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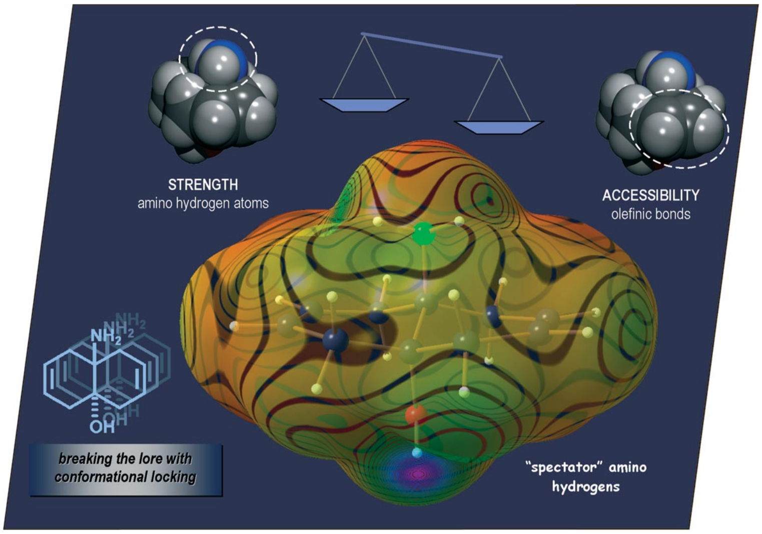
The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the *European Journal of Inorganic Chemistry* and the *European Journal of Organic Chemistry.* Three further EUChemSoc Societies (Austria, Czech Republic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

COVER PICTURE

The cover picture shows the iso-surface of a novel amino alcohol molecule. The packing in the crystalline phase is determined principally by O−H···N hydrogen bonds and rarely encountered weak π-π stacking interactions between the isolated double bonds. The acidic, but less accessible hydrogen atoms of the tertiary NH_2 group in the amino alcohol remain as mere spectators in the supramolecular assembly, which therefore breaks a well-known crystallographic lore. Details are discussed in the article by G. Mehta et al. on p. 805 ff.



CONTENTS

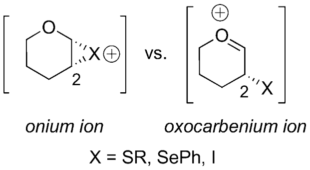
MICROREVIEW

Stereoselectivity Control

M. G. Beaver, S. B. Billings,
K. A. Woerpel* **771–781**

Nucleophilic Substitution Reactions of 2-Phenylthio-Substituted Carbohydrate Acetals and Related Systems: Episulfonium Ions vs. Oxocarbenium Ions as Reactive Intermediates

Keywords: Carbocations / Diastereoselectivity / Glycosylation / Nucleophilic substitution / Strained molecules / Sulfur



Reactions of carbohydrate acetals bearing heteroatom substitutions such as PhS at C-2 are important methods in carbohydrate synthesis. Although the stereochemical outcomes of these reactions are often explained by invoking onium ion intermediates, considerable evidence suggests that the reactions may be the result of stereoselective reactions of oxocarbenium ions.

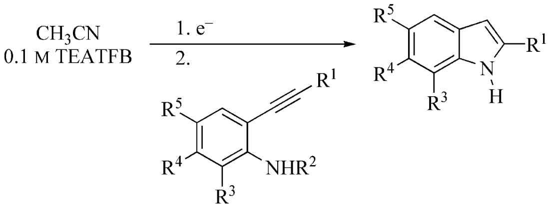
SHORT COMMUNICATIONS

Electrosynthesis of Indoles

A. Arcadi,* G. Bianchi, A. Inesi,
F. Marinelli, L. Rossi* **783–787**

Electrochemical-Mediated Cyclization of 2-Alkynylanilines: A Clean and Safe Synthesis of Indole Derivatives

Keywords: Indoles / Carbanions / Green chemistry / Alkynes / Cyclizations / Sustainable chemistry



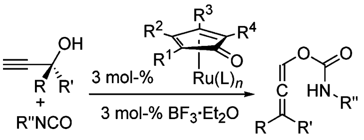
The electrogenerated cyanomethyl anion induces cyclization of 2-alkynylanilines to indole derivatives in good yields under clean and safe reaction conditions.

