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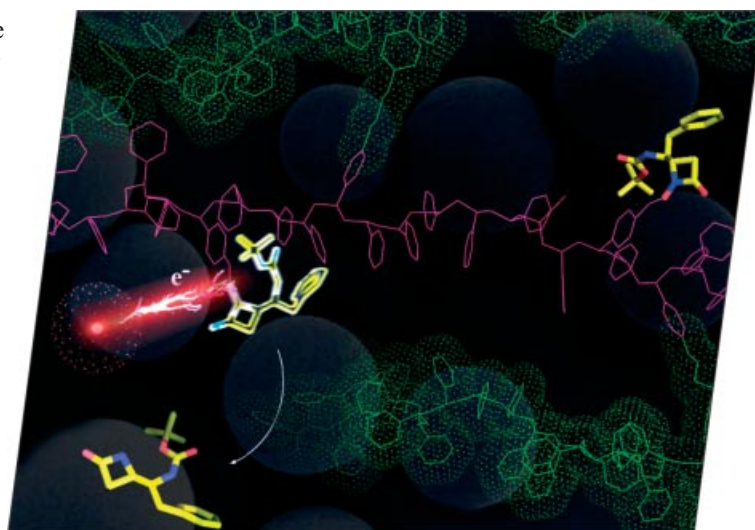


NETHERLANDS

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 that electrons have become a valuable reagent in Solid-Phase Organic Synthesis (SPOS). Through the addition of electron-transfer reagents or catalysts, new transformations are now possible within the environment of polymer-bound substrates, which significantly expands the toolbox for solid-phase organic synthesis. The picture depicts how an electron donated by a metal complex cleaves the linker of a substrate to the polymer chain. Details are presented in the Microreview by M. Mentel and R. Breinbauer on pp. 4283ff.



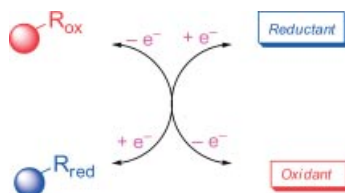
## MICROREVIEW

### Solid-Phase Organic Synthesis

M. Mentel, R. Breinbauer\* .... 4283–4292

Electrons as a Reagent in Solid-Phase Organic Synthesis

**Keywords:** Combinatorial chemistry / Electrochemistry / Electron transfer / Organic synthesis / Solid-phase synthesis



Electron-transfer reactions and electroorganic synthesis are reaction types that have not yet received much attention in Solid-Phase Organic Synthesis (SPOS). In this review the current status of electron-transfer reactions carried out on solid phase is described and future developments are discussed.

## SHORT COMMUNICATION

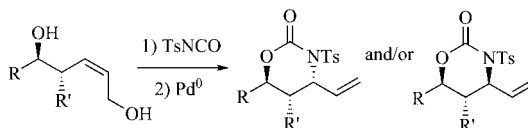
### Pd-Catalyzed Cyclizations

G. Broustal, X. Ariza, J.-M. Campagne,\*  
J. Garcia,\* Y. Georges, A. Marinetti,  
R. Robiette\* ..... 4293–4297



A Stereoselective Approach to 1,3-Amino Alcohols Protected as Cyclic Carbamates: Kinetic vs. Thermodynamic Control

**Keywords:** Palladium / Allylation / 1,5-Diols / Carbamates / Aldol reactions



The Pd-catalyzed stereoselective cyclization of dicarbamates proceeded with 1,3- asymmetric induction under either thermodynamic or kinetic control to afford enantio-

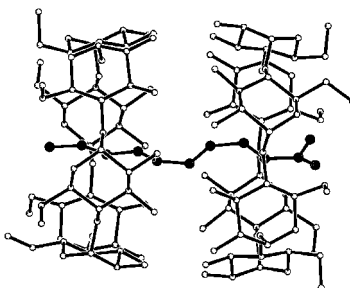
selectively six-membered-ring cyclic carbamates. Calculations enabled us to rationalize the observed stereoselectivity.

### $\alpha$ -Cyclodextrin Complexes

S. Rodríguez-Llamazares, N. Yutronic,\*  
P. Jara, U. Englert,\* M. Noyong,  
U. Simon ..... 4298–4300

The Structure of the First Supramolecular  $\alpha$ -Cyclodextrin Complex with an Aliphatic Monofunctional Carboxylic Acid

**Keywords:** Cyclodextrins / Inclusion compounds / Pseudochannel structure / X-ray diffraction



Like pearls on a string: The aliphatic chain of decanoic acid is threaded through a head-to-head dimer of two cyclodextrin residues, resulting in a 1:2 stoichiometry. Head-to-head orientation is also observed between neighboring complexes. Both this channel-type arrangement and the intercolumn structure are stabilized by hydrogen bonds.

