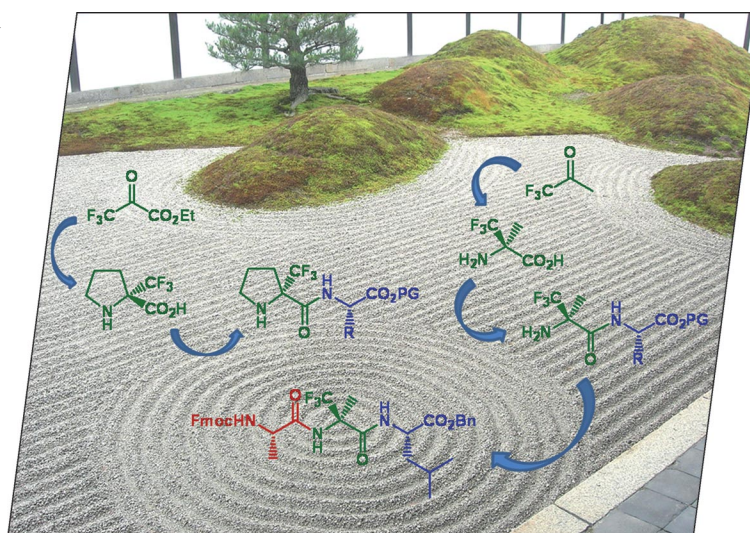




A union formed by chemical societies in Europe (ChemPubSoc Europe) has taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further members of ChemPubSoc Europe (Austria, Czech Republic and Sweden) are Associates of the two journals.

COVER PICTURE

The cover picture shows that enantiopure fluorinated amino acids and peptides are conveniently obtained from ethyl trifluoropyruvate and trifluoroacetone. Details are discussed in the article by T. Brigaud et al. on p. 5717ff. The background is a picture of the Zen garden of the Tōfuku-ji temple in Kyoto.



CONTENTS

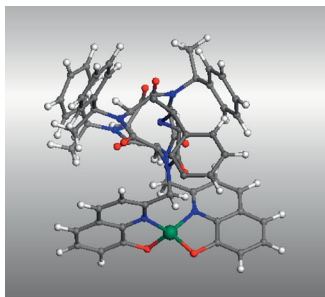
MICROREVIEW

Metallofoldamers

G. Maayan* 5699–5710

Conformational Control in Metallofoldamers: Design, Synthesis and Structural Properties

Keywords: Foldamers / Peptidomimetics / Peptoids / Sensors / Metal complexes



Metallofoldamers are peptidomimetic and abiotic oligomers that fold in a controlled manner upon binding to metal ions. This microreview describes metal coordination in abiotic, single-stranded, linear or cyclic oligomers, which may nucleate the formation of chiral helical structures, enhance an existing secondary structure, or enable the formation of functional materials.

SHORT COMMUNICATION

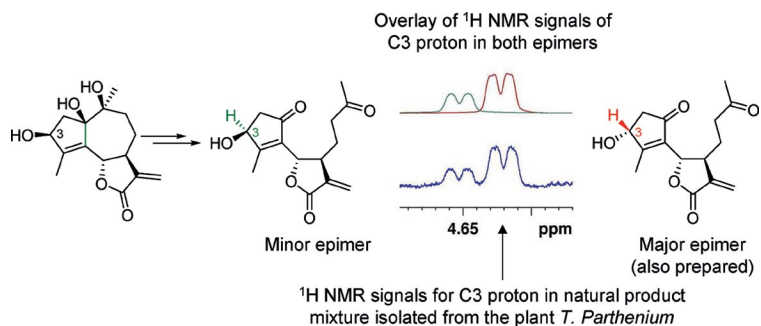
Natural Product Synthesis

E. F. Makiyi, R. F. M. Frade, T. Lebl,
E. G. Jaffray, S. E. Cobb, A. L. Harvey,
A. M. Z. Slawin, R. T. Hay,*
N. J. Westwood* 5711–5715



Iso-*seco*-tanaparholides: Isolation, Synthesis and Biological Evaluation

Keywords: Natural products / Total synthesis / Terpenoids / Cleavage reaction / Inflammation



The total synthesis of 2 plant-derived inhibitors of the NF- κ B signaling pathway is described. A key step in the efficient reaction sequence is a late-stage oxidative cleavage reaction that was carried out in the ab-

sence of protecting groups to give the natural products directly. Biological studies on synthetic material confirmed that these compounds act late in the NF- κ B signaling pathway.

