

Organic & Biomolecular Chemistry

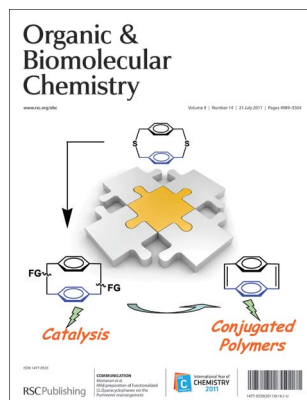
An international journal of synthetic, physical and biomolecular organic chemistry

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IN THIS ISSUE

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Cover

See Montanari *et al.*,
pp. 5018–5020.

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Chem.*, 2011, **9**, 5018.

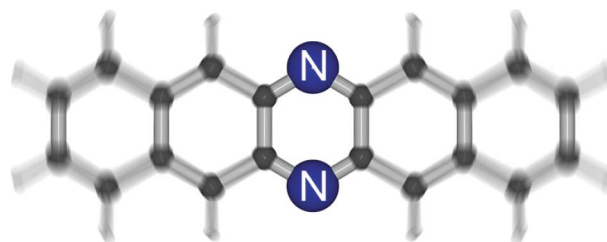
PERSPECTIVE

5005

Putting the 'N' in ACENE: Pyrazinacenes and their structural relatives

Gary J. Richards,* Jonathan P. Hill,* Toshiyuki Mori and Katsuhiko Ariga

Synthesis and properties of acenes and similar compounds containing fused 1,4-pyrazino groups are reviewed.



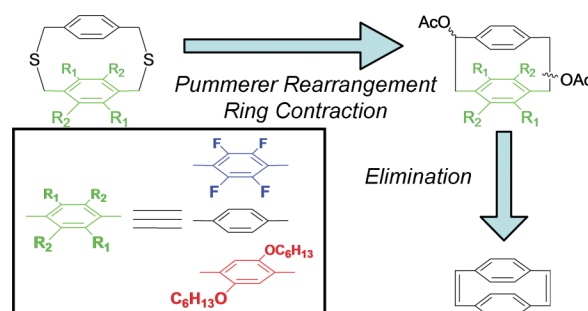
COMMUNICATIONS

5018

Mild preparation of functionalized [2.2]paracyclophanes via the Pummerer rearrangement

Matteo Montanari, Alberto Bugana, Arvind K. Sharma and Dario Pasini*

A novel, mild route to [2.2]paracyclophanes and related [2.2]paracyclophanedienes, compatible with aryl moieties possessing very different electronic properties, is disclosed.



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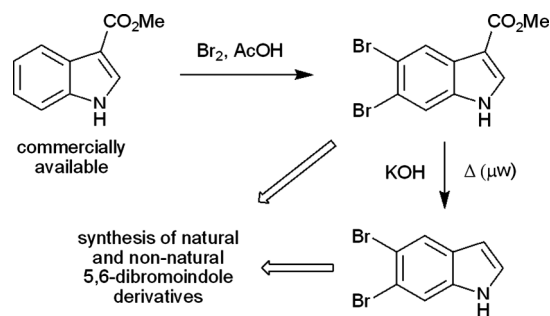
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5021

Regioselective dibromination of methyl indole-3-carboxylate and application in the synthesis of 5,6-dibromoindoles

Thomas B. Parsons, Cédric Ghellamallah, Louise Male, Neil Spencer and Richard S. Grainger*

5,6-Dibromoindole derivatives are readily accessible through bromination of commercially available methyl indole-3-carboxylate and microwave-mediated ester hydrolysis and decarboxylation.

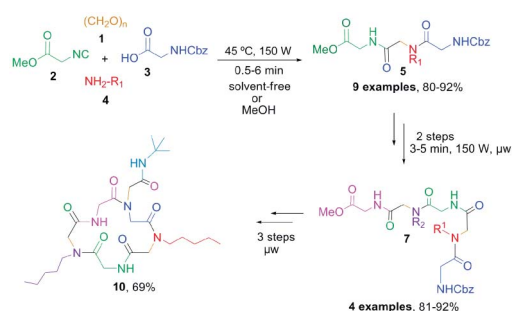


5024

Fast and efficient microwave-assisted synthesis of functionalized peptoids *via* Ugi reactions

Angélica de Fátima S. Barreto, Otilie E. Vercillo, Mike A. Birkett, John C. Caulfield, Ludger A. Wessjohann and Carlos Kleber Z. Andrade*

A wide range of functionalized *N*-alkylglycines (peptoids) can be efficiently prepared *via* Ugi reactions using microwave irradiations.



