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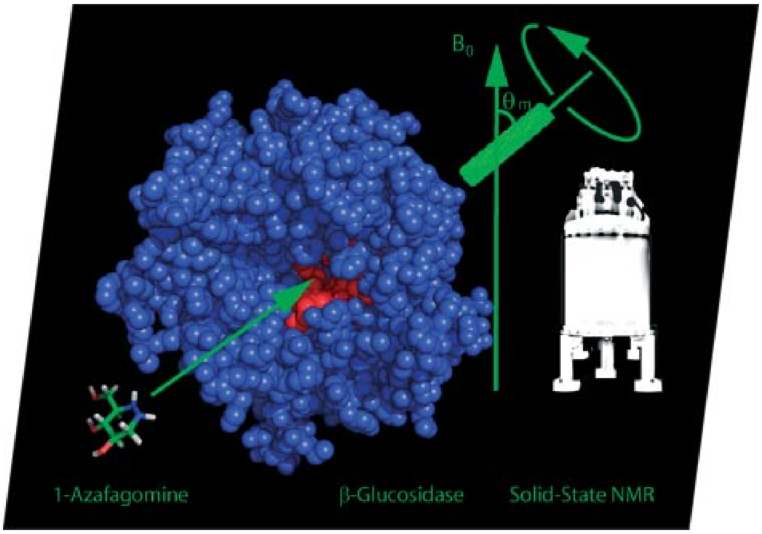
The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry.* Three further EUChemSoc Societies (Austria, Czech Republic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

COVER PICTURE

The cover picture shows the glycosidase inhibitor 1-azafagomine binding to the enzyme β-glucosidase in the magnetic field of a solid-state NMR spectrometer. Details are discussed in the article by N. C. Nielsen, M. Bols et al. on p. 1735 ff.



CONTENTS

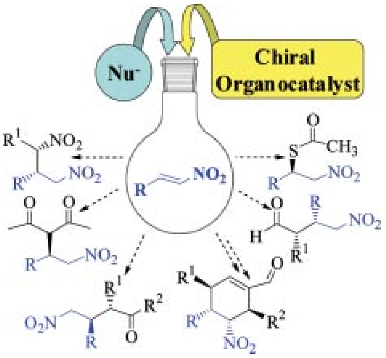
MICROREVIEWS

Organocatalysis

S. B. Tsogoeva* 1701–1716

Recent Advances in Asymmetric Organocatalytic 1,4-Conjugate Additions

Keywords: 1,4-Conjugate addition / Michael addition / Asymmetric reactions / Chiral amines / Multicomponent reactions / Organocatalysis



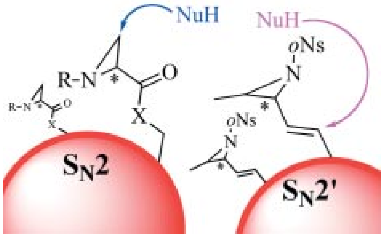
An overview of selected recent developments in the field of asymmetric organocatalytic 1,4-conjugate addition reactions, which are among the most powerful tools for the construction of new C-C bonds in organic synthesis, is presented. Additions of various nucleophiles to *trans*-β-nitro olefins, providing a wide range of synthetically interesting compounds, are highlighted in particular.

Springloaded Libraries

C. A. Olsen,* H. Franzyk, J. W. Jaroszewski 1717–1724

Aziridines in Parallel- and Solid-Phase Synthesis

Keywords: Aziridines / Nucleophilic ring-opening / Solid-phase synthesis / Parallel synthesis / Multi-component reactions



The still limited literature that describes the application of aziridines for parallel- and solid-phase synthesis is reviewed. The diverse applications reported so far emphasize their utility. Aziridines have potential as versatile and powerful building blocks in the preparation of future combinatorial libraries for biological high-throughput screening.