Homogenous Catalysis

E. Haak* **788–792**

Ruthenium-Catalyzed Allenyl Carbamate Formation from Propargyl Alcohols and Isocyanates

Keywords: Allenes / Homogenous catalysis / Propargyl alcohols / Ruthenium / Vinylidene complexes

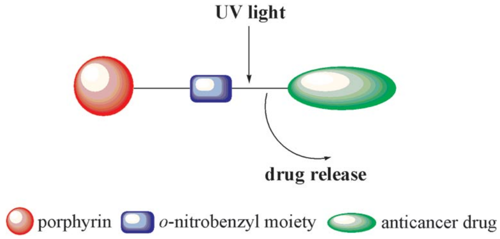


A catalytic access to allenyl carbamates from propargyl alcohols is reported. The efficient and selective process, which can be performed at room temperature, uses ruthenium complexes of redox-coupled cyclopentadienone ligands as catalysts.

Photolabile Anticancer Prodrugs

W. Lin,* D. Peng, B. Wang, L. Long, C. Guo, J. Yuan **793–796**

A Model for Light-Triggered Porphyrin Anticancer Prodrugs Based on an *o*-Nitrobenzyl Photolabile Group



A model for light-triggered porphyrin anticancer prodrug **1** was designed and synthesized. Upon photolysis, **1** can efficiently liberate the anticancer drug tegafur. The MTT assay demonstrates that prodrug **1** can release cytotoxic anticancer drug tegafur upon photoactivation in vitro.

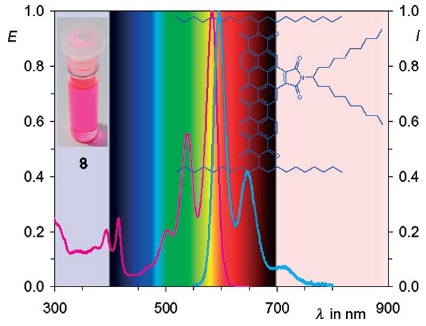
Keywords: Anticancer / Prodrugs / Porphyrinoids / Light-triggered compounds / Cytotoxicity

Light Converters

H. Langhals,* S. Poxleitner **797–800**

Core-Extended Terrylenetetracarboxdiimides – Novel, Strongly Red Fluorescent Broadband Absorbers

A strongly red fluorescent and broadband absorbing and readily soluble benzoterrylenehexacarboxtriimide was prepared by Diels–Alder reaction and condensation. Applications such as for solar energy systems are discussed.

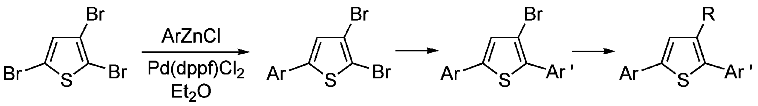


Keywords: Dyes / Pigments / Terrylenes / Fluorescence spectroscopy / Broadband absorbers

Regioselective Cross-Coupling

C. M. Amb, S. C. Rasmussen* ... **801–804**

Sterics versus Electronics: Regioselective Cross-Coupling of Polybrominated Thiophenes



New methods using sterics to counteract electronics have been developed for the regioselective cross-coupling of 2,3,5-tribromothiophene to give selective aryl–aryl coupling in the 5-position. These new methods, along with the normal regioselective coupling between the remaining brominated positions, thus allow unprecedented control in the generation of trifunctionalized thiophenes.

