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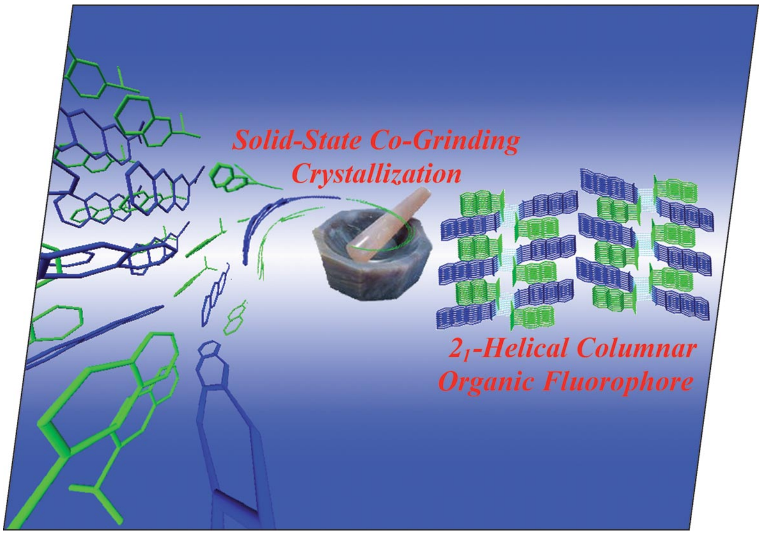
A union formed by chemical societies in Europe (ChemPubSoc Europe) has taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further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

COVER PICTURE

The cover picture shows the formation of novel functional supramolecular crystals by simple mixing/co-grinding of two component crystals. Co-grinding of crystals of 2-anthracenecarboxylic acid and (*R*)-1-(2-naphthyl)ethylamine produces a crystalline supramolecular organic fluorophore having a 2_1-helical columnar structure in the solid state, as observed by the change in powder X-ray diffraction patterns. Details are discussed in the article by Y. Imai, Y. Matsubara et al. on p. 1335ff.



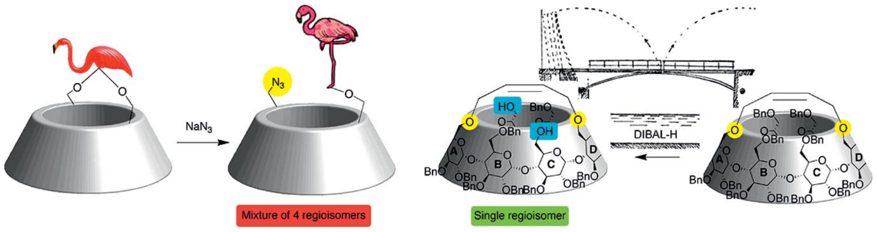
MICROREVIEW

Trifunctional Cyclodextrins

M. Sollogoub* 1295–1303

Cap-Assisted Synthesis of Hetero-Trifunctional Cyclodextrins, from Flamingo Cap to Bascule Bridge

Keywords: Cyclodextrins / Functionalisation / Capping / Regioselectivity / Protecting groups



In this review we focus on the synthesis of cyclodextrins bearing three different functionalities by capping strategies. These hetero-trifunctional cyclodextrins were first prepared as mixtures; recent methods allow their efficient synthesis as pure compounds.

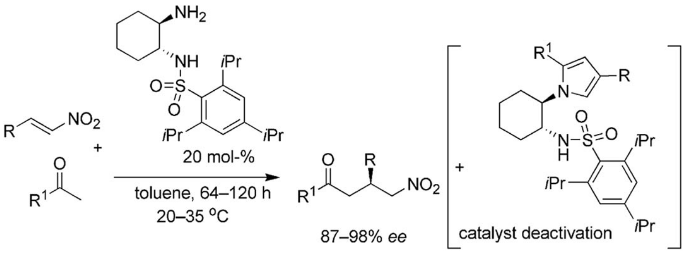
SHORT COMMUNICATIONS

Organocatalysis

R. Rasappan, O. Reiser* 1305–1308

Cyclohexane-1,2-diamines: Efficient Catalysts for the Enantioselective Conjugate Addition of Ketones to Nitro Olefins

Keywords: Organocatalysis / Enantioselectivity / Conjugate addition / Amines



Highly enantioselective conjugate addition of ketons to nitro olefines were carried out with simple primary amine organocatalysts. A pathway for catalyst deactivation by irreversible pyrrole formation was identified.

