ISSN 1477–0520 OBCRAK(4) 443–636(2004)

Organic & Biomolecular Chemistry

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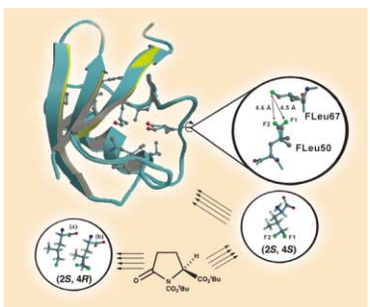
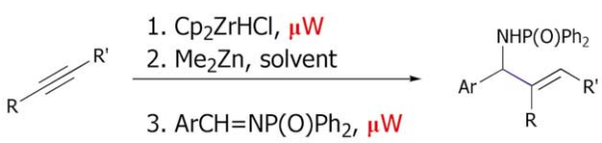
Incorporating Acta Chemica Scandinavica

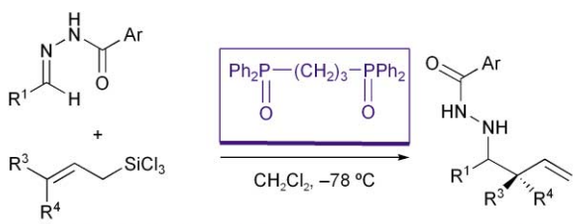


Cover
See Jean-Damien Charrier, David S. Hadfield, Peter B. Hitchcock and Douglas W. Young, pp. 474–482.
The cover represents the synthesis of the epimers (2*S*,4*R*)- and (2*S*,4*S*)-5-fluoroleucine from pyroglutamic acid and the incorporation of the latter epimer in place of the leucine residues 50 and 67 in the protein ubiquitin. The depictions of the X-ray structures of the epimers and the mutant protein were originally generated using MOLSCRIPT by Dr L. Sawyer.

contents

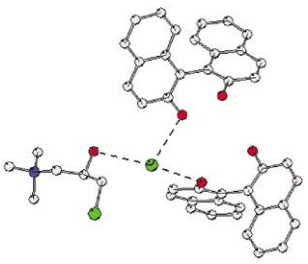
COMMUNICATIONS





Phosphine Oxide: Neutral Coordinate-Organocatalyst (*NCO*)

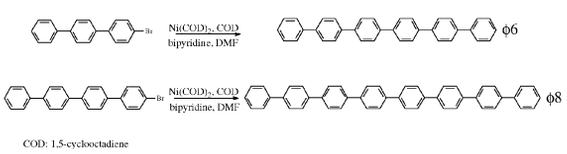
COMMUNICATIONS



Efficient resolution of 2,2′-dihydroxy-1,1′-binaphthyl by inclusion complexation with chiral *N*-(3-chloro-2-hydroxypropyl)-*N*,*N*,*N*-trimethylammonium chloride

Fumio Toda, Kazuhiro Yoshizawa, Shunji Hyoda, Shinji Toyota, Spyros Chatziefthimiou and Irene M. Mavridis

The optical antipodes are recovered in 100% ee from the complexes by dissolution in diethyl ether–water solution and the resolving agent can be recycled.

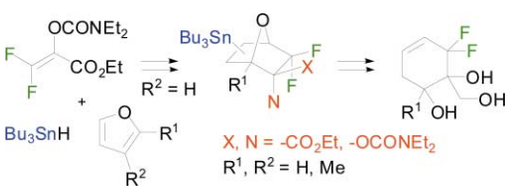


Easy synthesis of phenyl oligomers using a Ni complex

Laura O. Péres, Françoise Guillet and Gérard Froyer

p-Sexiphenyl and *p*-octiphenyl were synthesized from 4-bromo-*p*-terphenyl and 4-bromo-*p*-quaterphenyl, respectively, using a nickel complex in the presence of bipyridine with DMF as solvent. This type of synthesis gave an improved yield as well as easy preparation and purification of the products.

