

Organic & Biomolecular Chemistry

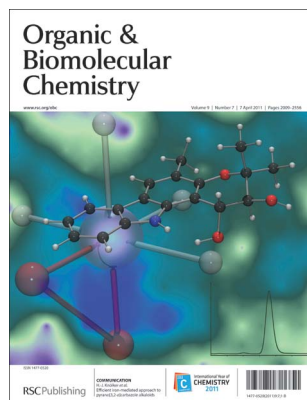
An international journal of synthetic, physical and biomolecular organic chemistry

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IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 9(7) 2009–2556 (2011)



Cover

See Knölker *et al.*, pp. 2057–2061. The cover picture shows in the background the active titanium–peroxo species proposed for the asymmetric catalytic epoxidation and in the front (–)-*trans*-dihydroxygirinimbine and a plot of the chiral HPLC confirming the high degree of asymmetric induction (98% ee).

Image reproduced by permission of Hans-Joachim Knölker from *Org. Biomol. Chem.*, 2011, **9**, 2057.

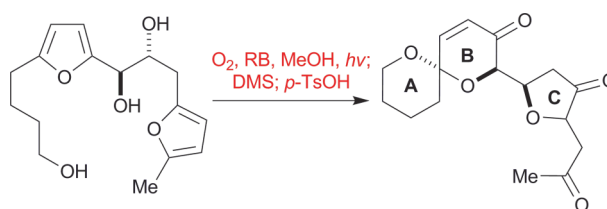
EMERGING AREA

2031

Green oxidations of furans—initiated by molecular oxygen—that give key natural product motifs

Tamsyn Montagnon, Dimitris Noutsias, Ioanna Alexopoulou, Maria Tofi and Georgios Vassilikogiannakis*

The position of the hydroxyl group(s) can dramatically alter the course of the cascade reaction sequence that is initiated when a furan substrate is treated with the green oxidant $^1\text{O}_2$.



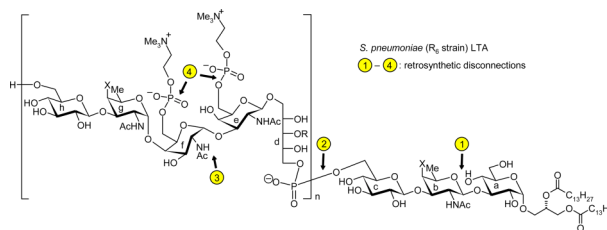
PERSPECTIVE

2040

Chemical synthesis of bacterial lipoteichoic acids: An insight on its biological significance

Richard R. Schmidt,* Christian M. Pedersen, Yan Qiao and Ulrich Zähringer*

We are still at the beginning to understand how LTAs, important Gram-positive cell wall constituents, are recognized by the innate immune system. Hence, studies with pure synthetic material are needed.



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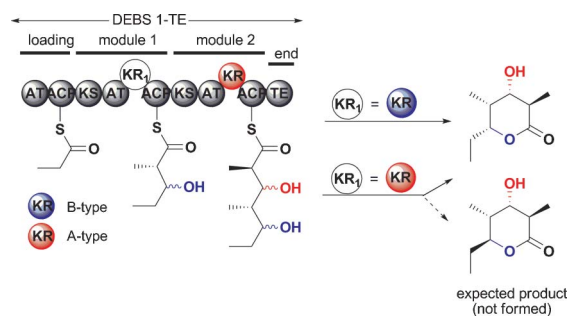
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2053

Insights into the stereospecificity of ketoreduction in a modular polyketide synthase

David H. Kwan, Manuela Tosin, Nadin Schläger, Frank Schulz and Peter F. Leadlay*

Active site-directed mutagenesis in a ketoreductase domain from the erythromycin polyketide synthase alters the stereochemistry of reduction with pantetheinyl ester substrates but does not prevent the intact synthase from maintaining its original stereocontrol *in vivo*.

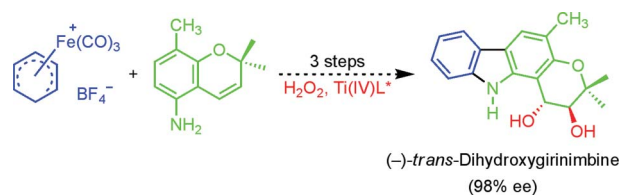


2057

Efficient iron-mediated approach to pyrano[3,2-*a*]carbazole alkaloids—first total syntheses of *O*-methylmurrayamine A and 7-methoxymurrayacine, first asymmetric synthesis and assignment of the absolute configuration of (–)-*trans*-dihydroxygirinimbine

Konstanze K. Gruner, Thomas Hopfmann, Kazuhiro Matsumoto, Anne Jäger, Tsutomu Katsuki and Hans-Joachim Knölker*

Using an Fe-mediated oxidative cyclisation, we report improved routes to girinimbine and murrayacine, and the first total syntheses of *O*-methylmurrayamine A and 7-methoxymurrayacine.

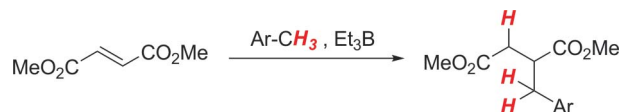


2062

Benzyl radical addition reaction through the homolytic cleavage of a benzylic C–H bond

Masafumi Ueda, Eiko Kondoh, Yuta Ito, Hiroko Shono, Maiko Kakiuchi, Yuki Ichii, Takahiro Kimura, Tetsuya Miyoshi, Takeaki Naito and Okiko Miyata*

Direct generation of a benzyl radical by C–H bond activation of toluenes and the addition reaction were developed.



2065

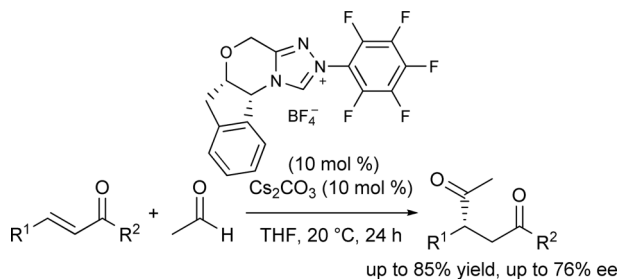
Microwave promoted C6-alkylation of purines through S_NAr-based reaction of 6-chloropurines with 3-alkyl-acetylacetone

Hai-Ming Guo,* Yu Zhang, Hong-Ying Niu, Dong-Chao Wang, Zhi-Liang Chu and Gui-Rong Qu*

C6-Alkylated purine analogues were obtained selectively in good to excellent yields and short reaction times by S_NAr reaction of 6-chloropurine derivatives with 3-alkyl-acetylacetone under microwave irradiation. This work is complementary to classical coupling reactions for synthesis of C6-alkylated purines.



2069

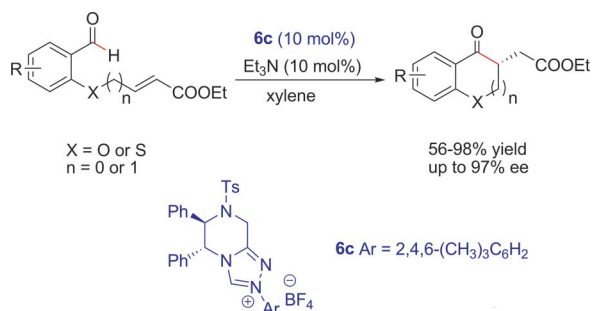


N-Heterocyclic carbene-catalysed intermolecular Stetter reactions of acetaldehyde

Sun Min Kim, Ming Yu Jin, Mi Jin Kim, Yan Cui, Young Sug Kim, Liqiu Zhang, Choong Eui Song, Do Hyun Ryu* and Jung Woon Yang*

A facile method for the intermolecular Stetter reaction of various Michael acceptors with acetaldehyde as a biomimetic acylanion source was realized using *N*-heterocyclic carbene catalysis.