5028

FeCl₃-Mediated synthesis of polysubstituted tetrahydroquinolines *via* domino Mannich/Friedel–Crafts reactions of aldehydes and amines

Yan-Fang Yang, Xing-Zhong Shu, Hai-Long Wei, Jian-Yi Luo, Shaikat Ali, Xue-Yuan Liu and Yong-Min Liang*

A useful method to construct polysubstituted tetrahydroquinolines has been developed through an iron(III) chloride-mediated domino reactions of aliphatic aldehydes with aromatic amines.

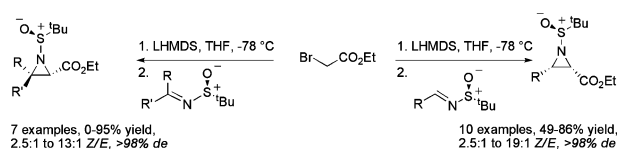


5034

Stereoselective aza-Darzens reactions of *tert*-butanesulfinimines: convenient access to chiral aziridines

Toni Moragas Solá, Ian Churcher, William Lewis and Robert A. Stockman*

Stereoselective synthesis of 2,3-di- and 2,2',3-tri-substituted aziridines in good yields and excellent diastereoselectivities are achieved through aza-Darzens reactions of a range of *tert*-butanesulfinyl aldimines and ketimines with ethyl bromoacetate.





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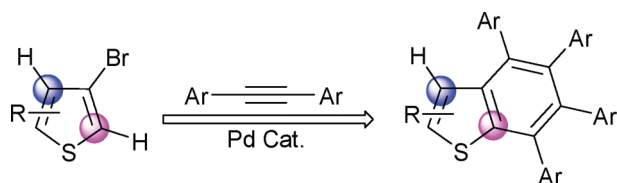
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5036

Palladium-catalyzed three-component domino reaction for the preparation of benzo[*b*]thiophene and related compounds

Huanan Huang, Jing Li, Weining Zhao, Yanbo Mei and Zheng Duan*

We report an efficient and regioselective palladium-catalyzed three-component domino reaction of bromothiophenes with alkynes to produce benzo[*b*]thiophenes.



5039

CuBr Catalyzed C–N cross coupling reaction of purines and diaryliodonium salts to 9-arylpurines

Hong-Ying Niu, Chao Xia, Gui-Rong Qu,* Qian Zhang, Yi Jiang, Run-Ze Mao, De-Yang Li and Hai-Ming Guo*

CuBr was found to be an efficient catalyst for the C–N cross coupling reaction of purine and diaryliodonium salts. 9-Arylpurines were synthesized in excellent yields with short reaction times (2.5 h). The method represents an alternative to the synthesis of 9-arylpurines *via* Cu(II) catalyzed C–N coupling reaction with arylboronic acids as arylating agents.

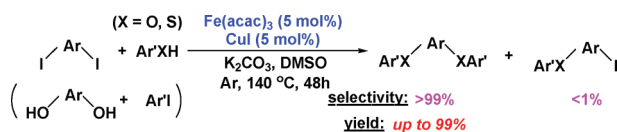


5043

Ligand-free highly effective iron/copper co-catalyzed formation of dimeric aryl ethers or sulfides

Xiaoming Qu, Tingyi Li, Yan Zhu, Peng Sun, Hailong Yang and Jincheng Mao*

High yields of dimeric aryl ethers or sulfides could be prepared easily by Fe/Cu co-catalyzed C–O(S) coupling reactions in the absence of ligands.

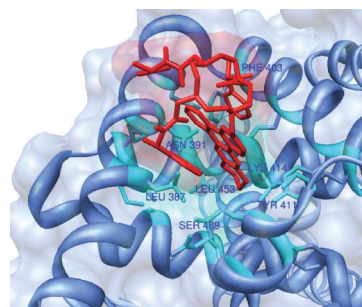


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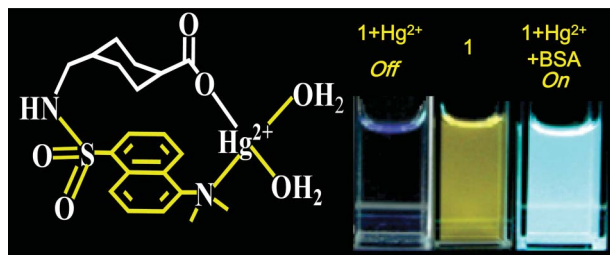
Enantioselective binding of a lanthanide(III) complex to human serum albumin studied by ¹H STD NMR techniques

David M. Dias, João M. C. Teixeira, Ilya Kuprov, Elizabeth J. New, David Parker and Carlos F. G. C. Geraldes*

Enantioselective binding of the Y(III)-tetraazatriphenylene complex (*SSS*)- Δ isomer to drug-site II of human serum albumin was detected by ¹H STD NMR.



