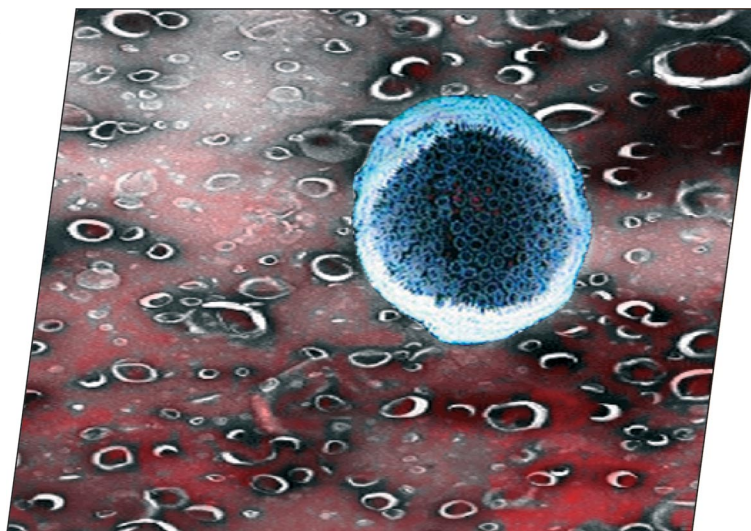


The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further EUChemSoc Societies (Austria, Czech Republic and Sweden) are Associates of the two journals.

## COVER PICTURE

The cover picture shows a simulated micelle formed by amphiphilic cyclodextrin molecules above a landscape of real cyclodextrin vesicles. Synthesis of amphiphilic cyclodextrins, and the ranges of supramolecular assemblies formed by them, are presented in the Microreview by F. Sallas and R. Darcy on p. 957ff.



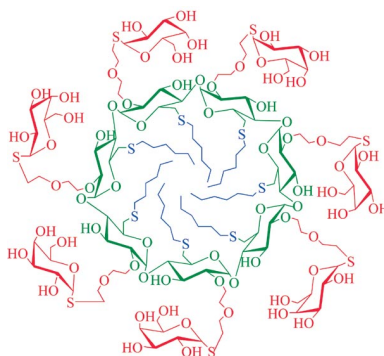
## MICROREVIEW

### Amphiphilic Cyclodextrins

F. Sallas,\* R. Darcy\* ..... 957–969

Amphiphilic Cyclodextrins – Advances in Synthesis and Supramolecular Chemistry

**Keywords:** Amphiphilic cyclodextrin / Self-assembly / Supramolecular chemistry / Drug delivery / Gene delivery



This microreview covers recent advances in the synthesis of cyclodextrin amphiphiles as well as their supramolecular chemistry. The synthetic aspects of their preparation along with their self-assembly, inclusion and recognition properties are described and discussed.

## SHORT COMMUNICATION

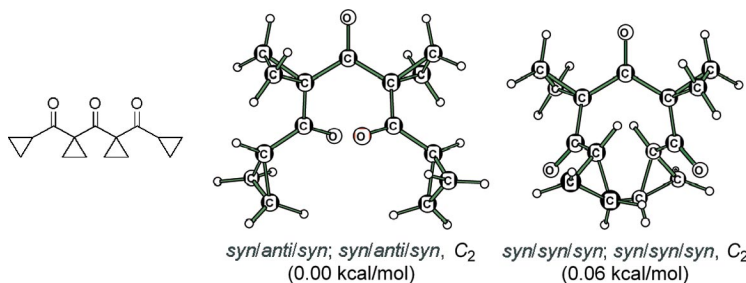
### Cyclopropanes

T. Rahn, H. Jiao, W. Baumann,  
A. Spannenberg, P. Langer\* ..... 971–974



Synthesis and Characterization of Cyclopropylpolyketides: A Combined Experimental and Theoretical Study

**Keywords:** Cyclopropanes / Density functional theory / Ketones / Conformations



The first open-chain cyclopropyl-1,3,5-triketones and -1,3,5,7-tetraketones were prepared by sequential cyclopropanations and Claisen condensations. The configuration

and conformation of the products were studied by experimental methods and by DFT calculations.