2072

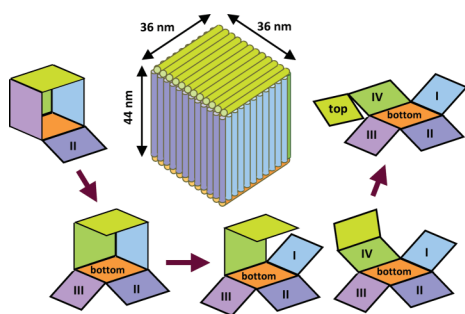


Synthesis of (1*R*,2*R*)-DPEN-derived triazolium salts and their application in asymmetric intramolecular Stetter reactions

Min-Qiang Jia, Yi Li, Zi-Qiang Rong and Shu-Li You*

Novel chiral triazolium salts were synthesized and applied successfully in catalytic intramolecular Stetter reactions in excellent yields with up to 97% ee.

2075



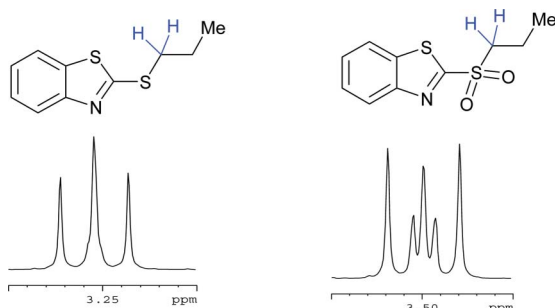
Direct AFM observation of an opening event of a DNA cuboid constructed *via* a prism structure

Masayuki Endo,* Kumi Hidaka and Hiroshi Sugiyama*

A cuboid DNA structure was designed and constructed, and the opening of the cuboid was directly observed by high-speed AFM.

COMMENT

2078



Second-order NMR spectra at high field of common organic functional groups

Paul J. Stevenson*

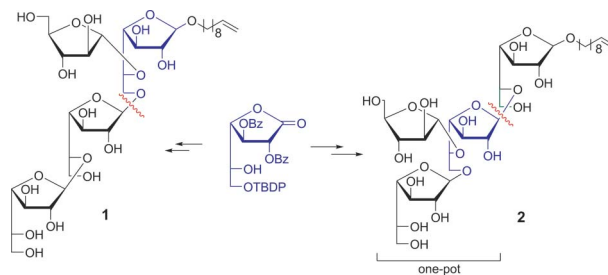
Second-order proton NMR spectra at high field due to magnetic non-equivalence, of achiral alkyl sulfones, alkyl phosphonium salts and SES-protecting groups lead to very distinctive AA'XX' splitting patterns for the α -methylene signal.

2085

Synthesis of arabinofuranose branched galactofuran tetrasaccharides, constituents of mycobacterial arabinogalactan

Lucía Gandolfi-Donadío, Malena Santos, Rosa M. de Lederkremer and Carola Gallo-Rodriguez*

Tetrasaccharides **1** and **2** as tools for studies on arabinofuranosyl transferases were synthesized by the aldolactone approach. A one-pot procedure was developed for the synthesis of the trisaccharide lactone precursor of **2**.

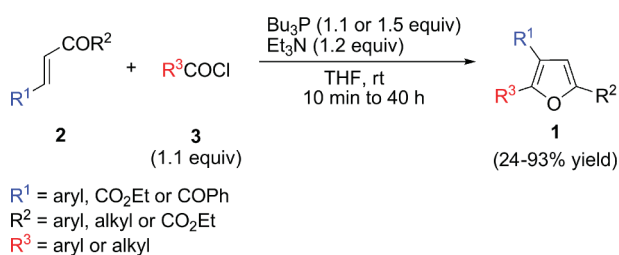


2098

A facile approach to highly functional trisubstituted furans via intramolecular Wittig reactions

Ko-Wei Chen, Siang-en Syu, Yeong-Jiunn Jang and Wenwei Lin*

Syntheses of trisubstituted furans starting from α,β -unsaturated ketones, tributylphosphine, and acyl chlorides, have been realized via intramolecular Wittig reactions.

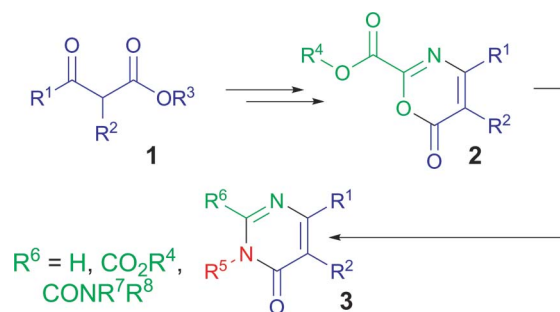


2107

A new diversity oriented and metal-free approach to highly functionalized 3H-pyrimidin-4-ones

Renata Riva,* Luca Banfi, Andrea Basso and Paola Zito

An efficient new approach to the synthesis of 2,3,5,6-tetrasubstituted 3H-pyrimidin-4-ones starting from easily available β -ketoesters through a metal-free methodology.

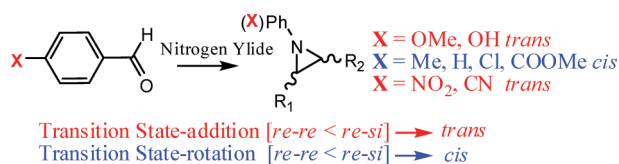


2123

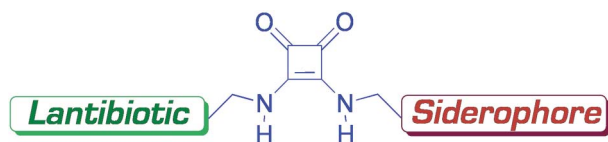
Mechanism and electronic effects in nitrogen ylide-promoted asymmetric aziridination reaction

Ramanan Rajeev and Raghavan B. Sunoj*

Mechanistic insights into a nitrogen ylide mediated asymmetric aziridination reaction reveal the role of remote substituents, away from the reaction site, dictating the stereochemistry of product aziridine.



2133

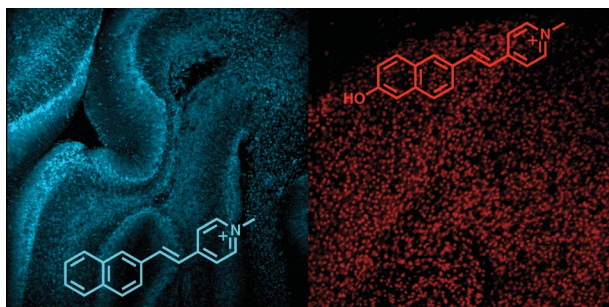


Chemical synthesis and biological evaluation of gallidermin-siderophore conjugates

Sabesan Yoganathan, Clarissa S. Sit and John C. Vederas*

Several gallidermin-siderophore conjugates have been successfully synthesized using an efficient synthetic approach, without affecting the inherent bioactivity of gallidermin.

2142



Fluorescent neuroactive probes based on stilbazolium dyes

Adrienne S. Brown, Lisa-Marie Bernal, Teresa L. Micotto, Erika L. Smith and James N. Wilson*

Spectrally diverse stilbazonium-based fluorophores demonstrate affinities towards nervous system cells. Selective uptake of probes in live brain explants enables imaging of discreet cell populations while pretreatment with monoamine reuptake inhibitors limits cellular internalization.

2149

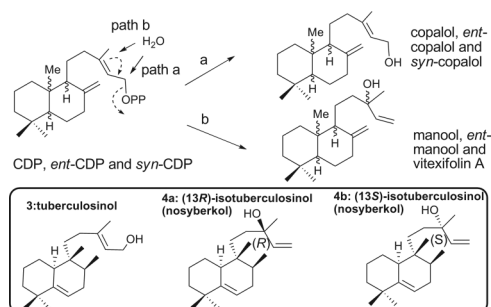


Supramolecular hydrogels based on short peptides linked with conformational switch

Yucheng Huang, Zhenjun Qiu, Yanmei Xu, Junfeng Shi, Hongkun Lin and Yan Zhang*

Photoresponsive supramolecular hydrogels were realized based on the *E*-/*Z*-transition of the conformational switch upon light irradiation.