5051

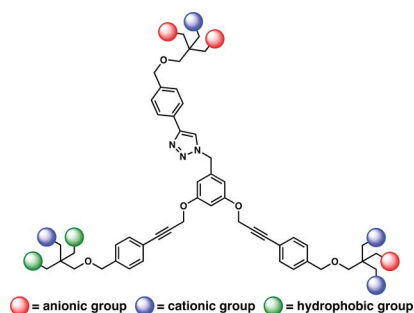


Protein assisted fluorescence enhancement of a dansyl containing fluorescent reagent: Detection of Hg²⁺ ion in aqueous medium

Priyanka Srivastava, Mohammad Shahid and Arvind Misra*

Protein assisted fluorescence enhancement for detection of Hg²⁺ ion in aqueous medium.

5056

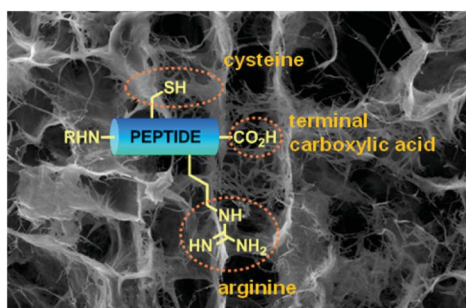


A programmable “build–couple” approach to the synthesis of heterofunctionalized polyvalent molecules

Ritwik Burai, Jaruwan Chatwichien and Brian R. McNaughton*

A modular and programmable synthesis of heterofunctionalized polyvalent molecules is described. A maximally divergent “build–couple” strategy was employed, wherein heterofunctionalized polyvalent modules are first synthesized, then selectively attached to a multi-podal core structure.

5059



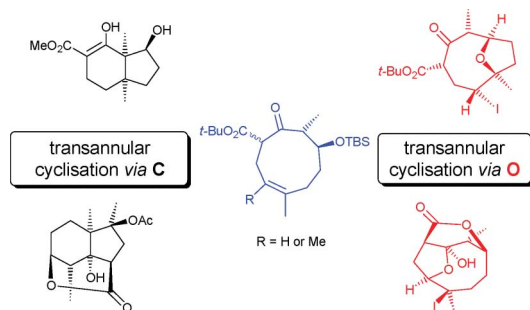
Designing neutral metallophilic hydrogels from di- and tripeptides

Ibon Odriozola,* Pablo Casuso, Iraidia Loinaz, Germán Cabañero and Hans. J. Grande

How could we design a low molecular weight peptide to behave as a hydrogelator at neutral pH values?

PAPERS

5062



Studies on transannulation reactions across a nine-membered ring: the synthesis of natural product-like structures

Mudassar Iqbal, Richard J. G. Black, Joby Winn, Andrew T. Reeder, Alexander J. Blake and Paul A. Clarke*

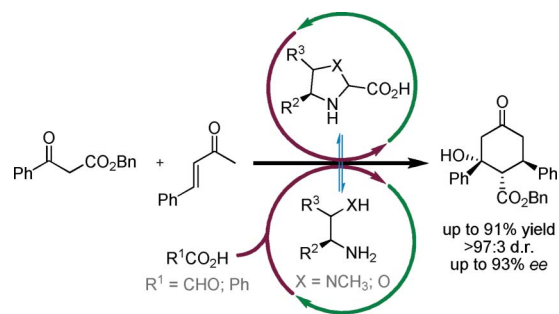
Novel transannulation reactions *via* oxygen or carbon generated the cores of hexacyclic acid analogues, the pinguisane and austrodorane sesquiterpenoids respectively.

5079

First asymmetric cascade reaction catalysed by chiral primary aminoalcohols

Carlos Arróniz, Carmen Escolano,* F. Javier Luque,*
Joan Bosch and Mercedes Amat

1,2-Aminoalcohols have been explored for the first time as organocatalysts for a cascade reaction. The acid cocatalyst determines the chiral species that might catalyze the process (cyclic secondary amines vs. acyclic primary amines). A detailed possible reaction mechanism is proposed and the stereochemical outcome is supported by theoretical calculations.