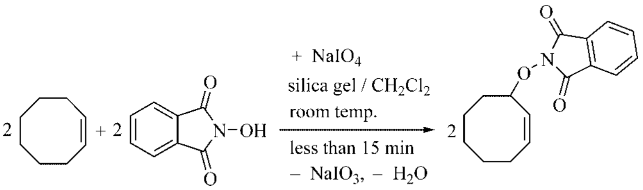
SHORT COMMUNICATIONS

Radical Reactions

S. Coseri* 1725–1729

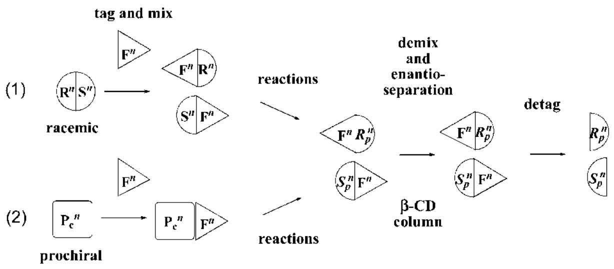
A New and Efficient Heterogeneous System for the Phthalimide *N*-Oxyl (PINO) Radical Generation

Keywords: Radical reactions / PINO / Oxidation / NHPI / Heterogeneous catalysis



The phthalimide *N*-oxyl (PINO) radical was generated by using sodium periodate/wet silica gel as a heterogeneous system. PINO reacts with cycloalkenes by a "pure" radical mechanism, the corresponding monoadducts being the only detected products for these reactions.

Chiral Resolution



The concept of fluorous “racemic” mixture synthesis (FRMS) is introduced. Two types of models [racemic (1) and prochiral (2)] exemplify the simultaneous enantioseparation and demixing of each enantiomer of racemic fluorous-tagged products and their derivatives by virtue of a chiral β-cyclodextrin column.

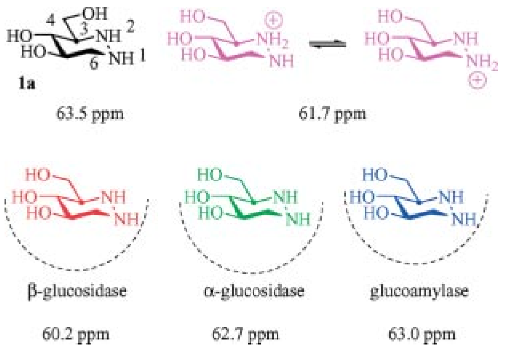
T. Tonoi, K. Mikami* 1730–1733

Fluorous “Racemic” Mixture Synthesis: Simultaneous Strategy for Demixing and Enantioseparation of Racemic Fluorous-Tagged Products

Keywords: Combinatorial chemistry / Enantioseparation / Fluorous tag / Liquid chromatography / Cyclodextrin

FULL PAPERS

Enzyme Inhibition Studied by NMR



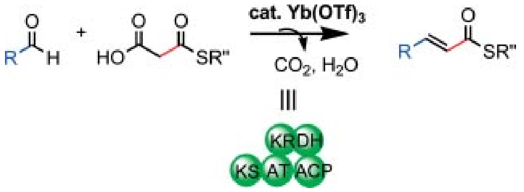
The NMR spectrum of **1a** and its ^{15}N-labelled analogue was obtained when tightly bound to three different enzymes using CP-MAS spectroscopy.

A. C. Sivertsen, M. Gasior, M. Bjerring, S. U. Hansen, O. Lopez Lopez, N. C. Nielsen,* M. Bols* 1735–1742

Active Site Protonation of 1-Azafagomine in Glucosidases Studied by Solid-State NMR Spectroscopy

Keywords: Azasugars / Carbohydrates / Inhibitors / Enzymes / Molecular recognition

Biomimetic Synthesis



Inspired by polyketide biosynthesis, unprecedented metal-catalysed Doebner–Knoevenagel condensations between Malonic Acid Half Thioesters (MAHTs) and various aldehydes have been achieved through the use of $Yb(OTf)_3$ as catalyst, mimicking a five-domain polyketide synthase module for the first time.

F. Berrué, S. Antoniotti, O. P. Thomas,* P. Amade 1743–1748

Bioinspired Metal-Catalysed Doebner–Knoevenagel Condensations between Malonic Acid Half Thioesters and Aldehydes

Keywords: Polyketides / Biomimetic synthesis / Metal catalysis / Knoevenagel condensation

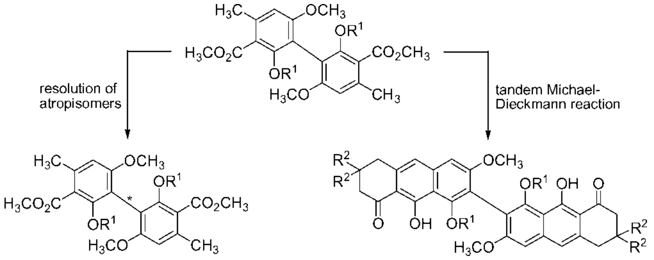
CONTENTS

Natural Product Synthesis

D. Drochner, W. Hüttel, S. E. Bode, M. Müller,* U. Karl, M. Nieger, W. Steglich* 1749–1758

Dimeric Orsellinic Acid Derivatives: Valuable Intermediates for Natural Product Synthesis