2156



Substrate specificity of Rv3378c, an enzyme from *Mycobacterium tuberculosis*, and the inhibitory activity of the bicyclic diterpenoids against macrophage phagocytosis

Tsutomu Hoshino,* Chiaki Nakano, Takahiro Ootsuka, Yosuke Shinohara and Takashi Hara

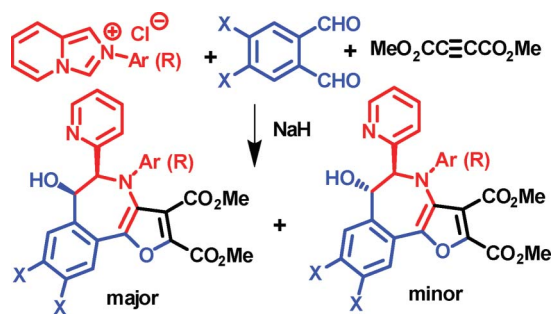
The substrate specificities by Rv3378c enzyme from *M. tuberculosis* are reported. Besides tuberculosinyl-PP, CDP, *ent*-CDP and *syn*-CDP were accepted to afford manool, *ent*-manool and vitexifolin A. Antiphagocytic activity was observed only in halimane-type diterpenes (3 and 4).

2166

The multicomponent reaction of imidazo[1,5-*a*]pyridine carbenes with phthalaldehydes and dimethyl acetylenedicarboxylate: a facile construction of benzo[*d*]furo[3,2-*b*]azepines

Huan-Rui Pan, Xiao-Rong Wang, Cai-Xia Yan, Zhong-Xin Sun and Ying Cheng*

Imidazo[1,5-*a*]pyridine-3-ylidenes reacted with phthalaldehydes and DMAD to produce fluorescent benzo[*d*]furo[3,2-*b*]azepines with long emission wavelengths and high fluorescence quantum yields.

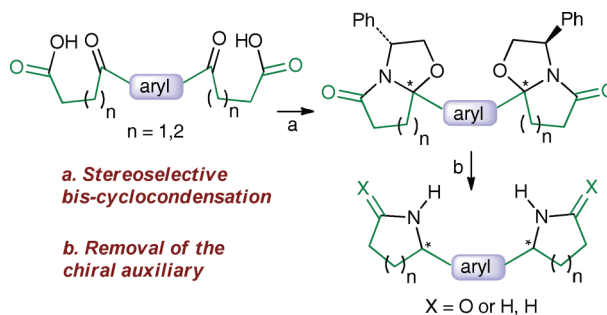


2175

Highly stereoselective double (*R*)-phenylglycinol-induced cyclocondensation reactions of symmetric aryl bis(oxoacids)

Mercedes Amat,* Carlos Arróniz, Elies Molins, Carmen Escolano* and Joan Bosch

The first highly stereoselective double (*R*)-phenylglycinol-induced cyclocondensation reactions of symmetric aryl bis(oxoacids) are reported. Enantiopure di(pyrrolidinyl)benzene has been accessed by successful removal of the chiral auxiliary.

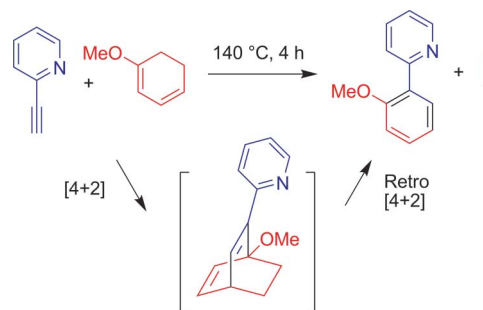


2185

Synthesis of functionalized arylpyridines and -pyrimidines by domino [4+2]/retro [4+2] cycloadditions of electron-rich dienes with alkynylpyridines and -pyrimidines

Obaid-ur-Rahman Abid, Muhammad Nawaz, Muhammad Farooq Ibad, Rasheed Ahmad Khera, Viktor Iaroshenko and Peter Langer*

Aryl-substituted pyridines and pyrimidines were prepared by [4+2] cycloadditions.

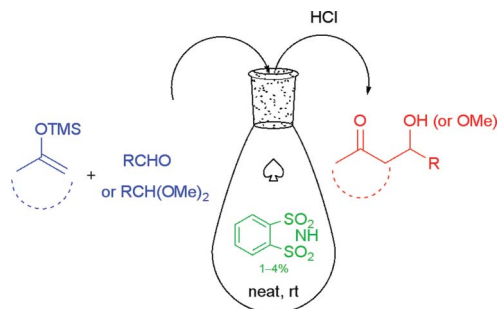


2192

An environmentally friendly Mukaiyama aldol reaction catalyzed by a strong Brønsted acid in solvent-free conditions

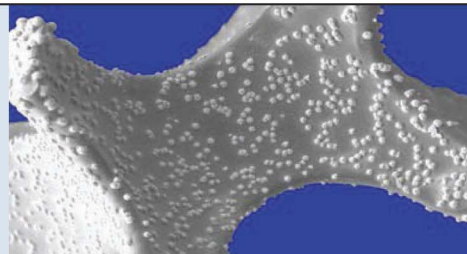
Margherita Barbero,* Stefano Bazzi, Silvano Cadamuro, Stefano Dughera, Claudio Magistris, Alessandra Smarra and Paolo Venturello

A Mukaiyama aldol reaction under solvent-free conditions is reported. The catalyst is a new strong bench-stable Brønsted acid, the *o*-benzenedisulfonimide.





Gordon Research Conference on Environmental Nanotechnology



Announcing the **2011 Gordon Research Conference on Environmental Nanotechnology**, Waterville Valley Resort, Waterville Valley, NH, USA, May 29 - June 3, 2011.

Conference Chair: **Wunmi A. Sadik**, SUNY-Binghamton

Conference Vice Chair: **Nora Savage**, US-EPA

Steering Committee: Katrina Varner, US-EPA, Janet Carter, OSHA, Paul Westerhoff, Arizona State University, Greg Lowry, Carnegie Mellon University, Mark Wiesner, Duke University.

The potential impacts of engineered nanomaterials on human health and the environment have been limited due to insufficient understanding of the risks associated with its development, manipulation and wide-ranging applications. In this inaugural conference, participants will present and discuss cutting edge research on chemical, biological and environmental impacts of engineered nanomaterials. The meeting will feature a wide range of topics, including; synthesis, characterization, exposure, toxicology, metrology, and risk assessment. In addition, the basic mechanisms by which nanoscale materials interact with similar sized particles, larger particles, as well as mixtures will be thoroughly examined. Formal and informal discussions will highlight future directions. Transport, transformation and ultimate fate of these materials in biological and environmental matrices will be explored. The draft program we have assembled is absolutely first-rate, with an international collection of world-leading scientists engaged in research on **Environmental Nanoscience & Technology**.