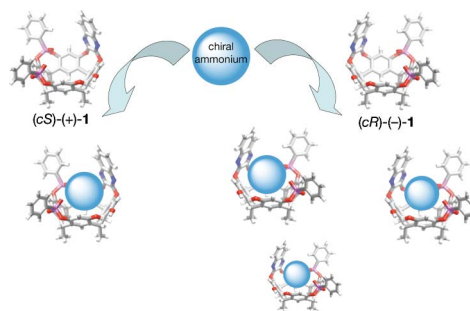


5086

Inherently chiral phosphonocavitands as artificial chemo- and enantio-selective receptors of natural ammoniums

Jérôme Vachon, Steven Harthong, Erwann Jeanneau,
Christophe Aronica, Nicolas Vanthuyne, Christian Roussel
and Jean-Pierre Dutasta*

Inherently chiral phosphonocavitands recognize ammonium cations of biological interest such as adrenaline, nicotine and ephedrine derivatives with, in some cases, noticeable enantioselective discrimination.

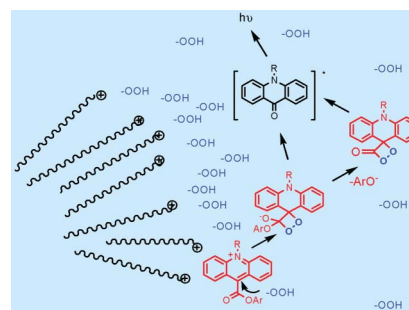


5092

Effect of surfactants on the chemiluminescence of acridinium dimethylphenyl ester labels and their conjugates

Anand Natrajan,* David Sharpe and David Wen

Cationic and zwitterionic surfactants accelerate light emission kinetics and total light output from acridinium dimethylphenyl ester labels and their conjugates.

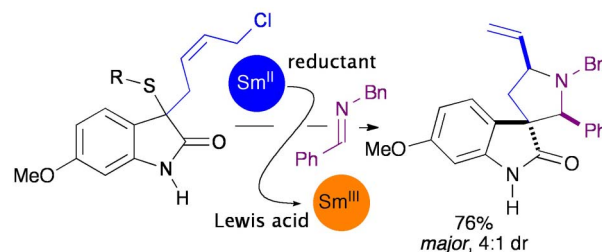


5104

Exploiting Sm(II) and Sm(III) in SmI₂-initiated reaction cascades: application in a tag removal–cyclisation approach to spirooxindole scaffolds

Susannah C. Coote, Seidjolo Quenum and David J. Procter*

A reaction cascade utilises both oxidation states of a samarium reagent in discrete steps and allows access to privileged, pyrrolidinyloxyindole scaffolds.



• exploiting Sm(II) and Sm(III) in SmI₂-mediated cascades •

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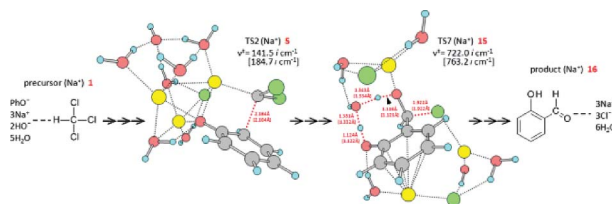
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5109

A significant role of alkaline cations on the Reimer–Tiemann reaction

Shinichi Yamabe and Takeshi Fukuda

The Reimer–Tiemann reaction was investigated by DFT calculations with models of CHCl_3 , $\text{PhO}^-(\text{M}^+) \text{H}_2\text{O}$ and $[\text{MOH}(\text{H}_2\text{O})_2]_2$ for $\text{M} = \text{Na}$ and K .

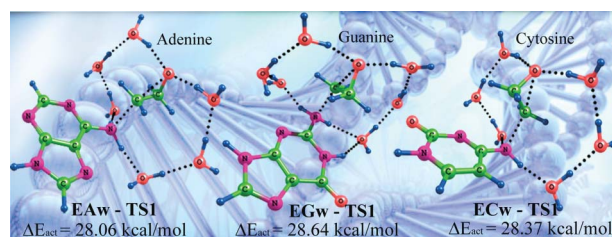


5115

Mechanism of epoxide hydrolysis in microsolvated nucleotide bases adenine, guanine and cytosine: A DFT study

Kunduchi P. Vijayalakshmi, Neetha Mohan, Manjaly J. Ajitha and Cherumuttathu H. Suresh*

Hydrated DNA bases become highly $\text{S}_{\text{N}}2$ active on epoxide systems and occurrence of such reactions can inflict permanent damage to the DNA.

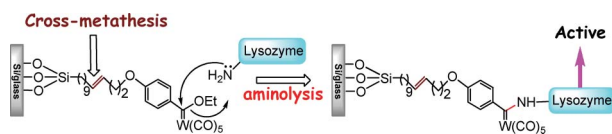


5123

Covalent immobilization of active lysozyme on Si/glass surface using alkoxy Fischer carbene complex on SAM

Piyali Dutta, Namrata Ray, Sarita Roy, Anjan Kr. Dasgupta, Othman Bouloussa and Amitabha Sarkar*

An alkoxy Fischer carbene complex grafted onto an alkene terminated SAM by cross-metathesis was used to immobilize an active lysozyme by simple, instantaneous aminolysis reaction.