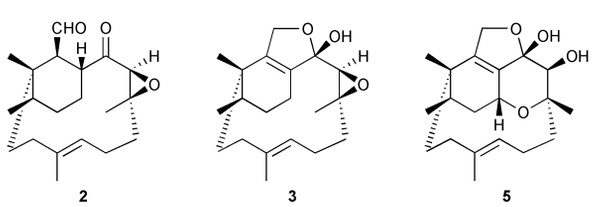
ARTICLES



Highly-functionalised difluorinated (hydroxymethyl)-conduritol analogues *via* the Diels–Alder reactions of a difluorinated dienophile

Andrea Arany, Patrick J. Crowley, John Fawcett, Michael B. Hursthouse, Benson M. Kariuki, Mark E. Light, Andrew C. Moralee, Jonathan M. Percy and Vittoria Salafia

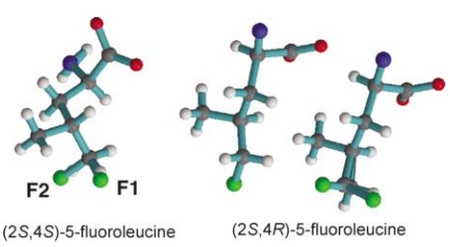
Furan Diels–Alder reactions combine with Lautens' hydrostannylation/stannate ring opening to deliver highly-functionalised fluorinated analogues of (hydroxymethyl)conduritols.



Total synthesis of (±)-phomactin G, a platelet activating factor antagonist from the marine fungus *Phoma* sp.

William P. D. Goldring and Gerald Pattenden

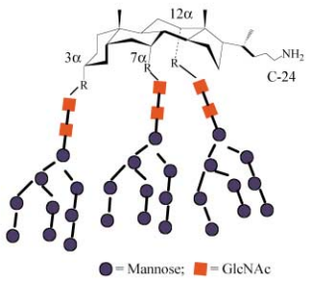
A total synthesis of phomactin G (**3**), which is a central intermediate in the biosynthesis of phomactin A (**5**) in *Phoma* sp. is described. Phomactin G (**3**) shares an interesting structural homology with phomactin D (**2**), the most potent platelet activating factor antagonist metabolite in *Phoma* sp.



Synthesis of (2*S*,4*S*)- and (2*S*,4*R*)-5-fluoroleucine and (2*S*,4*S*)-[5,5-$^{2}H_{2}$]-5-fluoroleucine

Jean-Damien Charrier, David S. Hadfield, Peter B. Hitchcock and Douglas W. Young

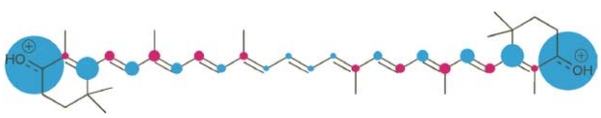
Epimers of 5-fluoroleucine have been synthesised and X-ray structures showing conformational isomerism have been compared with a FLeu-mutant of ubiquitin.



Design and synthesis of a template-assembled oligomannose cluster as an epitope mimic for human HIV-neutralizing antibody 2G12

Hengguang Li and Lai-Xi Wang

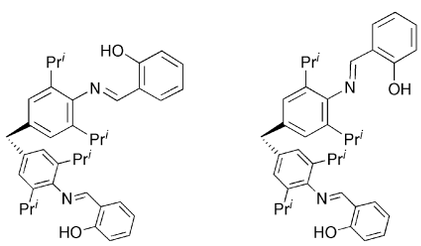
The synthesis and antibody-binding affinity of a novel template-assembled oligomannose cluster as an epitope mimic for human anti-HIV antibody 2G12 are described.



Protonated canthaxanthins as models for blue carotenoproteins

Geir Kildahl-Andersen, Bjart Frode Lutnaes and Synnøve Liaaen-Jensen

A dication model for astaxanthin in crustacyanin has been devised based on the preparation and structure elucidation of protonated canthaxanthins by NMR spectroscopy.



