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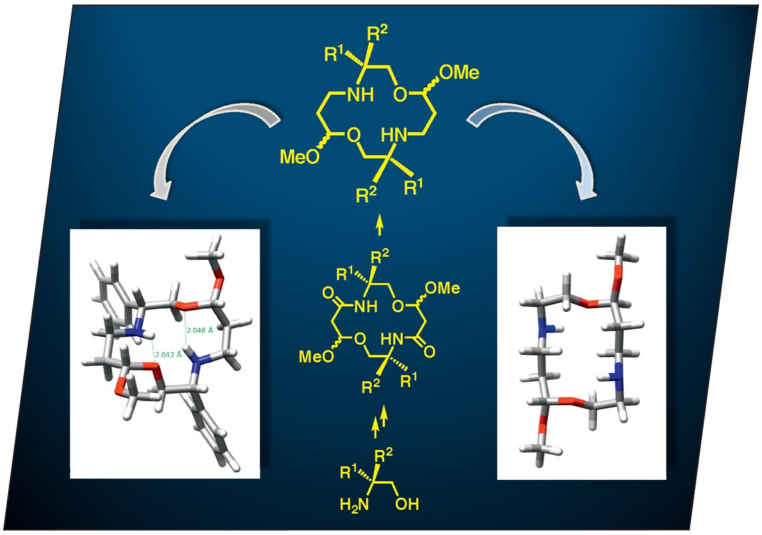
The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry.* Three further EUChemSoc Societies (Austria, Czech Republic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

COVER PICTURE

The cover picture shows a rapid approach to new macrocyclic, 14-membered ring diketal diamines, obtained by reduction of the corresponding diketal dilactams previously synthesized in two steps from chiral or achiral β-amino alcohols. For these diamino compounds, the conformers of lower energy are either set in a strain-free rectangular [3434]-type structure or stabilized by two intramolecular NH···O hydrogen bonds, depending on the nature of the R^1, R^2 substituents and on the stereochemistry of the OMe groups. Details are discussed in the article by D. Dugat et al. on p. 2039ff. Lionel Nauton is acknowledged for his help in the design of the cover picture.



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CONTENTS

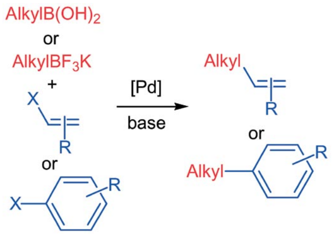
MICROREVIEW

Palladium-Catalysed Cross-Couplings

H. Doucet* **2013–2030**

Suzuki–Miyaura Cross-Coupling Reactions of Alkylboronic Acid Derivatives or Alkyltrifluoroborates with Aryl, Alkenyl or Alkyl Halides and Triflates

Keywords: Suzuki coupling / Palladium / Catalysis / Alkylboronic acids / Alkyltrifluoroborates



The coupling of primary alkylboronic acids or alkyltrifluoroborates with aryl or alkenyl halides or triflates gives the coupling products in relatively high turnover numbers and good yields with several catalysts. On the other hand, secondary alkylboron derivatives are much less reactive.

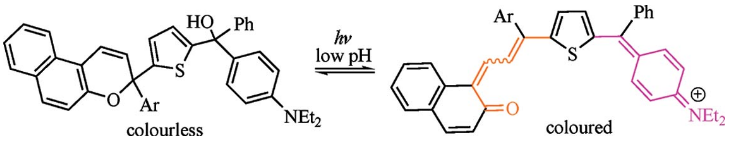
SHORT COMMUNICATIONS

Photochromism

C. D. Gabbutt, B. M. Heron,* S. B. Kolla, M. Mcgivern **2031–2034**

Two Stage Colour Modulation of Triarylmethine Dyes Derived from a Photochromic Naphthopyran

Keywords: Photochromism / Naphthopyran / Triarylmethine dye / Lithiation



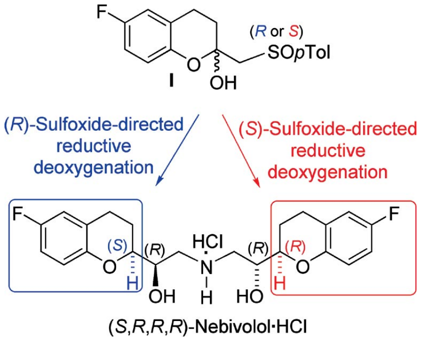
Diarylmethanol-substituted naphthopyrans display a typical reversible photochromic response in toluene solution. In acidic media an intensely coloured dye cation is generated and UV irradiation of this solution results in a further colour change.