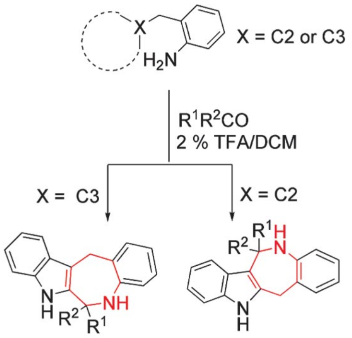
7-*endo* Cyclization

S. K. Sharma, S. Sharma, P. K. Agarwal, B. Kundu* 1309–1312

Application of 7-*endo-trig* Pictet–Spengler Cyclization to the Formation of the Benzazepine Ring: Synthesis of Benzazepinoindoles

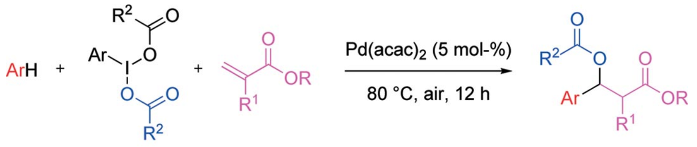
Keywords: Fused-ring systems / Nitrogen heterocycles / Cyclization / Polycycles

-



The preparation of benzazepinoindoles, fused heterocycles with a benzazepine moiety, was accomplished through an intramolecular 7-*endo-trig* Pictet–Spengler cyclization.

Geminal Oxyarylation of Alkenes



The palladium-catalyzed formation of aldol-type products was achieved through a three-component coupling reaction.

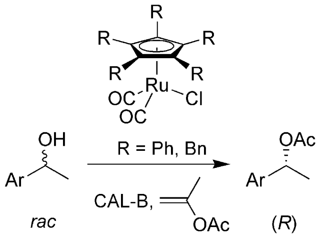
A. Rodriguez, W. J. Moran* ... **1313–1316**

Palladium-Catalyzed Three-Component Coupling Reactions: 1,1-Difunctionalization of Activated Alkenes

Keywords: Palladium / C–C coupling / C–H activation / Iodine / Heck reaction

DKR of Secondary Alcohols

New half-sandwich complexes of ruthenium have been prepared and evaluated as racemization catalysts for the metalloenzymatic dynamic kinetic resolution (DKR) of secondary alcohols. The pentabenzyl-substituted ruthenium complex described herein shows excellent catalytic activity and stability under the employed reaction conditions with performance superior to that of the current lead catalyst with pentaphenyl ligand structure under similar reaction conditions.

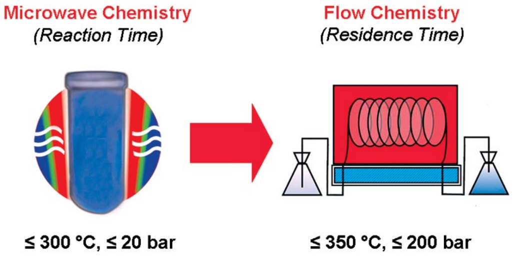


D. Mavrynsky, M. Päiviö, K. Lundell, R. Sillanpää, L. T. Kanerva,* R. Leino* **1317–1320**

Dicarbonylchloro(pentabenzylcyclopentadienyl)ruthenium as Racemization Catalyst in the Dynamic Kinetic Resolution of Secondary Alcohols

Keywords: Ruthenium / Dynamic kinetic resolution / Cyclopentadienyl ligands / Enzyme catalysis / Racemization

Flow Chemistry



Translating microwave to flow chemistry: In a high-temperature/pressure microtubular flow device, many of the benefits inherent to microwave chemistry such as rapid heating/cooling and sealed-vessel processing can be mimicked, including the generation of solvents in their supercritical state. In addition, the scalability problem of microwave synthesis can be eliminated.

