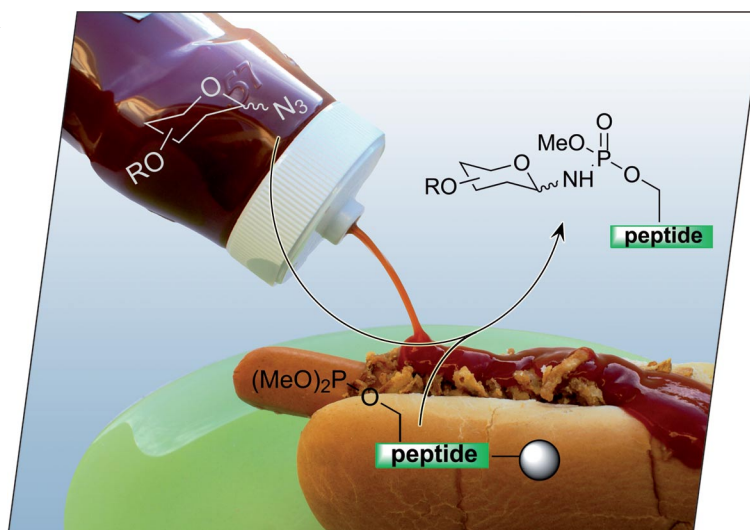


EurJOC is co-owned by 11 societies of ChemPubSoc Europe, a union of European chemical societies for the purpose of publishing high-quality science. All owners merged their national journals to form two leading chemistry journals, the *European Journal of Organic Chemistry* and the *European Journal of 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 the synthesis of a novel class of carbohydrate–peptide conjugates, which proceeds by a Staudinger-phosphite reaction of glycosyl azides with phosphitylated peptides. The synthetic protocol is easy to perform, as the carbohydrate has to be added to the solid-supported peptide before the resulting glycoconjugate is cleaved from the resin by TFA treatment. The obtained phosphoramidate-linked glycopeptides derived from the dimethyl phosphitylated peptides are stable under acidic and physiological conditions. Details are discussed in the article by C. P. R. Hackenberger et al. on p. 5004ff. The authors thank Nico Zapke and the “cowema.com medienlabor” for their contribution in designing the cover picture.



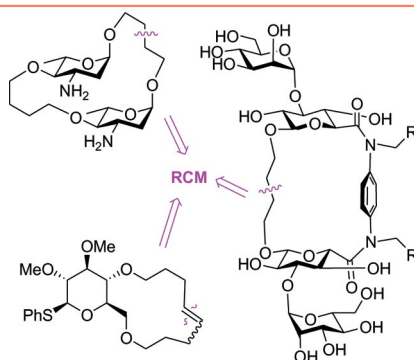
MICROREVIEW

Metathesis and Carbohydrates

D. V. Jarikote,
P. V. Murphy* 4959–4970

Metathesis and Macrocycles with Embedded Carbohydrates

Keywords: Metathesis / Carbohydrates / Macrocycles / Glycophanes / Neoglycoconjugates



Macrocycles with embedded carbohydrates have been prepared by metathesis. This strategy has led to synthesis of ipomoeasins natural products, of new bioactive compounds that target RNA and inhibitors of carbohydrate-protein interactions at cell surfaces. Macrocycles with potential in host-guest chemistry and as anti-infective agents and as vaccines have also been prepared.

SHORT COMMUNICATIONS

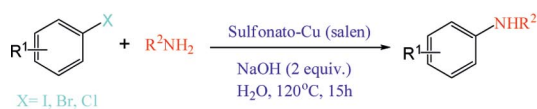
Aqueous Catalysis

Z. Wu, L. Zhou, Z. Jiang, D. Wu,
Z. Li, X. Zhou* 4971–4975



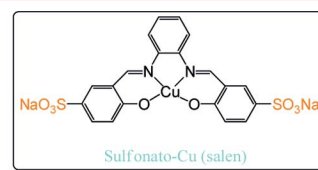
Sulfonato–Cu(salen) Complex Catalyzed *N*-Arylation of Aliphatic Amines with Aryl Halides in Water

Keywords: Copper / Cross-coupling / Sulfonates / Amination / Water chemistry



A protocol of water-soluble sulfonato–Cu(salen) complex catalyzed *N*-arylation of simple aliphatic amines, amino alcohols and amino acids in water has been developed. A variety of substituted aryl iodides,

bromides and electron-deficient chlorides were found to be applicable, and 1,2-disubstituted benzimidazoles could be prepared conveniently by a cascade amination/condensation process in this catalytic system.