Drug Synthesis

M. C. Carreño,* G. Hernández-Torres, A. Urbano,* F. Colobert* **2035–2038**

Sulfoxide-Directed Stereocontrolled Access to 2*H*-Chromans: Total Synthesis of the (*S*,*R*,*R*,*R*)-Enantiomer of the Antihypertensive Drug Nebivolol

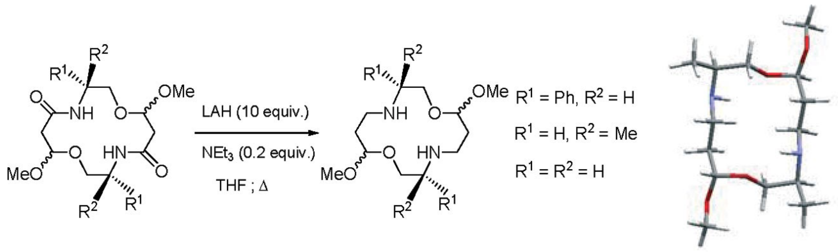
Keywords: Chromans / Drug synthesis / Stereoselectivity / Sulfoxides



A homochiral sulfoxide-directed reductive deoxygenation of 2-(*p*-tolylsulfinyl)methyl-2-chromanols (**I**) allows the stereoselective formation of 2*H*-chromans with up to 95:5 *dr*. This new methodology was applied in a short and convergent enantioselective synthesis of the (*S*,*R*,*R*,*R*)-enantiomer of the antihypertensive drug Nebivolol.

FULL PAPERS

Macrocyclic Diketal Diamines



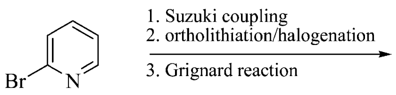
Macrocyclic diketal diamines were synthesized from the previously obtained diketal dilactams by reduction with lithium aluminum hydride in the presence of a trace amount of triethylamine. The conformations were either set in a [3434]-type structure or stabilized by intramolecular hydrogen bonds. Tc-99m radiolabeling gave ≈10–20% exchange yields.

R. Affani, P. Auzeloux, J.-C. Madelmont, D. Dugat* 2039–2048

Macrocyclic 14-Membered Ring Diketal Diamines: Synthesis, Conformational Analysis and ^{99m}Tc Radiolabeling Evaluation

Keywords: Amines / Macrocycles / Reduction / Conformation analysis / Chelates / Technetium

Disubstituted Pyridine Syntheses



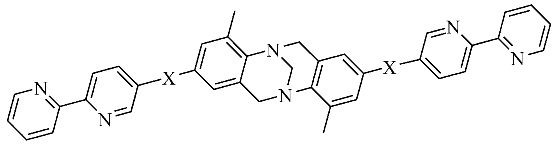
A series of 2,6-disubstituted pyridines was prepared starting from readily available 2-substituted pyridines. The main sequence involves a selective reaction with halogen functionalization followed by a Grignard reaction catalyzed by Fe(acac)$_3$. Pyridines bearing an aryl moiety in the 6-position and an alkyl chain, including highly fluorinated groups, in the 2-position were obtained.

L. Vandromme, H.-U. Reißig,* S. Gröper, J. P. Rabe 2049–2055

Practical Routes to 2,6-Disubstituted Pyridine Derivatives

Keywords: C–C coupling / Nitrogen heterocycles / Lithiation / Halogenation / Scanning tunnelling microscopy

Diastereoselective Self-Assembly



X = single bond, ethynylene, ester, or amide linker

Dissymmetrical bis(bipyridine) ligands based on the Tröger's base scaffold have been synthesized with both rigid and flexible spacer units. These racemic ligands do form double- and triple-stranded helicates upon coordination to suitable transition metal ions. These self-assembly processes are diastereoselective in the cases of dinuclear Cu^{+}, Ag^{+}, and Fe^{2+} helicates but not in the case of Zn^{2+} complexes.

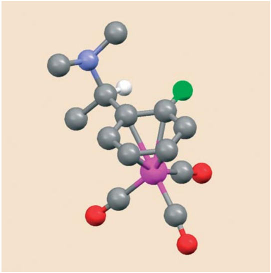
U. Kiehne, T. Weilandt, A. Lützen* 2056–2064

Self-Assembly of Dinuclear Double- and Triple-Stranded Helicates from Bis(bipyridine) Ligands Derived from Tröger's Base Analogues

Keywords: Tröger's base / Self-assembly / 2,2′-Bipyridines / Supramolecular chemistry / Helicates

1,3-Diamines

Diastereospecific electrophilic fluorination enables nucleophilic aromatic substitution, giving access to a broad library of chiral 1,3-diamines, both π-coordinated to a tricarbonylchromium group and in free form.