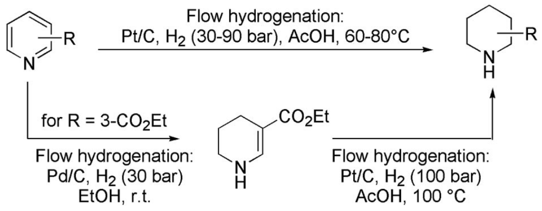
T. Razzaq, T. N. Glasnov, C. O. Kappe* **1321–1325**

Continuous-Flow Microreactor Chemistry under High-Temperature/Pressure Conditions

Keywords: Flow chemistry / High-temperature reactions / Microreactors / Process intensification / Supercritical fluids / Microwave chemistry

FULL PAPERS

Flow Chemistry



Substituted pyridines can be hydrogenated efficiently in a continuous flow approach by using a dedicated high temperature/pressure flow reactor and pre-packed catalyst cartridges. Good-to-excellent yields of substituted piperidines are obtained by using Pd/C, Rh/C, or Pt/C as heterogeneous catalysts at temperatures of 60–80 °C and hydrogen pressures of 30–90 bar.

M. Irfan, E. Petricci, T. N. Glasnov, M. Taddei, C. O. Kappe* **1327–1334**

Continuous Flow Hydrogenation of Functionalized Pyridines

Keywords: Flow chemistry / Heterogeneous catalysis / Hydrogenation / Microwave chemistry / Nitrogen heterocycles / Reduction

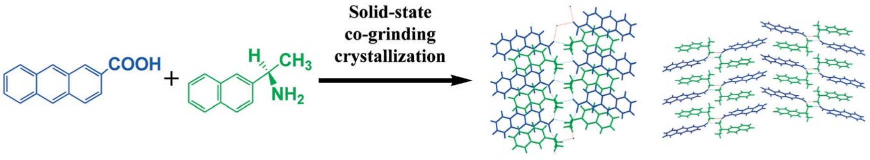
CONTENTS

Supramolecular Fluorophores

Y. Imai,* K. Murata, K. Kawaguchi, T. Harada, Y. Nakano, T. Sato, M. Fujiki, R. Kuroda, Y. Matsubara* 1335–1339

Complexation Behavior of a Supramolecular Organic Fluorophore Prepared by Solid-State Co-Grinding Crystallization Using 2-Anthracenecarboxylic Acid and (*R*)-1-(2-Naphthyl)ethylamine and Its Optical Properties

Keywords: Crystallization / Crystal engineering / Fluorescence / Helical structures / Supramolecular chemistry



2_1-Helical columnar organic fluorophore
λ_{em} = 458 nm

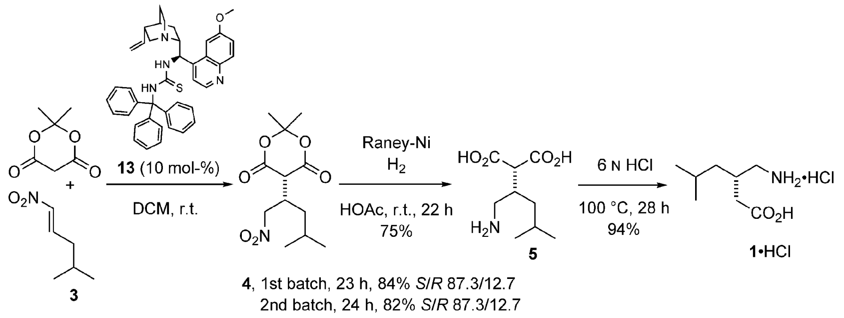
A novel crystalline supramolecular organic fluorophore having a 2_1-helical columnar structure was prepared by the solid-state co-grinding crystallization technique. Although many organic fluorophores lose their fluorescence in the solid state, this supramolecular organic fluorophore exhibits its fluorescence even in the solid state.

