HUNGARY ITALY GERMANY GREECE

BELGIUM FRANCE

SWEDEN CZECH REPUBLIC

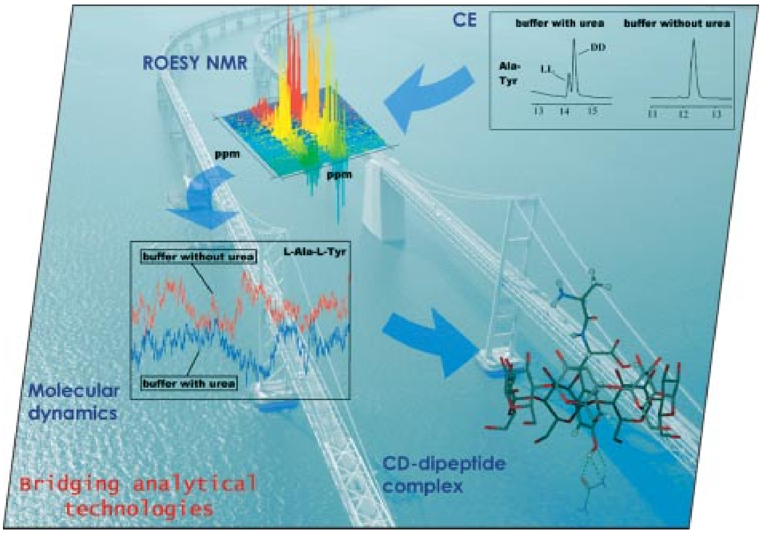
AUSTRIA PORTUGAL SPAIN NETHERLANDS

EUChemSoc

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 route that was used to confirm the formation of the possible complex between β-cyclodextrin and Ala-Tyr in the presence of urea. Capillary electrophoresis shows obvious differences in the enantioseparation of the dipeptide both in the presence and absence of urea. Experimental (NMR spectroscopy) and theoretical (molecular dynamics) approaches were used to investigate these differences. Both methods confirm that a complex is formed in which urea is involved in the binding through hydrogen bonds. Details are discussed in the article by U. Holzgrabe et al. on p. 2921 ff.



CONTENTS

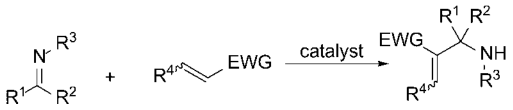
MICROREVIEW

Aza-Baylis–Hillman Reactions

Y.-L. Shi, M. Shi* **2905–2916**

Aza-Baylis–Hillman Reactions and Their Synthetic Applications

Keywords: Aza-Baylis–Hillman reaction / Asymmetric catalysis / Enantioselectivity



Because of the great potential of their products for further transformations, together with their superior mild reaction conditions, aza-Baylis–Hillman reactions have attracted much attention over the past decade. This microreview concentrates on summarizing the origins of and recent advances in aza-Baylis–Hillman reactions.

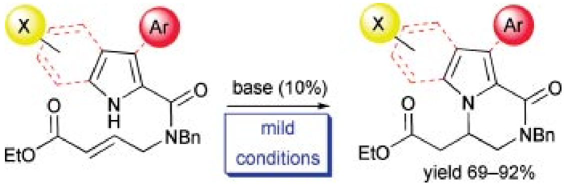
SHORT COMMUNICATION

Polycyclic Heteroaromatic Compounds

M. Bandini,* A. Eichholzer,
M. Monari, F. Piccinelli,
A. Umani-Ronchi* **2917–2920**

Versatile Base-Catalyzed Route to Polycyclic Heteroaromatic Compounds by Intramolecular Aza-Michael Addition

Keywords: Catalysis / Indole / Michael addition / Natural compounds / Pyrrole



A practical approach for the synthesis of polycyclic heteroaromatic compounds by regioselective base-catalyzed intramolecular aza-Michael addition is reported.