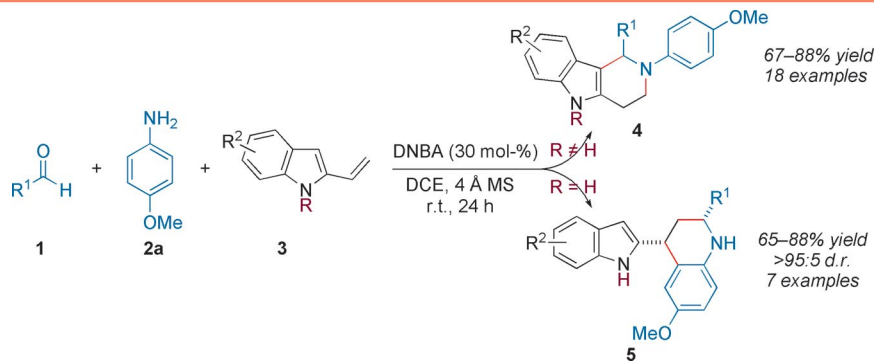
Multicomponent Reactions

H.-G. Cheng, C.-B. Chen, F. Tan,
N.-J. Chang, J.-R. Chen,*
W.-J. Xiao* 4976–4980



Convenient Synthesis of Tetrahydro- γ -carboline and Tetrahydroquinolines through a Chemo- and Regioselectivity Switch by a Brønsted Acid Catalyzed, One-Pot, Multicomponent Reaction

Keywords: Chemoselectivity / Multicomponent reactions / Nitrogen heterocycles / Fused-ring systems



An efficient, one-pot, multicomponent reaction of aldehydes **1**, *p*-methoxyaniline (**2a**), and 2-vinylindoles **3** was developed. The chemo- and regioselectivity of the reaction can be tuned by changing the sub-

stituents on the indole component. This method offers a practical approach to obtain synthetically and biologically important tetrahydro- γ -carboline and tetrahydroquinoline derivatives.

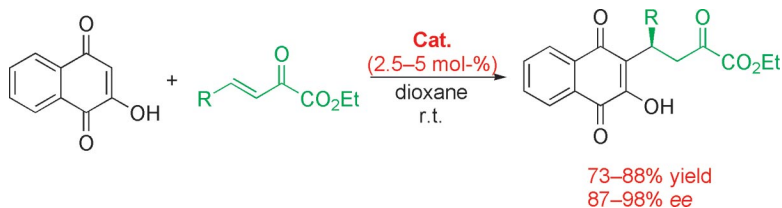
Organocatalysis

Y.-F. Wang, W. Zhang, S.-P. Luo,
G.-C. Zhang, A.-B. Xia, X.-S. Xu,
D.-Q. Xu* 4981–4985



Highly Enantioselective Organocatalytic Michael Addition of 2-Hydroxy-1,4-naphthoquinone to β,γ -Unsaturated α -Oxo Esters

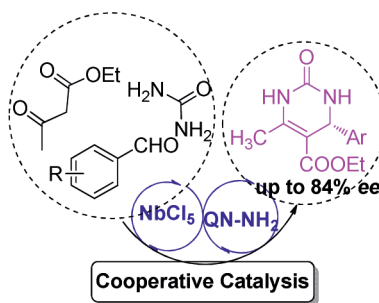
Keywords: Asymmetric catalysis / Organocatalysis / Hydrogen-bonding catalysis / Michael addition / Squaramide



Both bidentate bite: An organocatalytic enantioselective Michael addition of 2-hydroxy-1,4-naphthoquinone to β,γ -unsaturated α -oxo esters has been developed, which was promoted by bifunctional chiral-amine derived squaramides according to a

hydrogen-bonding mediated activation mechanism and afforded the chiral adducts in high yields (up to 88%) and excellent enantioselectivity (up to 98% *ee*) under mild conditions.