Keywords: Biaryls / Dihydroanthracenones / Regioselective synthesis / Atropisomers / Oxidative phenolic coupling



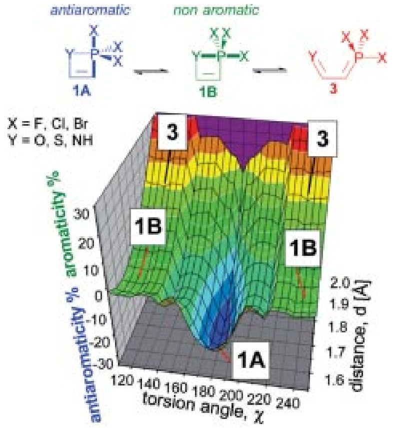
The preparation of atropisomerically pure dimeric orsellinates and their use in natural product synthesis is described.

Antiaromaticity of Heterophosphetes

Z. Mucsi,* T. Kötvélyesi, B. Viskolcz, I. G. Csizmadia, T. Novák, G. Keglevich 1759–1767

Can Four-Membered Heterophosphete Structures Exist? The Contribution of Phosphorus d Orbitals to Antiaromaticity

Keywords: Heterophosphete / Ab initio calculations / Density functional calculations / Antiaromaticity / Aromaticity



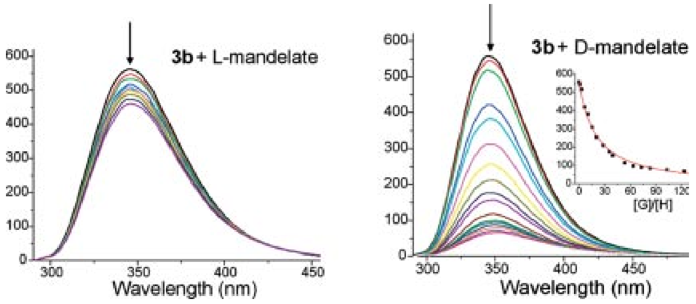
The large instability of heterophosphete compounds, composed of a four-membered unsaturated ring with a phosphorus atom and a heteroatom (Y), may be attributed to their antiaromatic properties, which have been identified and characterised by first-principle molecular orbital computations.

Enantioselective Fluorescent Sensors

G. Qing, Y. He,* F. Wang, H. Qin, C. Hu, X. Yang 1768–1778

Enantioselective Fluorescent Sensors for Chiral Carboxylates Based on Calix[4]arenes Bearing an L-Tryptophan Unit

Keywords: Calixarenes / Tryptophan / Fluorescence / Enantioselective recognition / Carboxylates / NMR spectroscopy



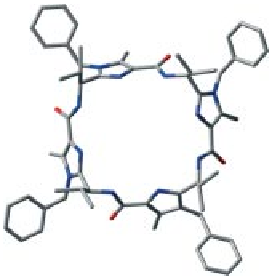
Two-armed chiral calix[4]arenes functionalized at the lower ring with L-tryptophan units have been synthesized. One receptor exhibits excellent enantioselective fluorescent recognition ability towards the *N*-Boc-protected alanine anion and another one reveals good enantioselective recognition ability towards the enantiomers of mandelate.

Molecular Scaffolds

G. Haberhauer,* Á. Pintér, T. Oeser, F. Rominger 1779–1792

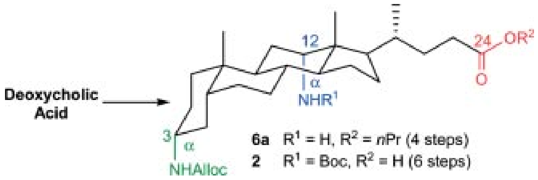
Synthesis and Structural Investigation of C_4- and C_2-Symmetric Molecular Scaffolds Based on Imidazole Peptides

Keywords: Amino acids / Cyclization / Imidazoles / Macrocycles / Molecular modelling



Imidazole and oxazole amino acids were used to synthesize C_2- and C_4-symmetric molecular scaffolds. Structural investigations revealed that the molecular structures of these 24-membered cyclic peptides do not depend on the symmetry of the system, but on the type of azole used.

