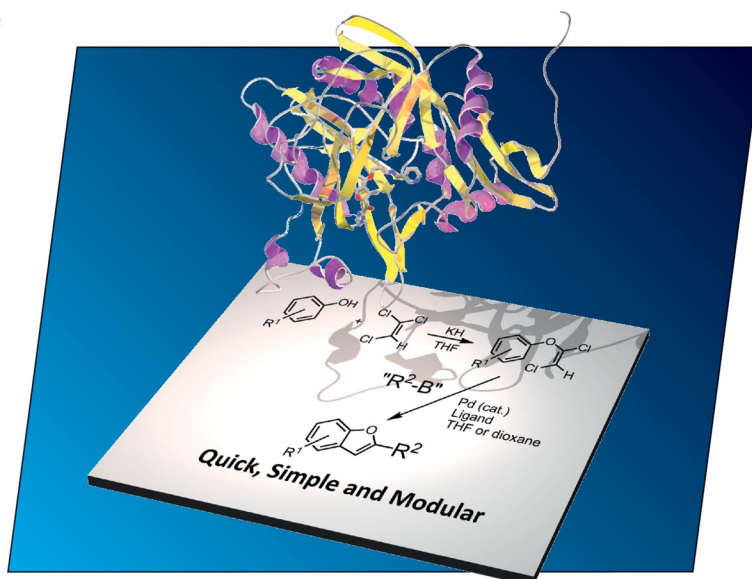


EurJOC is co-owned by 11 societies of ChemPubSoc Europe, a union of European chemical societies for the purpose of publishing high-quality science. All owners merged their national journals to form two leading chemistry journals, the *European Journal of Organic Chemistry* and the *European Journal of Inorganic Chemistry*. Three further members of ChemPubSoc Europe (Austria, Czech Republic and Sweden) are Associates of the two journals.

Other ChemPubSoc Europe journals are *Chemistry – A European Journal*, *ChemBioChem*, *ChemPhysChem*, *ChemMedChem*, *ChemSusChem* and *ChemCatChem*.

## COVER PICTURE

The cover picture shows a very direct route to the synthesis of 2-substituted benzo[b]furans in the shadow of the X-ray structure of *N*-myristoyl-transferase (E.C. 2.3.1.97) obtained from *Candida albicans*, which is inhibited by a synthetic benzo[b]furan (PDB reference 1IYL, S. Sogabe et al., *Chem. Biol.* **2002**, 9, 1119). This synthetic route provides an excellent platform for the exploration of potential antifungal agents of this type. Details are discussed in the article by L. M. Geary and P. G. Hultin on p. 5563ff.



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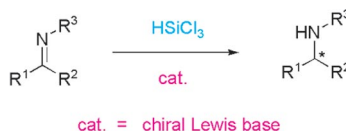
## MICROREVIEW

### Organocatalytic Ketoimine Reduction

S. Guizzetti, M. Benaglia\* ..... 5529–5541

Trichlorosilane-Mediated Stereoselective Reduction of C=N Bonds

**Keywords:** Organocatalysis / Amines / Imine reduction / Lewis bases / Chirality



C=N bond reduction is a powerful, widely used transformation allowing new nitrogen-bearing stereocenters to be created. Generation of chiral catalysts for imine reduction simply by coordination of HSiCl<sub>3</sub> with chiral Lewis bases has allowed the development of several efficient organocatalytic systems. An overview of chiral promoters for stereoselective C=N reductions with HSiCl<sub>3</sub> is provided.

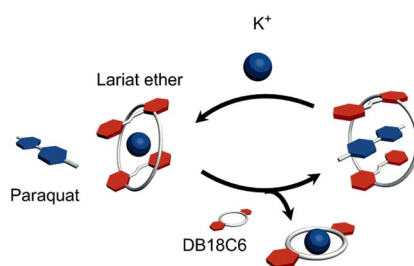
## SHORT COMMUNICATIONS

### Host–Guest Chemistry

M. Zhang, Y. Luo, B. Zheng, B. Xia, F. Huang\* ..... 5543–5547

Improved and Controlled Complexation of Paraquat Derivatives by the Formation of a Bis(*m*-phenylene)-26-Crown-8-Based Lariat Ether