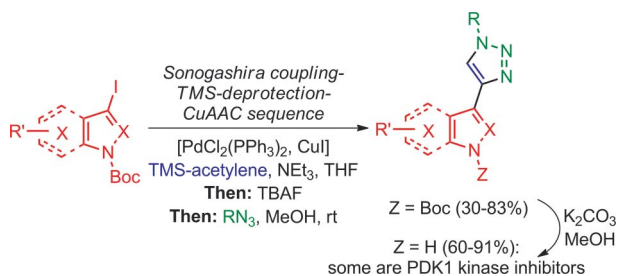


5129

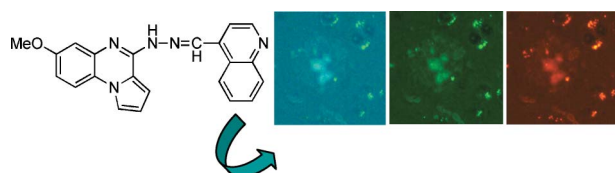
Rapid preparation of triazolyl substituted NH-heterocyclic kinase inhibitors via one-pot Sonogashira coupling–TMS-deprotection–CuAAC sequence

Eugen Merkul, Fabian Klukas, Dieter Dorsch, Ulrich Grädler, Hartmut E. Greiner and Thomas J. J. Müller*

Triazolyl substituted *N*-Boc protected NH-heterocycles are readily accessible via a one-pot three-component Sonogashira coupling–TMS-deprotection–CuAAC (“click”) sequence. Some deprotected derivatives have turned out to inhibit the oncology relevant kinase PDK1.



5137

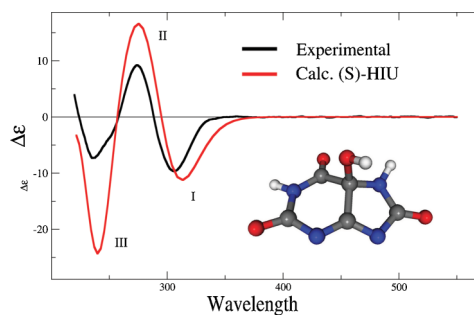


Pyrroloquinoxaline hydrazones as fluorescent probes for amyloid fibrils

S. Gemma, L. Colombo, G. Forloni, L. Savini, C. Fracasso, S. Caccia, M. Salmona, M. Brindisi, B. P. Joshi, P. Tripaldi, G. Giorgi, O. Tagliatela-Scafati, E. Novellino, I. Fiorini, G. Campiani* and S. Butini

Pyrroloquinoxaline hydrazones showing an increase of fluorescence upon binding to amyloid fibrils in tissue preparations and brain permeability were developed.

5149

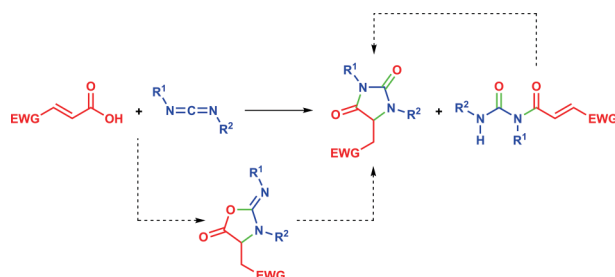


Absolute stereochemistry and preferred conformations of urate degradation intermediates from computed and experimental circular dichroism spectra

Silvio Pipolo, Riccardo Percudani and Roberto Cammi*

Time-dependent density functional theory and ECD experiments reveal that the enzymatic oxidation of urate produces intermediates in the *S* configuration.

5156

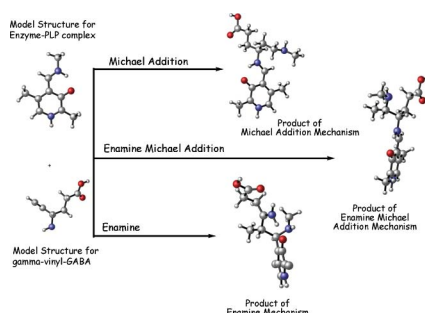


Domino synthesis of 1,3,5-trisubstituted hydantoins: a DFT study

Tommaso Marcelli,* Francesca Olimpieri and Alessandro Volonterio*

We present a theoretical study of the one-pot synthesis of hydantoins from carbodiimides and activated α,β -unsaturated carboxylic acids using high-level density functional theory calculations.