Steroid Scaffold



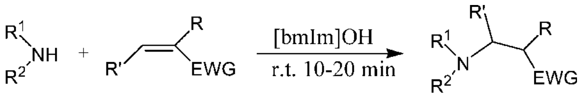
A concise, multigram-scale synthesis of C3α-NHAlloc, C12α-NHBoc-diamino-5β-cholan-24-oic acid **2** was developed, applying a new, straightforward synthetic strategy. Key features are the conservation of the carboxyl moiety at C24 during oxime reduction, the late differentiation between the C3 and C12 amino groups and the gradual separation of diastereomers during the synthesis.

D. Verzele, A. Madder* **1793–1797**

Short Synthesis of Orthogonally Protected 3α,12α-Diamino-5β-cholan-24-oic Acid, a Dipodal Steroid Scaffold for Combinatorial Chemistry

Keywords: Steroids / Dipodal scaffold / Diastereoselectivity

Green Synthesis



EWG = CN, $COCH_3$, CO_2CH_3

A basic ionic liquid, [bmIm]OH, has been introduced as an environmentally friendly catalyst and reaction medium for Michael additions between amines and α,β-unsaturated carbonyl compounds, generating the adducts in quantitative yields at ambient temperature within 10–20 minutes. For large-scale reactions the products could be directly distilled from the ionic liquid, allowing the use of organic solvents to be avoided totally. The ionic liquid could be reused at least eight times with consistent activity.

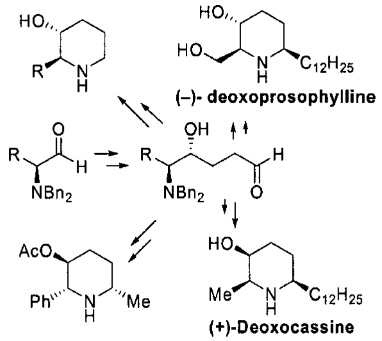
J.-M. Xu, Q. Wu, Q.-Y. Zhang, F. Zhang, X.-F. Lin* **1798–1802**

A Basic Ionic Liquid as Catalyst and Reaction Medium: A Rapid and Simple Procedure for Aza-Michael Addition Reactions

Keywords: Michael addition / Ionic liquids

Alkaloid Synthesis

Chiral α-dibenzylamino aldehydes, easily prepared from natural α-amino acids, have been used as starting material in the synthesis of enantioenriched alkaloids.



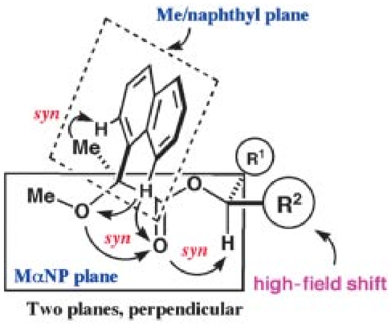
J. M. Andrés, R. Pedrosa,*
A. Pérez-Encabo **1803–1810**

Synthesis of Enantioenriched 2- and 2,6-Substituted Piperidin-3-ols from α-Dibenzylamino Aldehydes

Keywords: Asymmetric synthesis / Amino aldehydes / Deoxocassine / Deoxoprosophylline / 3-Piperidinols

^{1}H NMR Anisotropy of MαNP Esters

The MαNP acid method is very powerful for the preparation of enantiopure alcohols by resolution and the simultaneous determination of their absolute configurations by the ^{1}H NMR anisotropy effect, where the *syn-syn* conformation is taken as the preferred conformation of MαNP esters. The mechanism for the stabilization of the *syn-syn* conformation is described.



Y. Kasai, A. Sugio, S. Sekiguchi,
S. Kuwahara, T. Matsumoto, M. Watanabe,
A. Ichikawa, N. Harada* **1811–1826**

Conformational Analysis of MαNP Esters, Powerful Chiral Resolution and ^{1}H NMR Anisotropy Tools – Aromatic Geometry and Solvent Effects on Δδ Values

Keywords: Conformational analysis / ^{1}H NMR anisotropy effect / MαNP and related esters / Chirality / Aromatic geometry and solvent effects

