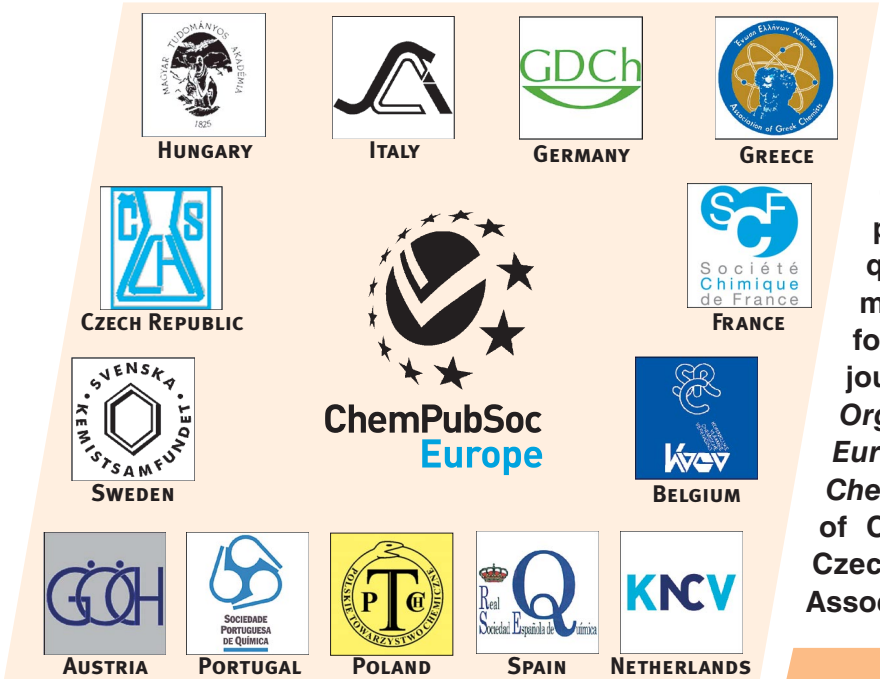
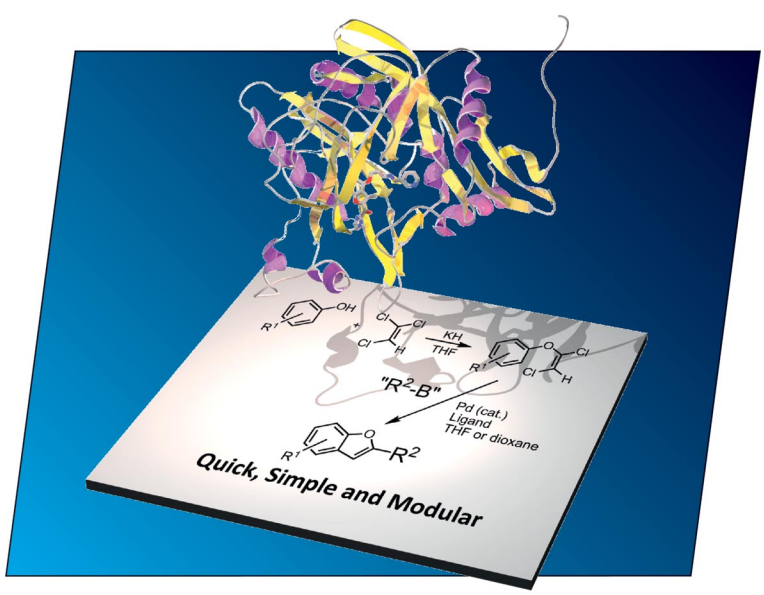


EurJOC is co-owned by 11 societies of ChemPubSoc Europe, a union of European chemical societies for the purpose of publishing high-quality science. All owners merged their national journals to form two leading chemistry journals, the *European Journal of Organic Chemistry* and the *European Journal of 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*-myristoyltransferase (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.