Photochromism of polymorphic 4,4′-methylenebis-(*N*-salicylidene-2,6-diisopropylaniline) crystals

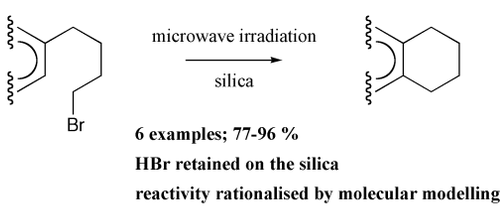
Masatsugu Taneda, Kiichi Amimoto, Hiroyuki Koyama and Toshio Kawato

Schiff base polymorphs were prepared and the relationship between structure and thermal stability of the photochrome was discussed.

Mode of binding of camptothecins to double helix oligonucleotides

Stefania Mazzini, Maria Cristina Bellucci, Sabrina Dallavalle, Franca Fraternali and Rosanna Mondelli

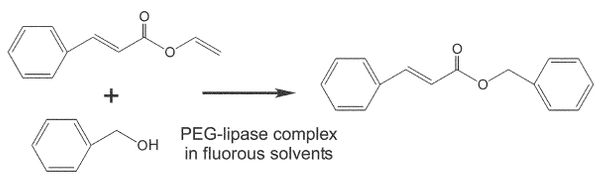
NMR and MD studies show that the Cpt drugs do not intercalate between the base pairs of DNA fragments, and do not bind to the minor or major groove, but indicate a stacking with the terminal base pairs of the double helix, with a preference for the 3′-terminal ends.



Microwave accelerated facile synthesis of fused polynuclear hydrocarbons in dry media by intramolecular Friedel–Crafts alkylation

Vanya B. Kurteva, António Gil Santos and Carlos A. M. Afonso

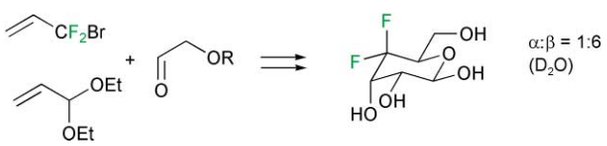
Fused polynuclear tetrahydroarenes have been synthesised by an intramolecular Friedel–Crafts alkylation of 1-bromo-4-aryl-butanes immobilised on silica under microwave irradiation and the reactivity was rationalised by molecular modelling.



Poly(ethylene glycol)-lipase complexes catalytically active in fluorous solvents

Tatsuo Maruyama, Takahiro Kotani, Hiroshi Yamamura, Noriho Kamiya and Masahiro Goto

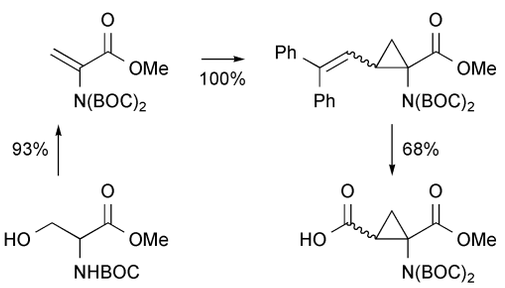
Lipases in a complex form with poly(ethylene glycol) are highly active in fluorous solvents compared with that in conventional organic solvents.



Synthesis of 4,4-difluoroglycosides using ring-closing metathesis

Christophe Audouard, John Fawcett, Gerry A. Griffiths, Jonathan M. Percy, Stéphane Pintat and Clive A. Smith

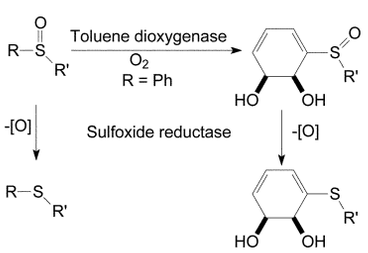
4-Deoxy-4,4-difluoro-glycosides have been synthesised for the first time *via* a direct sequence involving ring-closing metathesis and indium-mediated difluoroallylation with 1-bromo-1,1-difluoro-propene in water.



