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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 a cyclohexallene-based starry night over the cathedral of Santiago de Compostela in northwest Spain. 1,2-Cyclohexadiene is a highly reactive cyclic allene that is usually generated by the use of strong bases or highly nucleophilic reagents, and this limits its scope. Herein we described an improved method to generate this molecule by fluoride-induced β-elimination of the corresponding 6-(trimethylsilyl)cyclohexenyl triflate. This method allows the generation of this strained cyclic allene under mild reaction conditions and with higher efficiency than classical approaches, as demonstrated by trapping experiments based on cycloaddition reactions. Details are discussed in the article by D. Peña et al. on p. 5519ff.

CONFERENCE REPORT

L. A. Evans, G. J. J. Owen-Smith,*
S. Purser* **5479–5486**

An overview of the proceeedings of the 16th European Symposium on Organic Chemistry is given.

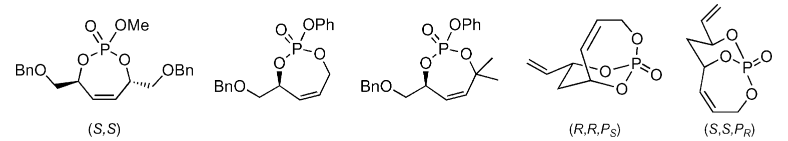
MICROREVIEW

Advanced Polyol Synthons

C. D. Thomas, J. P. McParland,
P. R. Hanson* **5487–5500**

Divalent and Multivalent Activation in Phosphate Triesters: A Versatile Method for the Synthesis of Advanced Polyol Synthons

Keywords: Phosphate / Tether / Metathesis / Cuprates / Protecting groups



The construction of mono- and bicyclic phosphate triesters possessing divalent and multivalent activation and their subsequent use in the production of advanced polyol synthons is presented. The method highlights efforts to employ removable, functionally active phosphate tethers capable of multipositional activation and their subsequent role as leaving groups in selective cleavage reactions.

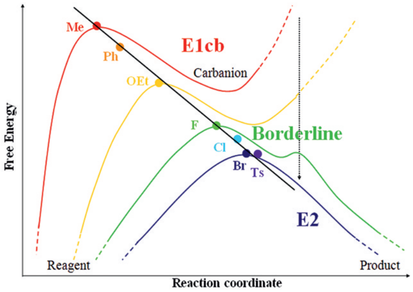
SHORT COMMUNICATIONS

E1cb vs. E2 Reaction Mechanisms

E. Mosconi, F. De Angelis,* L. Belpassi,
F. Tarantelli, S. Alunni **5501–5504**

Merging of E2 and E1cb Reaction Mechanisms: A Combined Theoretical and Experimental Study

Keywords: E1cb vs. E2 / Elimination / Reaction mechanisms / Density functional calculations



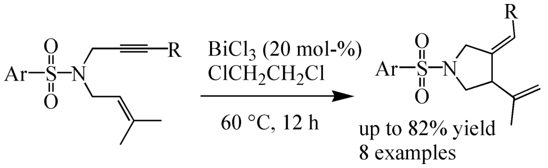
We report a combined experimental and theoretical study on the merging of E1cb and E2 reaction mechanism, showing effective continuity of the reactive potential energy surfaces in systems activated by the pyridine ring.

Cheap Catalyst

Z. Wang, S. Fang* **5505–5508**

Bismuth(III) Chloride Catalyzed Cycloisomerization of Enynes

Keywords: Bismuth / Lewis acids / Cycloisomerization / Enynes / Pyrrolidine



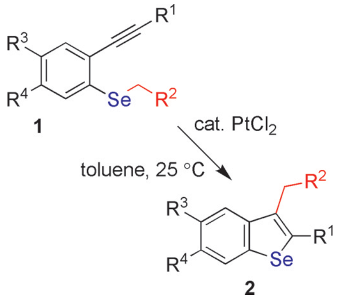
The readily available, inexpensive and environmentally benign $BiCl_3$ was found capable to catalyze the cycloisomerization of several enynes.

