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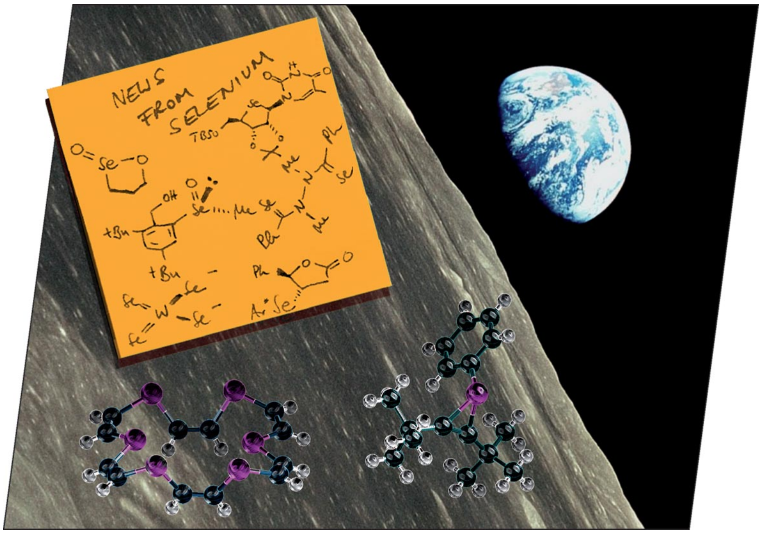
A union formed by chemical societies in Europe (ChemPubSoc Europe) has taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry*. Three further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

COVER PICTURE

The cover picture shows the Earth rising above the Moon's horizon taken in June 1969 from the Apollo 11 spacecraft. As Selene is the goddess of the moon in Greek mythology, some very recent news on selenium chemistry is shown on the Post-It and much more is contained in the Microreview entitled *Recent Advances in Organoselenium Chemistry* by T. Wirth et al. on p. 1649ff. The X-ray structures of a selenium-containing macrocycle (left structure, Kamigata et al.[13]) and a selenirenium ion (right structure, Poleschner et al.[67b]) shown in the foreground are taken from the literature references.



MICROREVIEW

Organoselenium Chemistry

Improved and new selenium-containing reagents and novel reactions are highlighted in this microreview.

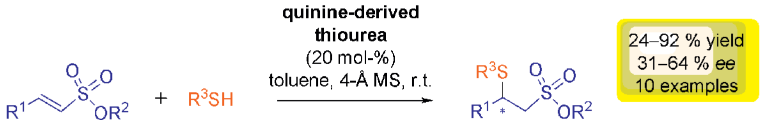
D. M. Freudendahl, S. A. Shahzad, T. Wirth* 1649–1664

Recent Advances in Organoselenium Chemistry

Keywords: Radicals / Selenium / Organoselenium chemistry

SHORT COMMUNICATIONS

Organocatalysis



A quinine-derived thiourea was found to catalyze the sulfa-Michael addition of various aromatic thiols and α,β-unsaturated sulfonates to afford chiral β-sulfur-substituted sulfonic acid derivatives. Moderate levels of asymmetric induction were achieved in this reaction, which represents the first use of α,β-unsaturated sulfonates in catalytic asymmetric synthesis.

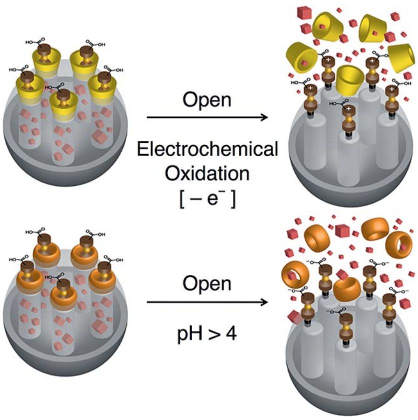
D. Enders,* K. Hoffman 1665–1668

Organocatalytic Asymmetric Sulfa-Michael Additions to α,β-Unsaturated Sulfonates

Keywords: Michael addition / Asymmetric catalysis / Organocatalysis / Bifunctional thioureas

Mechanized Nanoparticles

Ferrocene-based mechanized nanoparticles have been prepared, loaded with Rhodamine dye, and then capped with either β-cyclodextrin (top) or cucurbit[7]uril (bottom). Both of these systems were operated successfully under redox (oxidation of ferrocenedicarboxylic acid) control in the presence of β-cyclodextrin and under pH (deprotonation of ferrocenedicarboxylic acid at pH > 4) control in the presence of cucurbit[7]uril.



