ISSN 1477–0520 OBCRAK(14) 2405–2620(2003)

Organic & Biomolecular Chemistry

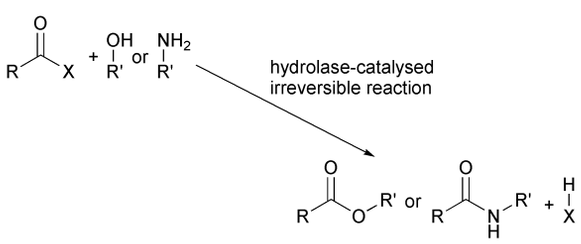
FORMERLY PERKIN TRANSACTIONS 1 AND 2

Incorporating Acta Chemica Scandinavica

Cover
See S. Iimura, K. Manabe and S. Kobayashi, page 2416.
The image of the sulfonated ALPS (alkylated polystyrene) catalyst, which works efficiently in water.

contents

PERSPECTIVE

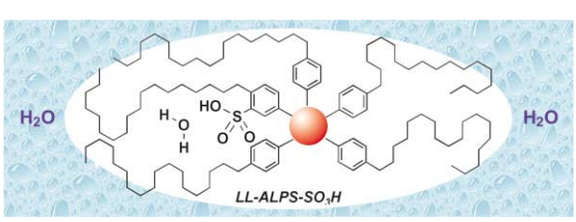


Reagents for (ir)reversible enzymatic acylations

Ulf Hanefeld

The application of hydrolases for the formation of new C–O and C–N bonds and in particular the tools developed to this end.

COMMUNICATIONS

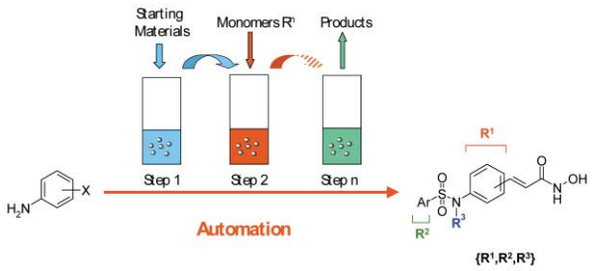


Hydrophobic, low-loading and alkylated polystyrene-supported sulfonic acid for several organic reactions in water: remarkable effects of both the polymer structures and loading levels of sulfonic acids

Shinya Iimura, Kei Manabe and Shū Kobayashi

A hydrophobic, low-loading and alkylated polystyrene-supported sulfonic acid (LL–ALPS–SO_3H) has been developed for several organic reactions in pure water.

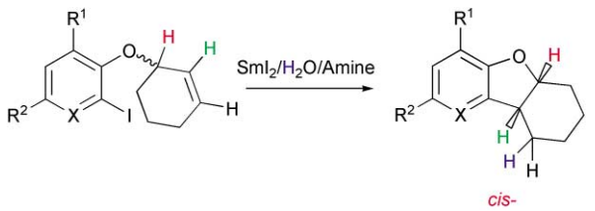
COMMUNICATIONS



Fully automated multi-step solution phase synthesis using polymer supported reagents: preparation of histone deacetylase inhibitors

Emma Vickerstaffe, Brian H. Warrington, Mark Ladlow and Steven V. Ley

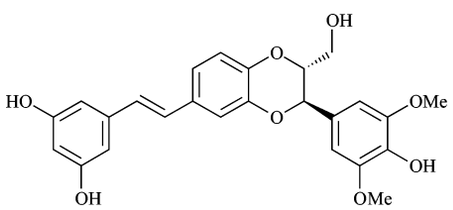
The preparation of an array of histone deacetylase inhibitors by the first *fully* automated multi-step polymer assisted solution phase synthesis is described.



Diastereoselective intramolecular SmI_2–H_2O–amine mediated couplings

Anders Dahlén, Annika Petersson and Göran Hilmersson

The SmI_2–H_2O–amine mixture provides up to 100% de in intramolecular couplings of *o*-cyclohexenyliodophenol derivatives into heterocycles.