Carboselenation

T. Sato, I. Nakamura,*
M. Terada **5509–5512**

Platinum-Catalyzed Multisubstituted Benzo[*b*]selenophene Synthesis

Keywords: Synthetic methods / Cyclization / Heterocycles / Platinum / Selenium



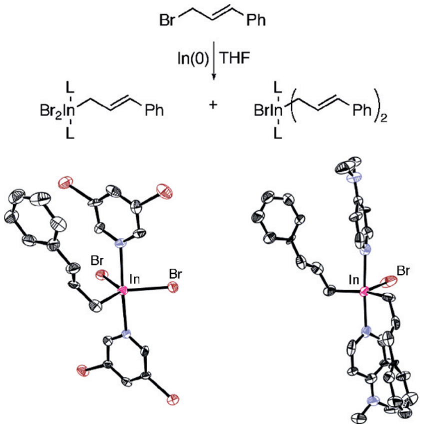
Alkyl *ortho*-alkynylphenyl selenides **1** were efficiently converted into 2,3-disubstituted benzo[*b*]selenophenes **2** in the presence of a catalytic amount of $PtCl_2$. The reactions were shown to proceed through carboselenation, specifically the addition of a C–Se bond to the alkynes.

Allylindium Compounds

M. Yasuda, M. Haga,
A. Baba* **5513–5517**

Isolation and Crystallographic Characterization of Allylindium Species Generated from Allyl Halide and Indium(0)

Keywords: Indium / Allylation / N ligands / X-ray analysis / Reactive intermediates



Allylindium was isolated from allyl halide and indium(0). The reaction of cinnamyl bromide with indium gave two allylindium species, cinnamylindium dibromide and dicinnamylindium bromide. Either species were isolated after complexation of pyridine-type Lewis bases and analyzed by X-ray crystallography. Their reactivity was also investigated.

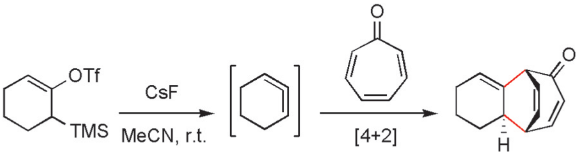
FULL PAPERS

Fluoride-Induced β-Elimination

I. Quintana, D. Peña,* D. Pérez,
E. Guitián* **5519–5524**

Generation and Reactivity of 1,2-Cyclohexadiene under Mild Reaction Conditions

Keywords: Allenes / Cycloaddition / Strained molecules / Palladium



Fluoride-induced β-elimination of 6-(trimethylsilyl)cyclohexenyl triflate allows the generation of highly reactive 1,2-cyclohexadiene in good yields and under mild reaction conditions, as demonstrated by trapping experiments based on Diels–Alder reactions.

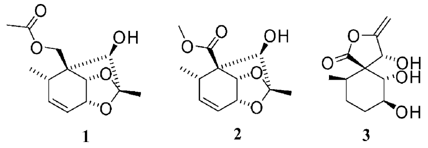
CONTENTS

Fungal Metabolites

H. Guo, B. Sun, H. Gao, S. Niu, X. Liu, X. Yao, Y. Che* **5525–5530**

Trichocladinols A–C, Cytotoxic Metabolites from a *Cordyceps*-Colonizing Ascomycete *Trichocladium opacum*

Keywords: Cytotoxicity / Fungal metabolites / Structure elucidation / Natural products / Configuration determination



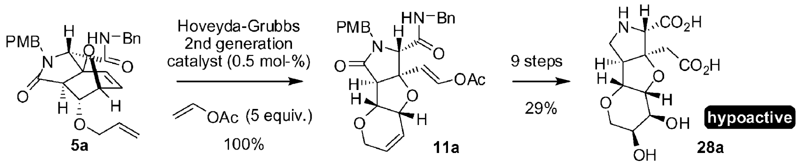
Three secondary metabolites named trichocladinols A–C (**1**–**3**) have been isolated from the *Cordyceps*-colonizing ascomycete *Trichocladium opacum* with **1** and **2** featuring a previously undescribed 2,9-dioxatricyclo[5.2.1.0^{3,8}]decane skeleton. Compounds **1**–**3** showed cytotoxicity against the human tumor cell lines HeLa and MCF-7.