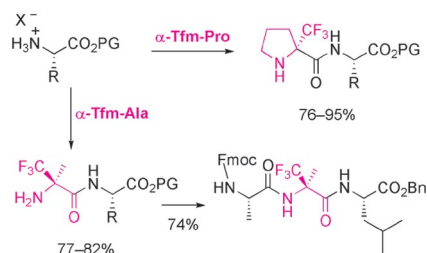
FULL PAPERS

Fluorinated Peptides

G. Chaume, N. Lensen, C. Caupène,
T. Brigaud* 5717–5724

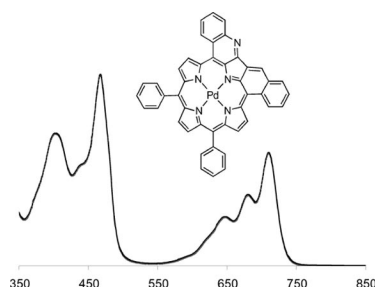
Convenient Synthesis of *N*-Terminal Tfm-Dipeptides from Unprotected Enantiopure α -Tfm-Proline and α -Tfm-Alanine

Keywords: Fluorine / Peptides / Amino acids / Asymmetric synthesis / Synthetic methods



Highly lipophilic dipeptide building blocks were synthesized from totally unprotected enantiopure α -trifluoromethyl α -amino acids. The synthesis of a tripeptide through a coupling reaction at the deactivated *N*-terminal position was achieved.

Doubly fused metalloporphyrins have been obtained in a few simple steps and the electronic properties of these new compounds studied by electrochemistry. The X-ray structure of the free base is reported.

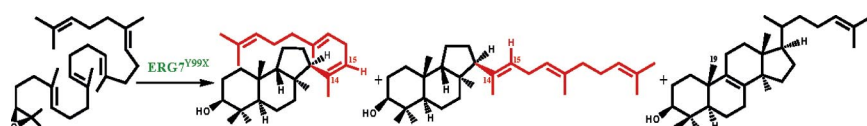


**A. J. Jimenez, C. Jeandon,
J.-P. Gisselbrecht,
R. Ruppert*** 5725–5730

Synthesis, Characterization, and Electrochemical Studies of New π -Extended Metalloporphyrins

Keywords: Porphyrinoids / Oxidation / Cyclic voltammetry / Conjugation

Oxidosqualene Cyclization



Isolation of two truncated tricyclic intermediates from the ERG7^{Y99X} site-saturated mutants suggests its functional role in affecting both chair–boat 6–6–5 tricyclic

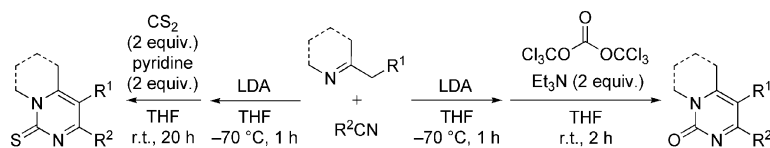
Markovnikov C-14 cation stabilization and the stereochemistry of the protons at the C-15 position for subsequent deprotonation.

**T.-K. Wu,* W.-H. Li, C.-H. Chang,
H.-Y. Wen, Y.-T. Liu,
Y.-C. Chang** 5731–5737

Differential Stereocontrolled Formation of Tricyclic Triterpenes by Mutation of Tyrosine 99 of the Oxidosqualene-Lanosterol Cyclase from *Saccharomyces cerevisiae*

Keywords: Mutagenesis / Cyclization / Enzymes / Reaction mechanisms / Terpenoids

Fused Pyrimidin(thi)ones



An unprecedented approach to the single-step synthesis of 3,4-fused pyrimidin-2-one and pyrimidin-2-thione derivatives by a three-component coupling reaction from an α -acidic imine compound, a nitrile, and