W. Braun,* B. Calmuschi-Cula, U. Englert, K. Höfener, E. Alberico, A. Salzer* 2065–2074

Novel Chiral 1,3-Diamines by a Highly Modular Umpolung Strategy Employing a Diastereoselective Fluorination–Nucleophilic Aromatic Substitution Sequence

Keywords: Amines / Chirality / Aromatic substitution / Nucleophilic substitution / Halogenation / Chromium / Asymmetric synthesis / Umpolung

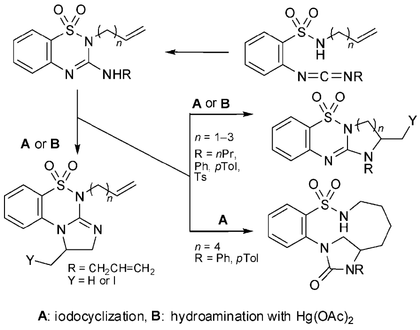
CONTENTS

1,2,4-Benzothiadiazine 1,1-Dioxides

S. Hirota, R. Kato, M. Suzuki, Y. Soneta, T. Otani,* T. Saito* 2075–2083

Synthesis of Diazaheterocyclic Ring-Fused 1,2,4-Benzothiadiazine 1,1-Dioxides by a Sequential Aza-Wittig/NH-Addition Cyclization/Nucleophilic Ring-Closure Methodology with *N*-Alkenyl-2-carbodiimidobenzenesulfonamides as Key Intermediates

Keywords: Tandem reactions / Carbodiimides / Nitrogen heterocycles / Fused-ring systems / 1,2,4-Benzothiadiazine 1,1-dioxides



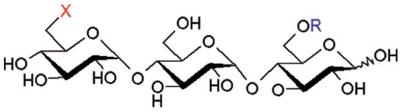
A variety of diazaheterocyclic ring-fused benzothiadiazine dioxides have been prepared through tandem cyclization (intramolecular nucleophilic addition/iodoamination or hydroamination) of 2-carbodiimidobenzenesulfonamides. In one case, a methano-bridged benzothiatriazabicyclotridecanone was obtained.

Inhibition of Maltose-Binding Protein

H. Malik, W. Boos, R. R. Schmidt* 2084–2099

Maltose and Maltotriose Derivatives as Potential Inhibitors of the Maltose-Binding Protein

Keywords: Carbohydrates / Maltose-binding protein / Maltose transport / Inhibition / Substrate modification / Glycosidation



50: R = Pr, X = OH
51: R = H, X = Br

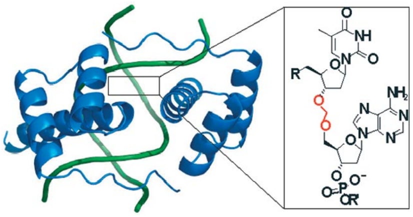
Variously modified maltose and maltotriose derivatives were investigated for their inhibition of maltose and maltotriose binding to the maltose-binding protein (MBP). The design of these compounds was based on X-ray analyses of substrate binding to MBP. The studies led to structurally different lead compounds, as maltotriose derivatives **50** and **51**.

Recognition of Bent DNA

M. Pitulescu, M. Grapp, R. Krätzner, W. Knepel, U. Diederichsen* 2100–2106

Synthesis of Formacetal-Linked Dinucleotides to Facilitate dsDNA Bending and Binding to the Homeodomain of Pax6

Keywords: DNA bending / DNA recognition / Formacetal linker / Pax6 homeodomain / Transcription



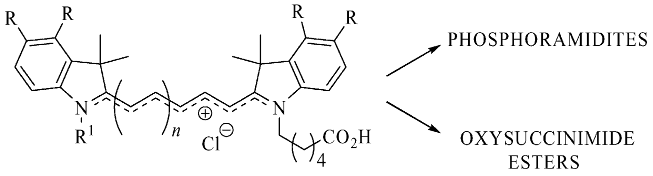
Recognition of dsDNA by proteins is often found in combination with bent DNA. Increased binding affinity might result from DNA duplexes in a pre-organized already bent conformation. DNA oligomers were synthesized for the Pax6 homeodomain recognition site by anticipating the kink through introduction of neutral formacetal linkages instead of negatively charged phosphodiesters.