A novel cooperative Lewis acid/primary amine catalyst system, $\text{NbCl}_5/\text{QN-NH}_2$, was found to be an effective promoter in the asymmetric Biginelli reaction. Good enantioselectivities (up to 84% ee) were obtained in this reaction.



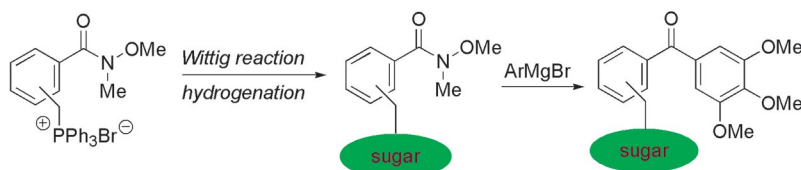
Y.-F. Cai, H.-M. Yang, L. Li, K.-Z. Jiang,
G.-Q. Lai*, J.-X. Jiang,
L.-W. Xu* 4986–4990

Cooperative and Enantioselective NbCl_5 /
Primary Amine Catalyzed Biginelli Reac-
tion

Keywords: Biginelli reaction / Cooperative
catalysis / Lewis acids / Organocatalysis /
Asymmetric synthesis

FULL PAPERS

Novel Phenstatin Analogues



Three new bifunctional building blocks containing a Weinreb amide functionality and capable of performing C–C bond formation through Wittig reaction have been

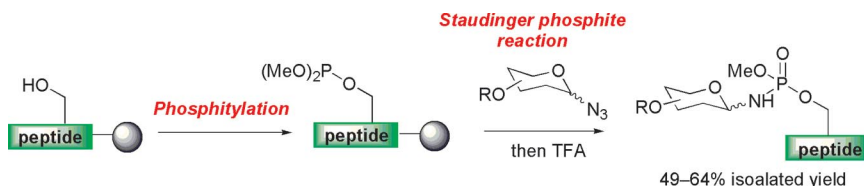
developed. These have enabled convenient access to novel analogues of phenstatin and a general route to the synthesis of diaryl ketones.

B. Sivaraman, I. S. Aidhen* ... 4991–5003

Weinreb Amide Based Building Blocks for
Convenient Access to Analogues of Phen-
statin

Keywords: Weinreb amides / Diaryl ket-
ones / Wittig reactions / Natural prod-
ucts / Carbohydrates

Glycoconjugate Synthesis



A Staudinger phosphite reaction is employed for the acquisition of phosphoramidate-linked glycopeptide mimetics. The key steps in this transformation, the synthesis of phosphitylated peptides as well

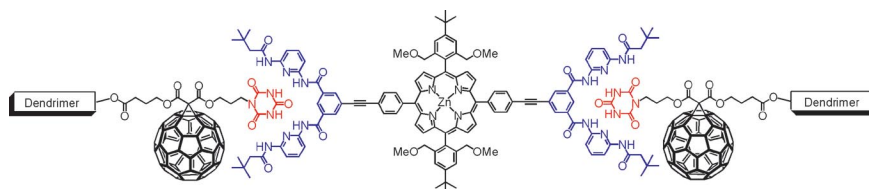
as their reaction with glycosyl azides, are performed on solid support, which provides easy access to the carbohydrate–peptide conjugates.

D. M. M. Jaradat, H. Hamouda,
C. P. R. Hackenberger* 5004–5009

Solid-Phase Synthesis of Phosphoramid-
ate-Linked Glycopeptides

Keywords: Glycopeptides / Amino acids /
Carbohydrates / Solid-phase synthesis /
Azides

Self-Assembling Nanohybrids



The self-assembly and the photophysical properties of several fullerene–porphyrin nanohybrids are presented in this study. These supramolecular nanomolecules are organized by the Hamilton receptor cyan-

uric acid binding motif and exhibit, besides strong binding constants, unique cooperativities. Furthermore, all hybrids feature interesting electron donor–acceptor interactions.

