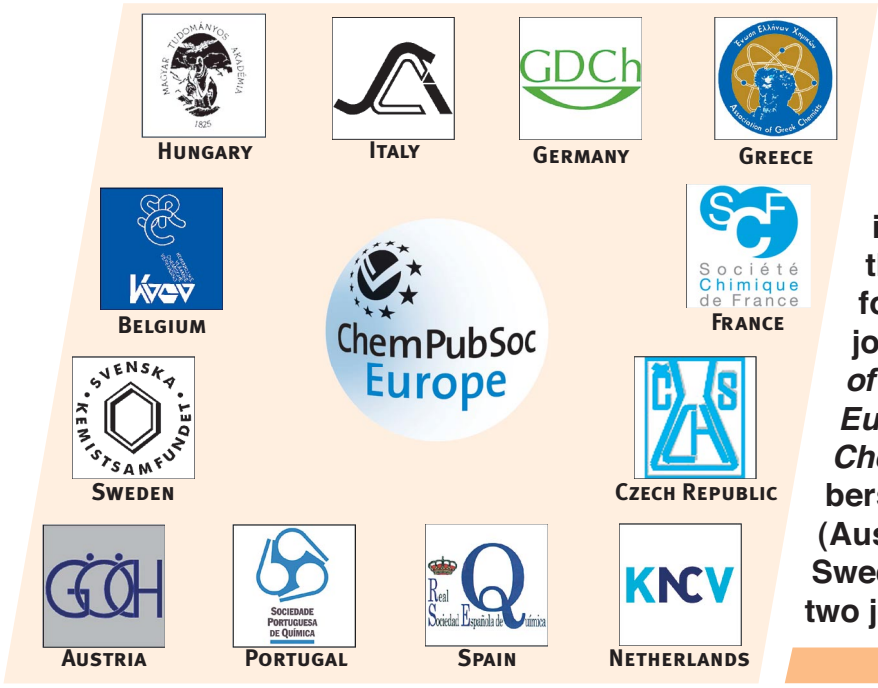
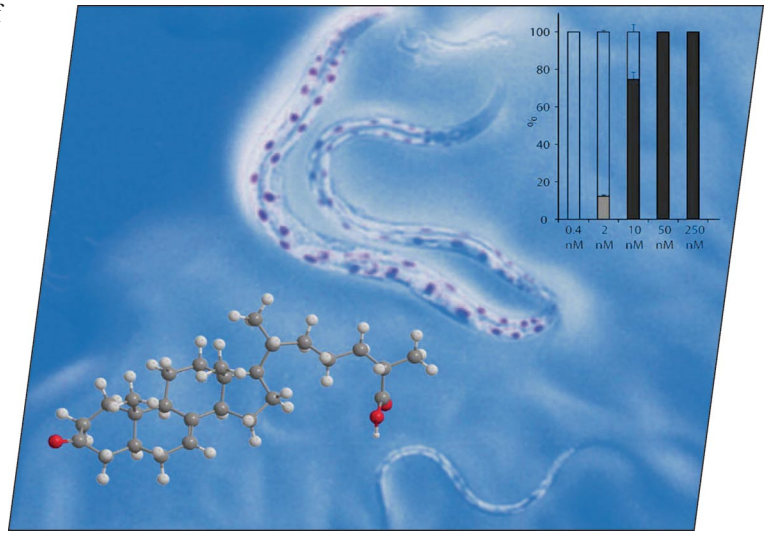


A union formed by chemical societies in Europe (ChemPubSoc Europe) has taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further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

COVER PICTURE

The cover picture shows the bioactivity for rescue of diapause in *daf-9(dh6)* mutant worms using (25*S*)-Δ^7-dafachronic acid (structure, bottom left). The fluorescence label (represented by purple spots) marks the *daf-9(dh6)*; *dhEx24* mutant worms that develop into adults without the requirement of exogenous hormonally active steroidal acid. The *daf-9(dh6)* mutant worms (no fluorescence, at the bottom) can develop into adults by using (25*S*)-Δ^7-dafachronic acid at concentrations down to 10 nM (top right). Details are discussed in the article by H.-J. Knölker et al. on p. 3703ff.

