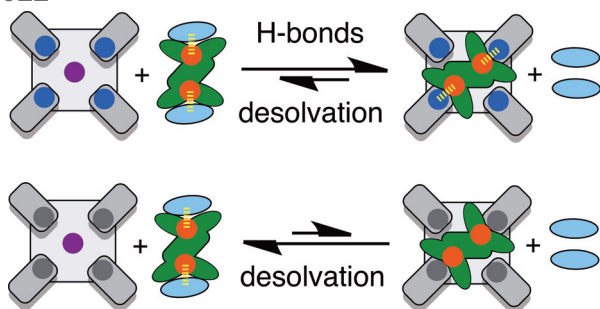
Sompong Boonsri, Christian Gunawan, Elizabeth H. Krenske* and Mark A. Rizzacasa*

The methyl ether derivatives of a number of mulberry Diels-Alder adducts have been synthesized by a thermal [4 + 2]-cycloaddition reaction between a chalcone and dehydroprenyl diene. A H-bonded *ortho* OH substituent on the chalcone was found to be essential for Diels-Alder reactivity and the experimental results were supported by density functional theory calculations.



PAPERS

6022

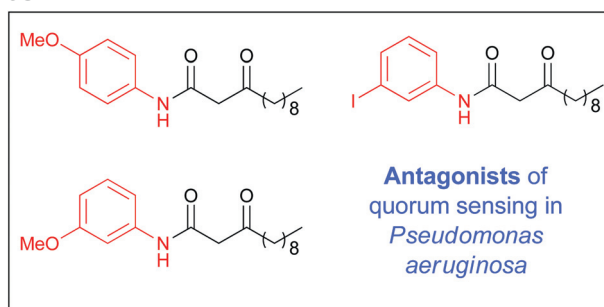


Steric desolvation enhances the effective molarities of intramolecular H-bonding interactions

Elena Chekmeneva, Christopher A. Hunter,*
Maria Cristina Misuraca and Simon M. Turega

Effective molarities for intramolecular phosphonate diester-phenol H-bonds vary with solvent indicating that changes in the solvation shell can make a significant contribution to the stability of a supramolecular complex.

6032

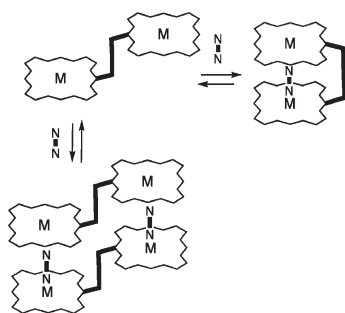


Design, synthesis and biological evaluation of non-natural modulators of quorum sensing in *Pseudomonas aeruginosa*

James T. Hodgkinson, Warren R. J. D. Galloway,
Megan Wright, Ioulia K. Mati, Rebecca L. Nicholson,
Martin Welch and David R. Spring*

Novel potent antagonists of quorum sensing in *Pseudomonas aeruginosa* are reported, which strongly inhibit the production of virulence factors.

6045

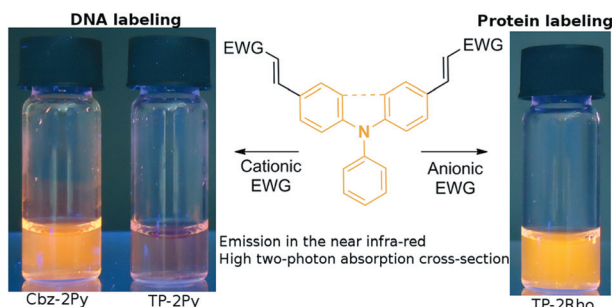


Porphyrin dyads linked by a rotatable 3,3'-biphenyl scaffold: a new binding motif for small ditopic molecules

Amy R. Mulholland, Pall Thordarson, Emily J. Mensforth and
Steven J. Langford*

The complexation behaviour of a new bis-porphyrin bearing a rotatable linker with diaza-ligands has been studied. Featuring a semi-flexible biphenyl linkage, these bis-porphyrin systems are capable of reversible binding to small ditopic molecules, opening up the possibility of developing a new generation of chemoswitchable systems.

6054



Vinyl-triphenylamine dyes, a new family of switchable fluorescent probes for targeted two-photon cellular imaging: from DNA to protein labeling

Blaise Dumat, Guillaume Bordeau, Ana I. Aranda,
Florence Mahuteau-Betzer, Yara El Harfouch, Germain Metgé,
Fabrice Charra, Céline Fiorini-Debuisschert and
Marie-Paule Teulade-Fichou*

A new family of nonlinear fluorescent probes for specific DNA or protein labeling was designed by structure space exploration around the vinyl-triphenylamine core.