K. Maurer, B. Grimm, F. Wessendorf,
K. Hartnagel, D. M. Guldi*,
A. Hirsch* 5010–5029

Self-Assembling Depsipeptide Dendrimers
and Dendritic Fullerenes with New *cis*- and
trans-Symmetric Hamilton Receptor Func-
tionalized Zn–Porphyrins: Synthesis, Pho-
tophysical Properties and Cooperativity
Phenomena

Keywords: Dendrimers / Fullerenes / Por-
phyrinoids / Hydrogen bonds / Self-as-
sembly / Cooperative effects

CONTENTS

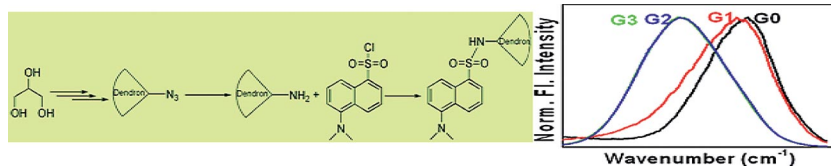
Aggregation

P. K. Vuram, U. Subuddhi, S. T. Krishnaji,
A. Chadha,* A. K. Mishra* 5030–5040



Synthesis and Aggregation Properties of
Dansylated Glycerol-Based Amphiphilic
Polyether Dendrons

Keywords: Supramolecular chemistry /
Aggregation / Dendrimers / Amphiphiles



Synthesis of glycerol-based amphiphilic
polyether dendrons with a dansyl moiety at
the focal point up to third generations is
presented. Detailed fluorescence studies

revealed the aggregation of isopropylidene
protected dendrons from the second
generation onwards even at a very low
concentration (1×10^{-8} M).

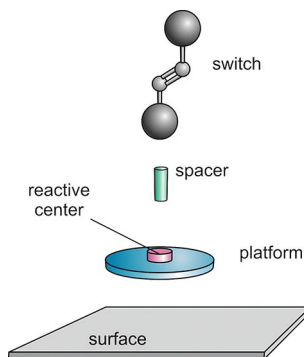
Molecules for Switchable Monolayers

J. Kubitschke, C. Näther,
R. Herges* 5041–5055



Synthesis of Functionalized Triazatriangulenes
for Application in Photo-Switchable
Self-Assembled Monolayers

Keywords: Monolayers / Photoswitching /
Self-assembly / Molecular electronics / Tri-
azatriangulenes / Azobenzenes



The synthesis of functionalized triazatri-
angulenes is presented and the *trans/cis* is-
omerization of azobenzene derivatives in
solution is investigated. The described at-
tachment of various molecular functions to
the TATA platform represents a modular
system for the formation of switchable
SAMs.

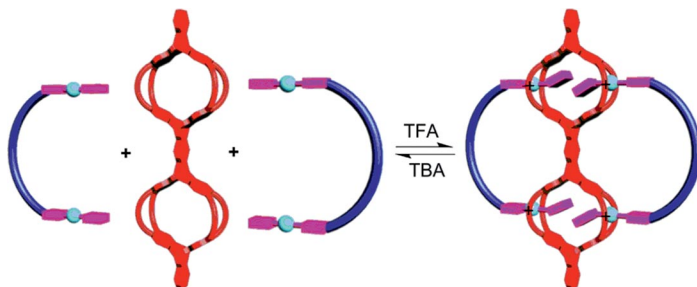
Molecular Handcuffs

J.-B. Guo, J.-F. Xiang,
C.-F. Chen* 5056–5062



Synthesis of A Bis-Macrotricyclic Host and
Its Complexation with Secondary Am-
monium Salts: An Acid–Base Switchable
Molecular Handcuff

Keywords: Self-assembly / Structure eluci-
dation / Host–guest systems / Macrocycles



A novel triptycene-derived bis-macro-
tricyclic host was synthesized, and it was
shown to form a 1:4 stable complex with
4 equiv. of dibenzylammonium salt in solu-

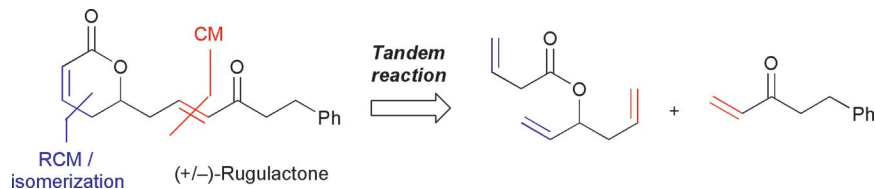
tion and in the solid state. Moreover, an
acid–base switchable molecular handcuff
was constructed by using the host and
2 equiv. of bis-secondary ammonium salts.