Cyanine Dyes

M. V. Kvach, A. V. Ustinov, I. A. Stepanova, A. D. Malakhov, M. V. Skorobogatyi, V. V. Shmanai,* V. A. Korshun* 2107–2117

A Convenient Synthesis of Cyanine Dyes: Reagents for the Labeling of Biomolecules

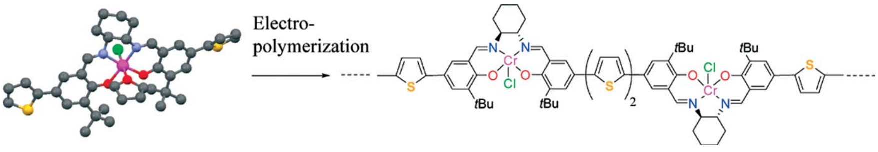
Keywords: Bioorganic chemistry / Cyanines / Fluorescent dyes / Phosphoramidites / Esters



R = H, R^1 = Me, *n* = 0, 1 or
R,R = $-(CH=CH)_2-$, R^1 = Bu, *n* = 0, 1

The synthesis of four cyanine phosphoramidites and activated esters (Cy3, Cy3.5, Cy5, and Cy5.5) suitable for straightforward labeling of oligonucleotides or proteins is described.

Asymmetric Heterogeneous Catalysis



A chiral chromium-thiophene-salen complex has been synthesized and electropolymerized to give a chiral polymer. The resulting powder was reused as a heterogeneous catalyst in successive asymmetric hetero-Diels–Alder reactions without loss of activity or enantioselectivity after 15 cycles. A multi-substrate procedure using various aldehydes afforded the desired pyranones in a pure form.

A. Zulauf, M. Mellah, R. Guillot,
E. Schulz* 2118–2129

Chromium-Thiophene-salen-Based Polymers for Heterogeneous Asymmetric Hetero-Diels–Alder Reactions

Keywords: Asymmetric catalysis / Heterogeneous catalysis / Ligand design / Chromium / Cycloaddition / Polymers

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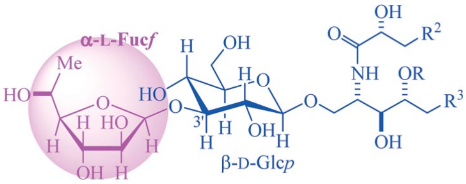
Glycolipids from Sponges



The new diglycosylated glycosphingolipid terpioside has been isolated from the marine sponge *Terpios* sp. Terpioside is the first example of a natural glycosphingolipid having an L-fucofuranose unit. The structure of terpioside was elucidated by extensive spectroscopic analysis, whereas chemical degradation was used to establish the nature of the alkyl chains and the absolute stereochemistry of the sugars and the ceramide.

V. Costantino, E. Fattorusso,
C. Imperatore, A. Mangoni,*
R. Teta 2130–2134

Terpioside from the Marine Sponge *Terpios* sp., the First Glycosphingolipid Having an L-Fucofuranose Unit

Keywords: Fucofuranose / Ceramide / Glycolipids / Sponges / Structure elucidation

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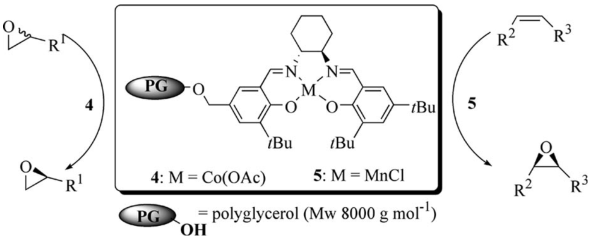
Recyclable Soluble Chemzymes



A homogeneously soluble polyglycerol-supported unsymmetrical salen ligand (chemzyme) was prepared and purified by ultrafiltration. The chemzyme was metalated with cobalt acetate to afford the corresponding supported Co-salen complex which was further utilized in the hydrolytic kinetic resolution (HKR) of terminal epoxides. Kinetic studies showed improved catalytic performance compared to the respective non-immobilized catalyst. Polymer-supported Mn-salen catalyst used in epoxidation could be recycled by precipitation up to three times.