## FULL PAPERS

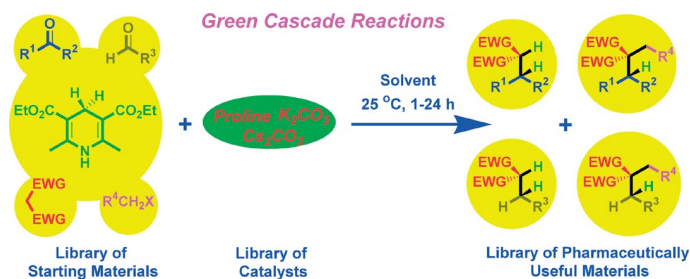
### Organo-Click Reactions

D. B. Ramachary,\* M. Kishor,  
Y. V. Reddy ..... 975–993



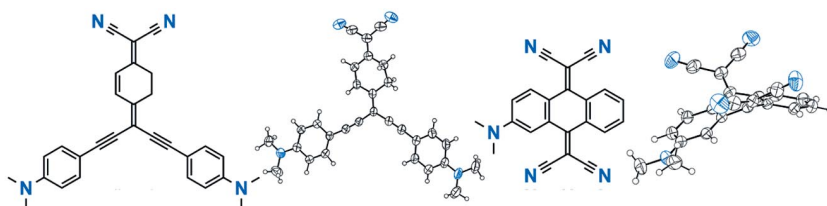
Development of Pharmaceutical Drugs, Drug Intermediates and Ingredients by Using Direct Organo-Click Reactions

**Keywords:** Amino acids / Biomimetic reductions / Cascade reactions / Organo-catalysis / Organo-click reactions



Structurally diverse compounds were assembled from simple substrates by diversity-oriented green synthesis involving cascade olefination/hydrogenation, olefination/hydrogenation/alkylation, hydrogenation/olefination/hydrogenation, olefination/hydrogenation/hydrolysis and olefination/hydrogenation/alkylation/Huisgen

cycloaddition reaction sequences in one-pot fashion with stereospecific organo-/metal carbonate catalysis and organo-/Cu<sup>I</sup> catalysis (see Scheme). Many of these structurally diverse compounds are pharmaceutically useful drugs, drug intermediates and ingredients.



A series of intramolecular charge-transfer chromophores, featuring dialkylamino donors and dicyanovinyl acceptors, were prepared and their properties determined by X-ray crystallography, UV/Vis spec-

troscopy and electrochemical methods. D-A conjugation through an alkene linker was found to be more efficient than through an alkyne linker as evidenced by the optical and electrochemical gaps.

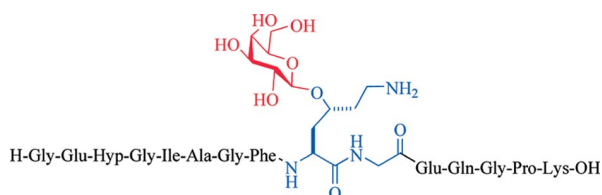
F. Bureš, W. B. Schweizer, C. Boudon,  
J.-P. Gisselbrecht, M. Gross,  
F. Diederich\* ..... 994–1004

New Push-Pull Chromophores Featuring TCAQ (11,11,12,12-Tetracyano-9,10-anthraquinodimethane) and Other Dicyanovinyl Acceptors



**Keywords:** Donor–acceptor chromophores / 11,11,12,12-Tetracyano-9,10-anthraquinodimethane (TCAQ) / Charge transfer / Electrochemistry / Pi conjugation / Quinodimethanes

## Glycopeptide Synthesis



The preparation of a *N*-Fmoc-protected galactosylated (2*S*,4*R*)-4-hydroxylysine derivative and its incorporation into the sequence of an immunodominant glycopeptide from type II collagen is described.

The synthesis of the 4-hydroxylysine aglycon started from (2*S*,4*S*)-4-hydroxy-6-oxo-1,2-piperidinedicarboxylate and involved the formation of a  $\gamma$ -lactone and its *N*-acylation with glycyl esters.

J. Marin, J.-P. Briand,  
G. Guichard\* ..... 1005–1012

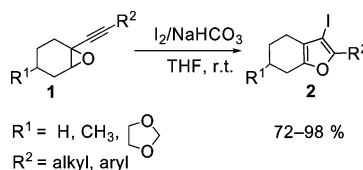
Synthesis of a Galactosylated 4-Hydroxylysine Building Block and Its Incorporation into a Collagen Immunodominant Glycopeptide



**Keywords:** Glycopeptides / Amino acids / Hydroxylysine / Solid-phase synthesis / Lactones / Rheumatoid arthritis

## Halofurans

A new approach to the formation of polysubstituted 3-iodofurans by electrophilic cyclization of various propargylic oxirane compounds has been developed. Subsequent palladium-catalyzed coupling increased the molecular complexity of the products.