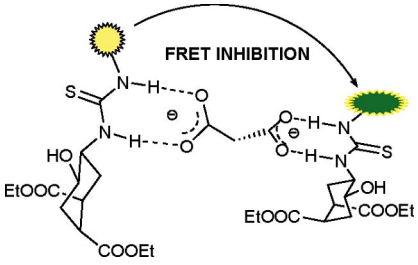


CONTENTS

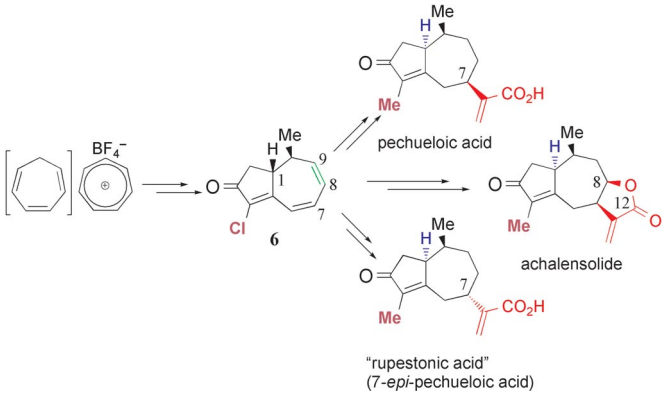
MICROREVIEW

This microreview presents an overview of progresses in catalytic enantioselective aldol addition to ketones. The reaction, once thought to be challenging owing to the lower reactivity and the decreased steric discrimination of a ketone carbonyl group, has been realized recently based on the activation of acceptor ketones and/or nucleophile enolates.

SHORT COMMUNICATIONS



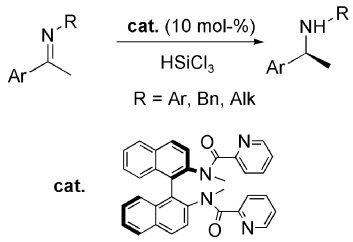
A new cyclohexyl-based fluorescent system is able to recognize trimethylammonium (TMA) malonate through the inhibition of FRET in buffered DMSO/water solutions. Longer and shorter homologous dicarboxylates did not give rise to changes in the solution fluorescent properties.



The total synthesis of pechueloic acid (**1**) as well as the first syntheses of rupestonic acid (**3**) and achalensolide (**5**) were achieved in nine regio- and stereocontrolled steps, via hydroazulenone **6** (a versatile intermediate), through efficient C-1 epimerization, selective C-8,9 hydrogenation and stereocontrolled 1,6-conjugate addition of an acrylate equivalent.

Catalytic Reduction of Ketimines

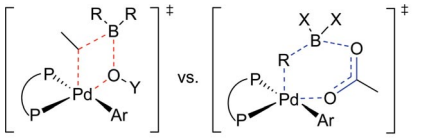
An organocatalyst, easily prepared in a single step by condensation of picolinic acid and readily available 1,1′-binaphthyldiamine, promoted the synthesis of chiral secondary and primary amines in high yield and stereoselectivity. These catalysts are able to promote the reduction not only of *N*-aryl but also of *N*-alkyl and *N*-benzyl ketimines, often in quantitative yields and up to 87% enantioselectivity.



Keywords: Reduction / Lewis bases / Organocatalysis / Binaphthyldiamines / Enantioselectivity

Suzuki–Miyaura Cross-Coupling

CsOAc promotes Suzuki–Miyaura cross-coupling and related Pd-catalyzed reactions under anhydrous conditions as effectively as stronger bases. A neglected six-membered transition-state model was proposed to give alternative insight into the key process of transmetalation.



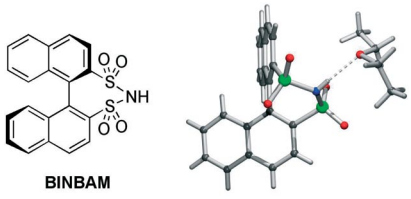
TS1 (Aqueous) Suzuki–Miyaura Soderquist

TS2 (Nonaqueous) X = alkyl, OH, F; R = alkyl, aryl this work

Keywords: Suzuki–Miyaura coupling / Cross-coupling / Boranes / Lewis bases / Palladium

Chiral Brønsted Acids

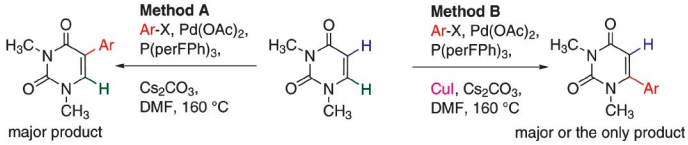
BINBAM [(*R*)-1,1′-binaphthyl-2,2′-bis(sulfon)amide] is the first member of a new generation of chiral Brønsted acids. It is easily accessible from commercially available BINOL and its 3,3′-derivatives. It shows higher Brønsted acidity than competing phosphoric acid derivatives like the TRIP family.