Organocatalytic Pregabalin Synthesis

O. Bassas, J. Huuskonen, K. Rissanen, A. M. P. Koskinen* 1340–1351

A Simple Organocatalytic Enantioselective Synthesis of Pregabalin

Keywords: Pregabalin / Conjugate additions / Alkaloids / Thiourea / Organocatalysis / Enantioenrichment / Enantioselectivity / Michael addition / Asymmetric synthesis



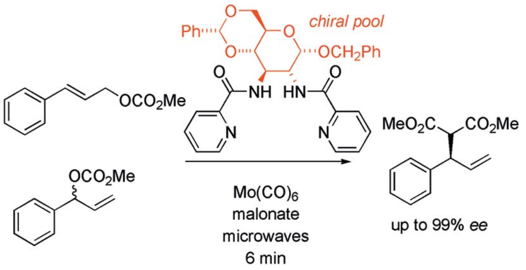
A new organocatalytic procedure for Pregabalin (**1**) is reported. Michael addition of Meldrum's acid to nitroalkene **3** catalyzed by a quinidine-derived thiourea gave the key chiral intermediate nitroalkane (*S*)-**4** in up to 75% *ee*, and two simple operations give pregabalin in high chemical yield. A family of novel thiourea catalysts with different substituents were prepared and evaluated.

Mo-Catalyzed AAA

R. Del Litto, V. Benessere, F. Ruffo,* C. Moberg* 1352–1356

Carbohydrate-Based Pyridine-2-carboxamides for Mo-Catalyzed Asymmetric Allylic Alkylations

Keywords: Asymmetric catalysis / Molybdenum / Carbohydrates / Allylation / Microwave reactions



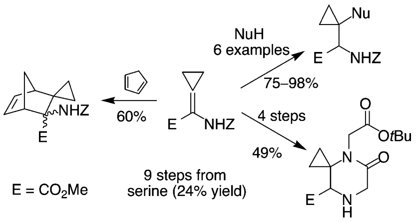
Bis(pyridine-2-carboxamides) with their chirality derived from glucose serve as highly enantioselective ligands for microwave-mediated Mo-catalyzed asymmetric allylic alkylations (AAA) by using both linear and branched allylic carbonates.

Cyclopropyl Amino Acids

M. Limbach, A. Lygin, M. Es-Sayed, A. de Meijere* 1357–1364

Methyl 2-(Benzyloxycarbonylamino)-2-cyclopropylideneacetate: A Versatile Building Block for Cyclopropyl-Containing Amino Acids

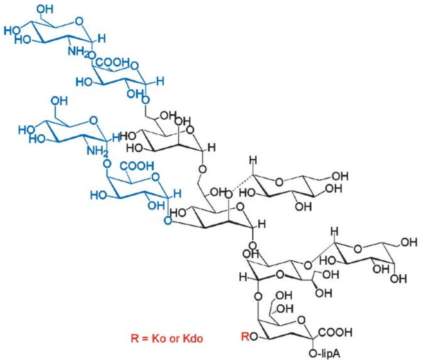
Keywords: Cyclopropanes / Amino acids / Michael addition / Peptidomimetics / Molecular diversity



Methyl 2-(benzyloxycarbonylamino)-2-cyclopropylideneacetate, which has been prepared in nine steps (24% yield) starting from L-serine, can be employed in various ways towards the syntheses of new cyclopropyl-containing amino acids.

Core Oligosaccharides

The structure of the core oligosaccharide from *Plesiomonas shigelloides* 302-73 (serotype O1) was elucidated by 2D NMR spectroscopy and MALDI-TOF MS. It showed similarity to that of serotype O54 and O17 and is characterised by the presence of two α-GlcN-(1→4)-α-GalA disaccharides in the outer core and by the presence of D-*glycero*-D-*talo*-2-octulopyranosonic acid in the inner core.



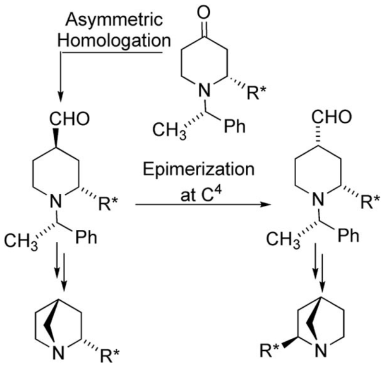
G. Pieretti, M. M. Corsaro,* R. Lanzetta, M. Parrilli, S. Vilches, S. Merino, J. M. Tomás **1365–1371**

Structure of the Core Region from the Lipopolysaccharide of *Plesiomonas shigelloides* Strain 302-73 (Serotype O1)

Keywords: Oligosaccharides / Sequence determination / NMR spectroscopy / Structure elucidation

Asymmetric Homologation

By choosing the appropriate methodology, enantiomerically pure (1*R*,2*R*,4*R*)- and (1*S*,2*R*,4*S*)-1-azabicyclo[2.2.1]heptanes substituted at the 2-position can be obtained from a common precursor.