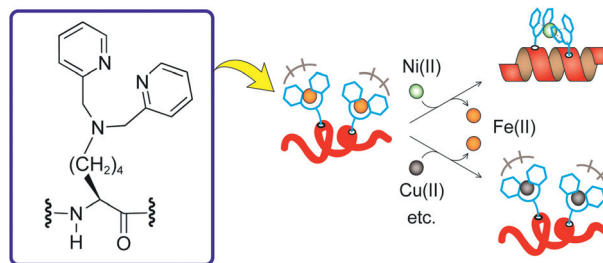
PAPERS

6062

Dipicolylamine as a unique structural switching element for helical peptides

Yusuke Azuma, Haruka Imai, Tomoyuki Yoshimura, Takeo Kawabata, Miki Imanishi and Shiroh Futaki*

A simple method for on-resin synthesis of dipicolylamine (Dpa)-containing peptides was developed, which enables the design of a unique metal-responsive peptide.

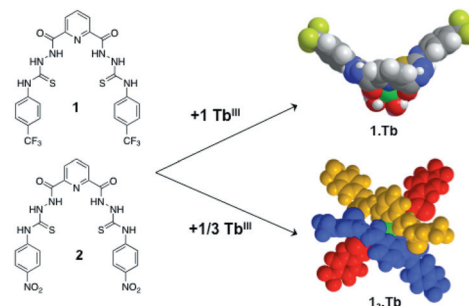


6069

Formation of luminescent terbium(III) self-assemblies from pyridyl bis-amidothioureas based ligands in MeOH and in water–DMSO solutions and their use in anion sensing application

Christophe Lincheneau, Rebecca M. Duke and Thorfinnur Gunnlaugsson*

The self-assembly formation between the bis amidothiourea ligands **1** and **2** with Tb(III) is described. These give rise to Tb(III) centred emission which is affected upon binding of these to anions such as acetate and phosphate.

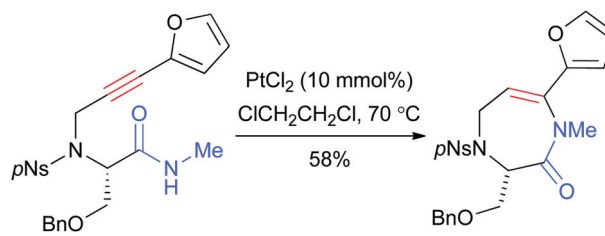


6074

Platinum catalyzed 7-endo cyclization of internal alkynyl amides and its application to synthesis of the caprazamycin core

Chihiro Tsukano, Shinsuke Yokouchi, Anne-Lise Girard, Toshifumi Kuribayashi, Shota Sakamoto, Taro Enomoto and Yoshiji Takemoto*

Considering the synthesis of the caprazamycin core, the scope and limitations of platinum catalyzed 7-endo cyclization of internal alkynyl amides were disclosed.

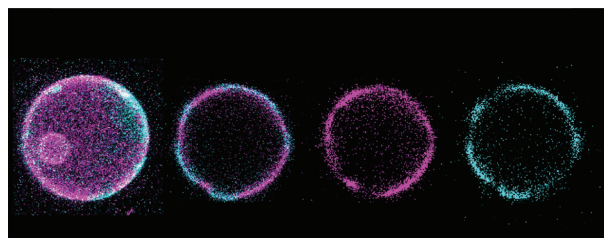


6087

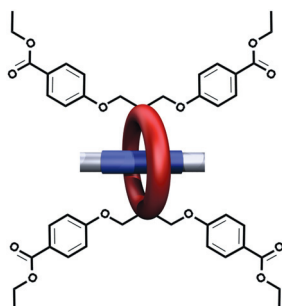
Amphiphilic dynamic NDI and PDI probes: imaging microdomains in giant unilamellar vesicles

David Alonso Doval, Andrea Fin, Miwa Takahashi-Umeyayashi, Howard Riezman, Aurelien Roux, Naomi Sakai and Stefan Matile*

Dynamic amphiphiles with fluorescent naphthalenediimide tails can be used to label membrane microdomains and activate DNA as transporters in lipid bilayers.



6094

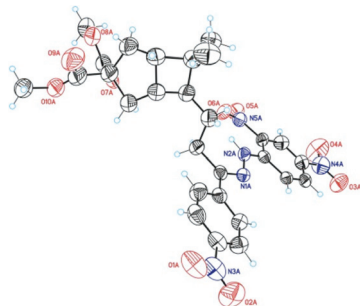


[2]Pseudorotaxanes, [2]rotaxanes and metal–organic rotaxane frameworks containing tetra-substituted dibenzo[24]crown-8 wheels

Darren J. Mercer, Joe Yacoub, Kelong Zhu, Stephanie K. Loeb and Stephen J. Loeb*

[2]Pseudorotaxanes, [2]rotaxanes and metal–organic rotaxane framework materials are prepared that utilise symmetrical **DB24C8** analogues containing four CH_2OR ($\text{R} = \text{CH}_2\text{CH}_2\text{CH}_3$, $\text{CH}_2(\text{C}_6\text{H}_5)$, C_6H_5 and $\text{C}_6\text{H}_4(4\text{-COOEt})$) substituents on the 4 and 5 positions of the aromatic rings.