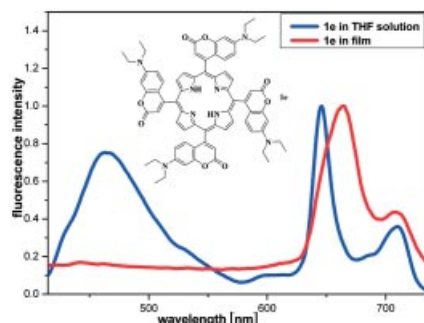
### Coumarin-Conjugated Porphyrins

W. Lin,\* L. Long, J. Feng, B. Wang,  
C. Guo ..... 4301–4304



Synthesis of *meso*-Coumarin-Conjugated Porphyrins and Investigation of Their Luminescence Properties

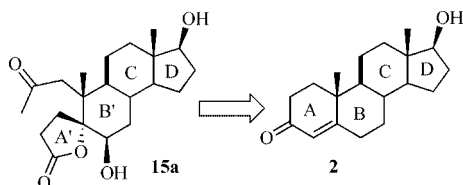
**Keywords:** Porphyrinoids / Coumarin derivatives / Energy transfer / Luminescence



A series of *meso*-coumarin-conjugated porphyrins **1a–e** were synthesized. The luminescence spectra indicate that the energy transfer from the coumarin substituents to the porphyrin core for **1a–e** is more efficient in solid films than in solution, and the energy transfer for **1d** and **1e** is more efficient than that of **1a**, **1b**, and **1c** in solid films.

## FULL PAPERS

### Natural Product Hybrids



The synthetic scheme described herein represents the first synthesis of the hybrid testosterone/norsesquiterpene spiro-lactone unit. The key feature of the retrosynthetic

strategy is an eco-friendly domino reaction, which affords the two adjacent quaternary centres with total selectivity.

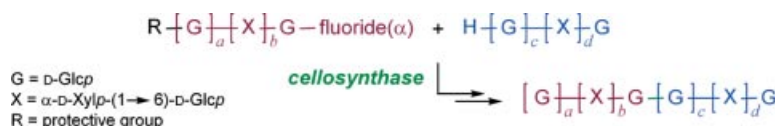
**A. Chanu, I. Safir, R. Basak, A. Chiaroni, S. Arseniyadis\*** ..... 4305–4312

Synthesis of a Norsesquiterpene Spiro-lactone/Steroidal Hybrid by Using an Environmentally Friendly Domino Reaction as a Key Step



**Keywords:** Hybrid molecules / Domino reactions / Iodobenzene diacetate / Lead tetraacetate / Natural products

### Enzymatic Glycosylation



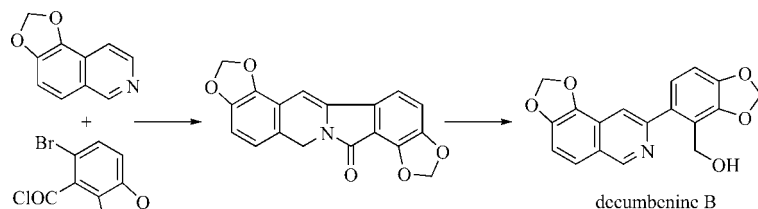
Xyloglucan oligosaccharides (XGOs) synthesized through glycosynthase technology combined with an enzymatic protection/deprotection concept are invaluable tools to

study the substrate specificity of glycosyltransferases involved in xyloglucan biosynthesis, a major hemicellulose polysaccharide from plant cell wall.

**R. Fauré, D. Cavalier, K. Keegstra, S. Cottaz, H. Driguez\*** ..... 4313–4319

Glycosynthase-Assisted Synthesis of Xylo-Gluco-Oligosaccharide Probes for  $\alpha$ -Xylosyltransferases

**Keywords:** Carbohydrate / Enzyme catalysis / Glycosyltransferase / Xyloglucan / Oligosaccharide



The synthesis of a 3-arylisoquinoline alkaloid, decumbenine B, was accomplished in a reaction sequence based on the formation of an indolizine ring {dibenz[*a,f*]indolizin-5(7*H*)-one} followed by its cleavage at the

amide bond, starting with an interaction of 5,6-(methylenedioxy)isoquinoline with 2-bromo-5,6-(methylenedioxy)benzoyl chloride in the presence of  $\text{Bu}_3\text{SnH}$ .