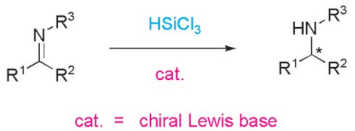


CONTENTS

MICROREVIEW

Organocatalytic Ketoimine Reduction

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

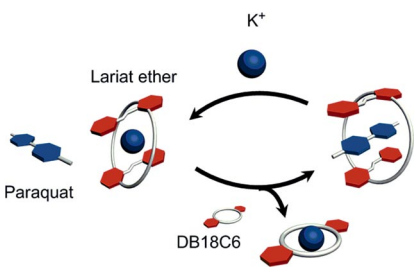


C=N bond reduction is a powerful, widely used transformation allowing new nitrogen-bearing stereocenters to be created. Generation of chiral catalysts for imine reduction simply by coordination of $HSiCl_3$ with chiral Lewis bases has allowed the development of several efficient organocatalytic systems. An overview of chiral promoters for stereoselective C=N reductions with $HSiCl_3$ is provided.

SHORT COMMUNICATIONS

Host–Guest Chemistry

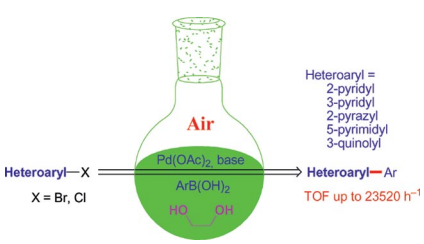
Keywords: Crown compounds / Rotaxanes / Controlled assembly / Substituent effects



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^+ and dibenzo-18-crown-6.

Heterocyclic Biaryl Compounds

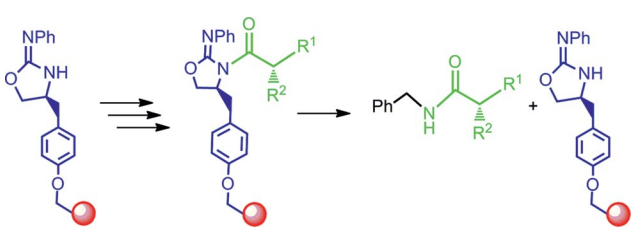
Keywords: C-C coupling / Palladium / Nitrogen heterocycles / Biaryl compounds



A general, simple and highly efficient method has been developed for the $Pd(OAc)_2$-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

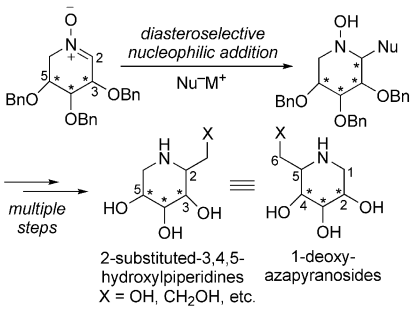
Keywords: Chiral auxiliaries / Heterocycles / Asymmetric synthesis / Alkylation / Amides



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.

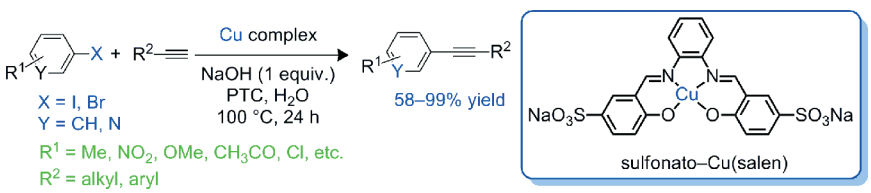
1-Deoxyazapyranoside Synthesis

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.