5162



Theoretical studies on the inactivation mechanism of γ -aminobutyric acid aminotransferase

A. T. Durak, H. Gökcan and F. A. S. Konuklar*

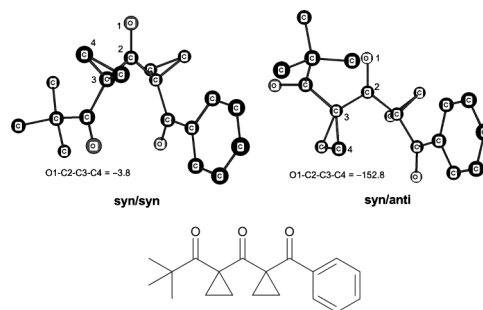
The inactivation mechanism of GABA-AT in the presence of γ -vinyl-GABA has been studied by means of theoretical calculations.

5172

Synthesis and characterization of bis-cyclopropanated 1,3,5-tricarbonyl compounds. A combined synthetic, spectroscopic and theoretical study

Thomas Rahn, Franziska Bendrath, Martin Hein, Wolfgang Baumann, Haijun Jiao, Armin Börner, Alexander Villinger and Peter Langer*

Bis-cyclopropanated 1,3,5-tricarbonyl compounds were prepared and structurally characterized by spectroscopic and theoretical methods.

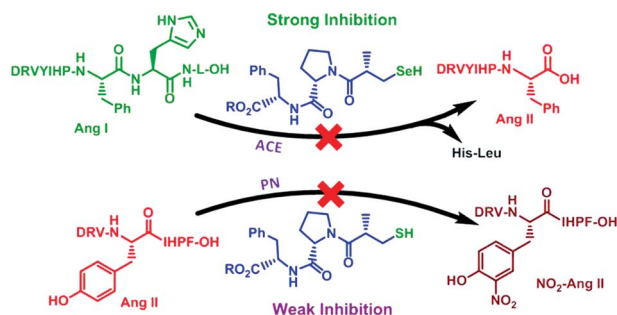


5185

Effect of peptide-based captopril analogues on angiotensin converting enzyme activity and peroxynitrite-mediated tyrosine nitration

Bhaskar J. Bhuyan and Govindasamy Mugesh*

Introduction of a phenylalanine residue enhances the ACE inhibition activity of captopril analogues suggesting the presence of a phenylalanine binding pocket.

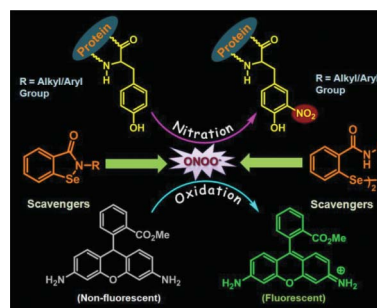


5193

Mechanistic investigations on the efficient catalytic decomposition of peroxynitrite by ebsele analogues

Krishna P. Bhabak, Amit A. Vernekar, Surendar R. Jakka, Gouriprasanna Roy and Govindasamy Mugesh*

The reactions of selenenyl amides with peroxynitrite produce the corresponding seleninic acids *via* hydrolysis of the selenoxides and the hydrolysis appears to be a deactivating pathway in the isomerization.

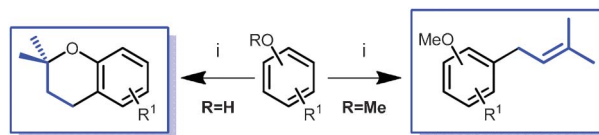


5201

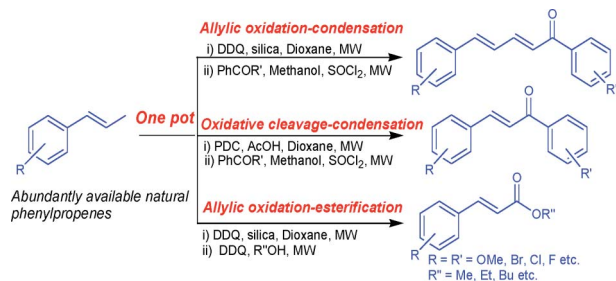
Bi(OTf)₃-catalysed prenylation of electron-rich aryl ethers and phenols with isoprene: a direct route to prenylated derivatives

Katie E. Judd and Lorenzo Caggiano*

Electron-rich aromatics react with isoprene in the presence of catalytic Bi(OTf)₃ under mild conditions to afford prenylated products directly. This transformation offers a convenient and expedient entry to prenylated derivatives that often display enhanced biological activities.