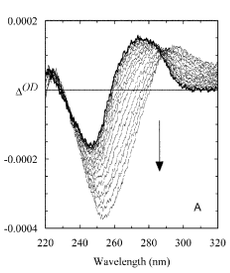


Convergent synthesis and preliminary biological evaluations of the stilbenolignan (±)-aiphanol and various congeners

Martin G. Banwell, Anna Bezos, Satish Chand, Gerd Dannhardt, Werner Kiefer, Ulrike Nowe, Christopher R. Parish, G. Paul Savage and Holger Ulbrich

Synthetically derived (±)-aiphanol exerts complete inhibition of rat aorta growth at 100 mg mL^{-1}. The compound also acts as a potent inhibitor of COX-2.

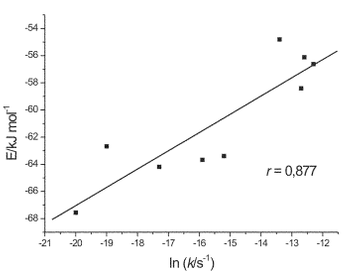
ARTICLES



Comparison between the interactions of adenovirus-derived peptides with plasmid DNA and their role in gene delivery mediated by liposome–peptide–DNA virus-like nanoparticles

Monika Preuss, Miriam Tecle, Imran Shah, David A. Matthews and Andrew D. Miller

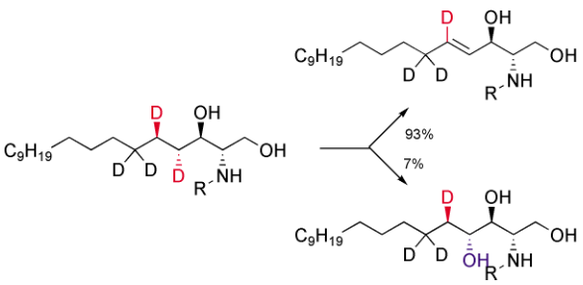
The mechanism of peptide-mediated condensation of pDNA is determined and liposome–peptide–pDNA transfection is studied; toxicity is no problem – the nuclear barrier remains a problem.



The base sequence dependent flexibility of linear single-stranded oligoribonucleotides correlates with the reactivity of the phosphodiester bond

Ulla Kaukinen, Tuomas Venäläinen, Harri Lönnberg and Mikael Peräkylä

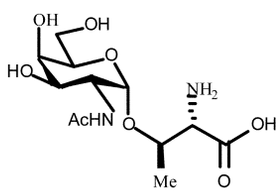
Base stacking around the scissile phosphodiester bonds correlates well with experimentally observed cleavage rates within linear single-stranded oligoribonucleotides.



Stereochemistry of a bifunctional dihydroceramide Δ^4-desaturase/hydroxylase from *Candida albicans;* a key enzyme of sphingolipid metabolism

Christoph Beckmann, Janine Rattke, Petra Sperling, Ernst Heinz and Wilhelm Boland

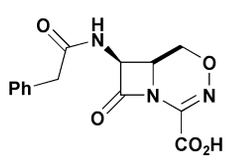
The dihydroceramide Δ^4-(*E*)-desaturase/hydroxylase from *Candida albicans* produces ceramide by *syn*-elimination of the C(4)-H_R and the C(5)-H_S from dihydroceramide; hydroxylation also involves the C(4)-H_R.



A surface exposed *O*-linked galactose residue destabilises the structure of a folded helix–loop–helix dimer

Sarojini Vijayalekshmi, Shaji K. George, Linda K. Andersson, Jan Kihlberg and Lars Baltzer

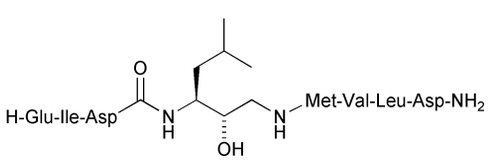
A designed model four-helix bundle protein has been synthesized and shown to be destabilized by threonine *O*-glycosylation *via* the naturally occurring linkage.