Keywords: Cross-coupling / Regioselectivity / Heterocycles / Homogeneous catalysis

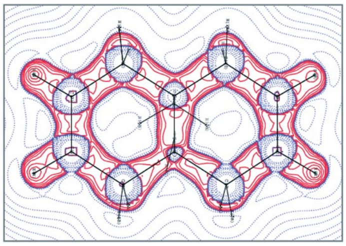
FULL PAPERS

Structural Analysis

G. Mehta,* S. Sen, T. N. Guru Row, D. Chopra, S. Chattopadhyay **805–815**

Strength vs. Accessibility: Unraveling the Patterns of Self-Recognition in a Conformationally Locked Amino Alcohol

Experimental charge density analyses have been carried out on a unique amino alcohol not only to characterize the existing non-covalent interactions in terms of the topological features of electron density at their bond critical points, but also to elucidate the apparent presence of the "spectator" amino hydrogen atoms beyond the criteria of mere geometry.



Keywords: Amino alcohol / Self-assembly / Hydrogen bonding / Experimental charge density

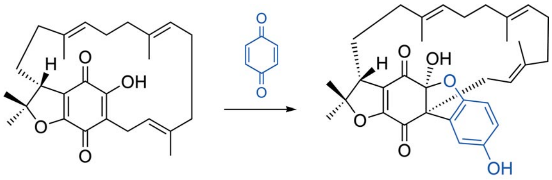
CONTENTS

Fungal Ansaquinones

M. Lang, A. Mühlbauer, C. Gräf, J. Beyer, S. Lang-Fugmann, K. Polborn, W. Steglich* 816–825

Studies on the Structure and Biosynthesis of Tridentoquinone and Related Meroterpenoids from the Mushroom *Suillus tridentinus* (Boletales)

Keywords: Natural Products / Meroterpenoids / Mushrooms / Biosynthesis / Isotopic labeling



Tridentoquinone Tridentorubin analogue

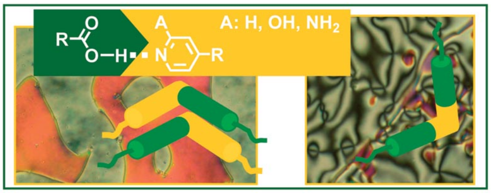
The absolute configuration of tridentoquinone was determined as (*R*) by a single-crystal X-ray analysis of the corresponding (−)-camphanoate. Tridentorubin is a dimer resulting from the addition of a polyprenylated monohydroxybenzoquinone to tridentoquinone, a reaction, supported by a model experiment. The biosynthesis of these compounds has been studied by feeding experiments with ^{13}C-labeled precursors.

H-Bonded Liquid Crystals

A. Pérez, N. Gimeno, F. Vera, M. B. Ros,* J. L. Serrano, M. R. De la Fuente 826–833

New H-Bonded Complexes and Their Supramolecular Liquid-Crystalline Organizations

Keywords: Supramolecular chemistry / Hydrogen bond / Liquid crystals / Bent-core liquid crystals



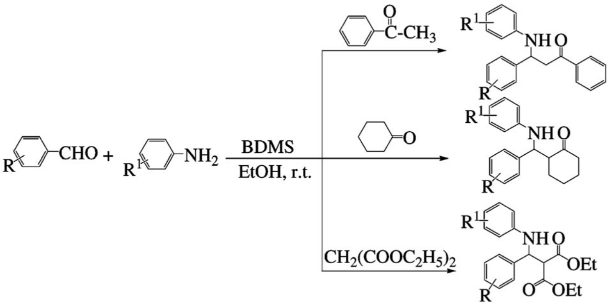
H-bonds offer a convenient and versatile strategy for the design and understanding of supramolecular arrangements. Different approaches to the design of noncovalent structures leading to both calamitic and bent-core liquid crystals, as well as their characterization, are reported. A possible correlation between the promoted liquid crystal order and the structure of the noncovalent complex is proposed.