N. M. Khashab, A. Trabolsi, Y. A. Lau, M. W. Ambrogio, D. C. Friedman, H. A. Khatib, J. I. Zink,* J. F. Stoddart* 1669–1673

Redox- and pH-Controlled Mechanized Nanoparticles

Keywords: Ferrocene / Nanoparticles / Cucurbit[7]uril / β-Cyclodextrin / Redox chemistry

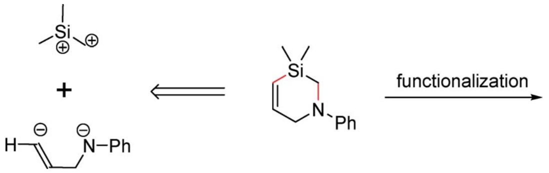
CONTENTS

Silacycles

C. Blaszykowski, C. Brancour, A.-L. Dhimane,* L. Fensterbank,* M. Malacria* **1674–1678**

Towards the Synthesis of 3-Silapiperidines

Keywords: Nucleophilic substitution / Silacycles / Diastereoselectivity / Isomerization / Dianions



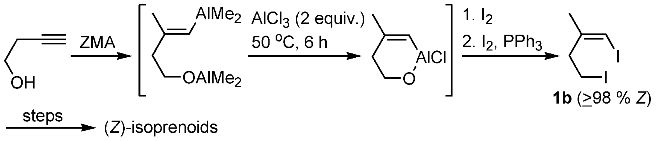
A formal double nucleophilic substitution of dilithiated allylamine on a silylated dielectrophile allows the synthesis of 3-silapiperidines. Further functionalization can give rise to silacycle derivatives.

E-to-*Z* Isomerization

G. Wang, E.-i. Negishi* **1679–1682**

$AlCl_3$-Promoted Facile *E*-to-*Z* Isomerization Route to (*Z*)-2-Methyl-1-buten-1,4-ylidene Synthons for Highly Efficient and Selective (*Z*)-Isoprenoid Synthesis

Keywords: Carboalumination / Zirconium / Isomerization / Stereoselectivity / Terpenoids



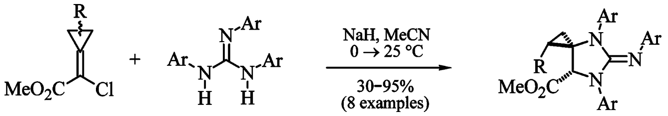
The $AlCl_3$-promoted *E*-to-*Z* isomerization of the Zr-catalyzed methylalumination product from 3-butyn-1-ol at 50 °C for 6 h followed by double iodinolysis with I_2 in THF and then with I_2, PPh_3, and imidazole gives **1b** (≥98% *Z*) in 75% yield, which can be converted to (*Z*)-isoprenoids by a Pd-catalyzed alkenylation–homoallylation tandem cross-coupling.

Michael Additions

M. W. Nötzel, D. Frank, T. Labahn, J. Magull, A. de Meijere* **1683–1686**

Diastereoselective Synthesis of Alkylcyclopropane-Annelated Methyl 2-Iminoimidazolidinecarboxylates

Keywords: Michael addition / Domino reactions / Diastereoselectivity / Cyclopropanes / Heterocycles



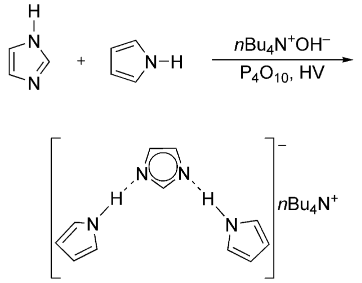
Mixtures of two diastereometric 2′-alkyl-substituted methyl 2-chloro-2-cyclopropylideneacetates react with *N*,*N*′,*N*′′-triarylguanidines to furnish a single diastereomer each of an alkylcyclopropane-annelated 2-arylimino-1,3-diaryl-4-carboxylate.