Y.-X. Xie, X.-Y. Liu,\* L.-Y. Wu,  
Y. Han, L.-B. Zhao, M.-J. Fan,  
Y.-M. Liang ..... 1013–1018

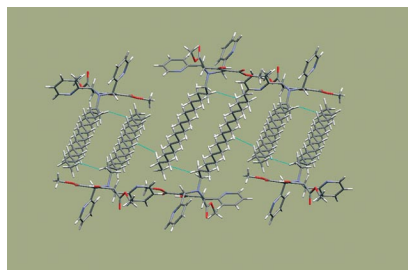
Efficient Synthesis of Substituted 3-Iodofurans by Electrophilic Cyclization of Propargylic Oxirane Derivatives



**Keywords:** Electrophilic cyclization / Oxiranes / Furans / Iodine / Alkynes

## Piperidones and Bispidones

A series of piperidones incorporating alkyl chains of varying length have been synthesised. These piperidones feature aggregation of the hydrophobic regions in their extended structures, and have been used as precursors for the synthesis of a series of bicyclic bispidones. The structural features of both the piperidone and bispidone systems have been explored.



N. A. Barnes, A. T. Brooker,  
S. M. Godfrey,\* P. R. Mallender,  
R. G. Pritchard, M. Sadler .... 1019–1030

The Synthesis and Structural Characterisation of a Series of Hydrophobic Piperidones and Bispidones

**Keywords:** Bispidone / Piperidone / Tautomerism / Structure elucidation / Conformation analysis

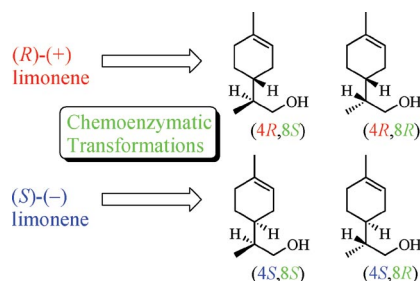
# CONTENTS

## Terpenoid Synthesis

S. Serra,\* C. Fuganti,  
F. G. Gatti ..... 1031–1037

A Chemoenzymatic, Preparative Synthesis of the Isomeric Forms of *p*-Menth-1-en-9-ol: Application to the Synthesis of the Isomeric Forms of the Cooling Agent 1-Hydroxy-2,9-cineole

**Keywords:** Biotransformations / Enzyme catalysis / Reduction / Baker's yeast / Natural products



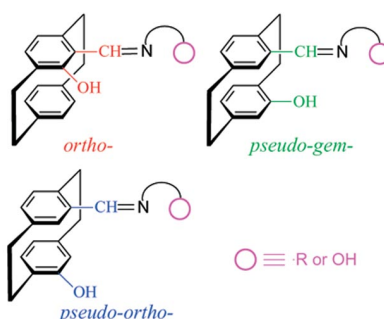
A preparative-scale synthesis of four *p*-menth-1-en-9-ol isomers has been achieved by means of two chemoenzymatic processes based on baker's yeast mediated reduction and on lipase-mediated resolution. The obtained enantiopure alcohols have been used as starting materials for the preparation of four isomers of the cooling agent 1-hydroxy-2,9-cineole.

## Asymmetric Induction

D. Yu. Antonov, V. I. Rozenberg,\*  
T. I. Danilova, Z. A. Starikova,  
H. Hopf\* ..... 1038–1048

Iminophenol Ligands Derived from Chiral Regioisomeric Hydroxy[2.2]paracyclophane-carbaldehydes: the Influence of the Substitution Pattern on Asymmetric Induction

**Keywords:** Cyclophanes / Planar chirality / Optical resolution / Absolute configuration / N,O ligands / Asymmetric catalysis



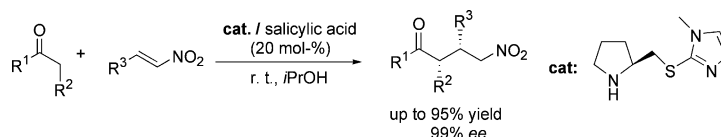
Using the three regioisomeric FHPCs as carbonyl components, a series of bi- and tridentate iminophenol ligands was obtained with the aim to determine the influence of the substitution pattern on asymmetric induction. The efficiency of these ligands was tested in the enantioselective addition of diethylzinc to benzaldehyde.