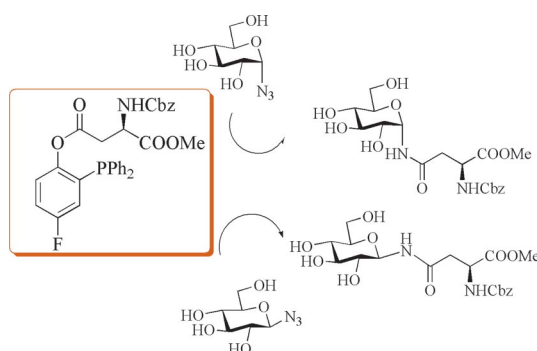
triphosgene or carbon disulfide is described. This method presents a variety of pyrimidines from commercially available reagents.

**T. Sasada, M. Moriuchi, N. Sakai,
T. Konakahara*** 5738–5743

An Unprecedented Approach to the Single-Step Synthesis of 3,4-Fused Pyrimidin-2-one and Pyrimidin-2-thione Derivatives by a [3+2+1] Annulation

Keywords: Multicomponent reactions / Nitrogen heterocycles / Pyrimidin-2-ones / Pyrimidin-2-thiones / Annulation

N-Glycosyl Amino Acids



A new methodology is described for the synthesis of glycosyl amino acids from un-

protected sugars by traceless Staudinger ligation.

**F. Nisic, M. Andreini,
A. Bernardi*** 5744–5751

Stereoselective Synthesis of *N*-Glycosyl Amino Acids by Traceless Staudinger Ligation of Unprotected Glycosyl Azides

Keywords: Carbohydrates / Synthetic methods / Glycoconjugates / Glycopeptides / Amino acids

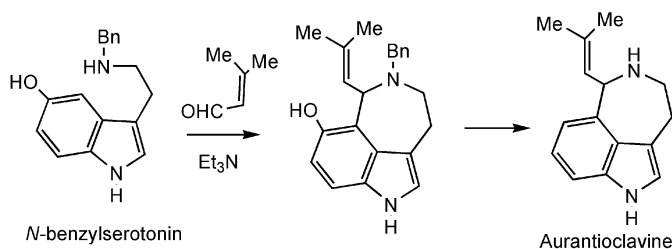
CONTENTS

Alkaloid Synthesis

K. Yamada, Y. Namerikawa, T. Haruyama,
Y. Miwa, R. Yanada,
M. Ishikura* 5752–5759

Concise Synthesis of (\pm)-Aurantioclavine
through a Base-Promoted Pictet–Spengler
Reaction

Keywords: Alkaloids / Natural products /
Nitrogen heterocycles / Cyclization



Azepinoindole alkaloid, (\pm)-aurantioclavine, was synthesized from Nb-benzylserotonin and 3-methylbut-2-enal in three steps.

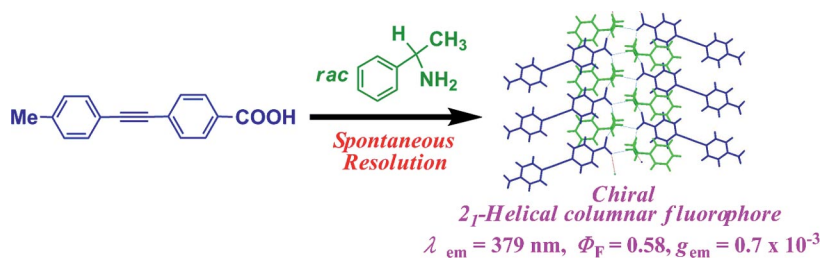
A base-promoted Pictet–Spengler reaction was the key step.