BINBAM

Keywords: Chirality / Acidity / Sulfonamides / Organocatalysis

C–H Arylation



major product

major or the only product

Keywords: Pyrimidines / C–H arylation / Regioselectivity / Cross-coupling / Copper

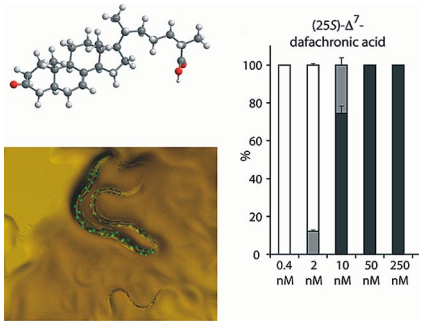
Direct C–H arylation of pyrimidine nucleobase proceeds regioselectively, and the selectivity (and mechanism) can be efficiently switched by copper iodide. In the absence of CuI, the arylations of 1,3-dimethyluracil lead to 5-aryluracils, while in the presence of CuI, to 6-aryl derivatives.

CONTENTS

FULL PAPERS

Steroid Hormones

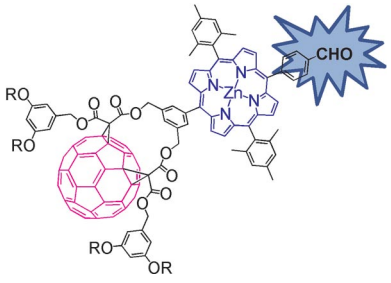
Keywords: Diastereoselectivity / Hormones / Oxidation / Protecting groups / Steroids



An elegant synthetic approach to the (25*S*)-cholesten-26-oic acids has been developed using a stereoselective Evans aldol reaction as key-step. These steroids are potent ligands for the hormonal receptor DAF-12 in *Caenorhabditis elegans*. Our biological studies confirm (25*S*)-Δ^7-dafachronic acid (**1**) as the most active ligand known so far.

Porphyrin Chemistry

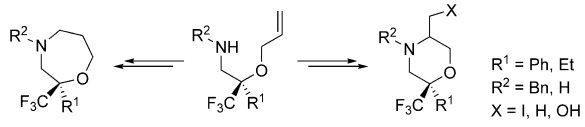
Keywords: Fullerenes / Porphyrin / Atropisomerism / Restricted rotation / Molecular devices



A formyl-fullerenoporphyrin building block has been prepared and used for the construction of a pentaporphyrin derivative surrounded by four peripheral C_{60} units. By following a similar strategy, a nonaporphyrin array was prepared from a bis-porphyrin building block bearing an aldehyde function.

Heterocyclic Chemistry

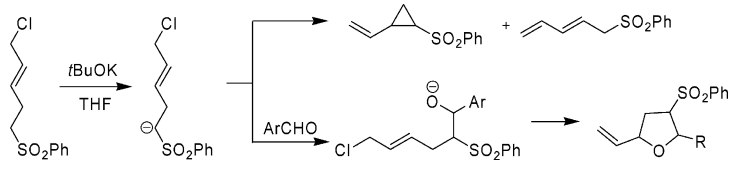
Keywords: Cyclization / Asymmetric synthesis / Fluorine / Heterocycles / Enantiopurity



Novel enantiopure morpholines and oxazepanes containing a quaternary trifluoromethyl substituent have been prepared from a common *O*-allyl amino ether intermediate by a 6-*exo* or 7-*endo* nucleophilic cyclisation process.

γ-Halocarbanion Chemistry

Keywords: Carbanions / Sulfones / Nucleophilic substitution / Oxygen heterocycles



Carbanions of 1-chloro-5-(phenylsulfonyl)-pent-2-enes can be considered as vinylogues of γ-halocarbanions. They undergo intramolecular S_N2' 1,3-substitution and 1,5-elimination to produce 2-(phenylsulfonyl)-1-vinylcyclopropanes and 1-(phenylsulfonyl)penta-2,4-dienes. They also add rapidly to aromatic aldehydes to produce the corresponding 2-aryl-3-(phenylsulfonyl)-5-vinyltetrahydrofurans.