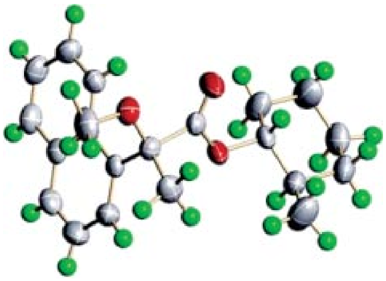
CONTENTS

Solid-State Conformational Analysis

S. Kuwahara, J. Naito, Y. Yamamoto, Y. Kasai, T. Fujita, K. Noro, K. Shimanuki, M. Akagi, M. Watanabe, T. Matsumoto, M. Watanabe, A. Ichikawa, N. Harada* 1827–1840

Crystalline-State Conformational Analysis of MαNP Esters, Powerful Resolution and Chiral ^{1}H NMR Anisotropy Tools

Keywords: Solid-state conformation analysis / MαNP esters / Chirality / Synperiplanar conformation / Weak bifurcated hydrogen bond



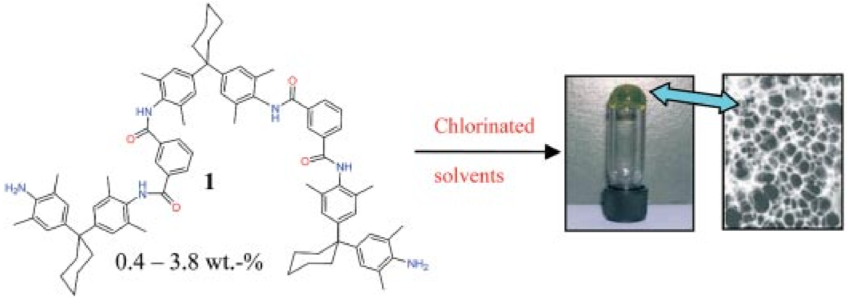
X-ray crystallographic analyses of MαNP acids and esters were carried out in order to compare their conformations in crystalline and solution states: 22 conformers have the so-called *syn* structure, while five conformers have the so-called *anti* structure. In *syn* conformers, the weak bifurcated hydrogen bond between O6-H8′-O7 was proposed as the intramolecular force stabilizing the so-called *syn* conformation.

Organogels

D. G. Velázquez, D. D. Díaz,* Á. G. Ravelo, J. J. Marrero-Tellado* 1841–1845

Hunter's Oligoamide: A Functional C_2-Symmetric Molecule with Unusual Topology for Selective Organic Gel Formation

Keywords: Oligoamides / Self-assembly / Gels / Chlorinated Solvents



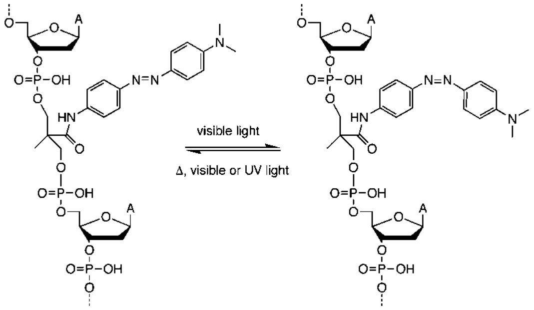
We report for the first time the discovery of the gelation properties of Hunter's oligoamide with pendant amino groups, **1**, which possesses structural features different to some conventional gelators. The stable organogels were studied with infrared spectroscopy, transmission electron microscopy, and differential scanning calorimetry. Remarkably, the effective self-assembly process of this oligoamide to produce stable organic gels occurs exclusively in chlorinated solvents.

Photoresponsivity in an Oligonucleotide

T. Kamei, M. Kudo, H. Akiyama, M. Wada, J. Nagasawa, M. Funahashi, N. Tamaoki, T. Q. P. Uyeda* 1846–1853

Visible-Light Photoresponsivity of a 4-(Dimethylamino)azobenzene Unit Incorporated into Single-Stranded DNA: Demonstration of a Large Spectral Change Accompanying Isomerization in DMSO and Detection of Rapid (*Z*)-to-(*E*) Isomerization in Aqueous Solution

Keywords: Photoresponsivity / Oligonucleotides / 4-(Dimethylamino)azobenzene / (*E*)/(*Z*) isomerization / DNA nanodevices



Visible-light photoresponsivity in a synthesized oligonucleotide containing a 4-(dimethylamino)azobenzene unit was demonstrated in dry DMSO by use of a conventional spectrophotometer and in aqueous solution by a flash photolysis technique.

If not otherwise indicated in the article, papers in issue 10 were published online on March 8, 2007