

Organic & Biomolecular Chemistry

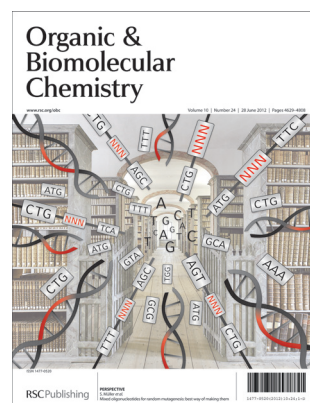
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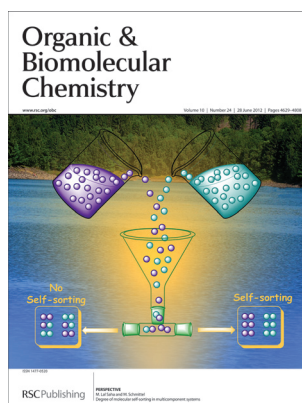


Cover

See S. Müller *et al.*,
pp. 4641–4650.

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Inside cover

See M. Lal Saha and M. Schmittl,
pp. 4651–4684.

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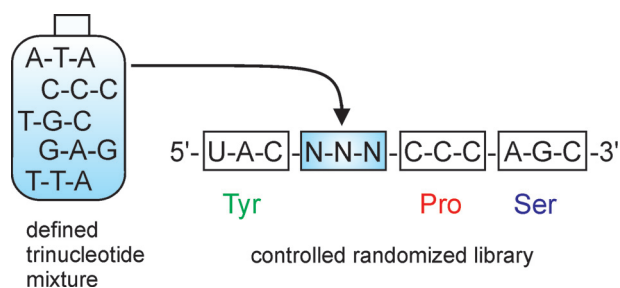
PERSPECTIVES

4641

Mixed oligonucleotides for random mutagenesis: best way of making them

Tamil Selvi Arunachalam, Claudia Wichert, Bettina Appel and
Sabine Müller*

Trinucleotide synthons are superior building blocks for codon
based synthesis of controlled randomized gene libraries.

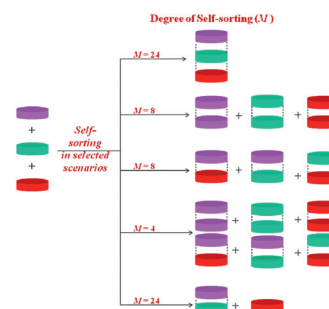


4651

Degree of molecular self-sorting in multicomponent systems

Manik Lal Saha and Michael Schmittl*

Self-sorting represents the spontaneous and high fidelity self
and/or non-self-recognition of two or more related components
within a complex mixture.



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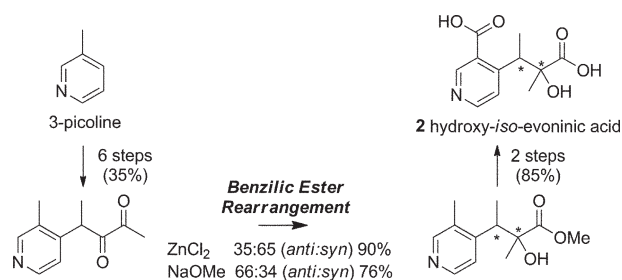
COMMUNICATIONS

4685

Synthesis of *anti* and *syn* hydroxy-*iso*-evoninic acids

Sarah A. Warren, Stephen Stokes, Christopher S. Frampton, Andrew J. P. White and Alan C. Spivey*

The first synthesis of *anti* and *syn* hydroxy-*iso*-evoninic acid (**2**), a pyridyl diacid found as a macrodilactone bridging ligand in bioactive Celastraceae sesquiterpenoid-based natural products, has been achieved in 9 steps and an overall yield of 26%.