- **Keynote Presentations: Applications of Nanotechnology – Challenges and Opportunities**
(Mike Roco, NSF / Vicki Colvin, Rice University/Raymond Davis, BASF)
- **Environmental and Biological Implications – Challenges and Opportunities**
(Iain Gillespie, OECD/ Vicki Stone- Napier University, Edinburgh, UK / Pedro Alvarez, Rice University, David Rejeski, Woodrow Wilson Center, Project on Emerging Nanotechnology)
- **Metrology and Harmonized Methodologies**
(Vincent Hackley, NIST / Jamie Lead, University of Birmingham, UK / Paul Westerhoff, Arizona State University)
- **Environmental Exposures: Fate, Transformation & Ecotoxicology**
(Vicki Grassian, U. Iowa / Greg Lowry, Carnegie Mellon; Patricia Holden, UC Santa Barbara / Mark Wiesner, Duke University)
- **Exposure Science and Dosimetry**
(Sally Tinkle, NIEHS/ Yoshida Yasuo, Osaka U., Japan /David Rejeski, Woodrow Wilson Center)
- **Occupational Exposure and Nanomanufacturing Processes**
(Charles Geraci, NIOSH/ Andrew Maynard, U. Michigan/ Patrick O'Shaughnessy, U. Iowa)
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- **Nanomedicine**
(Andrij Holian U. Montana / Andre Nel, UCLA/ Martin Philbert U. Michigan) / Gunter Oberdoster, U. Rochester / Ruth Duncan, Cardiff University, UK
- **Societal Considerations and Future Directions**
(David Baird, U. South Carolina/ Rosalyn Berne, U. Virginia/ David Guston, ASU)

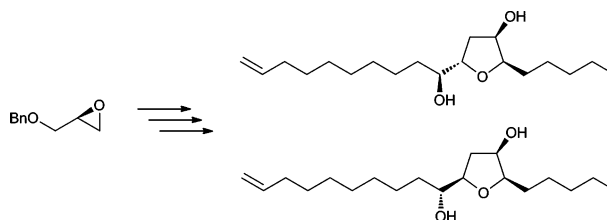
All participants are expected to present a poster. The steering committee is working to provide supplemental funds for students, postdocs and junior faculty to attend the meeting. Please submit an application by **May 8, 2011** at <http://www.grc.org/programs.aspx?year=2011&program=enviranano>

2198

Total synthesis of C₁₉ lipid diols containing a 2,5-disubstituted-3-oxygenated tetrahydrofuran

Caroline L. Nesbitt and Christopher S. P. McErlean*

The total synthesis of two non-natural C₁₉ lipid diols is described. The 2,5-disubstituted-3-oxygenated tetrahydrofuran core of each compound was generated under substrate control from a common starting material.

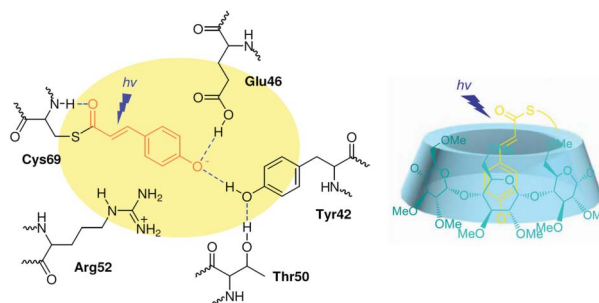


2209

The design, synthesis and photochemical study of a biomimetic cyclodextrin model of Photoactive Yellow Protein (PYP)

Christina Loukou, Pascale Changenet-Barret, Marie-Noelle Rager, Pascal Plaza, Monique M. Martin and Jean-Maurice Mallet*

The restricted cyclodextrin cavity environment mimics the Photoactive Yellow Protein hydrophobic pocket by forcing the photoisomerisation of its appended chromophore.

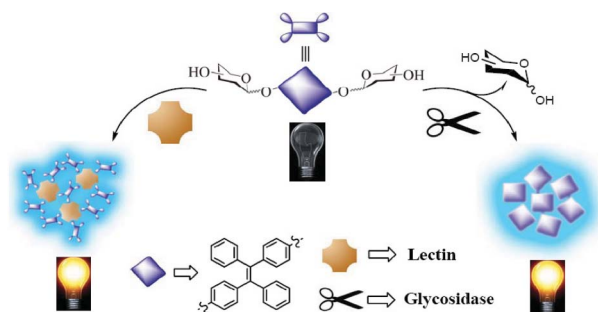


2219

Sugar-bearing tetraphenylethylene: novel fluorescent probe for studies of carbohydrate–protein interaction based on aggregation-induced emission

Jin-Xiang Wang, Qi Chen, Ning Bian, Fen Yang, Jing Sun, Ai-Di Qi, Chao-Guo Yan* and Bao-Hang Han*

Aggregation derived from carbohydrate–lectin binding or glycosidase-induced hydrolysis can switch on the fluorescence of water-soluble tetraphenylethylene-based glyco-conjugates in aqueous solution based on their aggregation-induced emission feature.

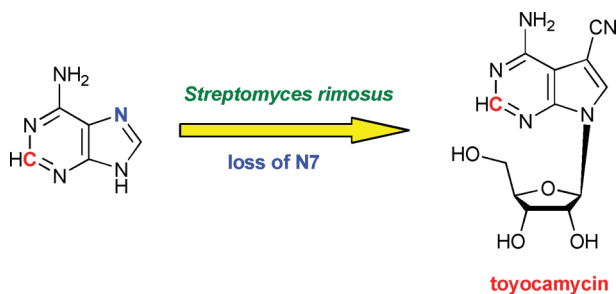


2227

7-Deazapurine biosynthesis: NMR study of toyocamycin biosynthesis in *Streptomyces rimosus* using 2-¹³C-7-¹⁵N-adenine

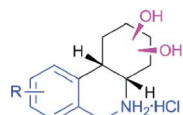
Ugo Battaglia, Jed E. Long, Mark S. Searle and Christopher J. Moody*

The puzzling loss of N-7 in 7-deazapurine biosynthesis has been studied by feeding doubly labelled [2-¹³C, 7-¹⁵N]-adenine to *Streptomyces rimosus*.



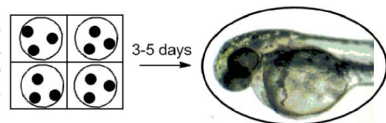
2233

Rapid library synthesis



R = H, Me, F, OMe,
-OCH₂O- etc.

Phenotypic evaluation

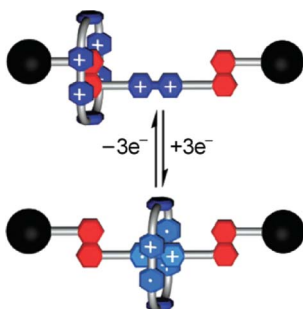


Rapid synthesis and zebrafish evaluation of a phenanthridine-based small molecule library

Lauren R. Donaldson, Stephen Wallace, David Haigh,
E. Elizabeth Patton and Alison N. Hulme*

A phenanthridine-based small molecule library was rapidly assembled using Heck methodology; library evaluation identified a novel inhibitor of early-stage zebrafish embryo development.

2240

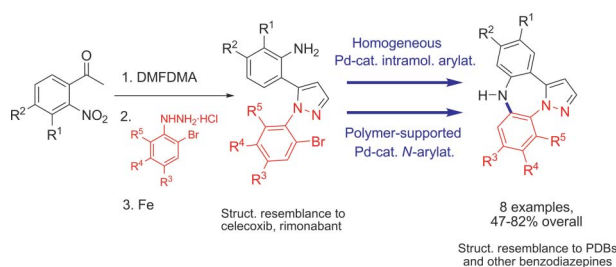


Degenerate [2]rotaxanes with electrostatic barriers

Hao Li, Yan-Li Zhao, Albert C. Fahrenbach,
Soo-Young Kim, Walter F. Paxton and J. Fraser Stoddart*

Upon reduction, the one-electron reduced bipyridinium radical cation on the dumbbells of the degenerate [2]rotaxanes serves as an additional recognition site for the two-electron reduced cyclobis(paraquat-*p*-phenylene) diradical cationic rings. The rings in the molecular shuttles can be switched between the three recognition sites – two 1,5-dioxynaphthalene units and one-electron reduced bipyridinium radical cation – under redox control.

2251

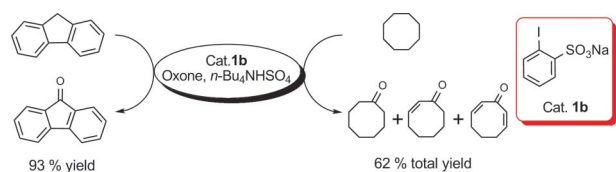


An straightforward entry to new pyrazolo-fused dibenzo[1,4]diazepines

Susana Hernández, Isabel Moreno, Raul SanMartin,*
María Teresa Herrero and Esther Dominguez*

A series of novel pyrazolodibenzo[1,4]diazepines has been synthesized by a sequence involving a tandem sequence amine-exchange/heterocyclization, that gives access to diarylpyrazole intermediates structurally related to rimonabant or celecoxib, followed by a key C_{aryl}-N bond construction, which is conducted in both homogeneous and polymer-supported versions.