5211

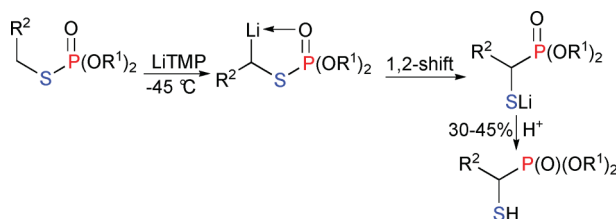


Tandem allylic oxidation–condensation/esterification catalyzed by silica gel: an expeditious approach towards antimalarial diaryldienones and enones from natural methoxylated phenylpropenes

Abhishek Sharma, Naina Sharma, Amit Shard, Rakesh Kumar, Dinesh Mohanakrishnan, Saima, Arun K. Sinha* and Dinkar Sahal

A new one-pot strategy affords the direct transformation of methoxylated phenylpropenes into diaryldienones and enones possessing promising antimalarial activity.

5220

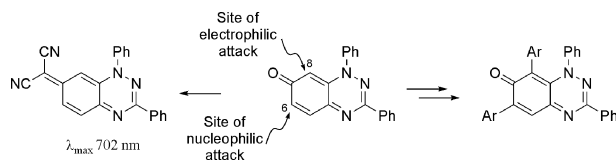


Rearrangement of lithiated *S*-alkyl *O,O*-dialkyl thiophosphates: Scope and stereochemistry of the thiophosphate–mercaptophosphonate rearrangement

Violeta Philippitsch and Friedrich Hammerschmidt*

LiTMP converts *S*-alkyl thiophosphates to microscopically configurationally stable α -thioalkyllithiums that rearrange to α -mercaptophosphonates with retention of configuration.

5228

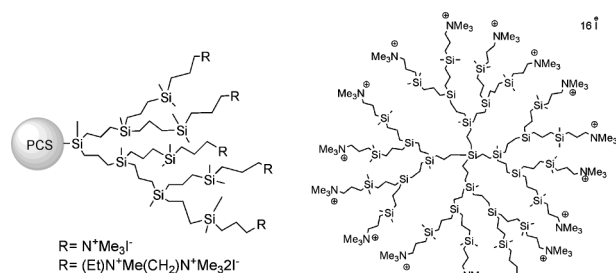


1,3-Diphenylbenzo[*e*][1,2,4]triazin-7(1*H*)-one: Selected Chemistry at the C-6, C-7 and C-8 Positions

Panayiotis A. Koutentis,* Harry Krassos and Daniele Lo Re

The chemistry of 1,3-diphenylbenzo[1,2,4]triazin-7(1*H*)-one is explored. Regioselective nucleophilic addition occurs at C-6 while electrophilic addition occurs at C-8.

5238



Hyperbranched polymers *versus* dendrimers containing a carbosilane framework and terminal ammonium groups as antimicrobial agents

Paula Ortega, Beatriz Macarena Cobaleda, Jose Manuel Hernández-Ros, Elena Fuentes-Paniagua, Javier Sánchez-Nieves, M^a Pilar Tarazona, Jose Luis Copa-Patiño, Juan Soliveri, Fco. Javier de la Mata* and Rafael Gómez*

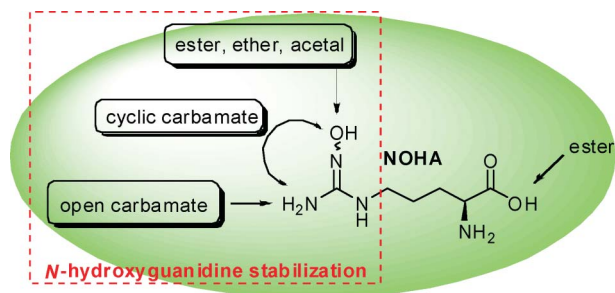
Ammonium-terminated-PCS *versus* analogous carbosilane dendrimers as antimicrobial agents.

5249

Prodrug design for the potent cardiovascular agent *N*^ω-hydroxy-L-arginine (NOHA): Synthetic approaches and physicochemical characterization

Dennis Schade,* Jürke Kotthaus, Nikola Klein, Joscha Kotthaus and Bernd Clement*

Design of physicochemically stabilized *N*-hydroxyguanidines paves the way for therapeutic applications of the natural nitric oxide donor NOHA.



5260

Phosphine-catalyzed [3 + 2] cycloaddition of allenates with trifluoromethylketones: synthesis of dihydrofurans and tetrahydrofurans

Tong Wang and Song Ye*

The triphenylphosphine-catalyzed formal [3 + 2] cycloaddition of allenates and trifluoromethylketones was realized to give the corresponding dihydrofurans in good yields with excellent γ -regioselectivities.