M. Beigi, S. Roller, R. Haag,
A. Liese* 2135–2141

Polyglycerol-Supported Co- and Mn-salen Complexes as Efficient and Recyclable Homogeneous Catalysts for the Hydrolytic Kinetic Resolution of Terminal Epoxides and Asymmetric Olefin Epoxidation

Keywords: Asymmetric catalysis / Epoxidation / Hyperbranched polymers / Kinetic resolution / Polyglycerol

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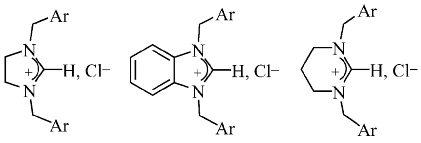
NHC Ligands

NHC precursors were prepared and evaluated in ruthenium-catalyzed substitution of allylic carbonates and chlorides by carbonucleophiles and phenol.



S. Yasar, I. Özdemir,
B. Cetinkaya, J.-L. Renaud,
C. Bruneau* 2142–2149

Benzylic Imidazolidinium, 3,4,5,6-Tetrahydropyrimidinium and Benzimidazolium Salts: Applications in Ruthenium-Catalyzed Allylic Substitution Reactions

Keywords: Carbene ligands / Nitrogen heterocycles / Ruthenium / Allylation / Homogeneous catalysis

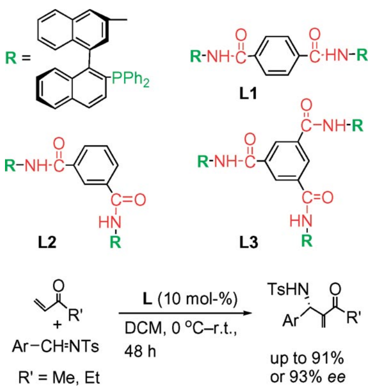
CONTENTS

Organocatalysis

X.-Y. Guan, Y.-Q. Jiang,
M. Shi* 2150–2155

Chiral Sterically Congested Phosphane-Amide Bifunctional Organocatalysts in Asymmetric Aza-Morita–Baylis–Hillman Reactions of *N*-Sulfonated Imines with Methyl and Ethyl Vinyl Ketones

Keywords: Morita–Baylis–Hillman reactions / Asymmetric catalysis / Alkenes / Imines / Organocatalysis



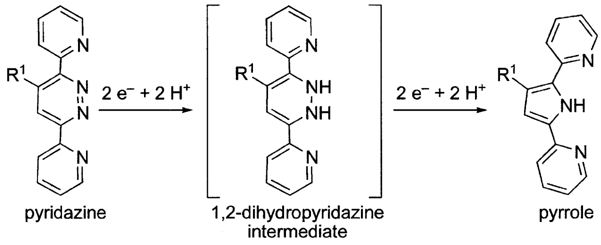
Chiral sterically congested phosphane-amide bifunctional organocatalysts are reasonably effective in the catalytic asymmetric aza-Morita–Baylis–Hillman reactions of *N*-sulfonated imines with methyl and ethyl vinyl ketones, giving the adducts in good yields and *ee* values.

Pyridazine Electrochemical Reduction

H. Bakkali, C. Marie, A. Ly,
C. Thobie-Gautier, J. Graton, M. Pipelier,
S. Sengmany, E. Léonel, J.-Y. Nédélec,
M. Evain, D. Dubreuil* 2156–2166

Functionalized 2,5-Dipyridinylpyrroles by Electrochemical Reduction of 3,6-Dipyridinylpyridazine Precursors

Keywords: Nitrogen heterocycles / Ring contraction / Electrochemistry / Reduction



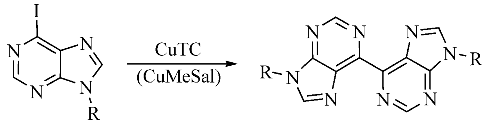
The ring contraction of 3,6-dipyridinylpyridazines into the corresponding pyrroles was investigated following an electrochemical procedure. The mechanism involved a four-electron, four-proton process and the formation of an 1,2-dihydropyridazine as a key intermediate.

Purine Dimers

T. Tobrman, P. Štěpnička, I. Císařová,
D. Dvořák* 2167–2174

Preparation and Crystal Structures of Purine 2,2′-, 6,6′-, and 8,8′-Dimers

Keywords: Nucleobases / Dimerization / Copper / Nitrogen heterocycles / X-ray diffraction



Symmetrical 6,6′-, 2,2′-, and 8,8′-purine dimers can be conveniently prepared by Cu^{I}-mediated dimerization of the corresponding iodo derivatives. Cross dimerization is also possible but lacks selectivity for the heterocoupled product.