Bioactive Glutamate Analogues

M. Oikawa,* M. Ikoma, M. Sasaki, M. B. Gill, G. T. Swanson, K. Shimamoto, R. Sakai **5531–5548**

Regioselective Domino Metathesis of Unsymmetrical 7-Oxanorbornenes with Electron-Rich Vinyl Acetate toward Biologically Active Glutamate Analogues

Keywords: Domino reactions / Regioselectivity / Carbenes / Combinatorial chemistry / Glutamate analogues / Metathesis



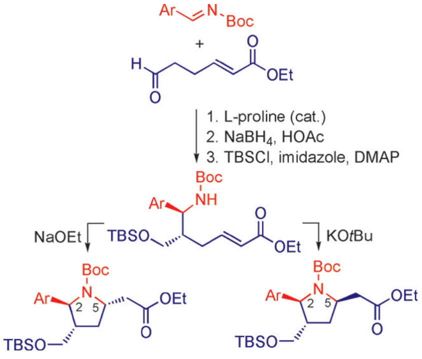
A Highly regioselective domino metathesis reaction of 7-oxanorbornenes with vinyl acetate has been developed and shown to involve Fischer-type ruthenium carbene. The reaction has been used to prepare four artificial glutamate analogues, one of which has been identified as hypoactive. Further electrophysiological studies suggested that the analogue might interact with neuronal receptor(s).

Stereoselective Synthesis

C. Enkisch, C. Schneider* **5549–5564**

Sequential Mannich-Aza-Michael Reactions for the Stereodivergent Synthesis of Highly Substituted Pyrrolidines

Keywords: Asymmetric catalysis / Michael addition / Mannich reactions / Nitrogen heterocycles / Organocatalysis / Proline



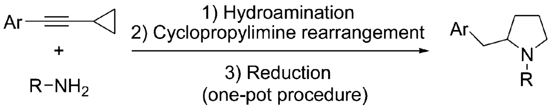
A straightforward approach to highly substituted pyrrolidines, giving rise either to 2,5-*cis*- or to 2,5-*trans*-configured pyrrolidines, depending upon the base employed, has been developed. Organocatalytic Mannich reactions were coupled with highly diastereoselective aza-Michael reactions to provide trisubstituted, enantiomerically pure pyrrolidines.

Hydroamination

K. Gräbe, B. Zwafelink, S. Doye* **5565–5575**

One-Pot Procedure for the Synthesis of *N*-Substituted 2-(Arylmethyl)pyrrolidines from 1-Aryl-2-cyclopropylalkynes and Primary Amines by a Hydroamination/Cyclopropylimine Rearrangement/Reduction Sequence

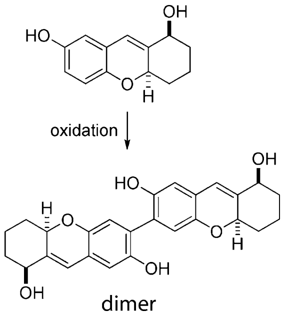
Keywords: Alkynes / Amines / Reduction / Hydroamination / Titanium / Rearrangement



A one-pot procedure for the synthesis of *N*-substituted 2-(arylmethyl)pyrrolidines from 1-aryl-2-cyclopropylalkynes and primary amines is presented. The procedure consists of an initial Ti-catalyzed intermolecular hydroamination, a subsequent NH_4Cl-catalyzed cyclopropylimine rearrangement, and a final reduction.

Biomimetic Oxidation

(Hexahydro)xanthenols were converted into diaryl ethers, biaryls or quinone acetals.



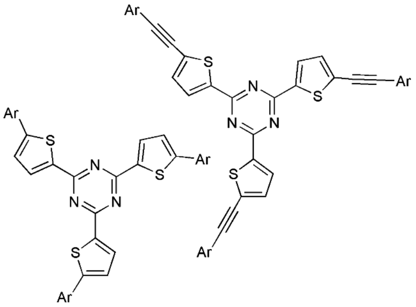
H. Sahin, M. Nieger, S. Bräse* .... **5576−5586**

Probing the Oxidation of Functionalized (Hexahydro)xanthenols

Keywords: Xanthones / Biomimetic synthesis / Natural products / Oxidation

Two-Photon Absorption

Some new star-shaped donor-π-acceptor (D-π-A) molecules based on the 2,4,6-tri(thiophen-2-yl)-1,3,5-triazine unit were designed and synthesized. The photophysical properties can be modified by changing the peripheral end group. All of them exhibit large two-photon absorption cross sections.