Application of the Barton photochemical reaction in the synthesis of 1-dethia-3-aza-1-carba-2-oxacephem: a novel agent against resistant pathogenic microorganisms

Gholam Hossein Hakimelahi, Pai-Chi Li, Ali A. Moosavi-Movahedi, Jamshid Chamani, Ghadam Ali Khodarahmi, Tai Wei Ly, Famil Valiyev, Max K. Leong, Shahram Hakimelahi, Kak-Shan Shia and Ito Chao

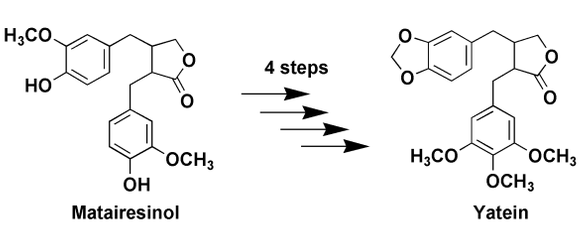
A novel carbacephem is synthesized using a new application of the Barton photochemical reaction. It has potent activity against key bacteria, including β-lactamase producing strains.



Synthesis of potent β-secretase inhibitors containing a hydroxyethylamine dipeptide isostere and their structure–activity relationship studies

Hirokazu Tamamura, Terukazu Kato, Akira Otaka and Nobutaka Fujii

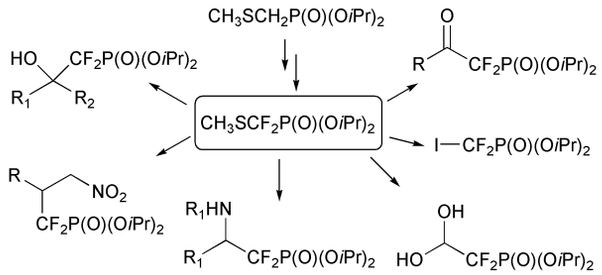
Several β-secretase inhibitors based on hydroxyethylamine dipeptide isostere structures were synthesized using the aza-Payne rearragement and *O*,*N*-acyl transfer reactions.



Biosynthesis of yatein in *Anthriscus sylvestris*

Norikazu Sakakibara, Shiro Suzuki, Toshiaki Umezawa and Mikio Shimada

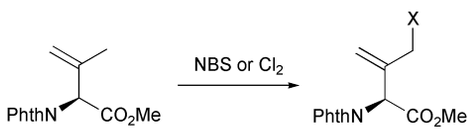
The biosynthetic pathway from matairesinol to yatein has been presented for the first time based on concrete experimental evidence.



A difluorosulfide as a Freon-free source of phosphonodifluoromethyl carbanion

Arnaud Henry-dit-Quesnel, Loic Toupet, Jean-Claude Pommelet and Thierry Lequeux

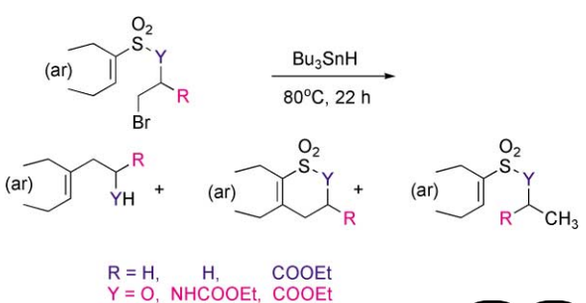
To circumvent the use of HCFCs and CFCs in the synthesis of difluoromethylphosphonates, a new route *via* a thioether is reported.