**Keywords:** Crown compounds / Rotaxanes / Controlled assembly / Substituent effects



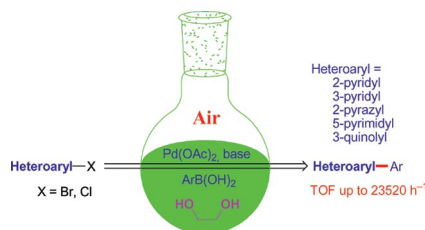
A novel bis(*m*-phenylene)-26-crown-8-based lariat ether was synthesized and characterized. It can bind paraquat derivatives more strongly than bis(*m*-phenylene)-26-crown-8 in solution. It forms pseudorotaxanes with two paraquat derivatives in the solid state. *N*-Methyl substitution was found to play an important role on the binding strength of the lariat ether. Furthermore, its binding to paraquat derivatives could be switched by adding K<sup>+</sup> and dibenzo-18-crown-6.

### Heterocyclic Biaryl Compounds

C. Liu,\* N. Han, X. Song, J. Qiu ..... 5548–5551

A General and Highly Efficient Method for the Construction of Aryl-Substituted N-Heteroarenes

**Keywords:** C-C coupling / Palladium / Nitrogen heterocycles / Biaryl compounds



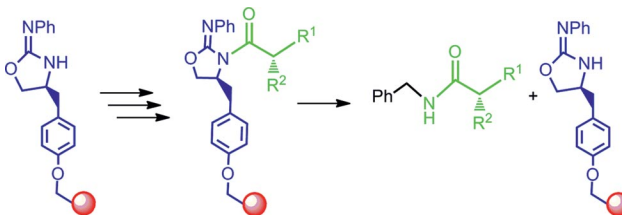
A general, simple and highly efficient method has been developed for the Pd(OAc)<sub>2</sub>-catalyzed ligand-free and aerobic Suzuki reaction of N-heteroaryl halides including 2-pyridyl bromides, 3-pyridyl bromides, 3-quinolyl bromides, 5-pyrimidyl bromides and 2-pyrazyl chloride, which is strongly dependent on the molecular structure of solvent.

### Chiral Auxiliary

F.-Q. Hu, D.-X. Xia, C.-F. Lu, Z.-X. Chen, G.-C. Yang\* ..... 5552–5554

A New Non-Cross-Linked Polystyrene Supported 2-Phenylimino-2-oxazolidine Chiral Auxiliary: Synthesis and Application in Asymmetric Alkylation Reactions

**Keywords:** Chiral auxiliaries / Heterocycles / Asymmetric synthesis / Alkylation / Amides

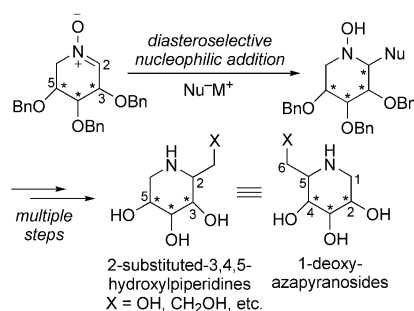


The synthesis of novel non-cross-linked polystyrene supported 2-phenylimino-2-oxazolidine is described, and its use as a chiral auxiliary in asymmetric alkylation reactions is demonstrated by the synthesis

of several chiral amides (>96% ee). Recovery and recycling of the polymer-supported chiral auxiliary were successfully achieved without appreciable reduction in the yield or stereoselectivity.

## 1-Deoxyazapyranoside Synthesis

We have developed practical methods to prepare all eight six-membered chiral cyclic nitrones. Using these cyclic nitrones and diastereoselective nucleophilic additions, 12 examples of diverse 1-deoxyazapyranosides including enantiomers were synthesized to demonstrate the generality and flexibility of this new approach.

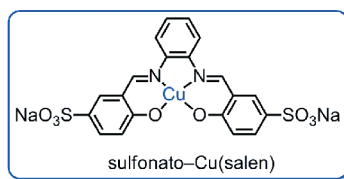
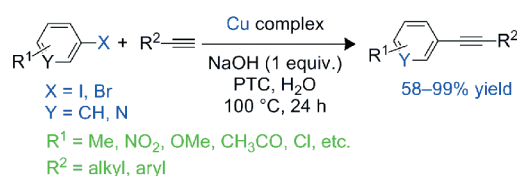


**T.-H. Chan, Y.-F. Chang,  
J.-J. Hsu, W.-C. Cheng\*** ..... 5555–5559

Straightforward Synthesis of Diverse 1-Deoxyazapyranosides via Stereocontrolled Nucleophilic Additions to Six-Membered Cyclic Nitrones