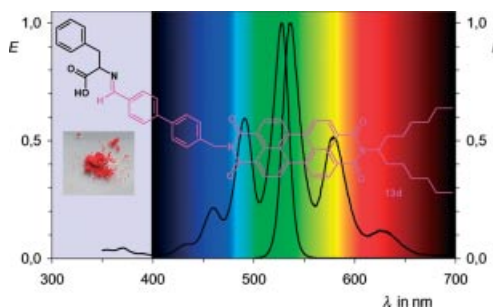
**Y. Wada, N. Nishida, N. Kurono, T. Ohkuma, K. Orito\*** ..... 4320–4327

Synthesis of a 3-Arylisoquinoline Alkaloid, Decumbenine B



**Keywords:** Decumbenine B / Isoquinoline alkaloid / Nitrogen heterocycles / Cyclization / Heck reaction

### Fluorescence Labels



The perylenetetracarboxydiimide chromophore has been attached to a solubilizing group and to benzaldehyde and biphenyl-carbaldehyde to become a highly fluores-

cent and light-fast label for primary amines by their formation of Schiff bases. Amino acids and the peptide catalase have also been labelled in this manner.

**H. Langhals,\* T. Becherer, J. Lindner, A. Obermeier** ..... 4328–4336

The Fluorescence Labelling of Primary Amines with Perylenetetracarboxydiimides



**Keywords:** UV/Vis spectroscopy / Fluorescent probes / Amines / Dyes / Pigments / Schiff bases

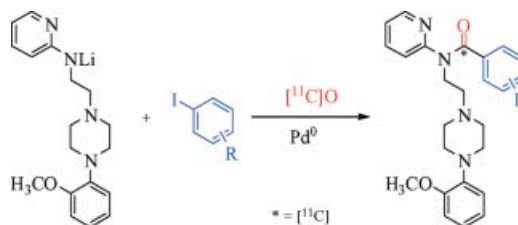
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## Isotopic Carbonylations

O. Itsenko, E. Blom, B. Långström,  
T. Kihlberg\* ..... 4337–4342

The Use of Lithium Amides in the Palladium-Mediated Synthesis of [Carbonyl- $^{11}\text{C}$ ]Amides

**Keywords:** Carbon monoxide / Carbonylation / Palladium / Lithium / Amides



A palladium–acyl complex, prepared from [ $^{11}\text{C}$ ]O, was reacted with lithium alkylamides at atmospheric pressure to afford  $^{11}\text{C}$ -labelled amides by a carbonylation

reaction. Eleven [carbonyl- $^{11}\text{C}$ ]-labelled amides, nine of which are analogues of WAY-100635, were prepared in up to 90 % decay-corrected radiochemical yields.

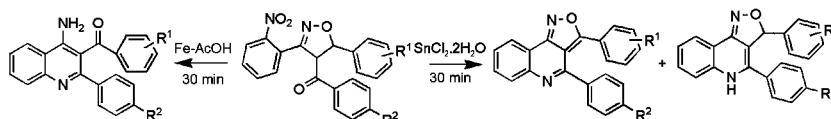
## Intramolecular Heterocyclization

S. Madapa, D. Sridhar, G. P. Yadav,  
P. R. Maulik, S. Batra\* ..... 4343–4351



A General Approach to the Synthesis of Substituted Isoxazolo[4,3-*c*]quinolines via Chalcones

**Keywords:** Isoxazolo[4,3-*c*]quinolines / 3-Benzoylquinolin-4-ylamines / Reduction / Heterocyclization



A general and practical approach to the synthesis of substituted isoxazolo[4,3-*c*]quinolines from the substituted isoxazolines obtained by 1,3-dipolar cycloadditions between 2-nitrobenzonitrile oxide and chalcones is described.  $\text{SnCl}_2 \cdot 2\text{H}_2\text{O}$ -mediated reduction of the nitro group, followed by intramolecular cyclization involving the

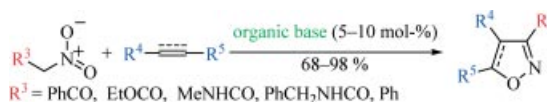
amino and the keto group in these substrates, furnished mixtures of isoxazolo[4,3-*c*]quinolines and 3,5-dihydroisoxazolo[4,3-*c*]quinolines. In contrast, the reduction of these substrates with  $\text{Fe}/\text{AcOH}$  unexpectedly yielded 3-benzoylquinolin-4-ylamine derivatives.