Allylic halogenation of unsaturated amino acids

Christopher J. Easton, Alison J. Edwards, Stephen B. McNabb, Martin C. Merrett, Jenny L. O'Connell, Gregory W. Simpson, Jamie S. Simpson and Anthony C. Willis

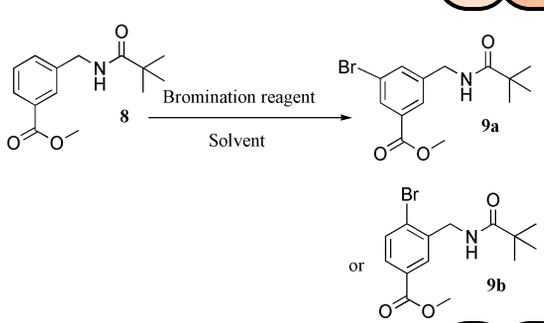
Radical bromination and ionic chlorination are evaluated as methods for allylic halogenation of amino acid derivatives.



Smiles-type free radical rearrangement of aromatic sulfonates and sulfonamides: syntheses of arylethanols and arylethylamines

Masaru Tada, Hiroyasu Shijima and Masaharu Nakamura

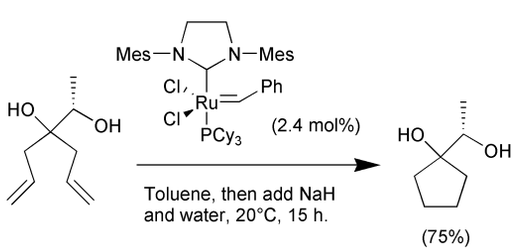
Aromatic sulfonates and sulfonamides with an intramolecular alkyl radical give the rearranged products, which are formed by a nucleophilic *ipso*-attack.



Bromination by means of sodium monobromoisocyanurate (SMBI)

Yukihiro Okada, Masanori Yokozawa, Miwa Akiba, Kazuhiko Oishi, Kyoji O-kawa, Tomohiro Akeboshi, Yasuo Kawamura, Seiichi Inokuma, Yosuke Nakamura and Jun Nishimura

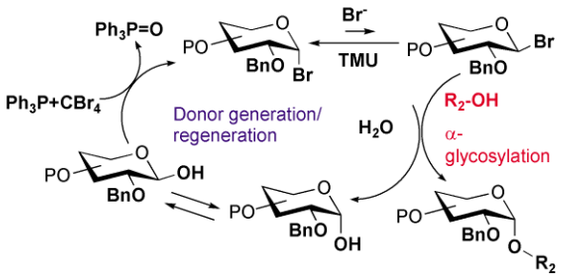
Sodium monobromoisocyanurate is presented as a versatile agent for bromination.



Tandem olefin metathesis/hydrogenation at ambient temperature: activation of ruthenium carbene complexes by addition of hydrides

Bernd Schmidt and Michael Pohler

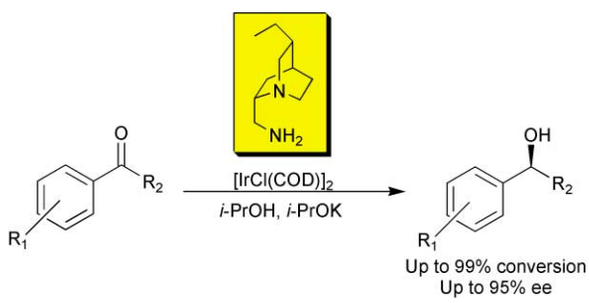
Olefin metathesis catalysts can be activated to promote hydrogenation reactions at ambient temperature subsequent to an olefin metathesis step by addition of sodium hydride.