**Keywords:** Diastereoselectivity / Natural products / Nucleophilic addition / Alkaloids / Grignard reaction

## Aqueous Catalysis



**L. Yu, X. Jiang, L. Wang, Z. Li, D. Wu,  
X. Zhou\*** ..... 5560–5562

Catalytic Alkynylation Coupling Reactions by Copper(II) Complex in Water and Its Applications to Domino Synthesis of 2-Arylindoles

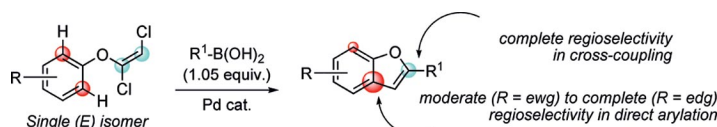
**Keywords:** Alkynes / Copper / Water chemistry / Domino reactions / Cross-coupling

A mild and clean protocol for the alkynylation coupling of aryl iodides with terminal alkynes has been developed in yields up to 99% in the presence of sulfonato-Cu<sup>II</sup>-(salen) in water. Domino synthesis of

2-arylindoles from 2-iodoaniline and aryl acetylene was successfully carried out by this catalytic system. The catalyst can be easily recovered and reused.

## FULL PAPERS

### C-H Activation



A very efficient modular approach to the construction of benzo[b]furans using trichloroethylene as a scaffold is described. This method gives easy access to highly

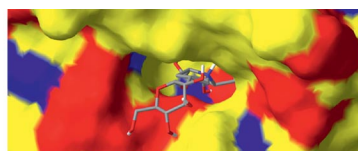
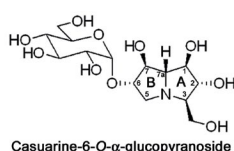
substituted heterocycles in only two synthetic operations, and is especially suitable for rapid construction of compound libraries.

**L. M. Geary, P. G. Hultin\*** .... 5563–5573

2-Substituted Benzo[b]furans from (E)-1,2-Dichlorovinyl Ethers and Organoboron Reagents: Scope and Mechanistic Investigations into the One-Pot Suzuki Coupling/Direct Arylation

**Keywords:** Oxygen heterocycles / C-H activation / Cross-coupling / Palladium

### Casuarine Analogues



A series of casuarine derivatives structurally modified at ring B of the pyrrolizidine nucleus have been synthesized and found to be selective inhibitors of fungal amyloglu-

cosidase. The nature of the interactions between the enzyme active site and the prepared molecules has been investigated by molecular docking simulations.

**C. Bonaccini,\* M. Chioccioli,  
C. Parmeggiani, F. Cardona,\* D. Lo Re,  
G. Soldaini, P. Vogel, C. Bello, A. Goti,  
P. Gratteri** ..... 5574–5585

Synthesis, Biological Evaluation and Docking Studies of Casuarine Analogues: Effects of Structural Modifications at Ring B on Inhibitory Activity Towards Glucoamylase

**Keywords:** Azasugars / Enzymes / Inhibitors / Molecular modeling / Biological activity

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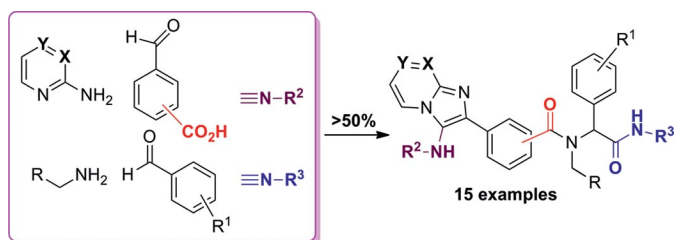
## Tandem Multicomponent Reactions

T. H. Al-Tel,\* R. A. Al-Qawasmeh,  
W. Voelter ..... 5586–5593



Rapid Assembly of Polyfunctional Structures Using a One-Pot Five- and Six-Component Sequential Groebke–Blackburn/Ugi/Passerini Process

**Keywords:** Multicomponent reactions / Heterocycles / Drug discovery / Cycloaddition / Molecular diversity



The one-pot combination of two reactions in a tandem fashion for the efficient and divergent synthesis of polyfunctional imid-

azopyridine, -pyrazine, and -pyrimidine derivatives is described.