## Organocatalysis

F. Machetti,\* L. Cecchi, E. Trogu,  
F. De Sarlo\* ..... 4352–4359

Isoxazoles and Isoxazolines by 1,3-Dipolar Cycloaddition: Base-Catalysed Condensation of Primary Nitro Compounds with Dipolarophiles

**Keywords:** Nitro compounds / Cycloaddition / Condensation / Catalysis / Nitrogen heterocycles



Selected N-bases cause activated nitro compounds to condense with alkenes/alkynes to yield isoxazole derivatives in good to excellent yields, even when the amount of base is reduced to catalytic

quantities (5–10 mol-%). The adduct formed between the nitronate and the dipolarophile, hydrogen-bonded to the protonated base, is assumed to be the key intermediate in the proposed catalytic cycle.

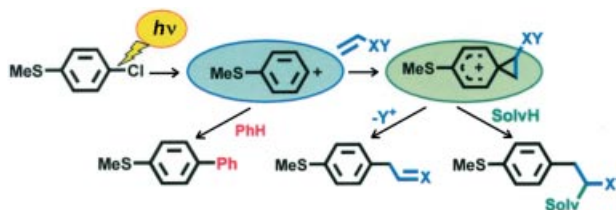
## Photochemical Arylation

S. Lazzaroni, D. Dondi, M. Fagnoni,  
A. Albini\* ..... 4360–4365



Photochemical Arylation Reactions by 4-Chlorothioanisole

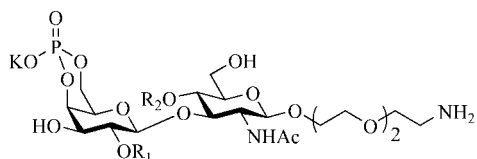
**Keywords:** Arylation / Cations / Photochemistry / Nucleophilic substitution / Sulfur



Irradiation of 4-chlorothioanisole offers a way to arylate benzene and alkenes via

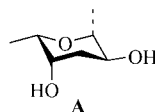
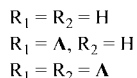
phenylium ion (and, with alkenes, phenonium ion) intermediates.

## Oligosaccharide Synthesis



Key steps were regioselective 4,6-*O*-phosphorylation of a  $\beta$ -D-Gal-(1 $\rightarrow$ 3)-GlcNAc tetraol, glycosylation of the resulting  $\beta$ -D-Gal-(1 $\rightarrow$ 3)-GlcNAc diol with a colitose

donor under halide-assisted conditions, and one-step global deprotection of the fully protected di-, tri- and tetrasaccharides.

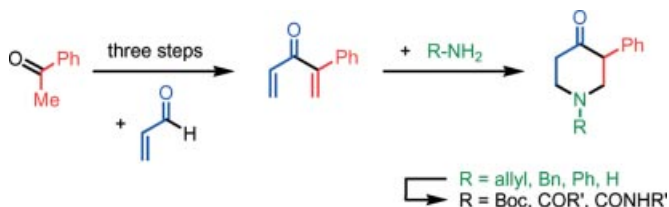


**B. Ruttens, R. Saksena,**  
**P. Kováč\*** ..... 4366–4375

Synthesis of Phosphorylated, Conjugation-Ready Di-, Tri- and Tetrasaccharide Fragments of the O-Specific Polysaccharide of *V. cholerae* O139

**Keywords:** Carbohydrates / Regioselective phosphorylation / Glycosylation / Oligosaccharides / Conjugate vaccine

## Nitrogen Heterocycles



3-Aryl-substituted 4-piperidones are accessed in only four steps from readily available

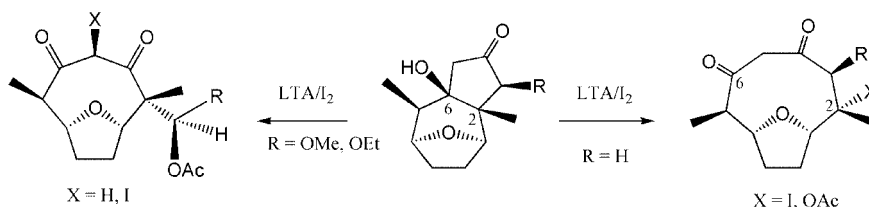
acetophenones. Shapiro and aza-Michael reactions are the key steps in this sequence.