An easy access to halide ion-catalytic α-glycosylation using carbon tetrabromide and triphenylphosphine as multifunctional reagents

Yuko Shingu, Yoshihiro Nishida, Hirofumi Dohi and Kazukiyo Kobayashi

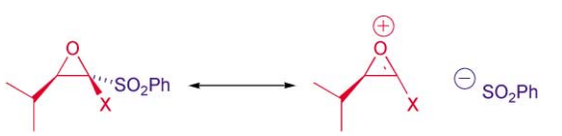
A demonstration of one-pot halide ion-catalytic α-glycosylation using the reagent combination of CBr_4 and Ph_3P. The reagent is responsible for the generation, equilibration, and regeneration of glycosyl donors as well as the dehydration of the reaction system.



Cinchona alkaloid derived ligands in catalytic asymmetric transfer hydrogenation

Antti Hartikka, Stefan A. Modin, Pher G. Andersson and Per I. Arvidsson

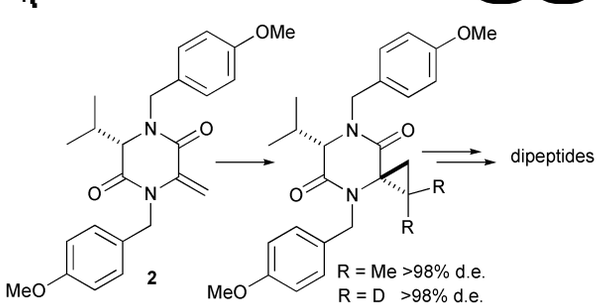
Iridium(I) complexes of QCI- and QCD-Amine were shown to be good catalysts for enantioselective transfer hydrogenation of aromatic ketones.



Synthesis and crystal structures of 2-substituted-2-phenylsulfonyloxiranes: evidence for a generalised anomeric effect in 2-phenylsulfonyloxiranes

Richard F. W. Jackson, Sara F. C. Dunn, Andrew McCamley and William Clegg

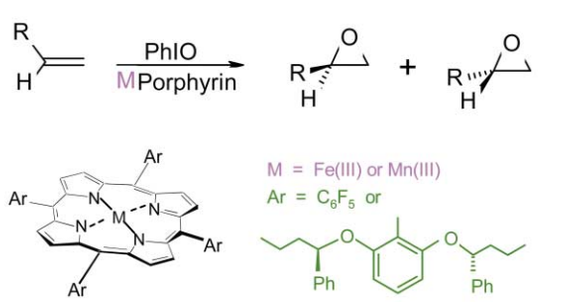
X-ray crystal structures provide direct evidence for a generalised anomeric effect in 2-phenylsulfonyloxiranes.



Asymmetric synthesis of substituted 1-aminocyclopropane-1-carboxylic acids *via* diketopiperazine methodology

Elena Buñuel, Steven D. Bull, Stephen G. Davies, A. Christopher Garner, Edward D. Savory, Andrew D. Smith, Richard J. Vickers and David J. Watkin

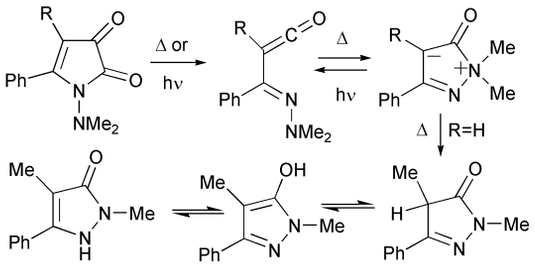
Diketopiperazinespirocyclopropanes are prepared in > 98% d.e. *via* the conjugate addition of ylides to methylenediketopiperazine **2**. Deprotection, hydrolysis and subsequent coupling facilitates the asymmetric synthesis of 1-aminocyclopropane-1-carboxylic acids containing peptides.