Supramolecular Fluorophores

T. Kinuta, K. Kamon, T. Harada,
Y. Nakano, N. Tajima, T. Sato, M. Fujiki,
R. Kuroda, Y. Matsubara,*
Y. Imai* 5760–5764

Solid-State Chiral Supramolecular Organic
Fluorophore Having a π -Conjugated Phenylene
Ethyne Unit

Keywords: Chirality / Luminescence / Conjugation /
Fluorophores / Supramolecular chemistry



A solid-state, chiral, helical, columnar, organic fluorophore having a π -conjugated phenylene ethynylene unit was prepared by using 4-[2-(4-methylphenyl)ethynyl]benzoic acid and 1-phenylethylamine. Such a chiral

π -conjugated organic fluorophore can be obtained from achiral and racemic component molecules, and it exhibits circularly polarized luminescence (CPL) in the solid state.

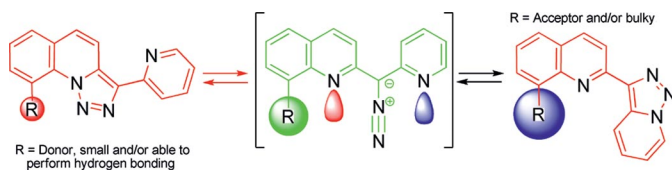
Heterocyclic Chemistry

R. Ballesteros-Garrido, F. Blanco,*
R. Ballesteros,* F. R. Leroux,*
B. Abarca,* F. Colobert,* I. Alkorta,
J. Elguero 5765–5778



3-(Pyridin-2-yl)[1,2,3]triazolo[1,5-*a*]quinoline: A Theoretical and Experimental Analysis of Ring-Chain Isomerisation

Keywords: Heterocycles / Isomerization /
Metalation / Density functional calculations



The triazoloquinoline–pyridine system undergoes ring-chain isomerisation to afford two different structures depending on the

electronic and steric properties of substituents R.

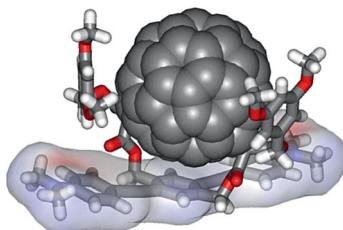
Electronic Interactions

T. M. Figueira-Duarte, V. Lloveras,
J. Vidal-Gancedo, B. Delavaux-Nicot,*
C. Duhayon, J. Veciana,* C. Rovira,*
J.-F. Nierengarten* 5779–5787



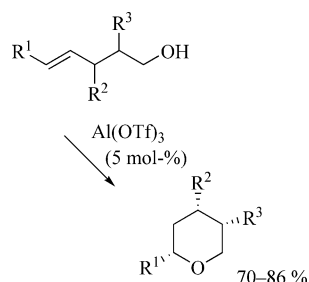
Ground State Electronic Interactions in Macrocyclic Fullerene Bis-Adducts Functionalized with Bridging Conjugated Oligomers

Keywords: Fullerenes / Conjugated oligomers /
Electrochemistry / π - π interactions



In order to clearly show the influence of π - π interactions between the conjugated system and the fullerene moiety on the electronic properties of C_{60} -(π -conjugated oligomer) conjugates, macrocyclic dyads in which the two components are at the van der Waals contact have been prepared and their properties investigated.

A catalysed intramolecular cyclisation of alcohols on nonactivated olefins was achieved with 5 mol-% of aluminium triflate.



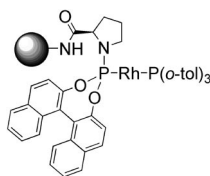
L. Coulombel, M. Weïwer,
E. Duñach* 5788–5795

Aluminium Triflate Catalysed Cyclisation of Unsaturated Alcohols: Novel Synthesis of Rose Oxide and Analogues


Keywords: Aluminum / Alkenes / Alcohols / Cyclization / Supercacidic systems

Supported Catalysts

The catalytic properties of a family of chiral polystyrene-supported monodentate ligands have been studied in rhodium-catalysed asymmetric hydrogenation and palladium-catalysed asymmetric allylic alkylation. Additionally, the supported ligands were applied in the heteroligand approach by combining them with nonsupported monodentate ligands.