Self Assembly of Metal-Free Carbanions

M. T. Reetz,* H. M. Herzog, R. Goddard **1687–1690**

Synthesis and Solid-State Structure of Tetrabutylammonium Imidazolate–Dipyrrole Formed by Self Assembly

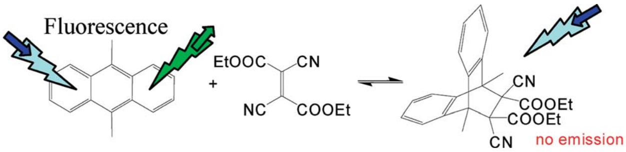
Keywords: Anions / Heterocycles / Hydrogen bonds / Self-assembly



An imidazolate anion, a pyrrole, and an *n*-tetrabutylammonium cation form a unique supramolecular structure, held together by hydrogen bonds, as shown by X-ray structural analysis.

FULL PAPERS

Dynamic Covalent Chemistry



Anthracenes were discovered to be involved in dynamic Diels–Alder reactions at room temperature with three different varieties of cyanoolefins. This permitted thermal control of its fluorescence by displacement of the equilibrium.

P. Reutenauer, P. J. Boul, J.-M. Lehn* 1691–1697

Dynamic Diels–Alder Reactions of 9,10-Dimethylanthracene: Reversible Adduct Formation, Dynamic Exchange Processes and Thermal Fluorescence Modulation

Keywords: Cycloaddition / Fluorescence / Optical switching / Dynamic covalent chemistry

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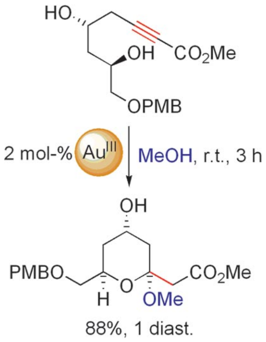
Ring Formation



The Au^{III}-catalyzed double hydroalkoxylation of conjugated alkynoates allows rapid access to pyran and furan acetals, which are prevalent structures in numerous polyketide natural products. The mild reaction conditions together with the low toxicity of the catalyst and the high efficiency of the process makes this method promising for extensive applications in organic synthesis.

A. Diéguez-Vázquez,* C. C. Tzschucke, J. Crecente-Campo, S. McGrath, S. V. Ley 1698–1706

$AuCl_3$-Catalyzed Hydroalkoxylation of Conjugated Alkynoates: Synthesis of Five- and Six-Membered Cyclic Acetals

Keywords: Cyclization / Gold / Homogeneous catalysis / Hydroalkoxylation / Oxygen heterocycles

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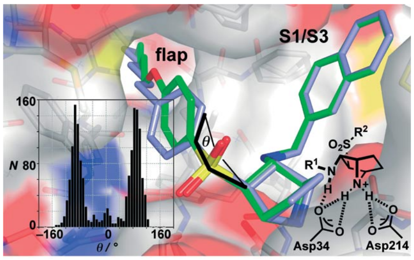
Plasmepsin Inhibitors



Substituted *exo*-3-amino-7-azabicyclo[2.2.1]heptanes as inhibitors of the plasmepsins are described. An O scan of the alkyl-chain substituent, filling the crucial flap pocket at the active site of these malarial aspartic proteases, is reported; dramatic differences in activity were found. Conformational analysis of the ligands is presented, and the basicity of the amine centers is discussed.