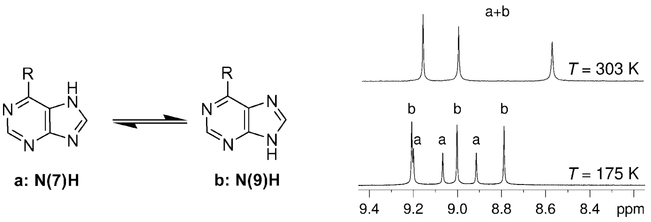


P. Etayo, R. Badorrey, M. D. Díaz-de-Villegas,* J. A. Gálvez* **1372–1376**

First Stereoselective Synthesis of (1*R*,2*R*,4*R*)- and (1*S*,2*R*,4*S*)-2-Substituted-1-azabicyclo[2.2.1]heptanes

Keywords: Asymmetric synthesis / Ketones / Nitrogen heterocycles / Diastereoselectivity

Tautomerism of Purine Bases



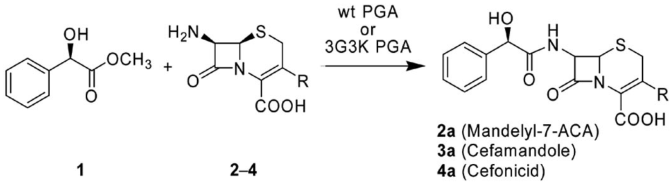
Low-temperature NMR spectroscopy has been used to characterize the tautomeric equilibria of a series of biogenic purine bases. The effect of substitution on the N(7)H/N(9)H ratio is discussed and the mechanism for the tautomeric exchange is studied. The results indicate a significant role of supramolecular clusters in the proton transfer process.

T. Bartl, Z. Zacharová, P. Sečkářová, E. Kolehmainen, R. Marek* ... **1377–1383**

NMR Quantification of Tautomeric Populations in Biogenic Purine Bases

Keywords: Purines / Low-temperature studies / NMR spectroscopy / Tautomerism / Population studies

Improved Biocatalyst



An investigation of the catalytic properties of the immobilized 3G3K mutant PGA obtained by site-directed mutagenesis is reported. The immobilized preparation on glyoxyl agarose showed the same behaviour as the free enzyme. Moreover, the mutant immobilized on glyoxyl agarose showed better synthetic performance than the commercial wild-type PGA.

I. Serra, D. A. Cecchini, D. Ubiali, E. M. Manazza, A. M. Albertini, M. Terreni* **1384–1389**

Coupling of Site-Directed Mutagenesis and Immobilization for the Rational Design of More Efficient Biocatalysts: The Case of Immobilized 3G3K PGA from *E. coli*

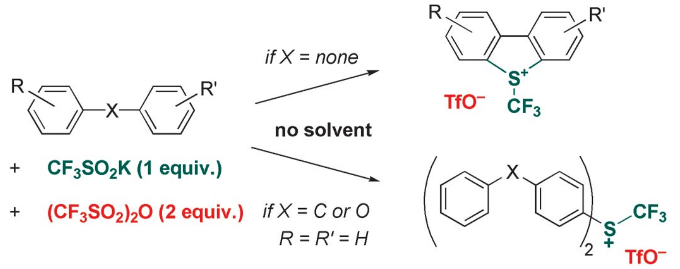
Keywords: Enzyme catalysis / Immobilization / Mutagenesis / Biocatalysts

Trifluoromethylating Reagents

Y. Macé, B. Raymondeau, C. Pradet, J.-C. Blazejewski, E. Magnier*... 1390–1397

Benchmark and Solvent-Free Preparation of Sulfonium Salt Based Electrophilic Trifluoromethylating Reagents

Keywords: Fluorine / Sulfur heterocycles / Synthetic methods / Electrophilic trifluoromethylation / Halogenation / Multicomponent reactions



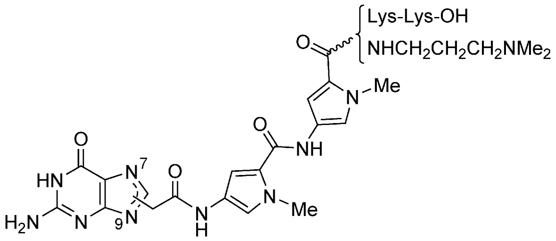
A multicomponent reaction for the easy preparation of trifluoromethylsulfonium salts is described. This simple procedure offers the opportunity to prepare a wide range of electrophilic trifluoromethylating reagents. The variety and the chosen reactivity of the prepared compounds will therefore permit the rapid synthesis of the chosen reagent in accordance with the demands of the nucleophile.