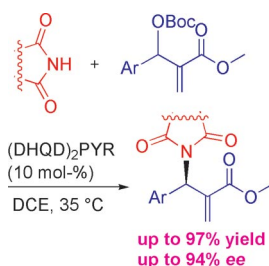
B. H. G. Swennenhuis, R. Chen,
P. W. N. M. van Leeuwen, J. G. de Vries,
P. C. J. Kamer* 5796–5803

Supported Chiral Monodentate Ligands in Rhodium-Catalysed Asymmetric Hydrogenation and Palladium-Catalysed Asymmetric Allylic Alkylation 


Keywords: Supported catalysts / Asymmetric catalysis / Combinatorial chemistry / Hydrogenation / Heteroligand approach

Asymmetric Catalysis

The asymmetric allylic amination of Morita–Baylis–Hillman carbonates with cyclic imides catalysed by a modified cinchona alkaloid (DHQD)₂PYR has been developed. An array of α -methylene β -amino esters were obtained with good-to-excellent enantioselectivities (up to 94% *ee*) and in high yields (up to 97%).



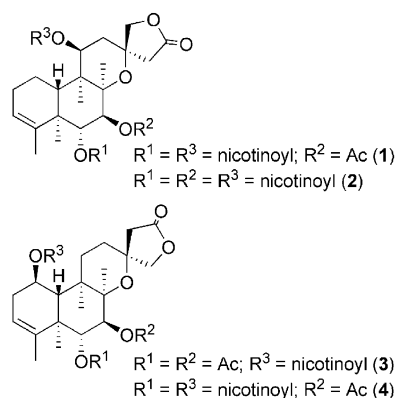
S.-J. Zhang, H.-L. Cui, K. Jiang, R. Li,*
Z.-Y. Ding, Y.-C. Chen* 5804–5809

Enantioselective Allylic Amination of Morita–Baylis–Hillman Carbonates Catalysed by Modified Cinchona Alkaloids 


Keywords: Organocatalysis / Amination / Alkaloids / Asymmetric catalysis

Diterpenoids

Barbatines A–D (**1–4**) have been isolated from whole plants of *Scutellaria barbata* along with the known scutebarbatine A (**5**). Compounds **1–4** have a *neo*-clerodane diterpenoid skeleton with a (15→16) lactone moiety, but **1** and **2** differ from **3** and **4** by an inversion of the chiral center C-13. Compounds **1** and **5** showed good protection of cells against H₂O₂ with ED₅₀ values of 16.8 and 5.0 μ M, respectively.



V. H. Nguyen,* V. C. Pham,*
T. T. H. Nguyen, V. H. Tran,
T. M. H. Doan 5810–5815

Novel Antioxidant *neo*-Clerodane Diterpenoids from *Scutellaria barbata* 

Keywords: Terpenoids / Antioxidants / Natural products / Chirality / Structure elucidation

CONTENTS

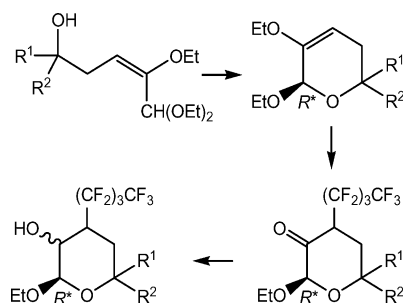
Perfluoroalkylated Carbohydrates

S. Valdersnes, L. K. Sydnæs* ... 5816–5831



Preparation of 2-Ethoxy-3-hydroxy-4-(perfluoroalkyl)tetrahydropyran Derivatives from Substituted 4-Ethoxybut-3-en-1-ols

Keywords: Perfluoroalkylation / Carbohydrates / Enols



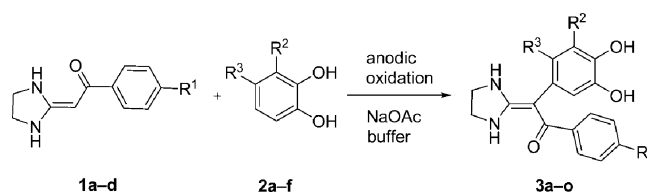
Deoxygenated carbohydrate analogues with pyran structure and a perfluoroalkyl group in position 4 have been synthesized in three steps from easily available enol ethers that are also homoallylic alcohols and contain an α acetal moiety next to the carbon–carbon double bond.