M. Zürcher, F. Hof, L. Barandun, A. Schütz, W. B. Schweizer, S. Meyer, D. Bur, F. Diederich* 1707–1719

Synthesis of *exo*-3-Amino-7-azabicyclo[2.2.1]heptanes as a Class of Malarial Aspartic Protease Inhibitors: Exploration of Two Binding Pockets

Keywords: Malaria / Plasmepsins / Molecular recognition / Inhibitors / Nitrogen heterocycles

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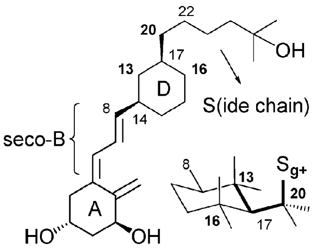
Calcitriol Analogues



By the stereocontrolled incorporation of two methyl groups at position C20 and at position C13 or C16, the side chain of a series of 6D-analogues of calcitriol is forced into a (g+) orientation, a geometry that is linked to a high antiproliferative activity (MCF-7).

F. Vrielynck, D. Van Haver, M. Vandewalle, L. Verlinden, A. Verstuyf, R. Bouillon, G. Croce, P. De Clercq* 1720–1737

Development of Analogues of 1α,25-Dihydroxyvitamin D_3 with Biased Side-Chain Orientation: C20 Methylated Des-C,D-homo Analogues

Keywords: Vitamins / Structure–activity relationships / Conformation analysis / Calcitriol

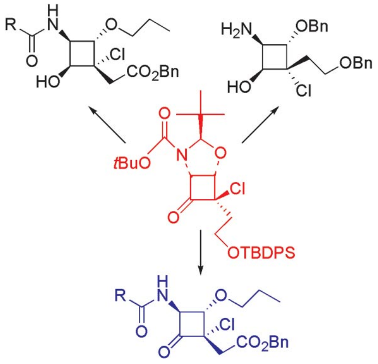
CONTENTS

Serine Protease Inhibitors

G. Yang, L. Ghosez* 1738–1748

Synthesis of Enantiopure α-Chlorocyclobutanones and Cyclobutanols as Scaffolds for the Diverted Synthesis of Serine Protease Inhibitors

Keywords: Inhibitors / Small ring systems / Heterocycles / Asymmetric synthesis



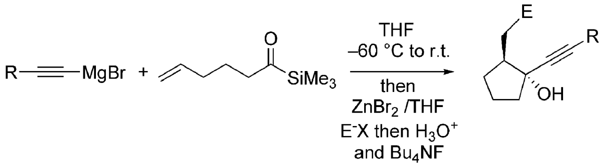
Resistance to β-lactam antibiotics has become a major threat to public health. We prepared scaffolds equivalent to β-lactams for the diverted total synthesis of hydroxyalkylating inhibitors of penicillin-binding proteins.

Carbocyclization

R. Unger, T. Cohen,
I. Marek* 1749–1756

Tandem Zn-Brook Rearrangement/Ene-Allene Carbocyclization

Keywords: Carbocycles / Cyclization / Ene reaction / Rearrangement / Brook rearrangement / Carbometalation



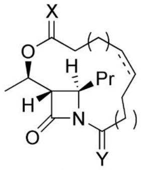
Metalated alkynes react with acylsilanes in a multi-step reaction to give functionalized carbocycles as single diastereoisomers.

Macrocycle-Embedded Azetidinones

A. Urbach, G. Dive,
J. Marchand-Brynaert* 1757–1770

Novel Large-Ring 1,3-Bridged 2-Azetidinones as Potential Inhibitors of Penicillin-Binding Proteins

Keywords: Lactams / Macrocycles / Ring-closing metathesis / Ab initio calculations / Enzymes / Inhibitors



X = O; H,H
Y = O; H,H;
Y = H,CO_2H
Pr = *n*-propyl

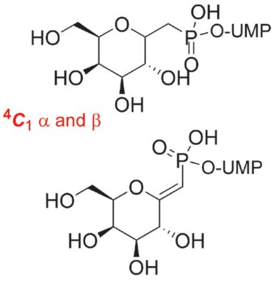
By using a ring-closing metathesis strategy, non-traditional bicyclic β-lactams featuring high conformational adaptability have been prepared with the aim of developing novel inhibitors of penicillin-binding proteins (serine enzymes).