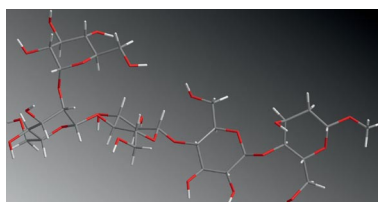
## Natural Products

A. Silipo,\* A. Molinaro, M. Molteni,  
C. Rossetti, M. Parrilli,  
R. Lanzetta ..... 5594–5600



Full Structural Characterization of an Extracellular Polysaccharide Produced by the Freshwater Cyanobacterium *Oscillatoria planktothrix* FP1

**Keywords:** Carbohydrates / Configuration determination / NMR spectroscopy / Structure elucidation / Environmental chemistry



Cyanobacteria (blue-green algae) are one of the oldest photosynthetic forms of life on Earth. Here we present the structural characterization of the exopolysaccharide produced by the title organism and show that it is composed of a pentasaccharide repeating unit made up of a new deoxy sugar.

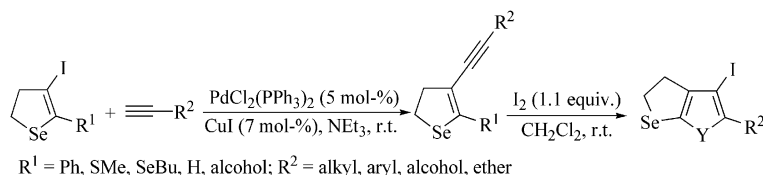
## Organoselenium Compounds

A. R. Rosário, R. F. Schumacher,  
B. M. Gay, P. H. Menezes,  
G. Zeni\* ..... 5601–5606



Synthesis and Reactivity of 3-Alkynyldihydroselenophene Derivatives

**Keywords:** Heterocycles / Cross-coupling / Selenium / Cyclization / Alkynes



The synthesis of a variety 3-alkynyldihydroselenophenes by palladium-catalyzed Sonogashira cross-coupling of 3-iododihydroselenophenes under mild conditions in good to excellent yields is reported.

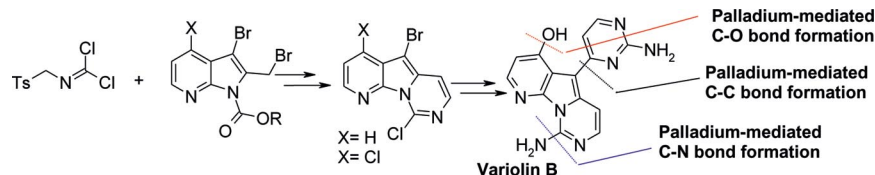
These 3-alkynyldihydroselenophenes, bearing the chalcogen group, underwent highly selective intramolecular cyclizations when treated with  $I_2$  to afford fused dihydro-selenophene[2,3,b]selenophene rings.

## Total Synthesis of Variolins

A. Baeza, J. Mendiola,  
C. Burgos,\* J. Alvarez-Builla,  
J. J. Vaquero\* ..... 5607–5618

Application of Selective Palladium-Mediated Functionalization of the Pyrido[3',2':4,5]pyrrolo[1,2-c]pyrimidine Heterocyclic System for the Total Synthesis of Variolin B and Deoxyvariolin B

**Keywords:** Cross-coupling / Total synthesis / Natural products / Heterocycles

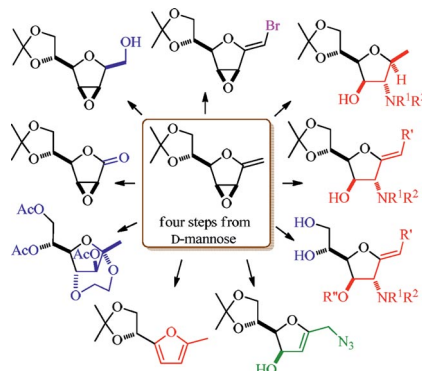


A new synthesis for deoxyvariolin B and the natural product variolin B was achieved by selective and sequential palladium-

mediated functionalization of di- or trihalo-substituted pyrido[3',2':4,5]pyrrolo[1,2-c]pyrimidine.

## Furanose-Based Templates

A highly functionalized 1-*exo*-alkylidene-2,3-anhydro-furanose, available in four steps from D-mannose, is a useful substrate that can be transformed into a variety of furanose derivatives including compounds with up to four sites for diversity.