Efficient synthesis of protected cyclopropyl β-aspartylphosphates

Luke A. Adams, Jonathan P. H. Charmant, Russell J. Cox, Magnus Walter and William G. Whittingham

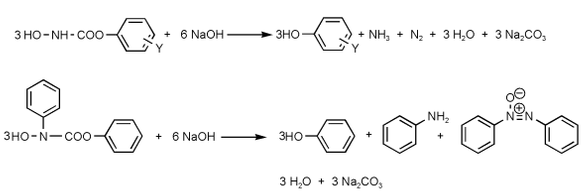
A high yielding 3-step synthesis of cyclopropane aspartic acid derivatives and their further elaboration to β-aspartyl phosphate analogues are described.



Stereoselective reductase-catalysed deoxygenation of sulfoxides in aerobic and anaerobic bacteria

Derek R. Boyd, Narain D. Sharma, Alistair W. T. King, Steven D. Shepherd, Christopher C. R. Allen, Robert A. Holt, Heather R. Luckarift and Howard Dalton

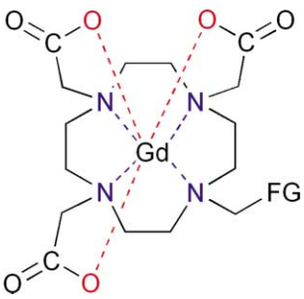
Asymmetric deoxygenation is used to obtain sulfoxide and thiosulfinate enantiomers.



Kinetics and mechanism of base-catalysed degradations of substituted aryl-*N*-hydroxycarbamates, their *N*-methyl and *N*-phenyl analogues

Petr Beier, Jaromír Mindl, Vojeslav Štěrba and Jiří Hanusek

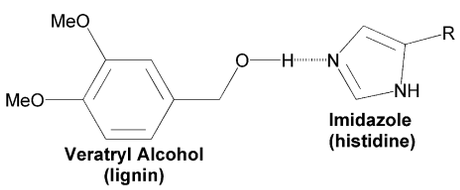
The mechanism of the degradation reactions of substituted phenyl *N*-hydroxycarbamates and their *N*-methyl and *N*-phenyl analogues was studied in detail.



NMR relaxometric study of new Gd^{III} macrocyclic complexes and their interaction with human serum albumin

Mauro Botta, Silvio Quici, Gianluca Pozzi, Giovanni Marzanni, Roberto Pagliarin, Serena Barra and Simonetta Geninatti Crich

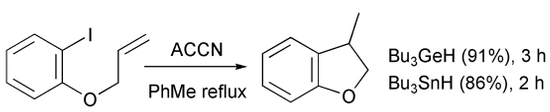
The ^{1}H and ^{17}O NMR relaxometric properties of Gd(III) complexes of five novel ligands derived from 1,4,7,10-tetraazacyclododecane-1,4,7-triacetic acid (DO3A) have been investigated in detail.



Hydrogen bonding between histidine and lignin model compounds or redox mediators as calculated with the DFT method. Effects on the ease of oxidation

Jóhannes Reynisson and Steen Steenken

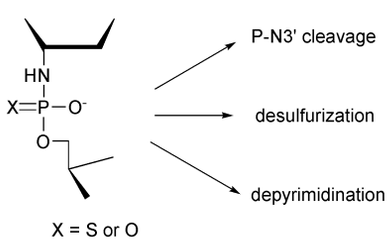
The effect of hydrogen bonding between imidazole as a model for histidine and ten benzyl alcohol derivatives (as models for the lignin polymer) on the ionization potentials (IP) of the latter is calculated. A marked decrease (~15 kcal mol^{-1}) is found for the IP's of the BA-derivatives when paired with IM.