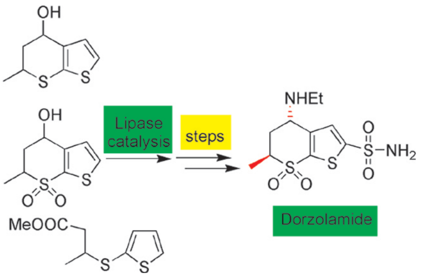
L. Zou, Z. Liu, X. Yan, Y. Liu, Y. Fu, J. Liu, Z. Huang,* X. Chen,* J. Qin .... **5587−5593**

Star-Shaped D-π-A Molecules Containing a 2,4,6-Tri(thiophen-2-yl)-1,3,5-triazine Unit: Synthesis and Two-Photon Absorption Properties

Keywords: Photophysics / Nonlinear optics / Redox chemistry / Donor−acceptor systems / Nitrogen heterocycles

Chemoenzymatic Synthesis

Dorzolamide, (4*S*,6*S*)-2-(aminosulfonyl)-4-(ethylamino)-5,6-dihydro-6-methyl-4*H*-thieno[2,3-*b*]thiopyran 7,7-dioxide, is a topically active human carbonic anhydrase II inhibitor. The use of lipase catalysis to introduce enantio- and diastereopurity into the key intermediates of dorzolamide has been studied.



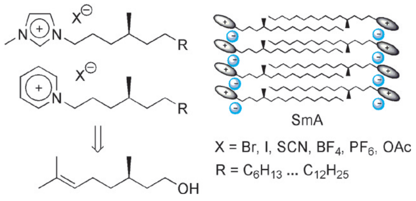
M. C. Turcu, M. Rantapaju, L. T. Kanerva* .... **5594−5600**

Applying Lipase Catalysis to Access the Enantiomers of Dorzolamide Intermediates

Keywords: Kinetic resolution / Enzyme catalysis / Transesterification / Enantioselectivity / Diastereoselectivity

Chiral Ionic Liquids

N-Methylimidazolium and pyridinium salts with modified citronellyl side-chains and various counterions have been synthesised by using the commercially available (R)-citronellol as the starting material and their mesomorphic properties investigated.



$X = Br, I, SCN, BF_4, PF_6, OAc$
$R = C_6H_{13} \ldots C_{12}H_{25}$

G. Kohnen, M. Tosoni, S. Tussetschläger, A. Baro, S. Laschat* .... **5601−5609**

Counterion Effects on the Mesomorphic Properties of Chiral Imidazolium and Pyridinium Ionic Liquids

Keywords: Anions / Counterion effects / Ionic liquids / Mesophases / Ion exchange

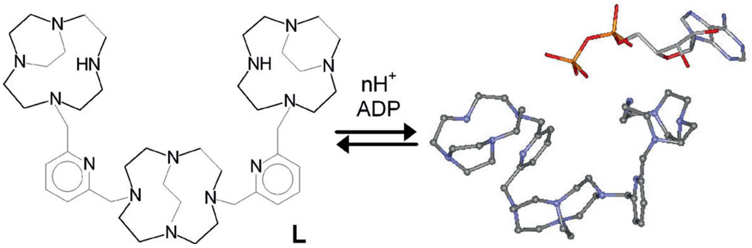
CONTENTS

Anion Recognition

A. Bencini,* S. Biagini, C. Giorgi,* H. Handel,* M. Le Baccon, P. Mariani, P. Paoletti, P. Paoli, P. Rossi, R. Tripier, B. Valtancoli .... **5610−5621**

A Tris-Macrocycle with Proton Sponge Characteristics as Efficient Receptor for Inorganic Phosphate and Nucleotide Anions

Keywords: Macrocycles / Anion binding / Nucleotides / Proton sponge / Molecular modeling / Thermodynamics



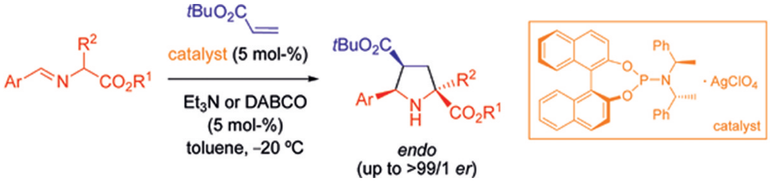
The tris-macrocycle **L** behaves as double proton sponge in aqueous solution and gives stable adducts with phosphate and nucleotides anions.