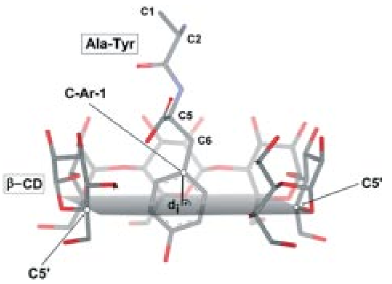
FULL PAPERS

Cyclodextrin Inclusion Complexes

B. Waibel, J. Scheiber,
C. Meier, M. Hammitzsch,
K. Baumann, G. K. E. Scriba,
U. Holzgrabe* **2921–2930**

Comparison of Cyclodextrin-Dipeptide Inclusion Complexes in the Absence and Presence of Urea by Means of Capillary Electrophoresis, Nuclear Magnetic Resonance and Molecular Modeling

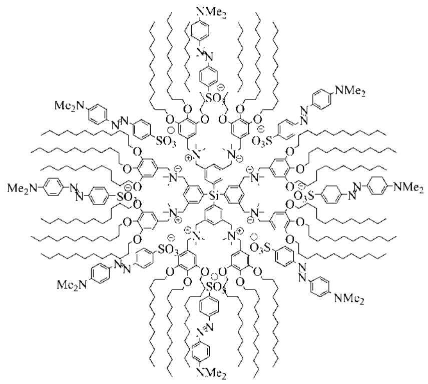
Keywords: Cyclodextrin complex / Dipeptides / Capillary electrophoresis / Molecular dynamics simulations



The aim of the present study was to investigate the influence of urea on the complexation between dipeptides and β-CD using Ala-Phe and Ala-Tyr as model compounds. For this purpose three different analytical methods were employed: capillary electrophoresis (CE), ^{1}H-NMR spectroscopy and molecular dynamics simulations (MD).

Dendritic Host Molecules

Dendritic host molecules with a positively charged core and an apolar aliphatic periphery were developed. These dendritic hosts bind a predefined number of guest molecules and display a broad solubility profile.



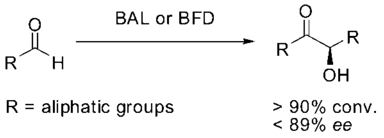
R. van de Coevering, P. C. A. Bruijnincx, C. A. van Walree, R. J. M. Klein Gebbink,* G. van Koten* **2931–2939**

Dendritic Host Molecules with a Polycationic Core and an Outer Shell of Dodecyl Groups

Keywords: Dendrimers / Host–guest systems / Electrostatic interactions / Liquid crystals / Molecular modeling

Biocatalysis

ThDP-dependent enzymes BAL and BFD catalyse the asymmetric carboligation of aliphatic aldehydes, with aliphatic α-hydroxy ketones being formed with very high levels of conversion and high enantioselectivities. The influence of different aliphatic groups on this reaction and the use of cosolvents are discussed.

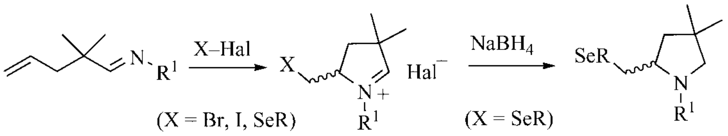


P. Domínguez de María, M. Pohl, D. Gocke, H. Gröger, H. Trauthwein,* T. Stillger, L. Walter, M. Müller* **2940–2944**

Asymmetric Synthesis of Aliphatic 2-Hydroxy Ketones by Enzymatic Carboligation of Aldehydes

Keywords: Enzyme catalysis / Carboligation / Benzaldehyde lyase / Benzoylformate decarboxylase / Aldehydes / 2-Hydroxy ketones

Diastereoselective Cyclisation



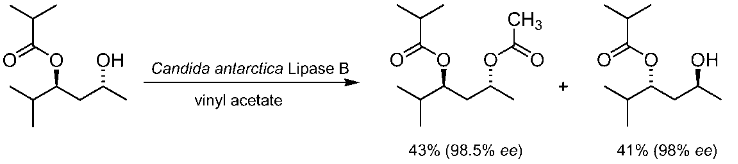
A number of new chiral 2-(α-bromoalkyl)-pyrrolinium salts and 2-(α-selenoalkyl)-pyrrolidines were synthesized by the halocyclisation and selenocyclisation, respectively, of *N*-(alkenylidene)alkylamines and subsequent reduction. These cyclisations were implemented in a diastereomeric fashion for the first time.