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

Aqueous Catalysis

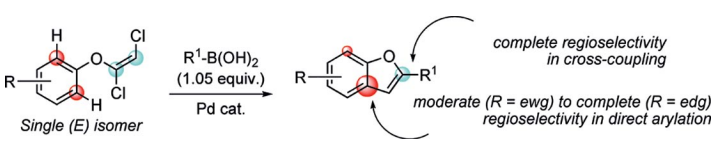


A mild and clean protocol for the alkynylation coupling of aryl iodides with terminal alkynes has been developed in yields up to 99% in the presence of sulfonato–Cu^{II}-(salen) in water. Domino synthesis of 2-arylindoles from 2-iodoaniline and aryl acetylene was successfully carried out by this catalytic system. The catalyst can be easily recovered and reused.

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

FULL PAPERS

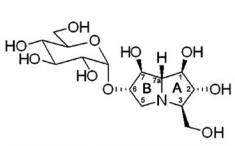
C-H Activation

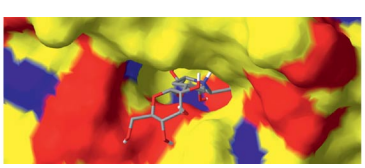


A very efficient modular approach to the construction of benzo[*b*]furans using trichloroethylene as a scaffold is described. This method gives easy access to highly substituted heterocycles in only two synthetic operations, and is especially suitable for rapid construction of compound libraries.

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

Casuarine Analogues





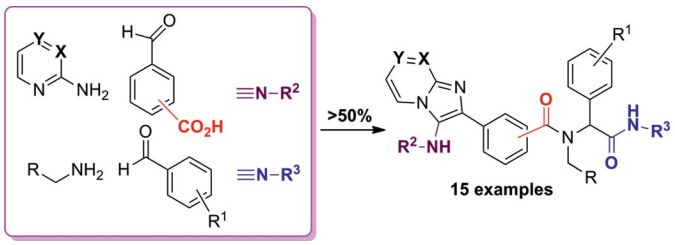
A series of casuarine derivatives structurally modified at ring B of the pyrrolizidine nucleus have been synthesized and found to be selective inhibitors of fungal amyloglucosidase. The nature of the interactions between the enzyme active site and the prepared molecules has been investigated by molecular docking simulations.

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

CONTENTS

Tandem Multicomponent Reactions

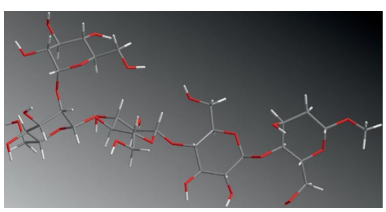
Keywords: Multicomponent reactions / Heterocycles / Drug discovery / Cycloaddition / Molecular diversity



The one-pot combination of two reactions in a tandem fashion for the efficient and divergent synthesis of polyfunctional imidazopyridine, -pyrazine, and -pyrimidine derivatives is described.

Natural Products

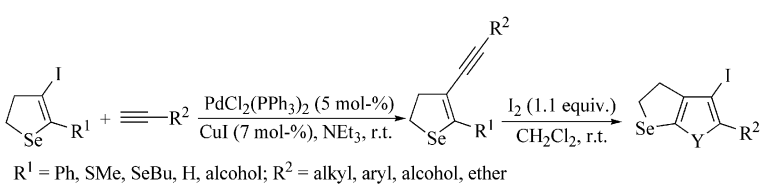
Keywords: Carbohydrates / Configuration determination / NMR spectroscopy / Structure elucidation / Environmental chemistry



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

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

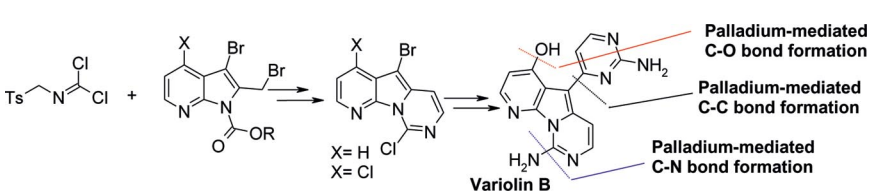


R^1 = Ph, SMe, SeBu, H, alcohol; R^2 = alkyl, aryl, alcohol, ether

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 dihydroselenophene[2,3,*b*]selenophene rings.