Galactofuranose Biosynthesis

A. Caravano, S. P. Vincent* 1771–1780

Synthesis of Three *C*-Glycoside Analogues of UDP-Galactopyranose as Conformational Probes for the Mutase-Catalyzed Furanose/Pyranose Interconversion

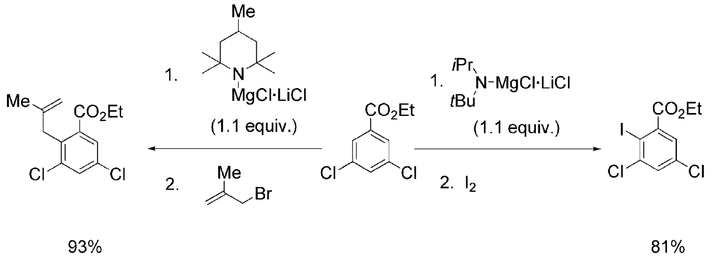
Keywords: Biosynthesis / Phosphorus / Inhibitors / *C*-Glycosides / Carbohydrates



exo-galactal

Three *C*-glycosidic analogues of UDP-galactopyranose where synthesized and tested as inhibitors of UDP-galactopyranose mutase, an essential enzyme of M. tuberculosis cell wall biosynthesis. Surprisingly, the UDP-*exo*-galactal displayed competitive inhibition properties although time-dependent inactivation of UGM was expected.

Chemoselective Metallation



A wide range of polyfunctional aryl and heteroaryl organometallic reagents have been efficiently prepared in THF by using mixed Mg and Zn bases. The amines used are easy to prepare from cheap bulk chemicals and represent a proper and economic alternative to the well-known and widely investigated amine 2,2,6,6-tetramethylpiperidine. A comparison between the different bases is made.

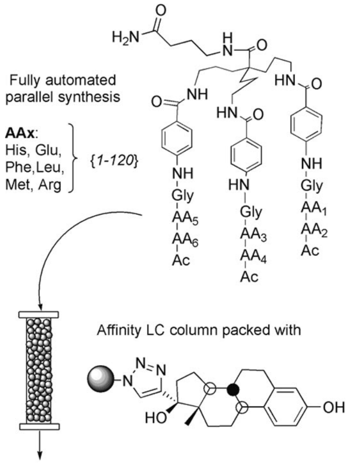
C. J. Rohbogner, S. H. Wunderlich, G. C. Clososki, P. Knochel* ... **1781–1795**

New Mixed Li/Mg and Li/Mg/Zn Amides for the Chemoselective Metallation of Arenes and Heteroarenes

Keywords: Magnesium / Zinc / Amides / Metalation / Copper / Homogeneous catalysis

Human Estrogen Receptor Mimics

A 120-member parallel library of tripodal human estrogen receptor mimics was prepared. Screening of cleaved library members was performed by affinity LC by using a novel "clicked" estradiol silica HPLC column. Alternatively, alkyne-derivatised library members were reattached on azido-modified silica to construct solid-phase extraction cartridges for extraction of endocrine-disrupting chemicals.

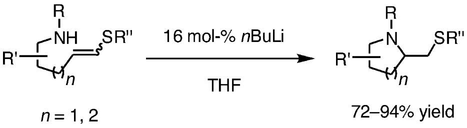


S. E. Van der Plas, E. Van Hoeck, F. Lynen, P. Sandra, A. Madder* **1796–1805**

Towards a New SPE Material for EDCs: Fully Automated Synthesis of a Library of Tripodal Receptors Followed by Fast Screening by Affinity LC 💻

Keywords: Receptors / Protein models / Solid-phase synthesis / Endocrine-disrupting chemicals / Combinatorial chemistry

Hydroamination Reactions



Functionalised pyrrolidines and piperidines, as well as bicyclic derivatives akin to the pyrrolizidine, indolizidine and quinolizidine alkaloid families, were smoothly and efficiently generated by a novel, base-catalysed, hydroamination of vinyl sulfides.

C. Quinet, L. Sampoux, I. E. Markó* **1806–1811**

Base-Catalysed Intramolecular Hydroamination of Vinyl Sulfides 💻

Keywords: Heterocycles / Alkaloids / Hydroamination / Sulfur

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* Author to whom correspondence should be addressed.

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