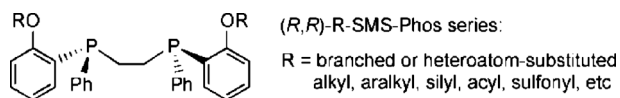


5266

Profiling the tuneable R-SMS-Phos structure in the rhodium(I)-catalyzed hydrogenation of olefins: the last stand?

Michel Stephan,* Damjan Šterk, Borut Zupančič and Barbara Mohar

A “R-SMS-Phos” series was screened for Rh(I)-catalyzed hydrogenation revealing a beneficial impact on enantioselectivity and catalyst activity compared to DiPAMP.

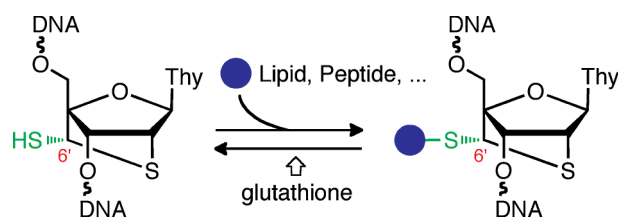


5272

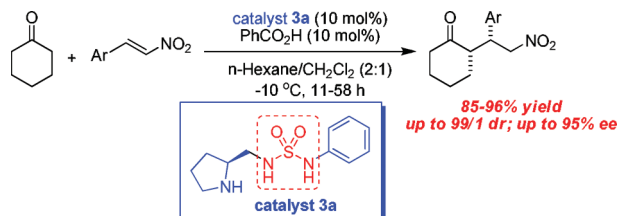
Bridged nucleic acid conjugates at 6'-thiol: synthesis, hybridization properties and nuclease resistances

Kazuto Mori, Tetsuya Kodama,* Takeshi Baba and Satoshi Obika*

A bridged nucleic acid (BNA) bearing a 6'-thiol function synthesized by a photo-induced rearrangement shows suitable properties to prepare oligonucleotide conjugates.



5280

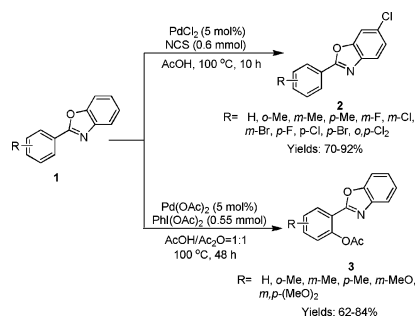


Pyrrolidinyl-sulfamide derivatives as a new class of bifunctional organocatalysts for direct asymmetric Michael addition of cyclohexanone to nitroalkenes

Jia-Rong Chen,* Liang Fu, You-Quan Zou, Ning-Jie Chang, Jian Rong and Wen-Jing Xiao*

A series of chiral pyrrolidinyl-sulfamide derivatives have been identified as efficient bifunctional organocatalysts for the direct Michael addition of cyclohexanone to a wide range of nitroalkenes. The desired Michael adducts were obtained in high chemical yields and excellent stereoselectivities (up to 99/1 dr and 95% ee).

5288

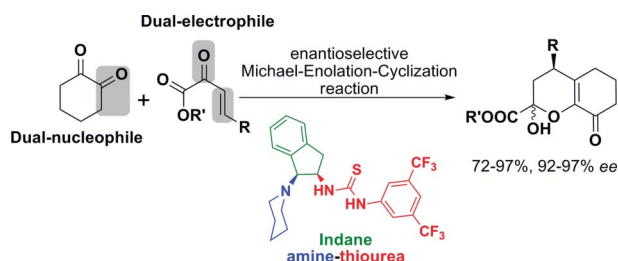


Chlorination and *ortho*-acetoxylation of 2-arylbenzoxazoles

Yuting Leng, Fan Yang,* Weiguo Zhu, Yangjie Wu* and Xiang Li

Efficient and facile protocols for palladium-catalyzed chlorination and *ortho*-acetoxylation of 2-arylbenzoxazoles have been developed. The chlorination is the classical aromatic electrophilic substitution, while the acetoxylation is the ligand-directed *ortho*-C–H bond activation process.

5297



Chiral indane skeleton based thiourea catalyzed highly stereoselective cascade Michael–enolization–cyclization reaction

Qiao Ren, Yaojun Gao and Jian Wang*

An efficient asymmetric reaction catalyzed by a chiral indane amine–thiourea catalyst is described. This process provides an efficient route to the enantioselective synthesis of dihydro-2*H*-pyran complexes.