Enantioselective Cycloaddition

C. Nájera,* M. de Gracia Retamosa, M. Martín-Rodríguez, J. M. Sansano,* A. de Cózar, F. P. Cossío .... **5622−5634**

Synthesis of Prolines by Enantioselective 1,3-Dipolar Cycloaddition of Azomethine Ylides and Alkenes Catalyzed by Chiral Phosphoramidite-Silver(I) Complexes

Keywords: Asymmetric catalysis / Cycloaddition / Azomethine ylides / Silver / Phosphorus



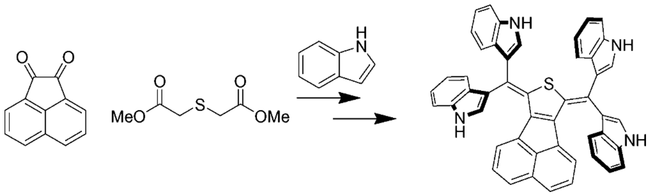
The enantioselective 1,3-dipolar cycloaddition reaction of azomethine ylides and electrophilic alkenes is efficiently catalysed by chiral phosphoramidite−Ag^{I} complexes. This methodology was applied to the synthesis of enantiomerically enriched key compounds in the total synthesis of the inhibitors of the hepatitis C virus (HCV).

Thiophene Electronic Tuning

R. P. Jimenez, M. Parvez, T. C. Sutherland,* J. Viccars .... **5635−5646**

Synthesis and Optical and Electronic Properties of Thiophene Derivatives

Keywords: Structure-activity relationships / Heterocycles / Chromophores / Electrochemistry / Density functional calculations



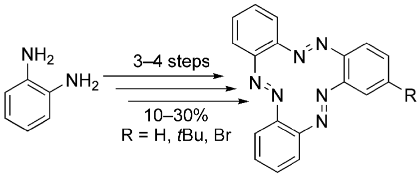
Using the Hinsberg synthesis of thiophenes, a versatile method to prepare fully derivatised, π-extended thiophenes is reported. Functionalized thiophenes were divergently synthesized to create three classes of compounds − electron-deficient, extended conjugation and electron-rich − to assess substituent effects on optical and electrochemical properties. Properties were assessed by several methods.

Cyclotrisazobenzenes

R. Reuter, N. Hostettler, M. Neuburger, H. A. Wegner* .... **5647−5652**

Synthesis and Property Studies of Cyclotris-azobenzenes

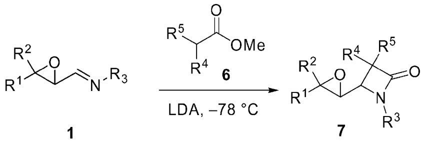
Keywords: Azo compounds / Macrocycles / Mills reaction / Photochemistry



Three in a cycle: An efficient synthesis of cyclotrisazobenzenes has been developed with an overall yield up to 30% to test whether these molecules still exhibit photochromism. Solid-state structures were obtained.

β-Lactams from Oxiranecarbaldimines

The addition of lithium enolates of the esters **6** to oxiranecarbaldimines **1** leads to new oxiranyl functionalised β-lactams **7** in excellent enantio- and diastereoselectivity. A simple one pot procedure affords β-lactams with three or four neighbouring stereogenic centres and *unlike* preference.



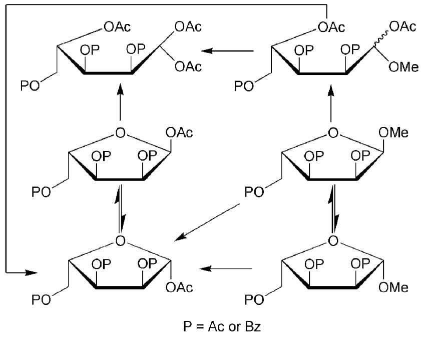
K. Michel, R. Fröhlich, E.-U. Würthwein* 5653–5665

Diastereo- and Enantioselective Synthesis of Functionalized β-Lactams from Oxiranecarbaldimines and Lithium Ester Enolates

Keywords: Lactams / Lithium enolates / Imines / Diastereoselectivity / Quantum chemistry

Carbohydrate Chemistry

The mechanism of the acetolysis of acylated methyl L-ribofuranosides was studied by in situ NMR and the rate constants for the involved reactions were accurately defined by a kinetic model. The results presented elucidate the reaction mechanisms and hence enables further utilisation of this valuable group of compounds in organic synthesis.



J. J. Forsman, J. Wärnå, D. Yu. Murzin, R. Leino* 5666–5676

Reaction Kinetics and Mechanism of Sulfuric Acid-Catalyzed Acetolysis of Acylated Methyl L-Ribofuranosides 💻

Keywords: Carbohydrates / Acetolysis / Kinetics / Reaction mechanisms / NMR spectroscopy

CORRECTION

Keywords: Homogeneous catalysis / Iron / Copper / Amination / Green chemistry

Ligand-Free Iron/Copper-Cocatalyzed Amination of Aryl Iodides

X.-F. Wu, C. Darcel* 5677

* Author to whom correspondence should be addressed.

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