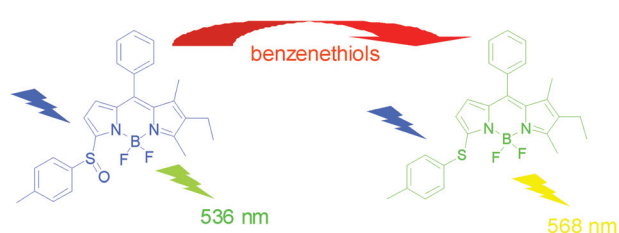


4689

Design of a ratiometric fluorescent probe for benzenethiols based on a thiol-sulfoxide reaction

Xuzhe Wang, Jian Cao and Chunchang Zhao*

A novel thiol-sulfoxide reaction based ratiometric probe for benzenethiols was synthesized and evaluated. The probe features a chemospecific reduction over a pH range of 1–10 by benzenethiols with a marked emission color change, enabling the highly selective detection and is promising for applications.

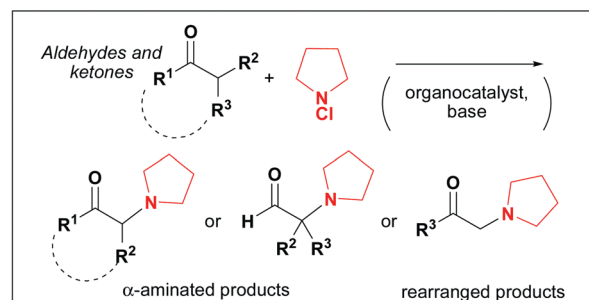


4692

The Rabe amination after a century: direct addition of *N*-heterocycles to carbonyl compounds

Daniele M. Scarpino Schietroma, Mattia R. Monaco, Valerio Bucalossi, Philipp E. Walter, Patrizia Gentili and Marco Bella*

Revisiting the Rabe Amination, by means of organocatalysis, gives access to several α -aminated aldehydes and ketones.

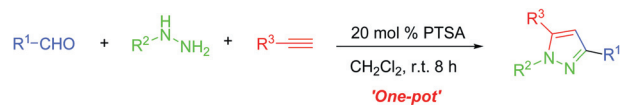


4696

PTSA-catalyzed Mannich-type-cyclization-oxidation tandem reactions: one-pot synthesis of 1,3,5-substituted pyrazoles from aldehydes, hydrazines and alkynes

Pei Liu, Ying-Ming Pan,* Yan-Li Xu and Heng-Shan Wang*

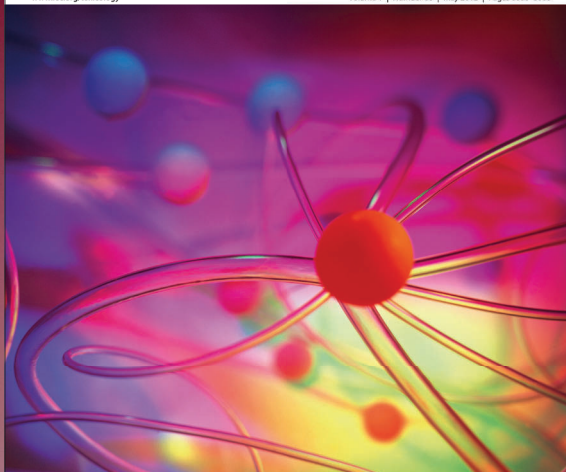
A convenient one-pot Mannich-type-cyclization-oxidation tandem process has been developed for the synthesis of 1,3,5-trisubstituted pyrazoles derivatives from aldehydes, hydrazines and alkynes using *p*-toluenesulfonic acid monohydrate (PTSA) as a multifunctional catalyst.



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COMMUNICATIONS

4699

Palladium-catalyzed synthesis of 2-amino ketones from propargylic carbonates and secondary amines

Sandro Cacchi,* Giancarlo Fabrizi, Eleonora Filisti, Antonella Goggiamani, Antonia Iazzetti and Loredana Maurone

A palladium-catalyzed synthesis of 2-amino ketones from arylpropargylic carbonates and cyclic secondary amines has been developed.