Metathesis of Chiral Enehydrazides

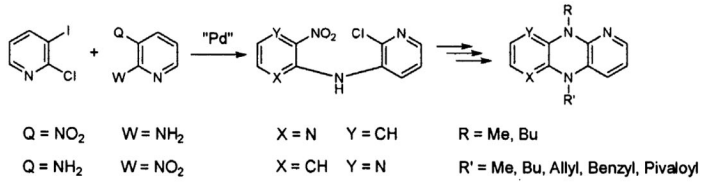
A flexible asymmetric synthesis of chiral (1*R*)-1-alkylated benzazepinone and benzazepine derivatives that combines a highly stereoselective 1,2-addition to SAMP-hydrazones with the ring-closing metathesis of styrenic enehydrazides has been achieved.

D. Dumoulin, S. Lebrun, E. Deniau, A. Couture,* P. Grandclaudon **3741–3752**

First Asymmetric Synthesis of (Un)saturated 1-Alkylbenzo[*c*]azepin-3-ones: Extension to the Corresponding Benzazepines

Keywords: Lactams / Chiral auxiliaries / Cyclization / Hydrazones / Fused-ring systems / Asymmetric synthesis

Heterocyclic Chemistry



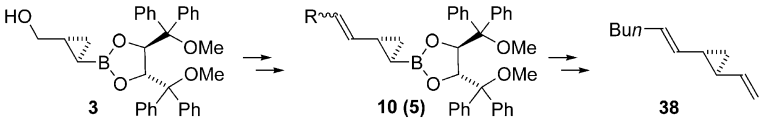
Specific syntheses of each of the unsymmetrically substituted dihydrodipyridopyrazine isomers **A** and **B** have been completed. The novel strategy that was developed for their syntheses featured Pd catalysis for key couplings, followed by a substitution/reduction/cyclization/substitution sequence of suitable nitro-substituted *N*,*N*′-dipyridinylamine products.

O.-I. Patriciu, A.-L. Fînaru, S. Massip, J.-M. Léger, C. Jarry, G. Guillaumet* **3753–3764**

Efficient and Selective Method for the Synthesis of Dihydrodipyridopyrazines Based on the Pd-Catalysed Amination of Halopyridines

Keywords: Dihydrodipyridopyrazines / Pd catalysis / Cross-coupling / Reduction / Cyclization

Cyclopropylboronic Esters



Isomerically pure vinylcyclopropanes (e.g., **10**) have been prepared from cyclopropylboronic esters (e.g., **3**). A number of alternative procedures for their synthesis via the corresponding alkyltrifluoroborates have been established and they require relatively mild reaction conditions for their activation. The sequence has been applied to the synthesis of natural dictypterene A (**38**).

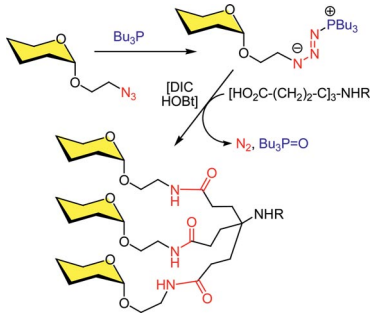
E. Hohn, J. Paleček, J. Pietruszka,* W. Frey **3765–3782**

Enantiomerically Pure Vinylcyclopropylboronic Esters

Keywords: Boron / Cyclopropane / Asymmetric synthesis / Palladium / Natural products

Staudinger-Ligated Glycoconjugates

Staudinger ligation can be utilized in the synthesis of multivalent glycomimetics such as glycoclusters and glycoamino acid building blocks.



A. Schierholt, H. A. Shaikh, J. Schmidt-Lassen, T. K. Lindhorst* **3783–3789**

Utilizing Staudinger Ligation for the Synthesis of Glycoamino Acid Building Blocks and Other Glycomimetics

Keywords: Ligation / Amino acids / Glycomimetics / Glycoconjugates / Azides

CONTENTS

RETRACTION

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

If not otherwise indicated in the article, papers in issue 21 were published online on July 6, 2009