Total Synthesis

F. Cros, B. Pelotier,
O. Piva* 5063–5070

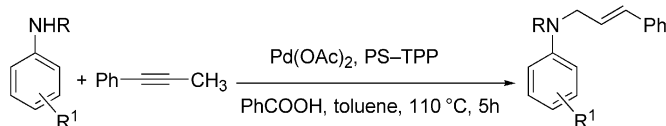
Regioselective Tandem Ring Closing/Cross
Metathesis of 1,5-Hexadien-3-ol Deriva-
tives: Application to the Total Synthesis of
Rugulactone

Keywords: Metathesis / Oxygen hetero-
cycles / Isomerization / Regioselectivity /
Cyclization



The regioselective formation of unsatu-
rated pyrones was that includes tandem
ring closing/cross metathesis as the key
step. This procedure was applied to the

four-step synthesis of *rac*-rugulactone
starting from readily available starting ma-
terials.



An efficient protocol was developed for the synthesis of allylic amines through allylic amination of internal alkynes by using polymer-supported triphenylphosphane–

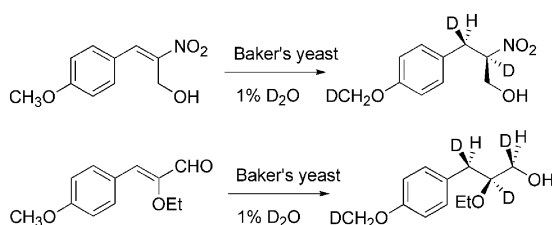
palladium complex as a heterogeneous recyclable catalyst. The catalyst is widely applicable for the allylation of aromatic and aliphatic amines

Y. S. Wagh, P. J. Tambade, D. N. Sawant,
B. M. Bhanage* 5071–5076

Allylic Amination of Internal Alkynes with Aromatic and Aliphatic Amines Using Polymer-Supported Triphenylphosphane–Palladium Complex as a Heterogeneous and Recyclable Catalyst

Keywords: Palladium / Alkynes / Amination / Supported catalysts / Allylic compounds

Enzymatic C=C Reduction



Baker's yeast transformations were performed in the presence of deuterated water, and the stereochemistry of the double bond

reduction was investigated by deuterium NMR spectroscopy.

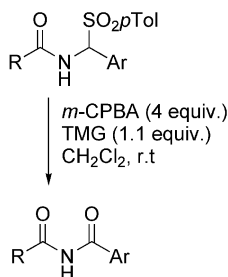
E. Brenna,* G. Fronza,* C. Fuganti,
F. G. Gatti 5077–5084

Stereochemical Analysis of the Enzymic Reduction of the Double Bond of α - and β -Substituted Nitrostyrenes and α -Ethoxycinnamaldehyde through Deuterium Labelling Experiments

Keywords: Isotopic labelling / Enzyme catalysis / Reduction

Synthesis of Imides

Imides can be readily obtained by direct oxidation of α -amidoaryl sulfones by using *m*-CPBA at room temperature. Alkyl, aryl, *p*-tolylsulfonyl, and alkoxy carbonyl groups can be included in the amido portion of the substrates. Electron-withdrawing groups in the arene unit usually provide better results in the oxidation. An *N*-acyliminium ion is believed to be formed as an intermediate in this process.



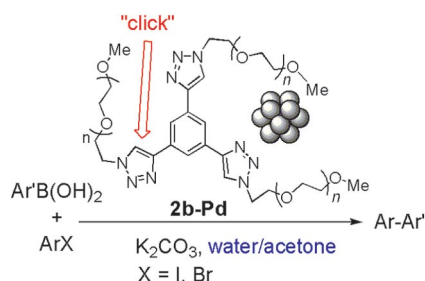
F. Martinelli, A. Palmieri,
M. Petrini* 5085–5089

Metal-Free Synthesis of Imido Derivatives by Direct Oxidation of α -Amido Sulfones

Keywords: Amides / Arenes / Imides / Oxidation / Sulfur

Aqueous Chemistry

Incorporation of three PEG chains into a nanoparticle stabilizer was achieved by click coupling. The Pd nanoparticles were water-soluble, underwent Suzuki cross-coupling in a water/acetone mixture, and could be recycled several times. A range of functionalized aryl bromides and boronic acids also underwent efficient coupling.