2258



Effective oxidation of benzylic and alkane C–H bonds catalyzed by sodium *o*-iodobenzenesulfonate with Oxone as a terminal oxidant under phase-transfer conditions

Li-Qian Cui, Kai Liu and Chi Zhang*

Catalytic oxidation of benzylic and alkane C–H bonds could be efficiently realized using IBS as a catalyst which was generated *in situ* from the oxidation of cat. **1b** by Oxone in the presence of a phase-transfer catalyst tetra-*n*-butylammonium hydrogen sulfate in anhydrous acetonitrile at 60 °C.

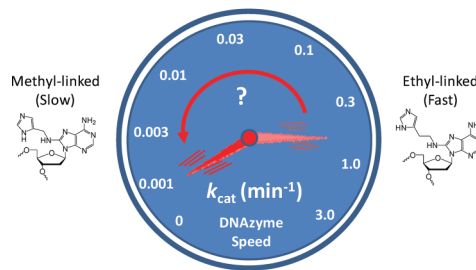
2266

Protein-inspired modified DNAszymes: dramatic effects of shortening side-chain length of 8-imidazolyl modified deoxyadenosines in selecting RNaseA mimicking DNAszymes

Christopher J. Hipolito, Marcel Hollenstein, Curtis H. Lam and David M. Perrin*

A selection of a DNAszyme dependent on 8-(imidazolyl-amino-alkyl)-linked adenosines illustrates how small differences in functional group linker length greatly affect selection outcome in terms of DNAszyme efficiency.

Imidazole Modified M²⁺-free DNAszymes

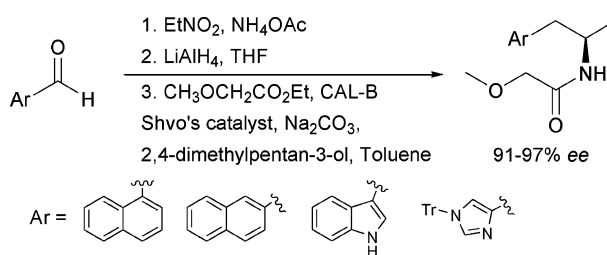


2274

Straightforward preparation of biologically active 1-aryl- and 1-heteroarylpropan-2-amines in enantioenriched form

María Rodríguez-Mata, Vicente Gotor-Fernández, Javier González-Sabín, Francisca Rebolledo and Vicente Gotor

Enantioenriched 1-(hetero)arylpropan-2-amine derivatives have been prepared by aminolysis reactions through kinetic resolution and dynamic kinetic resolution processes.

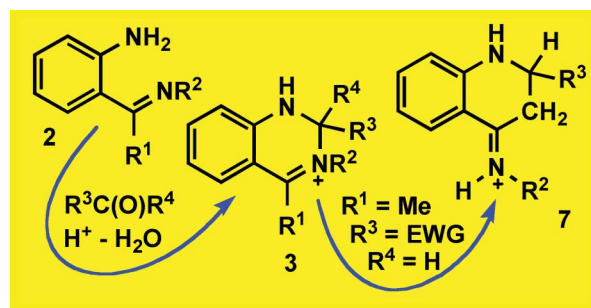


2279

Synthesis of a family of 3-alkyl- or 3-aryl-substituted 1,2-dihydroquinazolinium salts and their isomerization to 4-iminium-1,2,3,4-tetrahydroquinolines

José Vicente,* María Teresa Chicote, Antonio Jesús Martínez-Martínez, Delia Bautista and Peter G. Jones

The first method of synthesis of 1,2-dihydroquinazolinium salts and the isomerization of some 4-methyl derivatives to 4-iminium-1,2,3,4-tetrahydroquinolines are reported.

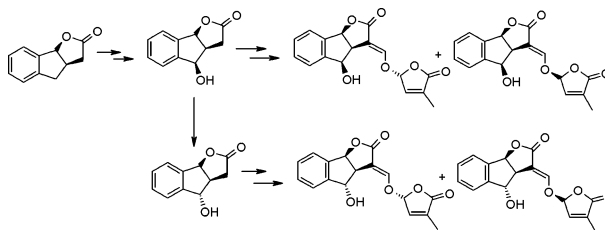


2286

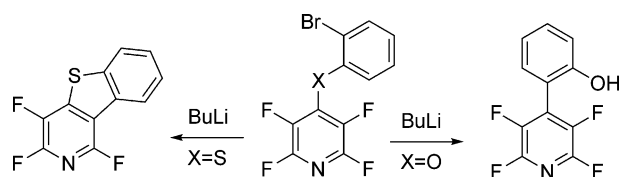
Aromatic A-ring analogues of orobanchol, new germination stimulants for seeds of parasitic weeds

Heetika Malik, Wouter Kohlen, Muhammad Jamil, Floris P. J. T. Rutjes* and Binne Zwanenburg*

New strigolactone analogues have been synthesized from the ABC unit of GR24 by selective oxidation followed by reduction and coupling with the butenolide ring. The germination activity of these analogues was determined for seeds of the parasitic weeds *Striga hermonthica* and *Orobancha ramosa*. These bioassays shed light on the structure–activity relationship of strigolactones.



2294

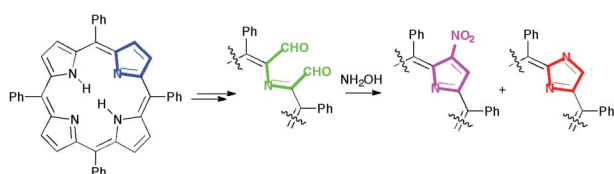


Synthesis of fluorinated fused benzofurans and benzothiophenes: Smiles-type rearrangement and cyclisation of perfluoro(het)aryl ethers and sulfides

Julia Ponce González, Mark Edgar, Mark R. J. Elsegood and George W. Weaver*

Treatment of 2-bromophenyl tetrafluoropyridin-4-yl sulfide with *n*-butyllithium affords the tricyclic 1,3,4-trifluorobenzo[*b*]thieno[3,2-*c*]pyridine whereas reaction with the corresponding ether leads to a Smiles-type rearrangement and formation of a tetrafluoropyridyl phenol.

2306

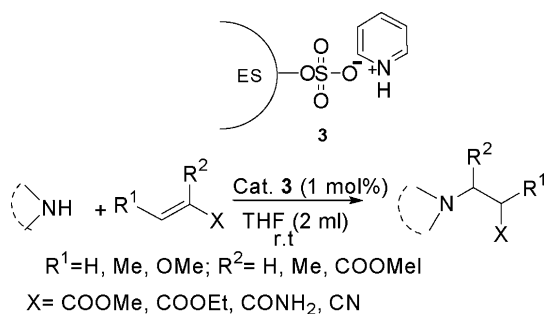


Unexpected hydroxylamine-induced ring-closure reactions of *meso*-tetraphenylsecochlorin bisaldehyde

Joshua Akhigbe, Gretchen Peters, Matthias Zeller and Christian Brückner*

The 'breaking and mending of porphyrins' strategy is utilized to replace a pyrrole in *meso*-tetraphenylporphyrin by an imidazole moiety.

2314

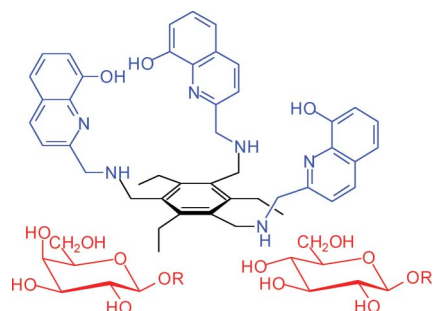


An efficient biomaterial supported bifunctional organocatalyst ($\text{ES-SO}_3^- \text{C}_5\text{H}_5\text{NH}^+$) for the synthesis of β -amino carbonyls

Sanny Verma, Suman L. Jain* and Bir Sain*

An efficient and recyclable bifunctional biomaterial supported organocatalyst for the aza-Michael addition of amines to electron deficient olefins to give corresponding β -aminocarbonyl is described.