Clean Multicomponent Reactions

A. T. Khan,* T. Parvin, L. H. Choudhury 834–839

Bromodimethylsulfonium Bromide Catalyzed Three-Component Mannich-Type Reactions

Keywords: β-Amino carbonyl compounds / Aldehydes / Amines / Bromodimethylsulfonium bromide



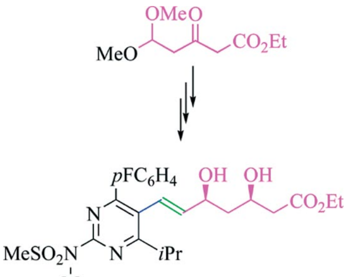
The three-component assembling of aromatic aldehydes, aromatic amines, and enolizable ketones or diethyl malonate in the presence of bromodimethylsulfonium bromide is described. It is a useful protocol for β-amino carbonyl compounds.

Asymmetric Hydrogenation

A. Korostylev, V. Andrushko,* N. Andrushko, V. I. Tararov, G. König, A. Börner* 840–846

Highly Enantioselective Hydrogenation of Ethyl 5,5-Dimethoxy-3-oxopentanoate and its Application for the Synthesis of a Statin Precursor

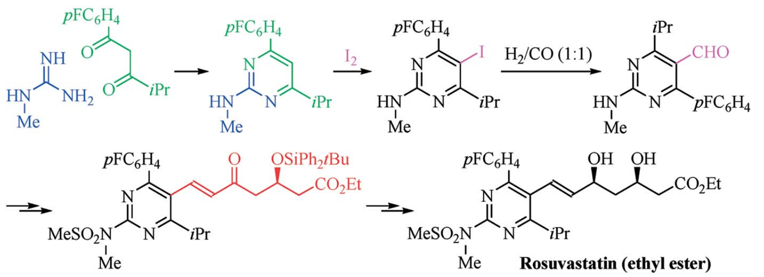
Keywords: Statins / Asymmetric catalysis / Hydrogenation / β-Keto esters / Rhodium / Ruthenium / Stereoselectivity / Rosuvastatin



Rosuvastatin (ethyl ester)

The key chiral building block of the side chain of the pharmacologically important rosuvastatin has been made available by highly enantioselective hydrogenation of a functionalized β-keto ester.

Homogeneous Catalysis



The Pd-catalyzed reaction between an iodopyrimidine and CO gave an aldehyde useful in the total synthesis of the drug rosuvastatin.

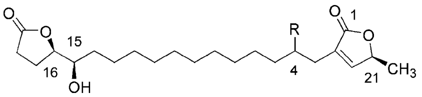
N. Andrushko,* V. Andrushko, G. König, A. Spannenberg, A. Börner* 847–853

A New Approach to the Total Synthesis of Rosuvastatin

Keywords: Drug design / Statins / Synthetic methods / Homogeneous catalysis / 2-Aminopyrimidines / Hydroformylation / Rosuvastatin

Total Synthesis of Rollicosin

The total synthesis of (4*R*,15*R*,16*R*,21*S*)-rollicosin and its 4*S* epimer was achieved starting from (*S*)- and (*R*)-epichlorohydrin, respectively.



2 Rollicosin (4*R*, R = OH)
3 (4*S*)-Rollicosin (R = OH)

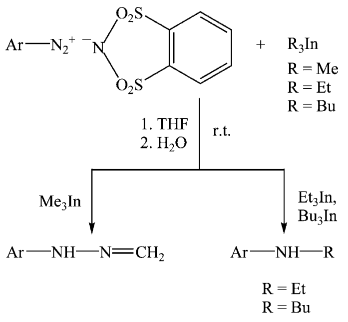
M.-J. Wu,* C.-L. Lee, Y.-C. Wu, C.-P. Chen 854–861

Synthesis of (4*R*,15*R*,16*R*,21*S*)-Rollicosin and Its 4*S* Epimer

Keywords: Rollicosin / Acetogenins / Anticancer / Total synthesis / Configurational determination

Reaction Mechanisms

The reaction of arenediazonium *o*-benzenedisulfonimides with aliphatic indium organometallics is described. With triethyl- or tributylindium we obtain *N*-ethyl- or *N*-butylanilines, whereas with trimethylindium we obtain formaldehyde (aryl)hydrazones. In order to explain this different behaviour we have proposed some reaction mechanisms, supported by density functional (DFT) calculations.