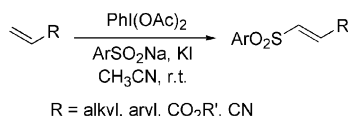
**A. M. Gómez,\* A. Pedregosa,  
C. Uriel, S. Valverde,  
J. C. López\*** ..... 5619–5632

1-*exo*-Alkylidene-2,3-anhydrofuranoses:  
Valuable Synthons in the Preparation of  
Furanose-Based Templates

**Keywords:** Carbohydrates / Glycals / Molecular diversity / Template synthesis / Epoxidation

## Vinyl Sulfones Synthesis

(Diacetoxyiodo)benzene/KI was able to promote the reaction of sodium aryl sulfinates with alkenes to afford vinyl sulfones in good yields. Alkynes underwent a similar reaction to give  $\beta$ -iodovinyl sulfones. The present method can be considered to be a convenient and attractive alternative to the existing methods for the synthesis of these intermediates, which are important in organic chemistry.



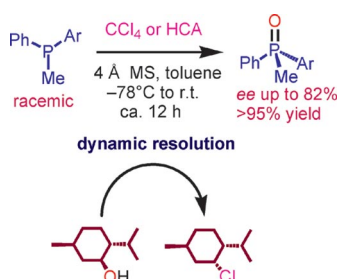
**P. Katrun, S. Chiampanichayakul,  
K. Korworapan, M. Pohmakotr,  
V. Reutrakul, T. Jaipetch,  
C. Kuhakarn\*** ..... 5633–5641

PhI(OAc)<sub>2</sub>/KI-Mediated Reaction of Aryl Sulfinates with Alkenes, Alkynes, and  $\alpha,\beta$ -Unsaturated Carbonyl Compounds: Synthesis of Vinyl Sulfones and  $\beta$ -Iodovinyl Sulfones

**Keywords:** Alkynes / Alkenes / Iodine / Sulfur

## P-Stereogenic Phosphanes

The effects of aryl ring substitution on the dynamic resolution of aryl(methyl)phenylphosphanes under asymmetric Appel reaction conditions have been studied.



**K. V. Rajendran, L. Kennedy,  
D. G. Gilheany\*** ..... 5642–5649

P-Stereogenic Phosphorus Compounds:  
Effect of Aryl Substituents on the Oxidation of Arylmethylphenylphosphanes under Asymmetric Appel Conditions

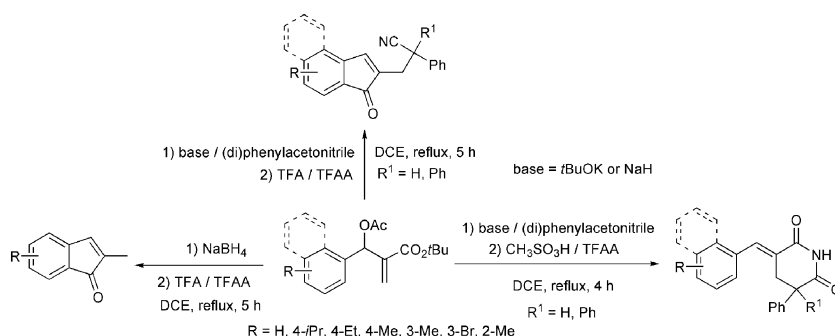
**Keywords:** Phosphorus / Phosphanes / Asymmetric synthesis / Stereoselectivity / Oxidation / Substituent effects

## Cyclic Hydrocarbons

**D. Basavaiah,\* D. V. Lenin** .... 5650–5658

A Facile Synthesis of Substituted Indenones and Piperidine-2,6-diones from the Baylis–Hillman Acetates

**Keywords:** Alkylation / Carbocycles / Cyclization / Baylis–Hillman reaction / Synthetic methods



Baylis–Hillman acetates were conveniently transformed into substituted indenone and piperidine-2,6-dione frameworks by treat-

ment with (di)phenylacetonitrile followed by Friedel–Crafts cyclization or imide formation.



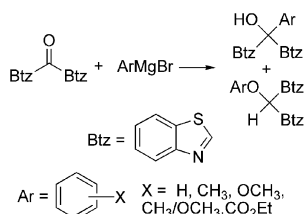
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## Unusual Grignard Addition

C. Boga,\* G. Micheletti ..... 5659–5665

Regioselectivity in the Addition of Grignard Reagents to Bis(2-benzothiazolyl) Ketone: *C*- vs. *O*-Alkylation Using Aryl Grignard Reagents

**Keywords:** Grignard reaction / Alkylation / Ketones / Alcohols / Regioselectivity / Fused-ring systems



Bis(2-benzothiazolyl) ketone reacts with a series of ring-substituted phenyl Grignard reagents to give in considerable amount the unexpected *O*-alkylation product derived from attack of the Grignard to the carbonyl oxygen atom. The limit due to *O*- vs. *C*-alkylation competition was overcome by an alternative synthetic procedure that gave, in high yields, the classic 1,2-addition products.