D. Schley, J. Liebscher* **2945–2957**

Diastereoselective Cyclisation of *N*-Alkenylideneamines into 3,4-Dihydro-2*H*-pyrrol-1-ium Halides

Keywords: Diastereoselective cyclisation / Pyrrolin-1-ium salts / Pyrrolidines / Heterocycles / Synthetic methods

Enzyme Catalysis



1,3-Diol monoesters obtained through a diastereoselective aldol-Tishchenko reaction were kinetically resolved through enzyme-catalyzed acylation using the lipase CALB. After alkaline methanolysis both enantiomers of the 1,3-*anti*-diols were obtained with excellent enantiomeric excess.

F. Jakob, C. Schneider* **2958–2963**

Enzyme-Catalyzed Kinetic Resolution of 1,3-*anti*-Diol Monoesters – Efficient Preparation of Enantiomerically Highly Enriched and Unsymmetrically Substituted 1,3-*anti*-Diols

Keywords: Aldol-Tishchenko reaction / Enzyme catalysis / Kinetic resolution / Lipase / 1,3-Diols

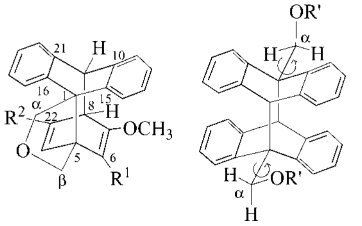
CONTENTS

Photocycloadditions

S. Dobis, D. Schollmeyer, C. Gao, D. Cao, H. Meier* 2964–2969

Structure Determination of Photoproducts of Anthracenes with (Arylmethoxymethyl) Sidechains

Keywords: Cyclization / Cyclodimerization / Photochemistry / Regioselectivity / Rotamers



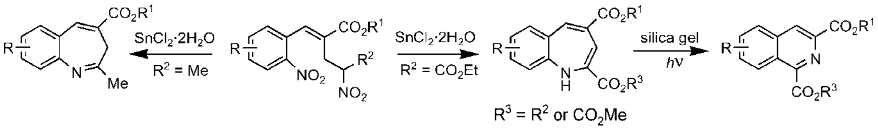
9-(Arylmethoxymethyl)anthracenes show competitive intra- and intermolecular cycloaddition reactions. The quantitative and reversible intramolecular process can be used as molecular switch. The intermolecular cycloaddition leads to head-to-tail regioisomers which exist in solution in a rotamer equilibrium.

Heterocyclic Chemistry

V. Singh, S. Batra* 2970–2976

Synthesis of Substituted 1*H*- and 3*H*-1-Benzazepines and Rearrangement of Alkyl 1*H*-1-Benzazepine-2-carboxylates into Isoquinolines

Keywords: Baylis–Hillman reaction / Nitrogen heterocycles / Rearrangement



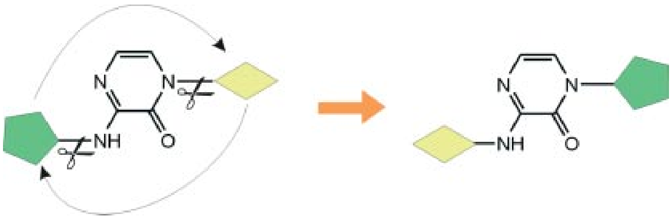
A simple and practical route to substituted 1*H*-1-benzazepines and 3*H*-1-benzazepines is presented. Further, an unprecedented rearrangement of an alkyl 1*H*-benzazepine-2-carboxylates to a substituted isoquinoline is described.

Pyrazinone Chemistry

L. Kamoune, W. M. De Borggraeve,*
C. Gielens, A. Voet,
K. Robeyns, M. De Maeyer,
L. Van Meervelt, F. Compernolle,
G. Hoornaert 2977–2986

Design, Synthesis and Evaluation of Serine Protease Inhibitor Analogues

Keywords: Pyrazinone / Serine protease inhibitors / Enzyme kinetics



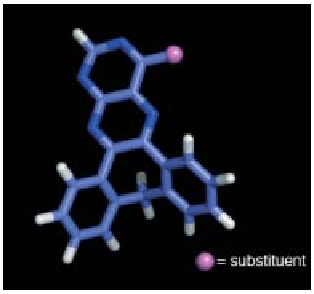
New pyrazinone derivatives were designed based on known serine protease inhibitors. The central core of the scaffolds was retained and the substitution pattern was inverted. All compounds were screened for serine protease inhibition.