**A. Rosiak, C. Hoenke,**  
**J. Christoffers\*** ..... 4376–4382

Synthesis of 3-Phenyl-4-piperidones from Acetophenone by Shapiro and Aza-Michael Reactions and Their Further Derivatization

**Keywords:** Piperidines / Heterocycles / Ketones / Protecting groups / Shapiro reaction / Hydrazones

## Hypiodite Reaction



C-3 derivatives of 6-hydroxy-2,7-dimethyl-11-oxatricyclo[6.2.1.0<sup>2,6</sup>]undecan-4-one reacted with lead tetraacetate and iodine to afford 1,7-epoxycyclononanes. The reac-

tion of precursors (not functionalized on C-3) produced a  $\beta$ -fragmentation without any structural rearrangement, affording a 1,8-epoxycyclodecane system.

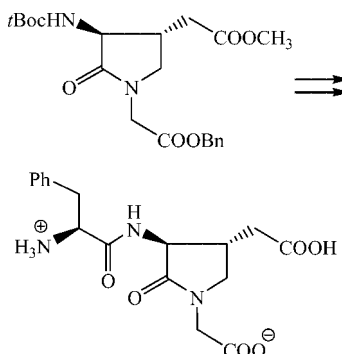
**Á. M. Montaña,\* S. Ponzano,**  
**G. Kociok-Köhn, M. Font-Bardia,**  
**X. Solans** ..... 4383–4401

Versatile Methodology to Synthesize Oxygen-Bridged Nine- and Ten-Membered Cycloalkanes by the Hypiodite Reaction

**Keywords:**  $\beta$ -Fragmentation / Hypiodite reaction / Ring contraction / Cycloaddition / 1,7-Epoxycyclononane / 1,8-Epoxycyclodecane

## Peptidomimetics

The preparation of a conformationally constrained analogue of dipeptide EG is described, which was employed to give a mimetic of tripeptide FEG, and the conformational behaviour of the mimetic was determined by MD simulations.



**R. Galeazzi, G. Martelli, E. Marcucci,**  
**G. Mobbili, D. Natali, M. Orena,\***  
**S. Rinaldi** ..... 4402–4407

A New Conformationally Restricted Mimetic of Dipeptide EG – Synthesis of an Analogue of FEG

**Keywords:** Peptides / Mimetics / Conformational restriction / Molecular modeling / Active conformation / Conformation analysis



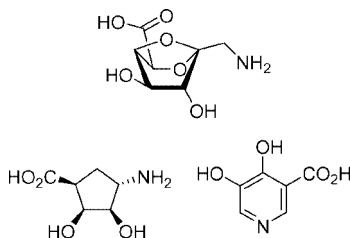
# CONTENTS

## Glycosidase Inhibitors

M. Rommel, A. Ernst,  
U. Koert\* ..... 4408–4430

Synthetic Routes to Three Novel Scaffolds  
for Potential Glycosidase Inhibitors

**Keywords:** Synthesis / Ketalisation /  
Hydroxypyridine / Cyclopentane / Glycos-  
idase inhibitor



Efficient syntheses of three novel scaffolds  
which are useful for the development of  
potent glycosidase inhibitors are reported.

## Nitroso Chemistry

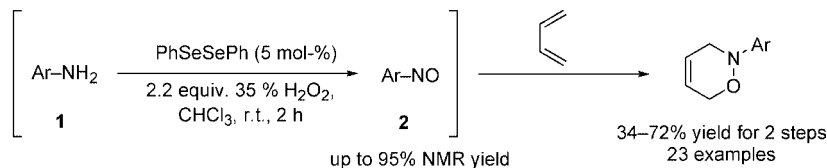
D. Zhao, M. Johansson,  
J.-E. Bäckvall\* ..... 4431–4436



In Situ Generation of Nitroso Compounds  
from Catalytic Hydrogen Peroxide Oxida-  
tion of Primary Aromatic Amines and  
Their One-Pot Use in Hetero-Diels–Alder  
Reactions

**Keywords:** Tandem reaction / Nitroso com-  
pounds / Selenium / Aniline oxidation /  
Aqueous hydrogen peroxide

One-pot procedure based on in situ generation of nitroso compounds **2**



A method for in situ generation of nitroso  
compounds from organoselenium-cata-  
lyzed oxidation of anilines by hydrogen  
peroxide was developed. The generated  
nitroso compounds were subsequently used  
in hetero-Diels–Alder reactions. A variety  
of oxazines were synthesized in reasonable

to good yields by this one-pot procedure  
using primary aromatic amines with differ-  
ent substituents and various dienes. This  
strategy might facilitate the current meth-  
odologies for nitroso chemistry because no  
isolation or purification of nitroso com-  
pounds is required.

If not otherwise indicated in the article, papers in issue 25 were published online on August 10, 2007