N. Mejías, R. Pleixats,* A. Shafir,*
M. Medio-Simón,
G. Asensio 5090–5099

Water-Soluble Palladium Nanoparticles: Click Synthesis and Applications as a Recyclable Catalyst in Suzuki Cross-Couplings in Aqueous Media

Keywords: Cross-coupling / Homogeneous catalysis / Nanoparticles / Palladium / Suzuki reaction

CONTENTS

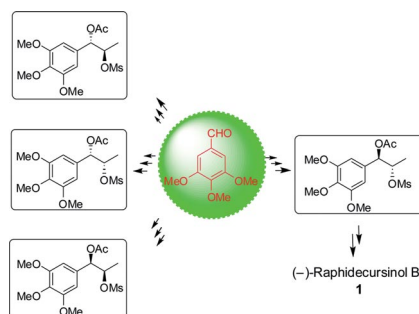
Natural Products

S. Kumar Das, S. Kumar Das,
G. Panda* 5100–5107



Formal Total Synthesis of (–)-Raphidecursinol B

Keywords: Antibiotics / Natural products / Total synthesis / Oxyneolignan / Epoxides



An efficient enantioselective formal total synthesis of the antimalarial natural product (–)-raphidecursinol B along with its all stereoisomers is described, starting from commercially available 3,4,5-trimethoxybenzaldehyde.

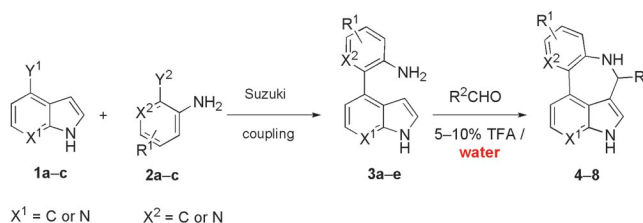
Heterocyclic Chemistry

M. Saifuddin, P. K. Agarwal,
S. K. Sharma, A. K. Mandadapu,
S. Gupta, V. K. Harit,
B. Kundu* 5108–5117



Water-Accelerated Cationic π -(7-*endo*) Cyclisation: Application to Indole-Based Peri-Annulated Polyheterocycles

Keywords: Nitrogen heterocycles / Medicinal chemistry / Cyclization / Cations



An efficient synthesis of indolo-benzazepine and its derivatives through water-accelerated cationic π -cyclisation is described. The strategy involved condensation of arylamine moieties linked to C-4 of the indole/

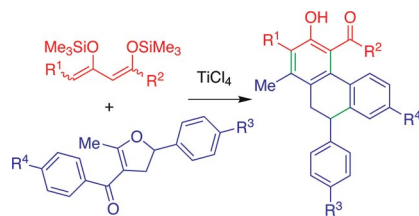
azaindole with arylaldehydes in water containing catalytic amounts of Brønsted acids. In water the reactions were complete in 10–30 min, whereas in organic solvents 10–12 h were required.

[3+3] Cyclocondensations

M. Lau, M. Sher, A. Villinger, C. Fischer,
P. Langer* 5118–5127

Synthesis of 9-Aryl-9,10-dihydrophenanthrenes by Domino [3+3] Annulation/Ring-Opening/Friedel–Crafts Alkylation Reactions of 1,3-Bis(trimethylsilyloxy)-1,3-butadienes with 3-Aroyl-5-aryl-4,5-dihydrofurans

Keywords: Arenes / Cyclization / Regioselectivity / Domino reactions / Enols



A variety of functionalized 9,10-dihydrophenanthrenes were prepared by reaction of 1,3-bis(trimethylsilyloxy)-1,3-butadienes with 3-benzoyl-5-aryl-4,5-dihydrofurans. These reactions proceed by a novel domino [3+3] cyclization/ring-opening/Friedel–Crafts alkylation process.

CORRECTION

S. Goswami,*
R. Chakrabarty 5128

Highly Selective Colorimetric Fluorescent Sensor for Pb²⁺

Keywords: Colorimetry / Lead / Sensors / Fluorescent probes / Chelates

* 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 25 were published online on August 23, 2010