Biologically Active Pteridines

M. Ślusarczyk, W. M. De Borggraeve*
S. Toppet, G. J. Hoornaert 2987–2994

Synthesis of Methylene-Bridged Analogues of Biologically Active Pteridine Derivatives

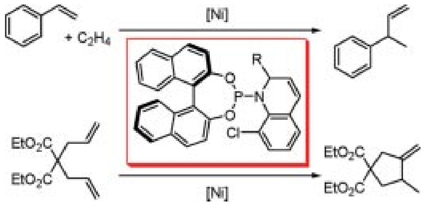
Keywords: Pteridines / Cyclocondensation / Hepatitis C virus / NS5B polymerase



The synthesis of analogues of bioactive pteridines is described using a cyclocondensation strategy starting from a diketone.

Asymmetric Catalysis

Monodentate quinaphos-type phosphoramidites bearing different substituents in the 2-position of the 1,2-dihydroquinoline backbone were synthesised and characterised. The structure of the ligands in solution and in the solid state was elucidated. Diastereomerically pure ligands were used in highly selective Ni-catalysed asymmetric C–C bond forming reactions.



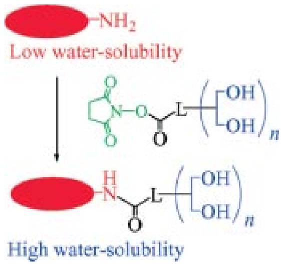
C. J. Diez-Holz, C. Böing, G. Franciò, M. Hölscher, W. Leitner* 2995–3002

Phosphoramidite quinaphos-Type Ligands for Highly Selective Ni-Catalysed Asymmetric C–C Bond Forming Reactions

Keywords: Hydrovinylation / Cycloisomerisation / Phosphoramidites / Asymmetric catalysis / Ligand design

Branched Glycerols

Branched glycerols (BGLs) modify a compound having low water-solubility to give a highly water-soluble derivative. The water solubility can be regulated according to the number of hydroxy groups in the BGL.

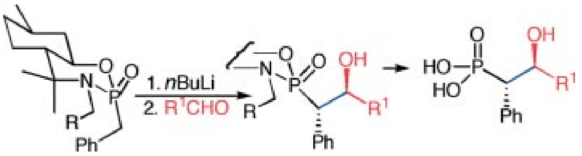


H. Nemoto,* T. Araki, M. Kamiya, T. Kawamura, T. Hino 3003–3011

A Quantitative Investigation of the Water-Solubilizing Properties of Branched Oligoglycerols

Keywords: Solvolysis / Glycerols / Hydroxylation / Oligomers / Iminodiacetic acid

β-Hydroxyphosphonic Acids



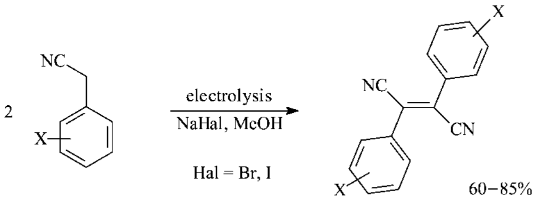
A synthesis of enantioenriched β-hydroxyphosphonic acids by diastereoselective aldol reaction of chiral phosphinines derived from (−)-8-aminomenthol with aldehydes is described.

B. López, A. Maestro, R. Pedrosa* 3012–3022

Asymmetric Addition of Chiral 1,3,2-Benzoxazaphosphinine 2-Oxides to Aldehydes: Diastereoselective Synthesis of α-Substituted β-Hydroxyphosphonic Acids

Keywords: Asymmetric synthesis / Hydroxyphosphonic acids / Oxazaphosphinines / Phosphonamidates / Phosphonates / Phosphorus heterocycles

Dehydrodimerization of C–H Acids



Electrolysis of phenylacetonitriles in methanol in an undivided cell in the presence of sodium halides as mediators induces a stereoselective oxidative coupling process that results in the formation of *trans*-α,β-dicyanostilbenes in 60–85 % yield with 40–70 % current efficiency.