DNA Ligands

D. Pulido, A. Sánchez, J. Robles, E. Pedroso, A. Grandas* 1398–1406

Guanine-Containing DNA Minor-Groove Binders

Keywords: DNA recognition / Guanine / Minor-groove binders / Oligonucleotides



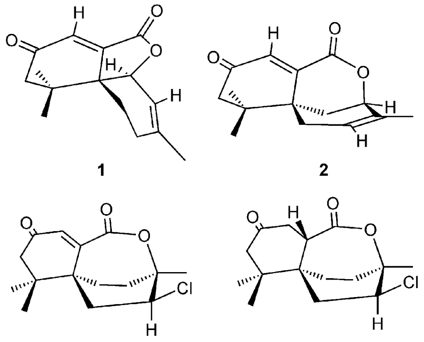
The DNA-stabilizing properties of six dipyrrole-containing DNA ligands that combine (guanin-9-yl)acetyl, (guanin-7-yl)acetyl or acetyl moieties at the N terminus and two lysines or a (dimethylamino)propyl group at the C terminus have been examined. The (dimethylamino)propyl tail and the (guanin-9-yl)acetyl moiety provided the highest affinity for DNA.

Sesquiterpene Metabolites

A. R. Díaz-Marrero, I. Brito, J. M. de la Rosa, L. D'Croz, O. Fabelo, C. Ruiz-Pérez, J. Darias, M. Cueto* 1407–1411

Novel Lactone Chamigrene-Derived Metabolites from *Laurencia majuscula*

Keywords: Natural products / Terpenoids / Structure elucidation / Configuration determination / Lactones / *Laurencia* sp.



1 **2** **3** **4**

Gomerolactones A–D (**1**–**4**)

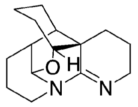
The structures of gomerolactones A–D, isolated from *Laurencia majuscula*, were determined spectroscopically. The absolute configuration at the carbon ring closure of the γ- and δ-lactone of compounds **1** and **2**, respectively, was determined by NMR spectroscopy with the use of Pirkle's reagent at low temperature. The absolute stereochemistry of compounds **3** and **4** was determined by X-ray analysis.

Myrioneuron Alkaloids

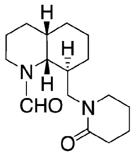
V. C. Pham,* A. Jossang, T. Sévenet, V. H. Nguyen, B. Bodo* 1412–1416

Novel Alkaloids from *Myrioneuron nutans*

Keywords: *Myrioneuron nutans* / Alkaloids / Natural products / Myrionine / Dehydronitraramine



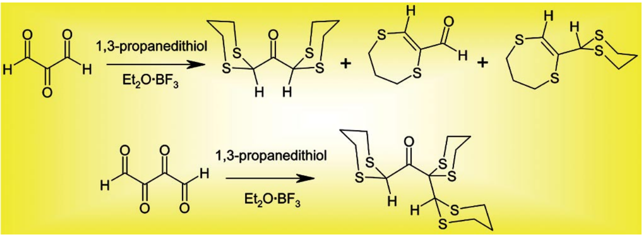
dehydronitraramine (**1**)



N-formylmyrionine (**2**)

Dehydronitraramine (**1**) and *N*-formylmyrionine (2) were isolated from the leaves of *Myrioneuron nutans.* The absolute configuration 8*S*,9*R*,10*S* of *N*-formylmyrionine (**2**) was established on the basis of the known (8*S*,9*R*,10*S*)-myrionine. Dehydronitraramine (**1**) displayed a moderate antiplasmodial activity against *Plasmodium falciparum* with an IC_{50} of 16 μM.