## Trifunctional Organocatalysts

D.-Q. Xu, L.-P. Wang, S.-P. Luo,  
Y.-F. Wang, S. Zhang,  
Z.-Y. Xu\* ..... 1049–1053

2-[(Imidazolylthio)methyl]pyrrolidine as a Trifunctional Organocatalyst for the Highly Asymmetric Michael Addition of Ketones to Nitroolefins

**Keywords:** Michael addition / Catalysis / Ketones / Nitroolefins / Organocatalysis



The direct asymmetric Michael addition of ketones to nitroolefins catalyzed by 2-[(imidazolylthio)methyl]pyrrolidine with salicylic acid as a co-catalyst has been developed to give the products in high yields

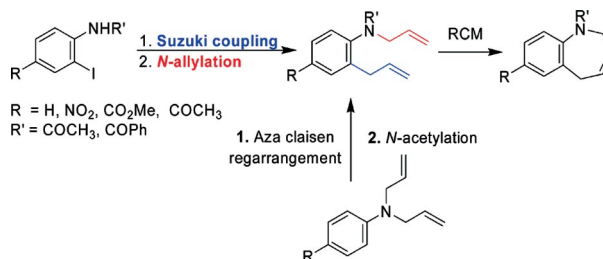
and with excellent enantioselectivities. A stereochemical model has been developed to account for the high enantioselectivity of the present transformation.

## Synthesis of Benzazepines

S. Kotha,\* V. R. Shah ..... 1054–1064

Design and Synthesis of 1-Benzazepine Derivatives by Strategic Utilization of Suzuki–Miyaura Cross-Coupling, Aza-Claisen Rearrangement and Ring-Closing Metathesis

**Keywords:** Aza-Claisen rearrangement / 1-Benzazepine / Cross-coupling / Metathesis

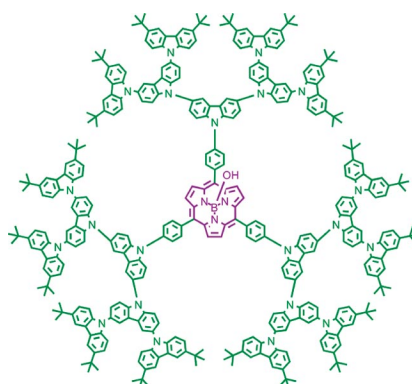


The synthesis of various 1-acetyl- or 1-benzoyl-1-benzazepine derivatives and 7-substituted 1*H*-1-benzazepin-2-one derivatives are reported. Suzuki–Miyaura cross-coupling, aza-Claisen rearrangement and ring-closing metathesis were employed as the key steps.

ling, aza-Claisen rearrangement and ring-closing metathesis were employed as the key steps.



Novel dendritic carbazole-functionalized subporphyrins have been synthesized from pyridine-tri(pyrrol-1-yl)borane and the corresponding aldehydes. In these molecules, efficient photoinduced intramolecular energy transfer occurs from the carbazole dendron to the subporphyrin core. The carbazole dendron can significantly influence the absorption and emission spectra of the subporphyrin core, which are blueshifted with increasing dendron generation.

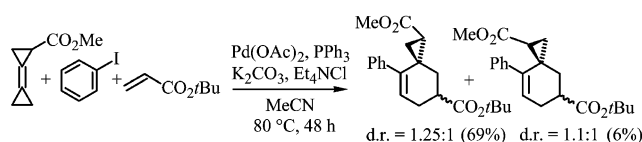


T. Xu, R. Lu,\* X. Liu, P. Chen, X. Qiu,  
Y. Zhao ..... 1065–1071

Synthesis and Characterization of Subporphyrins with Dendritic Carbazole Arms

**Keywords:** Subporphyrins / Carbazole / Dendrimers / Energy transfer / Light-harvesting antennas / Porphyrinoids

## Cascade Reactions



Three-component Domino Heck–Diels–Alder reactions involving certain monosubstituted bicyclopopylidenes, iodobenzene and alkyl acrylates proceed with a

moderate to good degree of regioselectivity to yield 1-substituted spiro[2.5]octene-5-carboxylate derivatives via the corresponding substituted allylidene cyclopropanes.

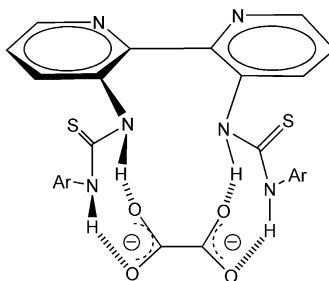
B. Yucel, M. Noltemeyer,  
A. de Meijere\* ..... 1072–1078

Domino Heck–Diels–Alder Reactions of Monosubstituted Bicyclopopylidenes

**Keywords:** Bicyclopopylidene / Deboropalladation / Domino reactions / Heck coupling / Multicomponent reaction

## Carboxylate Receptors

Two 3,3'-dithiourea-2,2'-bipyridines were synthesized, and their ability to act as sensors for carboxylates was evaluated by UV/Vis and fluorescence studies. Their conformational changes upon protonation and Ni<sup>2+</sup> complexation were also studied.