6105

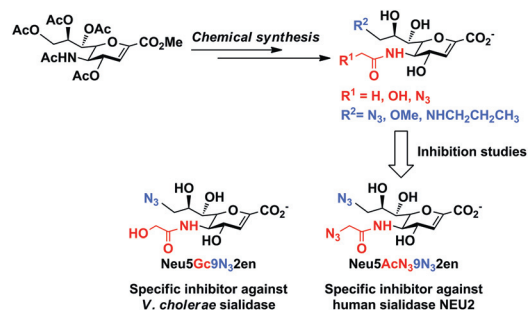


The role of cyclobutenes in gold(i)-catalysed skeletal rearrangement of 1,6-enynes

Ana Escribano-Cuesta, Patricia Pérez-Galán, Elena Herrero-Gómez, Masaki Sekine, Ataulpa A. C. Braga, Feliu Maseras and Antonio M. Echavarren*

A bicyclo[3.2.0]hept-5-ene formed in good yield in a gold(i)-catalysed reaction, has been structurally characterised.

6112

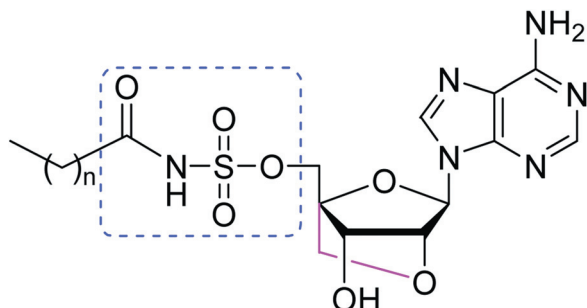


Synthesis of selective inhibitors against *V. cholerae* sialidase and human cytosolic sialidase NEU2

Zahra Khedri, Yanhong Li, Hongzhi Cao, Jingyao Qu, Hai Yu, Musleh M. Muthana and Xi Chen*

Two inhibitors with selectivity against *V. cholerae* sialidase and human cytosolic sialidase NEU2, respectively, were identified.

6121



New parasite inhibitors encompassing novel conformationally-locked 5'-acyl sulfamoyl adenosines

Shailesh S. Dixit, Ram Shankar Upadhyaya and Jyoti Chattopadhyaya*

3'-endo-Sugar-locked 5'-acyl sulfamoyl adenosines inhibit *Trypanosoma* and *Leishmania* with IC_{50} s of 0.25–0.51 μM .

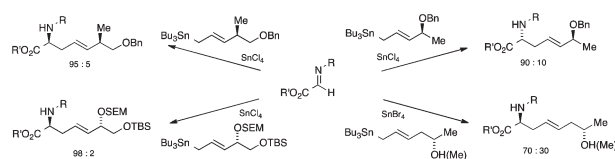
PAPERS

6130

Remote stereocontrol in reactions between 4- and 5-alkoxyalk-2-enylstannanes and 1-alkoxycarbonylimines and analogues: stereoselective approaches to novel α -amino acids

David J. Hallett, Nongluk Tanikkul and Eric J. Thomas*

Reactions of the allyltin trichlorides generated from (4*S*)-4-benzyloxy-pent-2-enyl(tributyl)stannane and analogous stannanes with imines prepared from glyoxalates proceed with useful levels of 1,5-stereocontrol; modest 1,6-stereocontrol was observed using 5-hydroxy- and 5-methoxy-hex-2-enylstannanes.

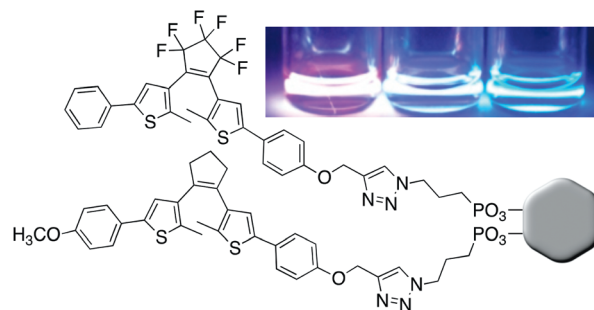


6159

Multimodal fluorescence modulation using molecular photoswitches and upconverting nanoparticles

Carl-Johan Carling, John-Christopher Boyer and Neil R. Branda*

Different wavelengths of light can be used to selectively quench the emission from upconverting nanoparticles depending on the isomeric state of photoswitches decorated onto their surfaces.