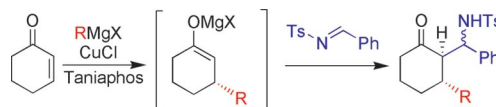
## Tandem Reactions

R. Šebesta,\* F. Bilčík,  
P. Fodran ..... 5666–5671



Enantioselective One-Pot Conjugate Addition of Grignard Reagents Followed by a Mannich Reaction

**Keywords:** Asymmetric catalysis / Michael addition / Mannich reaction / Copper / Ferrocene



Chiral magnesium enolates, generated by enantioselective Cu-catalyzed conjugate addition of Grignard reagents, add to imines. The resulting  $\beta$ -amino carbonyl compounds were isolated in good yields and

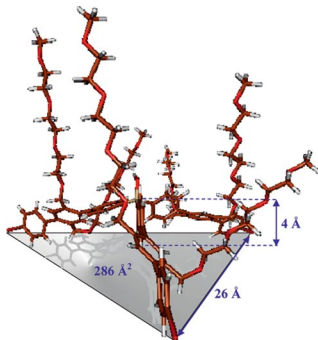
with high enantiomeric purity (up to 95% *ee*). Diastereocontrol of the imine addition is low, but the diastereoisomers can be separated by flash chromatography.

## Macromolecular Adsorbates

J. Hierrezuelo, E. Guillén,  
J. M. López-Romero,\* R. Rico,  
M. R. López-Ramírez, J. C. Otero,  
C. Cai ..... 5672–5680

Synthesis and Structural Analysis of Substituted Tripod-Shaped Tri- and Tetra(*p*-phenylene)s

**Keywords:** Arenes / Cross-coupling / Nanostructures / Density functional calculations / Raman spectroscopy



Several tripod-shaped oligo(*p*-phenylene)s were synthesized. Each leg is end-capped with an iodine atom or a TMS or carboxyl group, and an ethoxy group is present on the functional arm. The tripod with oligo(ethylene glycol) side chains was designed for biological applications. The structure of these adsorbates was studied by Raman spectra and DFT calculations.

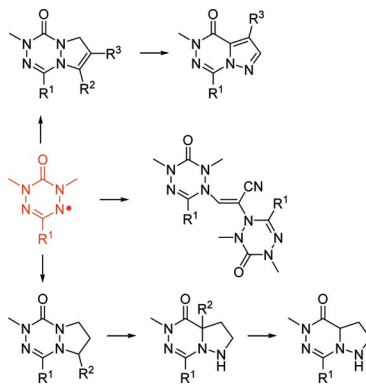
## Heterocyclic Chemistry

E. K. Y. Chen, M. Bancercz, G. K. Hamer,  
M. K. Georges\* ..... 5681–5687



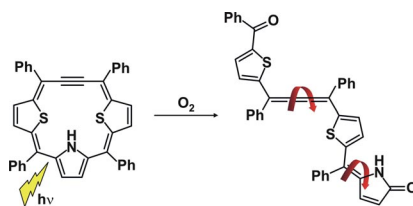
Verdazyl Radicals as Substrates for Organic Synthesis: Unique Access to Tetrahydropyrazolotriazinones, Pyrazolotriazinones and Dihydropyrazolotriazinones

**Keywords:** Radicals / Verdazyl radical / Cycloaddition / Rearrangement / Nitrogen heterocycles



The use of a verdazyl radical as a precursor for organic synthesis is demonstrated. The use of captodative olefins as the dipolarophiles leads to a variety of unexpected products, in a few of the cases as a result of a rearrangement reminiscent of the Dimroth rearrangement but actually proceeding by a unique mechanism. A proposed mechanism for the rearrangement is suggested.

The light-induced, regioselective cleavage of dithiaethyneporphyrin was observed during exposure to dioxygen affording four open-chain diastereomers terminated by carbonyl groups.