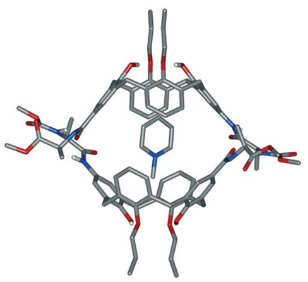
M. Barbero, S. Cadamuro, S. Dughera,* G. Ghigo* 862–868

Reactions of Arenediazonium *o*-Benzenedisulfonimides with Aliphatic Triorganoindium Compounds

Keywords: Indium / Amines / Diazo compounds / Nucleophilic addition / Density functional calculations

Self-Assembled Peptidocalixarenes

Upper (wide) rim difunctionalized, *N*,*C*-linked di-, tri-, tetra-, penta- and hepta-pseudopeptidocalix[4]arenes, rigidified in an open flattened cone conformation by hydrogen-bonded OH groups at the lower (narrow) rim, form in apolar solvents self-assembled chiral dimeric capsules able to entrap the *N*-methylpyridinium cation.



L. Baldini,* F. Sansone, G. Faimani, C. Massera, A. Casnati, R. Ungaro* 869–886

Self-Assembled Chiral Dimeric Capsules from Difunctionalized *N*,*C*-Linked Peptidocalix[4]arenes: Scope and Limitations

Keywords: Calixarenes / Hydrogen bonds / Chiral capsules / Self-assembly / Peptidomimetics

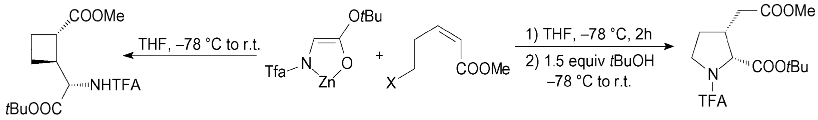
CONTENTS

Amino Acids

C. Schmidt, U. Kazmaier* .... 887−894

Efficient Stereoselective Syntheses of Constrained Glutamates via Michael-Induced Ring Closing Reactions

Keywords: Chelated enolates / Constrained amino acids / Glutamates / Michael addition / Michael-induced ring closure (MIRC)



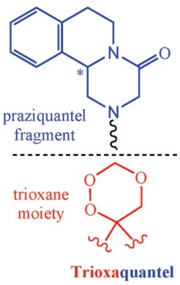
Zn-chelated glycine ester enolates are highly efficient nucleophiles for the synthesis of conformationally constrained glutamates via domino sequences of Michael additions and subsequent ring closures (MIRC). Depending on the reaction conditions either carbocyclic or heterocyclic ring systems are obtained.

Dual Drugs

S. A.-L. Laurent, J. Boissier, F. Coslédan,
H. Gornitzka, A. Robert,*
B. Meunier* .... 895−913

Synthesis of “Trioxaquantel”® Derivatives as Potential New Antischistosomal Drugs

Keywords: Artemether / Dual drug / Praziquantel / Schistosomiasis / Trioxane



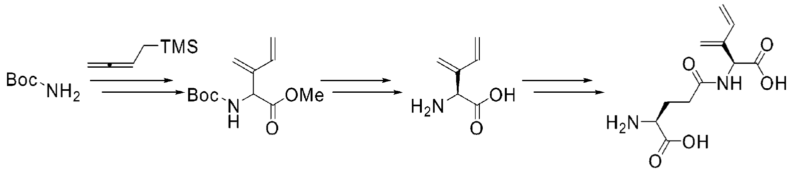
Trioxaquantels are dual molecules that combine, within a single hybrid molecule, the active moieties of praziquantel and artemether, two drugs with complementary activities in the treatment of schistosomiasis, a widespread parasitic disease. The synthesis of these new drugs and their preliminary evaluation in mice infected with *Schistosoma mansoni* is reported.