2319



8-Hydroxyquinoline as a building block for artificial receptors: binding preferences in the recognition of glycopyranosides

Monika Mazik* and Christoph Geffert

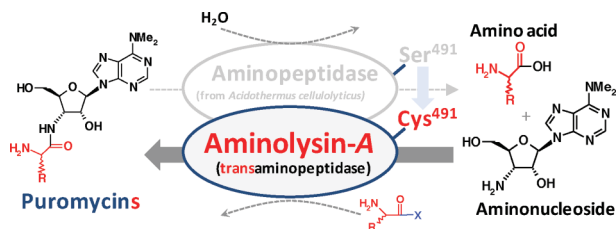
Interesting binding preferences in the recognition of glycosides were observed for 8-hydroxyquinoline-based receptors.

2327

Peptide bond formation by aminolysin-A catalysis: A simple approach to enzymatic synthesis of diverse short oligopeptides and biologically active puromycins

Hirokazu Usuki, Yukihiro Yamamoto, Jiro Arima, Masaki Iwabuchi, Shozo Miyoshi, Teruhiko Nitoda and Tadashi Hatanaka*

A new S9 aminopeptidase from *Acidothermus cellulolyticus* was engineered into a transaminopeptidase for the derivatization of the antibiotic puromycin.

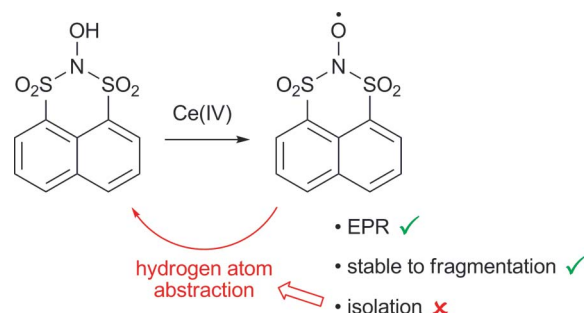


2336

In search of a new class of stable nitroxide: synthesis and reactivity of a *peri*-substituted *N,N*-bissulfonylhydroxylamine

Bhaven Patel, Julie Carlisle, Steven E. Bottle, Graeme R. Hanson, Benson M. Kariuki, Louise Male, John C. McMurtrie, Neil Spencer and Richard S. Grainger*

Cyclic, *peri*- and benzo-fused *N,N*-bissulfonylnitroxides undergo hydrogen atom abstraction in preference to fragmentation.

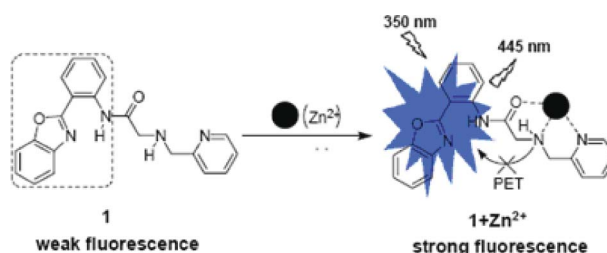


2345

An 2-(2'-aminophenyl)benzoxazole-based OFF-ON fluorescent chemosensor for Zn²⁺ in aqueous solution

Maliang Chen, Xin Lv, Yunlong Liu, Yun Zhao, Jing Liu, Pi Wang and Wei Guo*

A benzoxazole-based probe bearing a 2-picolyamine chelating unit was found to exhibit turn-on fluorogenic responses toward Zn²⁺ in aqueous solution in a highly selective and sensitive manner.

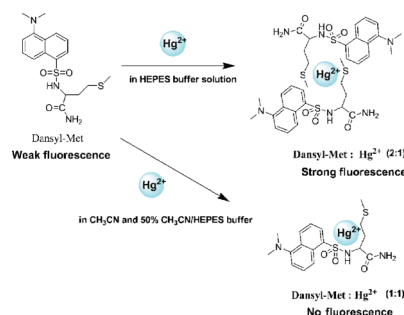


2350

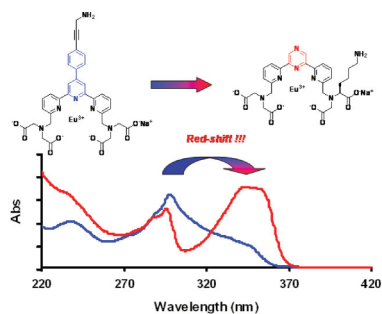
A methionine-based turn-on chemical sensor for selectively monitoring Hg²⁺ ions in 100% aqueous solution

Mi-Hwa Yang, Chuda Raj Lohani, Hyeongjin Cho and Keun-Hyeung Lee*

Dansyl-labeled methionine is synthesized by solid-phase synthesis, and found to be a highly sensitive and selective sensor for Hg²⁺.



2357

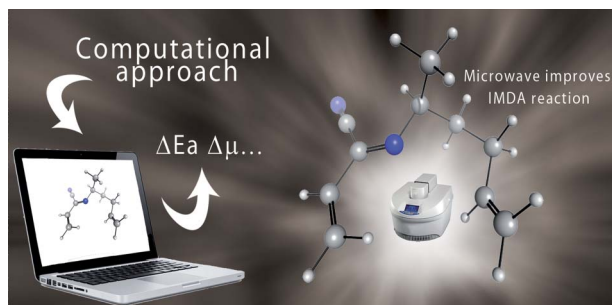


Synthesis and luminescence properties of new red-shifted absorption lanthanide(III) chelates suitable for peptide and protein labelling

Nicolas Maindron, Séverine Poupart, Maxime Hamon, Jean-Baptiste Langlois, Nelly Plé, Ludovic Jean, Anthony Romieu* and Pierre-Yves Renard*

Bis-pyridinylpyrazine-based lanthanide(III) chelates showing a remarkable red-shift on the maximum absorption were synthesised. These new luminescent tags exhibit valuable photo-physical properties in water and are suitable for the labelling of peptides and proteins.

2371

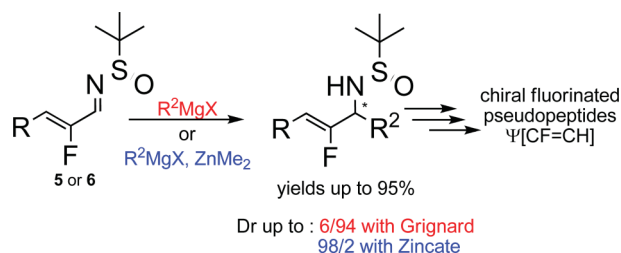


"In silico" mechanistic studies as predictive tools in microwave-assisted organic synthesis

A. M. Rodriguez, P. Prieto,* A. de la Hoz and A. Díaz-Ortiz

Computational studies show the energy requirements of reactions, allowing us to determine which processes can be improved under microwave irradiation.