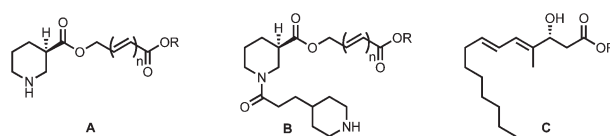


6169

Synthesis and evaluation of new polyenic compounds as potential PPARs modulators

Dominique Amans, Véronique Bellosta, Catherine Dacquet,* Alain Ktorza, Nathalie Hennuyer, Bart Staels, Daniel-Henri Caignard* and Janine Cossy*

In order to identify new leads for the treatment of type 2 diabetes, polyenic molecules **A** and **B** derived from nipecotic acid and dienol derivatives **C** have been prepared and their effect on PPARs transcriptional activity evaluated and compared to that of rosiglitazone, WY14,643 and GW501516.

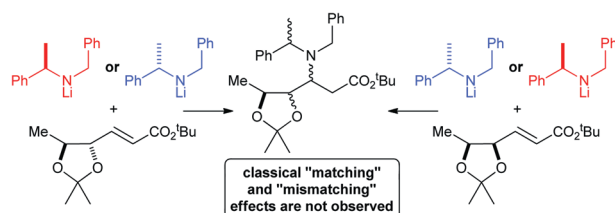


6186

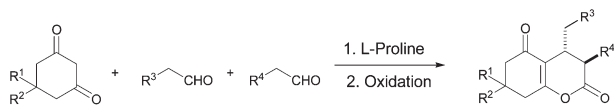
On the origins of diastereoselectivity in the conjugate additions of the antipodes of lithium *N*-benzyl-(*N*- α -methylbenzyl)amide to enantiopure *cis*- and *trans*-dioxolane containing α,β -unsaturated esters

Stephen G. Davies,* Emma M. Foster, Aileen B. Frost, James A. Lee, Paul M. Roberts and James E. Thomson

Classical doubly diastereoselective “matching” and “mismatching” effects are not observed upon conjugate addition of the antipodes of lithium *N*-benzyl-(*N*- α -methylbenzyl)amide to *tert*-butyl (*E*)-4,5-*O*-isopropylidene-4,5-dihydroxyhex-2-enoates.



6201

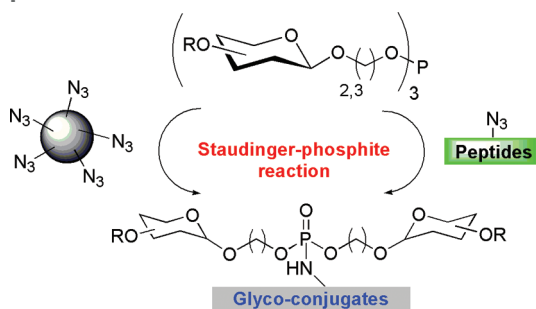


Efficient proline and prolinol ether mediated 3- and 3,4-substituted chromenone derivatives

Magnus Rueping,* Estíbaliz Merino and Michael Bolte

A highly efficient one-pot synthesis of valuable 3,4-substituted chromenone derivatives by the reaction of 1,3-diketones with aldehydes in the presence of L-proline was developed. Chiral 3-substituted chromenones are obtained with high enantioselectivities when a chiral diarylprolinol TMS-ether is applied in the reaction.

6211

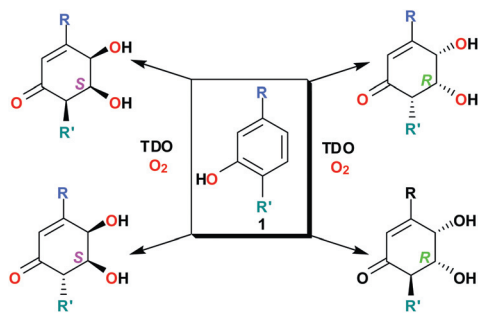


Chemoselective Staudinger-phosphite reaction of symmetrical glycosyl-phosphites with azido-peptides and polyglycerols

Verena Böhrsch, Thresen Mathew, Maximilian Zieringer, M. Robert J. Vallée, Lukas M. Artner, Jens Dernedde, Rainer Haag and Christian P. R. Hackenberger*

In this paper we present the synthesis of glyco-phosphoramidate conjugates as easily accessible analogs of glyco-phosphorous esters *via* the Staudinger-phosphite reaction.

6217



Structure, stereochemistry and synthesis of enantiopure cyclohexenone *cis*-diol bacterial metabolites derived from phenols

Derek R. Boyd,* Narain D. Sharma, John F. Malone, Peter B. A. McIntyre, Paul J. Stevenson, Christopher C. R. Allen, Marcin Kwit and Jacek Gawronski*

Biotransformation of 3- and 2,5-substituted phenols yielded enantiopure cyclohexenone *cis*-diols; ECD spectroscopy, X-ray crystallography and stereochemical correlation was used to establish absolute configurations.