Amino Acid Synthesis

M. Berkheij, J. Dijkink, O. R. P. David,
T. Sonke, D. R. IJzendoorn, R. H. Blaauw,
J. H. van Maarseveen, H. E. Schoemaker,
H. Hiemstra* .... 914−924

Synthesis of a Naturally Occurring Diene-Containing Amino Acid and Its Glutamyl Dipeptide via *N*-Acyliminium Ion Chemistry

Keywords: Natural products / Dienes / Enzymatic resolutions / Peptides / Allylsilanes



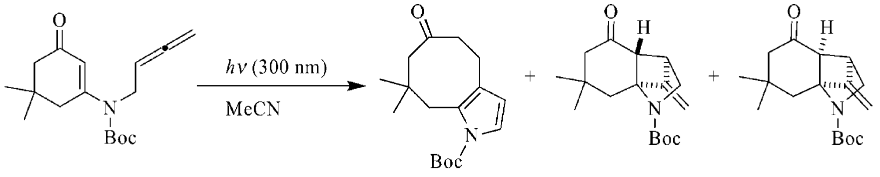
The syntheses of the naturally occurring unusual amino acid (*S*)-2-amino-3-methylene-4-pentenoic acid and the corresponding γ-glutamyl dipeptide are described. Key steps are an *N*-acyliminium ion addition using allenylmethylsilane as nucleophile and an enzymatic resolution mediated by the L-aminopeptidase from *Pseudomonas putida*.

[2+2] Photocycloadditions

G. Lutteke, R. AlHussainy, P. J. Wrigstedt,
B. T. B. Hue, R. de Gelder,
J. H. van Maarseveen,
H. Hiemstra* .... 925−933

Formation of Bicyclic Pyrroles and Furans Through an Enone Allene Photocycloaddition and Fragmentation Sequence

Keywords: Photochemistry / Allenes / Furans / Pyrroles / Fragmentation



16 **35** **36** **37**

(74:18:8)

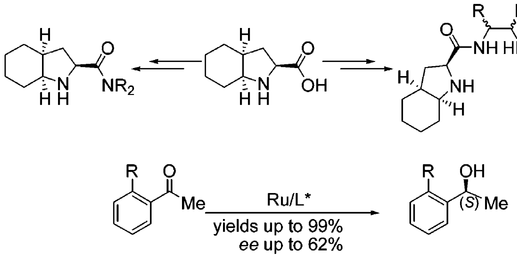
Irradiation of allene **16** resulted in the formation of bicyclic pyrrole **35** and crossed cycloadducts **36** and **37**, of which structures **35** and **36** were determined by X-ray analysis. The corresponding oxygen analogues led to the formation of bicyclic furans. In all examples the aromatic heterocycles were the major products. Formation of these products is believed to be the result of a heteroatom induced fragmentation of the straight cycloadducts.

Asymmetric Catalysis

B. Liégault, X. Tang, C. Bruneau,*
J.-L. Renaud* 934–940

Synthesis of New Perhydroindole Derivatives and Their Evaluation in Ruthenium-Catalyzed Hydrogen Transfer Reduction

Keywords: Perhydroindole / Proline / Hydride transfer / Ruthenium / Homogeneous catalysis



New nitrogen ligands were prepared from (*S*,*S*,*S*)-perhydroindolic acid. They represent the first members of a new class of polydentate ligands and were evaluated in the asymmetric transfer hydrogenation catalyzed by ruthenium complexes.