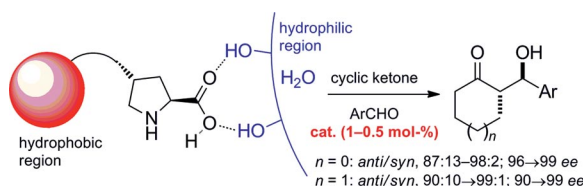
A. Berlicka, L. Latos-Grażyński\*,  
L. Szterenber, M. Pawlicki ... 5688–5695

Photooxidation of Dithiaethyneporphyrin



**Keywords:** Porphyrinoids / Photooxidation / Degradation / Isomerization / Cleavage reactions

## Organocatalysis



Highest activity and selectivity at minor expense! Simple and cheap 4-acyloxy-L-prolines were easily prepared and successfully employed in the direct asymmetric aldol reaction in water by using a loading of

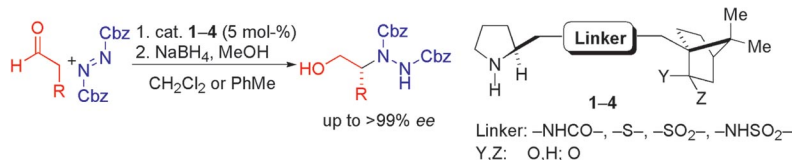
2–0.1 mol-%. Interestingly, high turnover numbers, among the highest values ever reported for enamine organocatalysis, were obtained.

F. Giacalone, M. Gruttadauria\*,  
P. Agrigento, P. Lo Meo,  
R. Noto ..... 5696–5704

Advances towards Highly Active and Stereoselective Simple and Cheap Proline-Based Organocatalysts

**Keywords:** Aldol reactions / Asymmetric catalysis / Organocatalysis / Water chemistry

## Organocatalysed $\alpha$ -Amination



A highly efficient method for the  $\alpha$ -amination of aldehydes with the aid of pyrrolidine–camphor-derived organocatalysts 1–4 has been developed. The  $\alpha$ -aminated products were obtained in high chemical yields

and with excellent enantioselectivities (up to >99% ee). The synthetic utility was demonstrated by the synthesis of derivatives of  $\beta$ -amino- $\gamma$ -butyrolactone and a highly substituted cyclohexane.

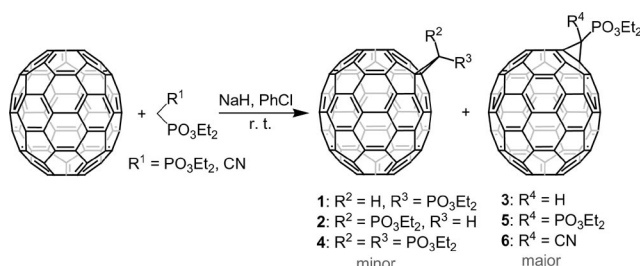
P.-M. Liu, D. R. Magar,  
K. Chen\* ..... 5705–5713

Highly Efficient and Practical Pyrrolidine–Camphor-Derived Organocatalysts for the Direct  $\alpha$ -Amination of Aldehydes



**Keywords:** Amination / Azodicarboxylate / Organocatalysis /  $\gamma$ -Butyrolactone / Enantioselectivity

## Fullerenes



The reaction of  $C_{70}$  with  $CH_2(PO_3Et_2)_2$  or  $CH_2(PO_3Et_2)CN$  in the presence of NaH was reinvestigated. The previously reported C7–C21 isomers for  $C_{70}>CH(PO_3Et_2)$  (3)

and  $C_{70}>C(PO_3Et_2)CN$  (6) should be reassigned as the C1–C2 isomers. Three additional minor isomeric products 1, 2, and 4 have also been isolated.

G.-W. Wang,\* H.-T. Yang, P. Wu,  
C.-Z. Wang ..... 5714–5721

Reaction of [70]Fullerene with Tetraethyl Methylenebisphosphonate or Diethyl (Cyanomethyl)phosphonate Revisited



**Keywords:** Fullerenes / Cycloaddition / Structure elucidation / Phosphonate esters

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## RETRACTION

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- J. Pschierer, H. Plenio\*** ..... 5722      Retraction: Suzuki–Miyaura Coupling of Aryl Tosylates and Mesylates in Water      **Keywords:** C–C coupling / Palladium / Water / Suzuki–Miyaura reactions / Phosphanes
- 

\* Author to whom correspondence should be addressed.

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

**If not otherwise indicated in the article, papers in issue 28 were published online on September 20, 2010**