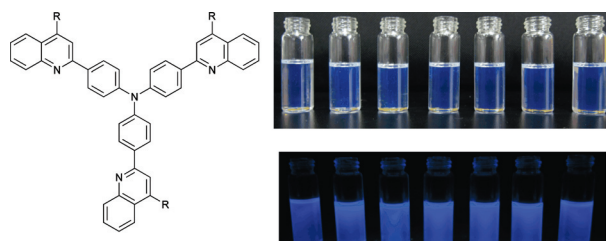
PAPERS

4704

Blue-light-emitting multifunctional triphenylamine-centered starburst quinolines: synthesis, electrochemical and photophysical properties

Peng Jiang, Dong-Dong Zhao, Xiao-Li Yang, Xiao-Lin Zhu, Jin Chang and Hong-Jun Zhu*

A series of triphenylamine-centered starburst quinolines (**1a–1g**) have been synthesized by Friedländer condensation of the 4,4',4''-triacyltriphenylamine (**2**) and 2-aminophenyl ketones (**3a–3g**) in the presence of catalytic sulfuric acid and characterized well.

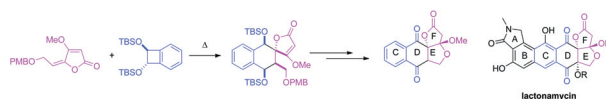


4712

Dramatic influence of the substitution of alkylidene-5H-furan-2-ones in Diels–Alder cycloadditions with *o*-quinonedimethide as diene partner: en route to the CDEF polycyclic ring system of lactonamycin

Sébastien Dubois, Fabien Rodier, Romain Blanc, Raphaël Rahmani, Virginie Héran, Jérôme Thibonnet, Laurent Commeiras* and Jean-Luc Parrain*

An efficient and rapid synthesis of the CDEF ring system of lactonamycinone is reported *via* a highly chemo- and diastereoselective intermolecular Diels–Alder cycloaddition.

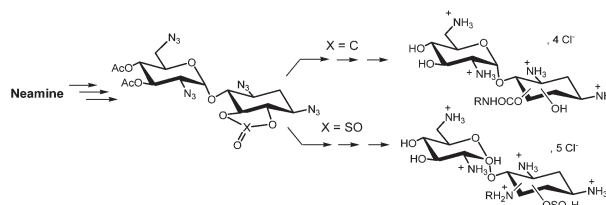


4720

Synthesis and antibacterial activity of novel neamine derivatives: preponderant role of the substituent position on the neamine core

Nicolas Gernigon, Valérie Bordeau, Fabienne Berrée, Brice Felden* and Bertrand Carboni*

A series of neamine derivatives were prepared from the cyclic carbonate and sulfate of 1,3,2',6'-tetraazido-3',4',-di-*O*-acetylneamine.



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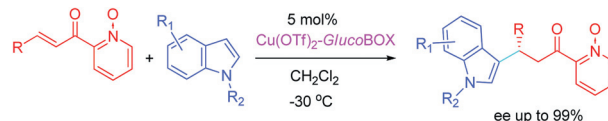
PAPERS

4731

Enantioselective Friedel–Crafts alkylation of indoles with 2-enoylpyridine-*N*-oxides catalyzed by *glucoBOX*-Cu(II) complex

Jimil George and B. V. Subba Reddy*

A catalytic enantioselective Friedel–Crafts reaction of indoles with 2-enoylpyridine *N*-oxides is reported using 5 mol% Cu(OTf)₂-*glucoBOX* complex.