Vicinal Oligoketone Chemistry



An effective synthesis of 2-oxo-1,3-propanedial monohydrate or mesoxaldehyde and the first synthesis of 2,3-dioxo-1,4-butanedial as a thiane derivative are reported. Additionally, a one-step synthetic strategy to prepare a series of different biheterocycles with the smallest vicinal tetracarbonyl compound is demonstrated.

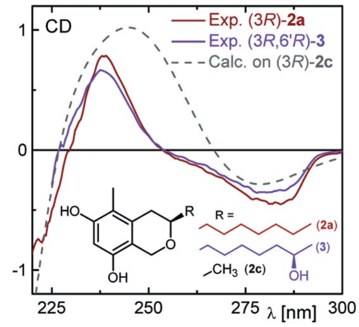
S. Goswami,* A. C. Maity, H.-K. Fun,
S. Chantrapromma **1417–1426**

The Smallest Vicinal Tricarbonyl Compound as a Monohydrate and Tetracarbonyl Compound as a Thiane Derivative – First Effective Synthesis, Characterization and Chemistry 💻

Keywords: Aldehydes / Oxidation / Selenium / Vicinal polycarbonyl compounds

Metabolites from Fungi

The structure of two new bioactive isochromans, pseudoanguillosporin A (**2a**) and B (**3**), was determined by NMR spectroscopy and the absolute configuration by a combination of CD spectroscopy, TDDFT CD calculations, and Mosher's NMR method. The axial chirality of **1** was assigned by exciton analysis of its CD spectrum and confirmed by ZINDO CD calculations.

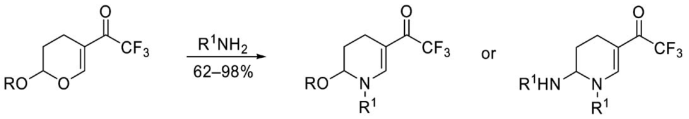


I. Kock, S. Draeger, B. Schulz, B. Elsässer,
T. Kurtán, Á. Kenéz, S. Antus,
G. Pescitelli, P. Salvadori, J.-B. Speakman,
J. Rheinheimer, K. Krohn* **1427–1434**

Pseudoanguillosporin A and B: Two New Isochromans Isolated from the Endophytic Fungus *Pseudoanguillospora* sp.

Keywords: Biological activity / Isochromans / Natural products / Circular dichroism / NMR spectroscopy

One-Pot Synthesis



Chemoselective control of the synthesis of 6-alkoxy-1-alkyl(aryl)-3-trifluoroacetyl-1,4,5,6-tetrahydropyridines and 1-Alkyl(aryl)-6-amino-3-trifluoroacetyl-1,4,5,6-tetrahydropyridines.

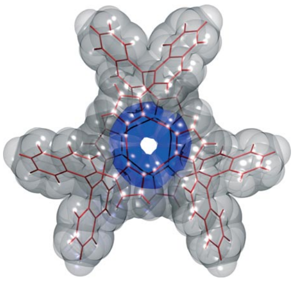
N. Zanatta,* L. da S. Fernandes,
F. M. Nachtigall, H. S. Coelho,
S. S. Amaral, A. F. C. Flores,
H. G. Bonacorso,
M. A. P. Martins **1435–1444**

Highly Chemoselective Synthesis of 6-Alkoxy-1-alkyl(aryl)-3-trifluoroacetyl-1,4,5,6-tetrahydropyridines and 1-Alkyl(aryl)-6-amino-3-trifluoroacetyl-1,4,5,6-tetrahydropyridines

Keywords: Heterocycles / Halogenated heterocycles / Antimicrobial activity / Tetrahydropyridines

Chiral Ligands

Three novel azole-functionalized 1,1-binaphthyl derivatives have been synthesized from versatile starting materials.



T. Jozak, M. Fischer, J. Thiel, Y. Sun,
H. Kelm, W. R. Thiel* **1445–1452**

A Series of Novel *N*,*N*-Donor Ligands with Binaphthyl Backbones 💻

Keywords: Asymmetric catalysis / Ligand design / N ligands / 1,1′-Biaryl ligands / Pyrazoles / Triazoles

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* Author to whom correspondence should be addressed.

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