2378

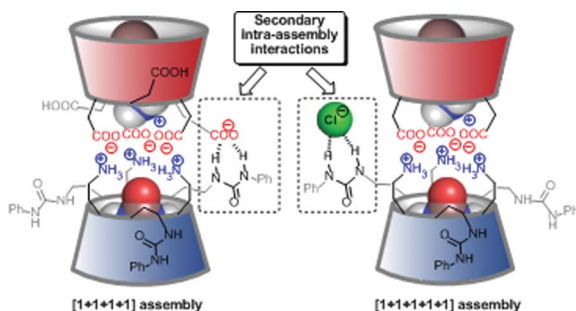


Synthesis of fluorinated pseudopeptides: metal mediated reversal of stereochemistry in diastereoselective addition of organometallic reagents to *N*-(*tert*-butanesulfinyl)- α -fluoroenamines

Camille Pierry, Dominique Cahard, Samuel Couve-Bonnaire and Xavier Pannecoucke*

The synthesis of fluorinated pseudopeptides, featuring a fluoroolefin moiety, was achieved through the stereodivergent addition of organometallic species to chiral *N*-(*tert*-butanesulfinyl)- α -fluoroenamines

2387



Allosterically driven self-assemblies of interlocked calix[6]arene receptors

Stéphane Le Gac,* Jean-François Picron, Olivia Reinaud and Ivan Jabin*

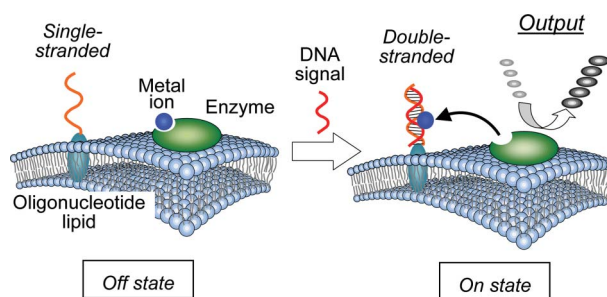
Secondary intra-receptor interactions are demonstrated in four and five component assemblies made of complementary calix[6]arene hosts decorated with a dual recognition pattern.

2397

Switching of the enzymatic activity synchronized with signal recognition by an artificial DNA receptor on a liposomal membrane

Yoshihiro Sasaki,* Masaru Mukai, Akihiro Kawasaki, Kazuma Yasuhara and Jun-ichi Kikuchi*

A supramolecular system capable of activating an enzyme *via* DNA hybridization was fabricated on a liposomal membrane.

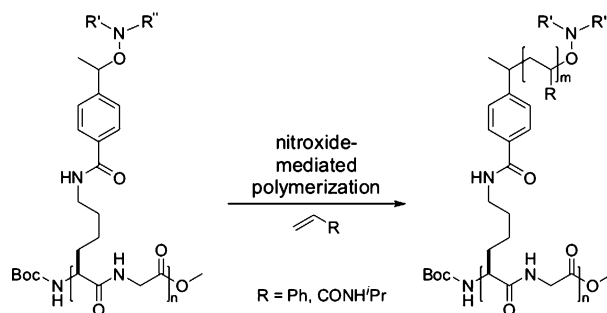


2403

Aggregation behaviour of peptide–polymer conjugates containing linear peptide backbones and multiple polymer side chains prepared by nitroxide-mediated radical polymerization

Michael Möller, Carsten Hentschel, Lifeng Chi and Armido Studer*

The synthesis, characterization and studies on aggregation behavior by DLS and AFM of various peptide–polymer conjugates synthesized by NMP are described.

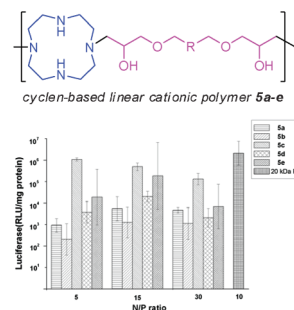


2413

Diol glycidyl ether-bridged cyclens: preparation and their applications in gene delivery

Wen-Jing Yi, Zhi-Hua Feng, Qin-Fang Zhang, Ji Zhang,* Ling-Dong Li, Wen Zhu* and Xiao-Qi Yu*

Linear cyclen-based cationic polymers could deliver plasmid DNA towards different cell types with transfection efficiency close to PEI.

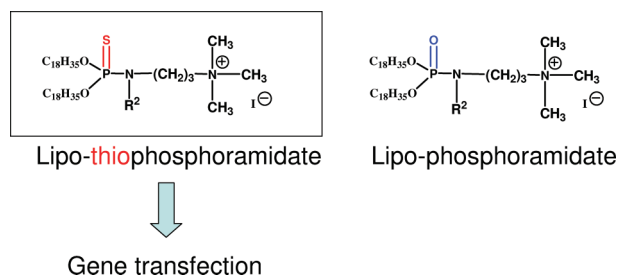


2422

Cationic lipo-thiophosphoramidates for gene delivery: synthesis, physico-chemical characterization and gene transfection activity – comparison with lipo-phosphoramidates

Aurore Fraix, Tristan Montier, Nathalie Carmoy, Damien Loizeau, Laure Burel-Deschamps, Tony Le Gall, Philippe Giamarchi, H el ene Couthon-Gourv es, Jean-Pierre Haelters, Pierre Lehn* and Paul-Alain Jaffr es*

The synthesis of cationic lipo-thiophosphoramidates is reported. The physico-chemical behaviour of liposomal solutions and the transfection efficacies are compared with those of lipo-phosphoramidates.



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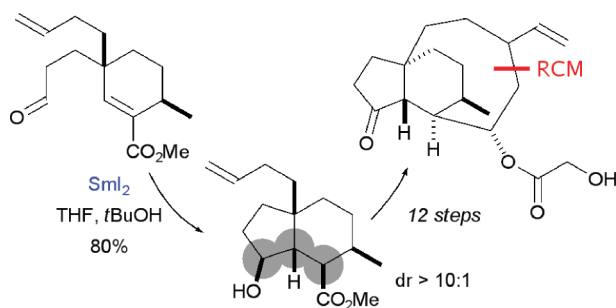


2433

A stereoselective, Sm(II)-mediated approach to decorated *cis*-hydrindanes: synthetic studies on faurinine and pleuromutilin

Thomas J. K. Findley, David Sucunza, Laura C. Miller, Matthew D. Helm, Madeleine Helliwell, David T. Davies and David J. Procter*

A route to *cis*-hydrindanes, featuring SmI₂-mediated cyclisations, has been used in an RCM approach to analogues of the antibacterial pleuromutilin.

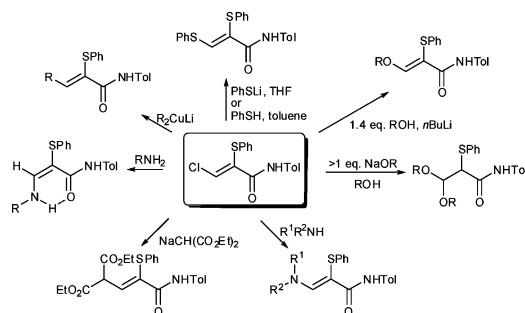


2452

Addition-substitution reactions of 2-thio-3-chloroacrylamides with carbon, nitrogen, oxygen, sulfur and selenium nucleophiles

Marie Kissane, Maureen Murphy, Elisabeth O'Brien, Jay Chopra, Linda Murphy, Stuart G. Collins, Simon E. Lawrence and Anita R. Maguire*

Synthetically versatile conjugate addition of a range of carbon, nitrogen, oxygen, sulfur and selenium nucleophiles to the highly functionalised 2-thio-3-chloroacrylamides is described.

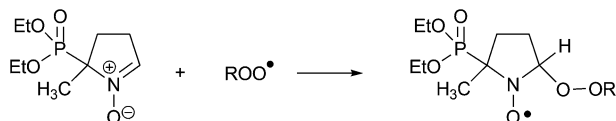


2473

DEPMPO: an efficient tool for the coupled ESR-spin trapping of alkylperoxy radicals in water

Hakim Karoui,* Florence Chalier, Jean-Pierre Finet and Paul Tordo*

Spin trapping of peroxy radicals using DEPMPO as the spin trap

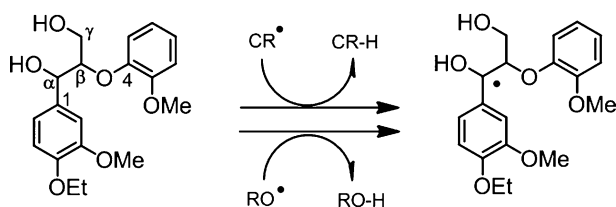


2481

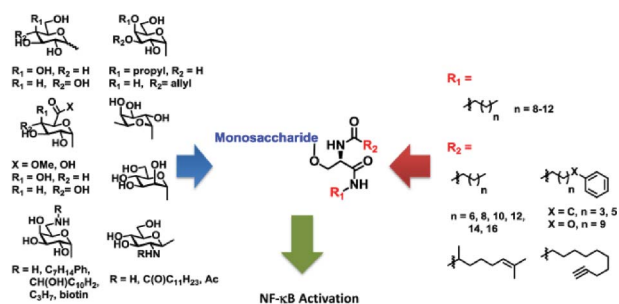
Alkoxy- and carbon-centered radicals as primary agents for degrading non-phenolic lignin-substructure model compounds

Yasunori Ohashi, Yukiko Uno, Rudianto Amirta, Takahito Watanabe, Yoichi Honda and Takashi Watanabe*

Alkoxy and carbon-centered radicals are primary agents to abstract hydrogen from non-phenolic lignin substructure model compounds.