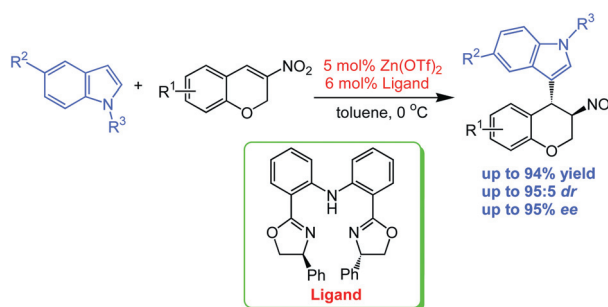


4739

Asymmetric Friedel–Crafts alkylation of indoles with 3-nitro-2*H*-chromenes catalyzed by diphenylamine-linked bis(oxazoline) and bis(thiazoline) Zn(II) complexes

Yang Jia, Wen Yang and Da-Ming Du*

An efficient diastereo- and enantioselective Friedel–Crafts alkylation of indoles with 3-nitro-2*H*-chromenes catalyzed by diphenylamine-linked bis(oxazoline)-Zn(OTf)₂ afforded medicinally privileged indolyl(nitro)chromans in good yields with high enantioselectivities (up to 95% ee) and diastereoselectivities under mild reaction conditions.

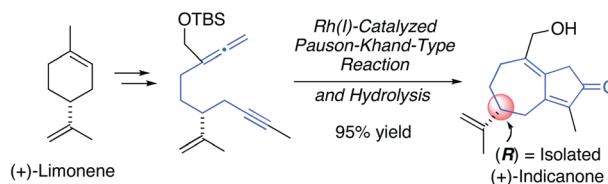


4747

First total synthesis of (+)-indicanone

Yujiro Hayashi, Kumiko Ogawa, Fuyuhiko Inagaki and Chisato Mukai*

First total synthesis of (+)-indicanone based on rhodium(I)-catalyzed Pauson–Khand-type reaction of allenyne and confirmation of the complete structure were accomplished.

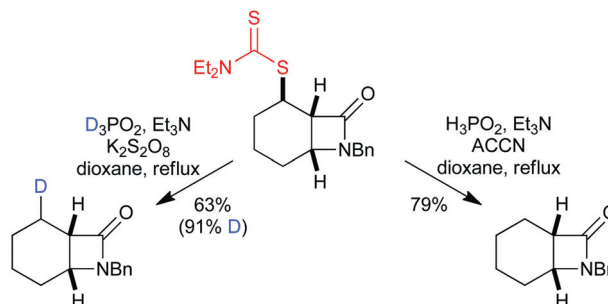


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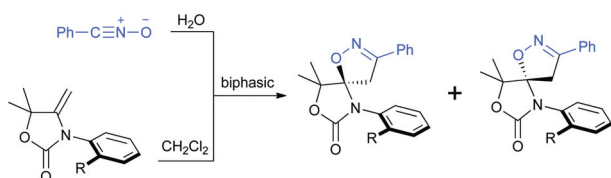
Radical-mediated reduction of the dithiocarbamate group under tin-free conditions

Claire McMaster, Robert N. Bream and Richard S. Grainger*

Reductive desulfurisation of dithiocarbamates is conveniently achieved using H₃PO₂-Et₃N-ACCN in refluxing dioxane.



4759

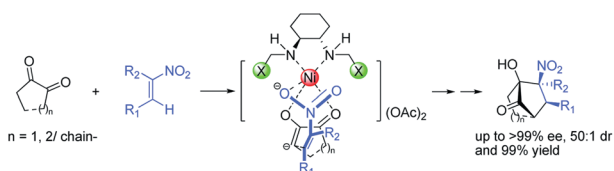


Facial selectivity induced by *N*-aryl atropisomerism in benzonitrile oxide cycloadditions with 4-methylene-2-oxazolidinones

Sarah L. Harding and G. Paul Savage*

Facial steric hindrance, by atropisomerism around the *N*-aryl bond, induces selectivity in nitrile oxide 1,3-dipolar cycloadditions.