Asymmetric alkene epoxidation catalysed by a novel family of chiral metalloporphyrins: effect of structure on catalyst activity, stability and enantioselectivity

John R. Lindsay Smith and Gloriana Reginato

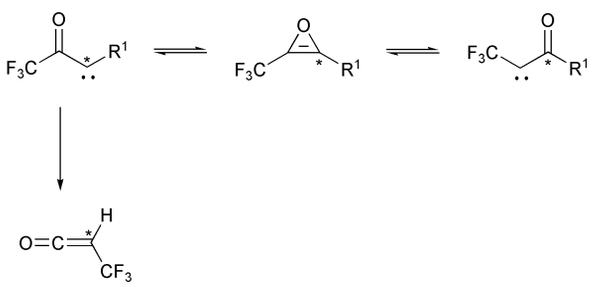
The efficiency and selectivity of asymmetric alkene epoxidation with iodosylbenzene catalysed by a family of metalloporphyrins is reported.



N-Aminopyrroledione–hydrazonoketene–pyrazolium oxide–pyrazolone rearrangements and pyrazolone tautomerism

Sieglinde Ebner, Bianca Wallfisch, John Andraos, Ilyas Aitbaev, Michael Kiselewsky, Paul V. Bernhardt, Gert Kollenz and Curt Wentrup

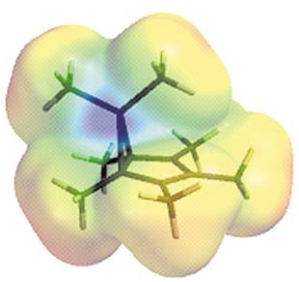
Flash vacuum thermolysis of 1-aminopyrrolediones affords hydrazonoketenes, dimethylpyrazolium oxides and pyrazolones, the three tautomeric forms of which have been characterised.



The photochemical Wolff rearrangement of 3-diazo-1,1,1-trifluoro-2-oxopropane revisited

Peter Haiss and Klaus-Peter Zeller

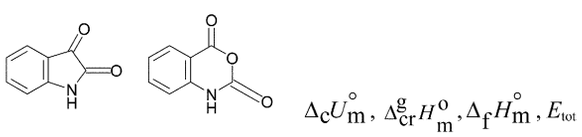
As shown by ^{13}C-labelling α-oxocarbene–oxirene interconversion is of minor importance in the photochemical Wolff rearrangement of 3-diazo-1,1,1-trifluoro-2-oxopropane.



The $C_5SiMe_7^+$ cation: pyramidal, bicyclic, or cyclohexadienyl?

Joseph B. Lambert, Lijun Lin and Shahar Keinan

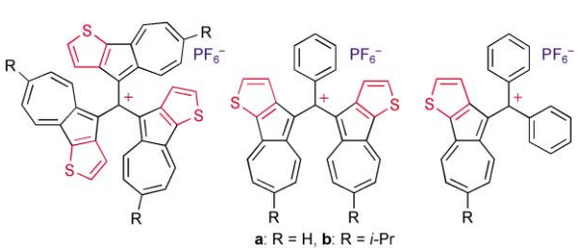
NMR and calculational studies indicate that $C_5SiMe_7^+$ has a bicyclic structure.



Are isatin and isatoic anhydride antiaromatic and aromatic respectively? A combined experimental and theoretical investigation

M. Agostinha R. Matos, Margarida S. Miranda, Victor M. F. Morais and Joel F. Liebman

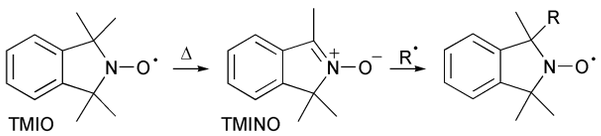
Thermochemistry of isatin, isatoic anhydride and *N*-methyl derivatives: a combined experimental and theoretical investigation of enthalpies and energies.



Synthesis, stability and bonding situation of tris-, bis- and mono[9-(azuleno[1,2-*b*]thienyl)]methyl cations

Shunji Ito, Takahiro Kubo, Mao Kondo, Chizuko Kabuto, Noboru Morita, Toyonobu Asao, Kunihide Fujimori, Masataka Watanabe, Nobuyuki Harada and Masafumi Yasunami

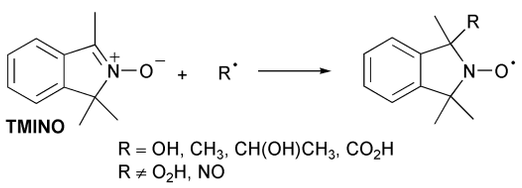
Bond-length equalization in the fused azulene system was caused by the charge distribution on the azulene ring.