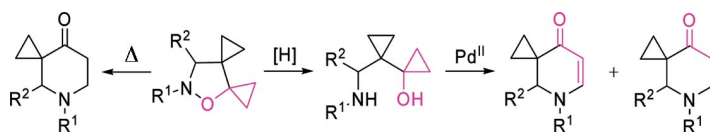


A. M. Costero,\* S. Gil, M. Parra,  
N. Huguet, Z. Allouni, R. Lakhmiri,  
A. Atlamsani ..... 1079–1084

3,3'-Disubstituted 2,2'-Bipyridines as Carboxylate Receptors: Conformational Regulation of the Bipyridine Moiety

**Keywords:** Biaryls / Molecular recognition / Fluorescent probes / Receptors / Hydrogen transfer

## Pd<sup>II</sup>-Catalyzed Cascade Rearrangements



Pd<sup>II</sup>-catalyzed cascade rearrangement of  $\beta$ -aminocyclopropanols obtained by nitron 1,3-dipolar cycloaddition to 1,1'-bicyclopopylidene affords a dihydropyridone with an acyclic nitron and 1:1 mixtures of di-

hydro- and tetrahydropyridones with cyclic nitrons. The tetrahydropyridones can also be prepared by thermal rearrangement of isoxazolidines.

J. Revuelta, S. Cicchi, A. de Meijere,  
A. Brandi\* ..... 1085–1091

3-Spirocyclopropanedihydro- and -tetrahydropyridin-4-ones from Nitron Cycloadducts of Bicyclopopylidene via 1-(1'-Aminomethylcyclopropyl)cyclopropanol under Pd<sup>II</sup> Catalysis

**Keywords:** Cycloaddition / Cascade reactions / Heterocycles / Palladium / Catalysis / Rearrangement

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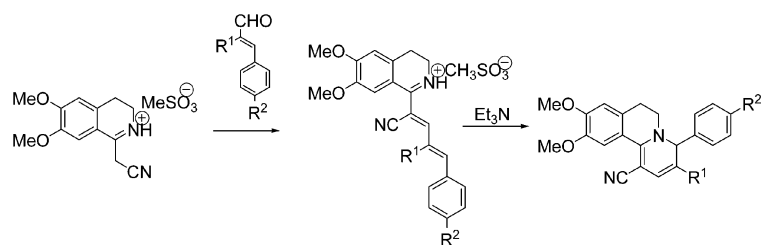
## 6 $\pi$ -Aza Electrocyclization

Z. Vincze, Z. Mucsi, P. Scheiber,  
P. Nemes\* ..... 1092–1100



1,6-Electrocyclization of 1-Azatriene Derivatives

**Keywords:** 1-Azatrienes / (*E*) $\rightarrow$ (*Z*) isomerization / Electrocyclization / Activation parameters / 6,7-Dihydro-4*H*-benzo[*a*]quinolizines



1-Cyanomethylene-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolinium mesylate readily reacted with  $\alpha,\beta$ -unsaturated aldehydes to result in 1-azatrienes that could be cyclized

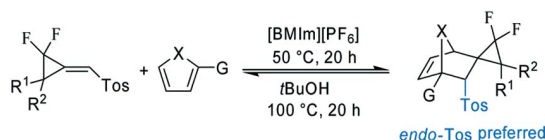
to give 6,7-dihydro-4*H*-benzo[*a*]quinolizines. The reaction mechanisms were investigated by computational methods.

## Methylenecyclopropanes

X.-C. Hang, Q.-Y. Chen,  
J.-C. Xiao\* ..... 1101–1106

Highly Regio- and Stereoselective Diels–Alder Cycloaddition of Difluoro(methylene)cyclopropanes

**Keywords:** Difluoro(methylene)cyclopropanes / Diels–Alder reaction / Regioselectivity / Diastereoselectivity / Cycloreversion



The Diels–Alder reactions of difluoro(methylene)cyclopropanes with cyclic dienes are described. These cycloaddition reactions exhibited complete regioselectivity

and high *endo* stereoselectivity. The obtained cycloadducts underwent a retro-Diels–Alder reaction to give the original dienophiles and dienes when heated.

If not otherwise indicated in the article, papers in issue 5 were published online on January 28, 2008