4767

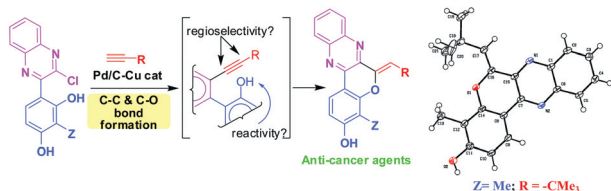


New approach to the preparation of bicyclo octane derivatives *via* the enantioselective cascade reaction catalyzed by chiral diamine-Ni(OAc)₂ complex

Wenyi Li, Xiaodong Liu, Zhifeng Mao, Qiao Chen and Rui Wang*

Diamine-Ni(OAc)₂ complex—a highly efficient system for the preparation of chiral bicyclo octane derivatives.

4774

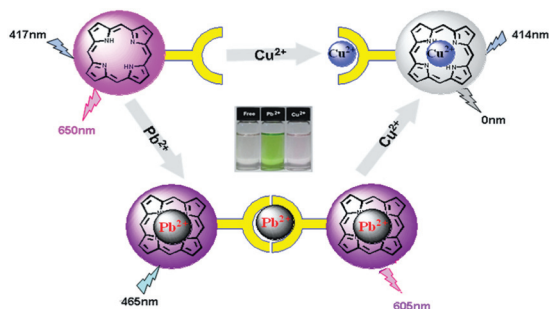


A new approach to construct a fused 2-ylidene chromene ring: highly regioselective synthesis of novel chromeno quinoxalines

K. Shiva Kumar, D. Rambabu, Bagineni Prasad, Mohammad Mujahid, G. Rama Krishna, M. V. Basaveswara Rao, C. Malla Reddy, G. R. Vanaja, Arunasree M. Kalle and Manojit Pal*

Regioselective construction of a fused 2-ylidene chromene ring was achieved *via* a new strategy leading to novel anticancer agents.

4782



Porphyrin-based multi-signal chemosensors for Pb²⁺ and Cu²⁺

Yuting Chen and Jianzhuang Jiang*

Two *N,N*-bis(2-pyridylmethyl)amino-modified metal-free tetra(aryl)porphyrin derivatives were designed, synthesized, and characterized for application as versatile sensors for Pb²⁺ and Cu²⁺.

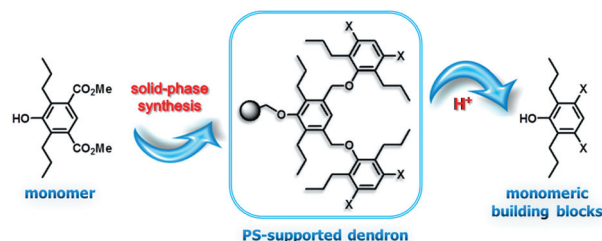
PAPERS

4788

Solid-phase synthesis and acidolytic degradation of sterically congested oligoether dendrons

Jeny Karabline and Moshe Portnoy*

Dendronization of a solid support using a new sterically congested monomer is described. The dendrons can be disassembled back to building blocks upon acidolytic cleavage from the support.

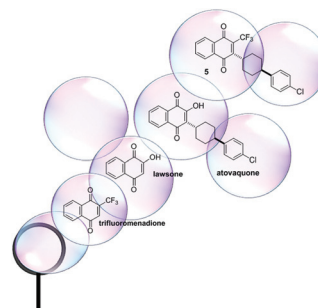


4795

Exploring the trifluoromenadione core as a template to design antimalarial redox-active agents interacting with glutathione reductase

Don Antoine Lanfranchi, Didier Belorgey, Tobias Müller, Hervé Vezin, Michael Lanzer and Elisabeth Davioud-Charvet*

Elimination of the CF_3 group at the core of trifluoromenadione was investigated as a potential antimalarial multi-dual drug action. The trifluoromenadione bearing the alkyl side-chain of atovaquone was revealed as an effective mechanism-based inhibitor of glutathione reductase leading to potent antimalarial effects.

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