Tributylgermanium hydride as a replacement for tributyltin hydride in radical reactions

W. Russell Bowman, Sussie L. Krintel and Mark B. Schilling

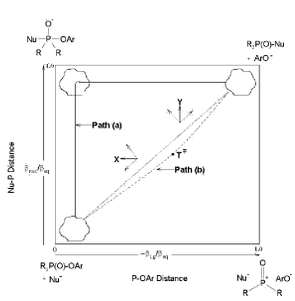
Tributylgermanium hydride (Bu_3GeH) can be used as an alternative to tributyltin hydride as a radical generating reagent with a wide range of radical substrates. Tributylgermanium hydride has several practical advantages over tributyltin hydride, *e.g.* low toxicity, good stability and much easier work-up of reactions.



Hydrolytic reactions of 3′-*N*-phosphoramidate and 3′-*N*-thiophosphoramidate analogs of thymidylyl-3′,5′-thymidine

Mikko Ora, Merita Murtola, Sami Aho and Mikko Oivanen

At pH < 6, an acid-catalyzed P–N3′ bond cleavage takes place with both 3′,5′-Tnp(s)T and 3′,5′-TnpT. At pH > 4, Tnp(s)T undergoes two competing pH-independent reactions, desulfurization (yielding TnpT) and depyrimidination (cleavage of the *N*-glycosidic bond).

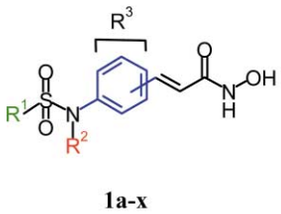


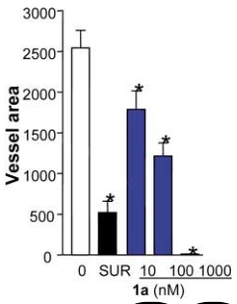
Nucleophilic displacement on 4-nitrophenyl dimethyl phosphinate by ethoxide ion: alkali metal ion catalysis and mechanism

Erwin Buncel, Kendall G. Albright and Ikenna Onyido

A change of mechanism with basicity, from concerted to stepwise, due to nucleophile/leaving group cross-interactions in the TS, is highlighted.

ARTICLES

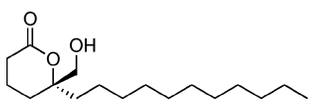




Polymer-assisted, multi-step solution phase synthesis and biological screening of histone deacetylase inhibitors

Akanksha Bapna, Emma Vickerstaffe, Brian H. Warrington, Mark Ladlow, Tai-Ping D. Fan and Steven V. Ley

The parallel, multi-step polymer-assisted solution phase (PASP) synthesis of a focused array of HDAc inhibitors is described and selected compounds were shown to be both anti-proliferative agents and inhibitors of angiogenesis *in vitro*.

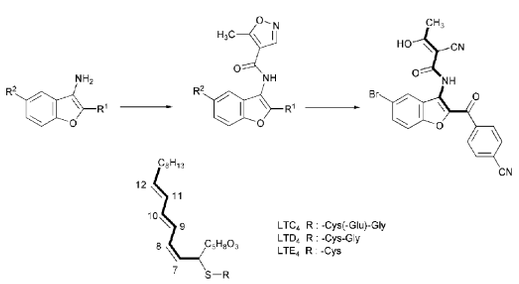


(+)-tanikolide **1**

Total synthesis of (+)-tanikolide *via* oxidative lactonization

Jennifer M. Schomaker and Babak Borhan

(+)-Tanikolide has been synthesized in eight linear steps with a 31% overall yield. The key step in the synthesis utilizes a recently developed tandem oxidative cleavage–lactonization of a precursor alkenol to deliver the lactone moiety.



Syntheses of 3-acetoacetylaminobenzo[*b*]furan derivatives having cysteinyl leukotriene 2 receptor antagonistic activity

Kumiko Ando, Eriko Tsuji, Yuko Ando, Noriko Kuwata, Jun-ichi Kunitomo, Masayuki Yamashita, Shunsaku Ohta, Shigekatsu Kohno and Yoshitaka Ohishi

Benzo[*b*]furan derivatives having a modified triene substitution at the 3-position, similar to the triene system of cysLTs, showed cysLT2 antagonistic activity.

CONFERENCE DIARY

Dates, venues and contact details of forthcoming events.

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