Total Synthesis of Varilolins

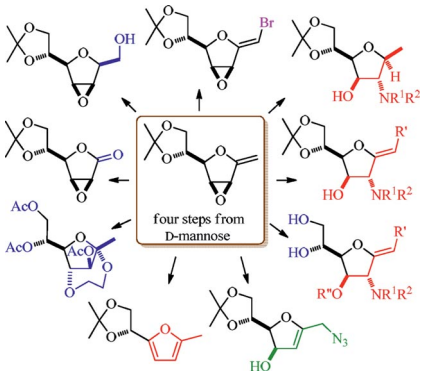
Keywords: Cross-coupling / Total synthesis / Natural products / Heterocycles



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

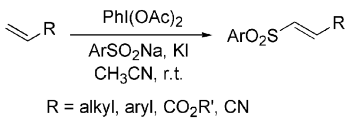
A highly functionalized 1-*exo*-alkylidene-2,3-anhydro-furanose, available in four steps from D-mannose, is a useful substrate that can be transformed into a variety of furanose derivatives including compounds with up to four sites for diversity.



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

Vinyl Sulfones Synthesis

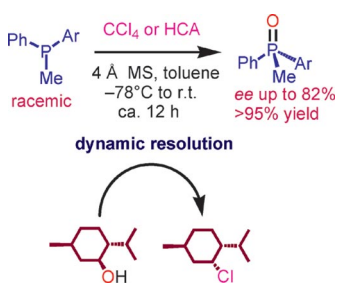
(Diacetoxyiodo)benzene/KI was able to promote the reaction of sodium aryl sulfinates with alkenes to afford vinyl sulfones in good yields. Alkynes underwent a similar reaction to give β-iodovinyl sulfones. The present method can be considered to be a convenient and attractive alternative to the existing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



Keywords: Alkynes / Alkenes / Iodine / Sulfur

P-Stereogenic Phosphanes

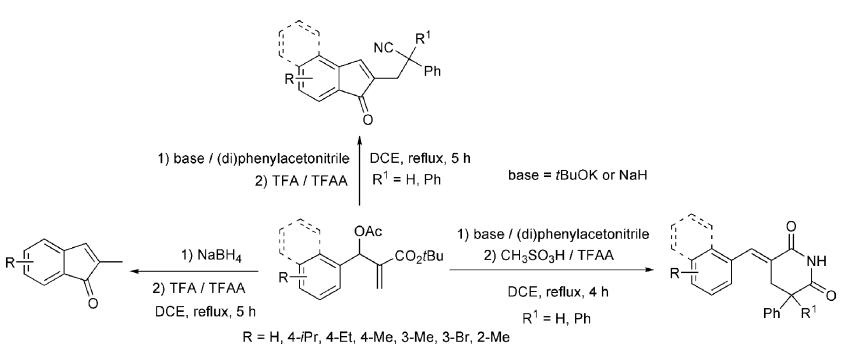
The effects of aryl ring substitution on the dynamic resolution of aryl(methyl)phenylphosphanes under asymmetric Appel reaction conditions have been studied.



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

Cyclic Hydrocarbons

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

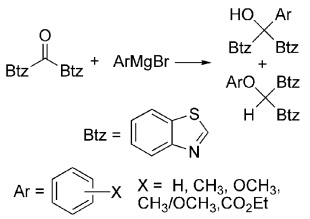


Baylis–Hillman acetates were conveniently transformed into substituted indenone and piperidine-2,6-dione frameworks by treatment with (di)phenylacetonitrile followed by Friedel–Crafts cyclization or imide formation.