Selective Arylation

C.-C. Zeng*, D.-W. Ping, Y.-S. Xu, L.-M. Hu, R.-G. Zhong 5832–5840

A Facile Synthesis of α -Aryl α -Oxoheterocyclic Ketene N,N-Acetals Bearing an Electron-Rich Catechol Subunit—An Electrochemical Oxidative Approach

Keywords: Green chemistry / Electrochemistry / Heterocycles / Ketene N,N-acetals / Arylation reaction / Catechols / Density functional calculations



An effective and “green chemistry” approach to the synthesis of α -aryl α -oxoheterocyclic ketene N,N-acetals containing an electron-rich aromatic ring was developed.

In addition, density functional theory calculations were performed to explain the exclusive formation of α -carbon-arylated products.

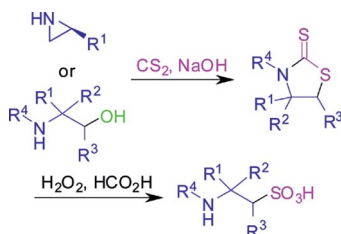
Amino Acid Synthesis

N. Chen, W. Jia, J. Xu* 5841–5846



A Versatile Synthesis of Various Substituted Taurines from Vicinal Amino Alcohols and Aziridines

Keywords: Synthetic methods / Amino acids / Amino alcohols / Heterocycles / Sulfonic acids



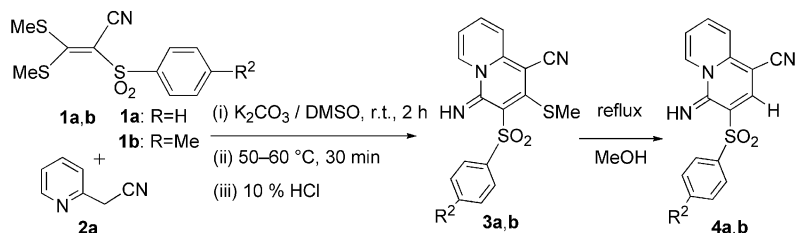
Taurine and structurally diverse substituted taurines have been synthesized starting from vicinal amino alcohols or aziridines and carbon disulfide with peroxyformic acid oxidation of the thiazolidine-2-thione intermediates the key step. The method is a salt-free and versatile route.

Desulfanylation

M. Hagimori, S. Matsui, N. Mizuyama, K. Yokota, J. Nagaoka, Y. Tominaga* 5847–5853

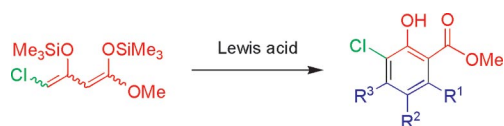
Novel Synthesis of 4*H*-Quinolizine Derivatives Using Sulfonyl Ketene Dithioacetals

Keywords: Nitrogen heterocycles / Sulfur / Fluorescence / Organic light-emitting diodes



4*H*-Quinolizine derivatives were prepared, under mild conditions, from sulfonyl ketene dithioacetals (**1a,b**). The reaction involved replacement of the remaining methylsulfonyl group with a proton after the ring-

closure reaction; metallic reagents were not used along the reaction pathway. The synthesized 4*H*-quinolizines exhibited strong fluorescence in the solid state.



A variety of chlorinated arenes were prepared by one-pot cyclizations of the first reported 4-chloro-1,3-bis(trimethylsilyloxy)-

buta-1,3-dienes with a variety of 1,3-dielectrophiles.

V. Wolf, M. Adeel, S. Reim, A. Villinger,
C. Fischer, P. Langer* 5854–5867

Diversity-Oriented Synthesis of Chlorinated Arenes by One-Pot Cyclizations of 4-Chloro-1,3-bis(trimethylsilyloxy)buta-1,3-dienes

Keywords: Arenes / Cyclization / Organochlorine compounds / Regioselectivity / Silyl enol ethers

* Author to whom correspondence should be addressed.

 Supporting information on the WWW (see article for access details).

If not otherwise indicated in the article, papers in issue 32 were published online on October 26, 2009