2492

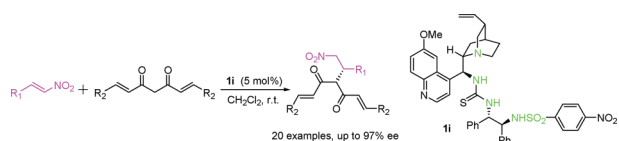


Synthesis of serine-based glycolipids as potential TLR4 activators

Li-De Huang, Hong-Jyune Lin, Po-Hsiung Huang, Wei-Chen Hsiao, L. Vijaya Raghava Reddy, Shu-Ling Fu* and Chun-Cheng Lin*

Sixty- and twenty-membered serine-based glycolipid libraries were synthesized and their immune activities by TLR4 activation were evaluated.

2505

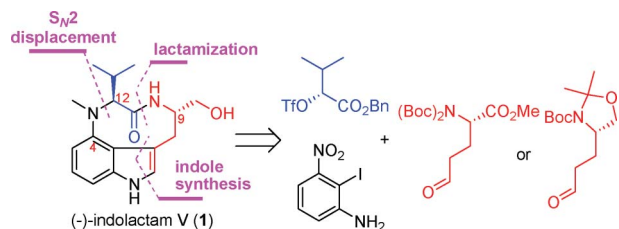


Catalytic asymmetric Michael addition with curcumin derivative

Wenjun Li, Wenbin Wu, Feng Yu, Huicai Huang, Xinmiao Liang and Jinxing Ye*

Catalytic asymmetric Michael additions with curcumin derivatives were achieved by a new series of tertiary amine-thiourea organocatalysts to afford the Michael adducts in high yields and excellent enantioselectivities.

2512

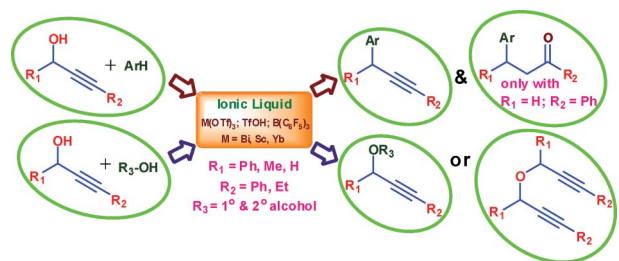


Total synthesis of (-)-indolactam V

Zhengren Xu, Fengying Zhang, Lihe Zhang and Yanxing Jia*

Two approaches for the total synthesis of (-)-indolactam V were achieved, taking advantage of the Pd-catalyzed indole synthesis for the rapid construction of optically pure 4-nitrotryptophan and 4-nitrotryptophanol derivatives.

2518



Electrophilic chemistry of propargylic alcohols in imidazolium ionic liquids: Propargylation of arenes and synthesis of propargylic ethers catalyzed by metallic triflates [Bi(OTf)₃, Sc(OTf)₃, Yb(OTf)₃], TfOH, or B(C₆F₅)₃

Gopalakrishnan Aridoss, Viorel D. Sarca, James F. Ponder Jr, Jessica Crowe and Kenneth K. Laali*

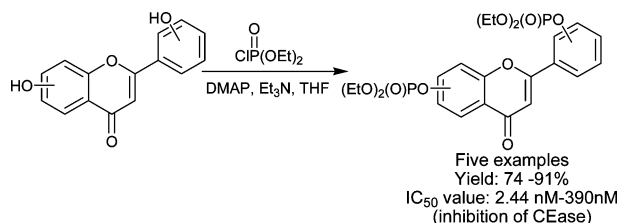
A simple and direct method for arene propargylation and propargyl ether synthesis in ionic liquids.

2530

A new synthesis of fully phosphorylated flavones as potent pancreatic cholesterol esterase inhibitors

Guoping Peng, Yidan Du, Yingling Wei, Jingming Tang, Ai-Yun Peng* and Liqun Rao*

Five flavones possessing one to four phenolic groups were fully phosphorylated efficiently and the obtained compounds showed excellent pancreatic cholesterol esterase inhibitory activities with IC_{50} in the nanomolar range.

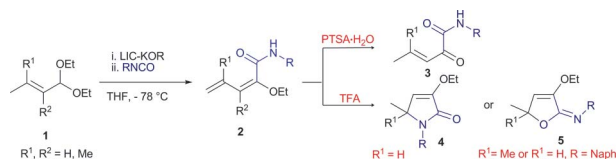


2535

Superbase promoted synthesis of dienamides as useful intermediates for the synthesis of α -ketoamides, γ -lactams and cyclic imino ethers

Marco Blangetti, Annamaria Deagostino, Giuliana Gervasio, Domenica Marabello, Cristina Prandi* and Paolo Venturello

Alkoxydienamides **2** have been synthesized exploiting the reactivity of α,β -unsaturated acetals **1** with isocyanates in the presence of Schlosser's superbase LIC–KOR. In a mild acidic medium, **2** can then be promptly converted both into **3** and into **4** or **5**.

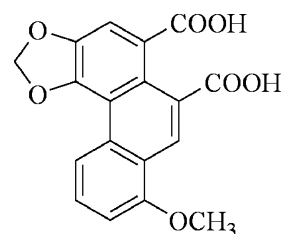


2539

First total synthesis of Papilistatin

Meng Wu, Ling Li, An-Zheng Feng, Bo Su, De-min Liang, Yu-xiu Liu and Qing-min Wang*

Papilistatin has been isolated recently and found to have good anticancer and antibacterial activity. Papilistatin is a unique phenanthrene-1,10-dicarboxylic acid. The first total synthesis of papilistatin is described here with radical cyclisation as the key step.



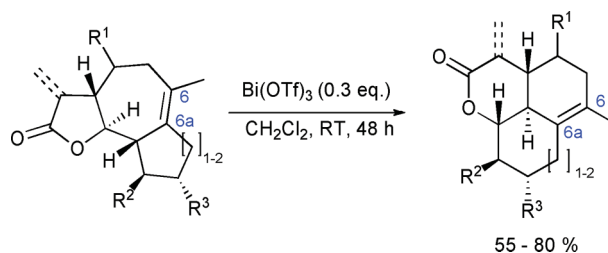
papilistatin

2543

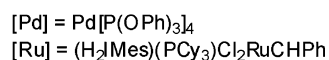
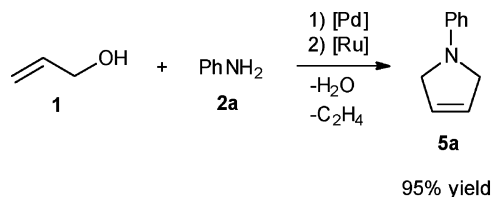
Stereoselective rearrangement of guaianolides to tricyclic δ -valerolactones

Martin Schanderl, Won Boo Jeong, Michael Schwarz and Oliver Reiser*

An unprecedented, highly stereoselective rearrangement of guaianolides, bearing a double bond at the C-6/C-6a position, to tricyclic δ -valerolactones is described.



2548



An atom efficient route to *N*-aryl and *N*-alkyl pyrrolines by transition metal catalysis

Supaporn Sawadjoon and Joseph S. M. Samec*

An atom efficient route to pyrroline with only water and ethene as side-products is presented.

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