CONTENTS

Unusual Grignard Addition

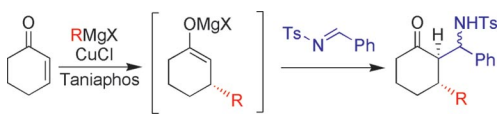
Keywords: Grignard reaction / Alkylation / Ketones / Alcohols / Regioselectivity / Fused-ring systems



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

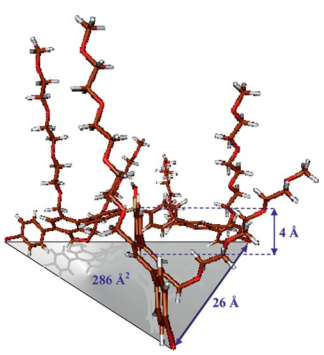
Keywords: Asymmetric catalysis / Michael addition / Mannich reaction / Copper / Ferrocene



Chiral magnesium enolates, generated by enantioselective Cu-catalyzed conjugate addition of Grignard reagents, add to imines. The resulting β-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

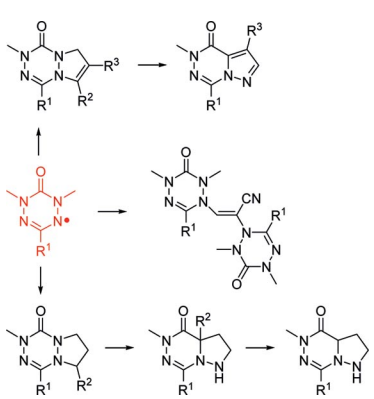
Keywords: Arenes / Cross-coupling / Nanostructures / Density functional calculations / Raman spectroscopy



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

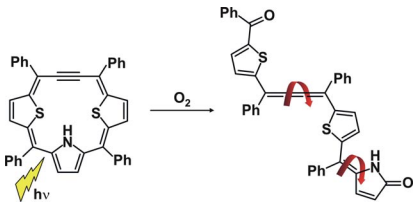
Keywords: Radicals / Verdazyl radical / Cycloaddition / Rearrangement / Nitrogen heterocycles



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.

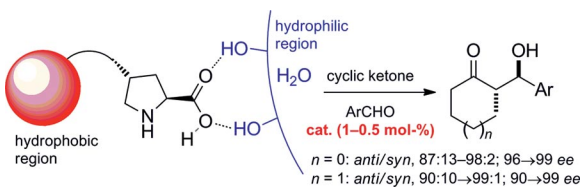
Photodegradation

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



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

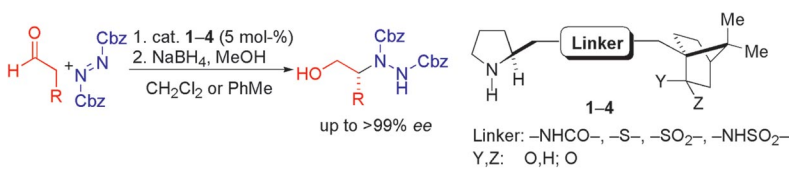
Organocatalysis



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.

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

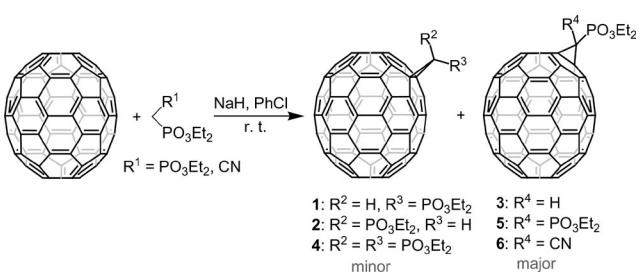
Organocatalysed α-Amination



A highly efficient method for the α-amination of aldehydes with the aid of pyrrolidine–camphor-derived organocatalysts **1**–**4** has been developed. The α-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 β-amino-γ-butyrolactone and a highly substituted cyclohexane.

Keywords: Amination / Azodicarboxylate / Organocatalysis / γ-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.

Keywords: Fullerenes / Cycloaddition / Structure elucidation / Phosphonate esters

CONTENTS

RETRACTION

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