M. N. Elinson,* A. S. Dorofeev,* S. K. Feducovich, P. A. Belyakov, G. I. Nikishin 3023–3027

Stereoselective Electrocatalytic Oxidative Coupling of Phenylacetonitriles: Facile and Convenient Way to *trans*-α,β-Dicyanostilbenes

Keywords: Stilbenes / Electrochemistry / Homogeneous catalysis / Stereoselectivity / Dimerization

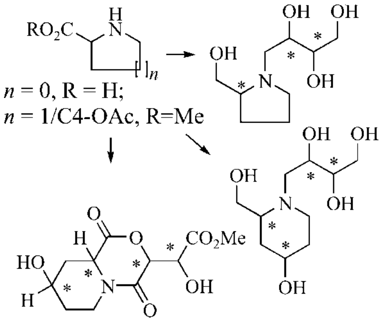
CONTENTS

Glycosidase Inhibitors

S. Boutefnouchet, I. Moldvai,*
E. Gács-Baitz, C. Bello,
P. Vogel 3028–3037

Synthesis and Glycosidase Inhibitory Activities of Pyrrolidines and Piperidines with *N*-(Polyhydroxyalkyl) Side Chains

Keywords: Alkaloids / Piperidines / Glycosides / Pyrrolidines



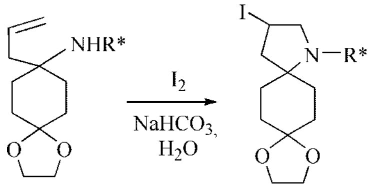
L-Proline and the enantiomers of methyl 4-acetoxypipecolate were reacted with (±)-tartaric anhydride diacetate; the proline derivatives afforded tetrahydroxy compounds, whereas the piperidines gave lactone products. Pentahydroxy piperidines were obtained from protected 1-deoxy-1-iodothreitol. The prepared compounds were assayed in glycosidase enzymes tests.

Iodoaminocyclization

F. Diaba, G. Puigbó,
J. Bonjoch* 3038–3044

Synthesis of Enantiopure 1-Azaspiro[4.5]decanes by Iodoaminocyclization of Allylaminocyclohexanes

Keywords: Amines / Cyclization / Iodine / Nitrogen heterocycles / Spiro compounds



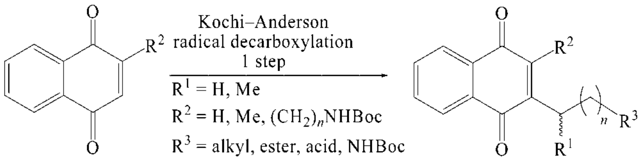
The 5-*endo* iodine-promoted ring closure of 4-allyl-4-(alkylamino)cyclohexanone derivatives gives the corresponding 1-azaspiro[4.5] decanes in good yields. The reaction was tested with enantiopure homoallylamines to evaluate the diastereoselectivity of the process and to provide a route for possible intermediates to some natural products.

Easy Naphthoquinone Alkylation

C. Commandeur, C. Chalumeau,
J. Dessolin,* M. Laguerre 3045–3052

Study of Radical Decarboxylation Toward Functionalization of Naphthoquinones

Keywords: Naphthoquinones / Radical decarboxylation / Kochi–Anderson procedure / Amino acids / Radical reactions



A very clean and simple radical decarboxylation procedure was applied to various carboxylic acids in order to functionalize naphthoquinones; amino acids were investigated as Kochi–Anderson substrates. The substituents on the radical-bearing carbon atom are critical to the reaction outcome. The Barton procedure was ineffective at achieving radical additions that were easily realized with the Kochi–Anderson method.

CORRECTION

T. Kamei, M. Kudo, H. Akiyama, M. Wada,
J. Nagasawa, M. Funahashi, N. Tamaoki,
T. Q. P. Uyeda* 3053

Visible-Light Photoresponsivity of a 4-(Dimethylamino)azobenzene Unit Incorporated into Single-Stranded DNA: Demonstration of a Large Spectral Change Accompanying Isomerization in DMSO and Detection of Rapid (*Z*)-to-(*E*) Isomerization in Aqueous Solution

Keywords: Photoresponsivity / Oligonucleotides / 4-(Dimethylamino)azobenzene / (*E*)/(*Z*) isomerization / DNA nanodevices