Synthesis and EPR spin trapping properties of a new isoindole-based nitrone: 1,1,3-trimethylisoindole *N*-oxide (TMINO)

Steven E. Bottle and Aaron S. Micallef

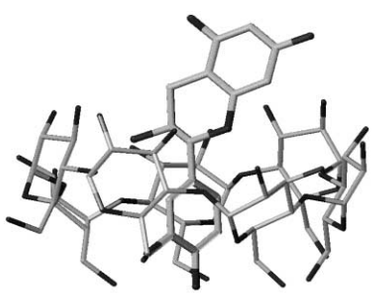
The synthesis and preliminary spin-trapping characteristics of the new isoindole spin trap 1,1,3-trimethylisoindole *N*-oxide (TMINO) are described.



Application of the new EPR spin trap 1,1,3-trimethylisoindole *N*-oxide (TMINO) in trapping HO$^{\bullet}$ and related biologically important radicals

Steven E. Bottle, Graeme R. Hanson and Aaron S. Micallef

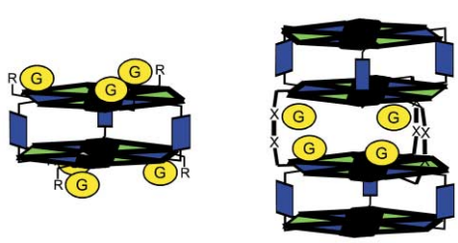
The new isoindole-based nitrone TMINO efficiently traps HO$^{\bullet}$ and radicals derived from HO$^{\bullet}$ scavengers, but not superoxide or nitric oxide.



Investigation of the complexation of (+)-catechin by β-cyclodextrin by a combination of NMR, microcalorimetry and molecular modeling techniques

Zdeňek Kríž, Jaroslav Koča, Anne Imberty, Aurélia Charlot and Rachel Auzély-Velty

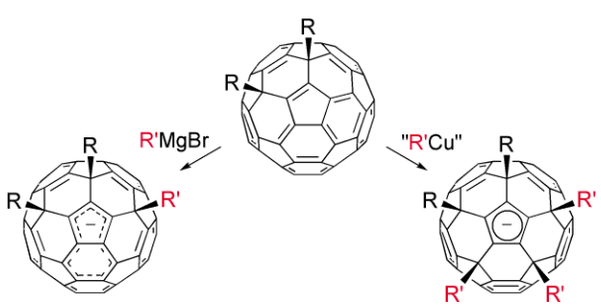
By a combination of several experimental and theoretical methods, the previous ambiguities about the interaction between (+)-catechin and β-cyclodextrin are resolved.



Complexation of phenolic guests by *endo*- and *exo*-hydrogen-bonded receptors

Jessica M. C. A. Kerckhoffs, Tsutomu Ishi-i, Vasile Paraschiv, Peter Timmerman, Mercedes Crego-Calama, Seiji Shinkai and David N. Reinhoudt

Multiple complexation of phenolic guest molecules have been achieved for the first time by synthetic noncovalent *endo*- and *exo*- receptors.



Regioselective synthesis of [60]fullerene η^5-indenide $R_3C_{60}^-$ and η^5-cyclopentadienide $R_5C_{60}^-$ bearing different R groups

Motoki Toganoh, Kazuhiro Suzuki, Rie Udagawa, Atsushi Hirai, Masaya Sawamura and Eiichi Nakamura

Synthetic protocols have been developed which allow a wide variety of fullerene ligands to be obtained; these ligands could be useful in organometallic chemistry, catalysis and nano-sciences.

ARTICLES

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