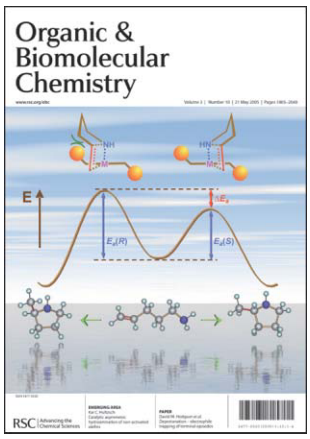
IN THIS ISSUE...

ISSN 1477-0520 CODEN OBCRAK 3(10) 1805–2040 (2005)



Cover
See Kai C. Hultzsch, pp. 1819–1824
The energy profile and transition states of the asymmetric hydroamination/cyclisation of aminopentene are promoted by a chiral catalyst. Promising new catalyst designs have appeared in recent years, which might help to lift the young field of asymmetric hydroamination out of its infancy.

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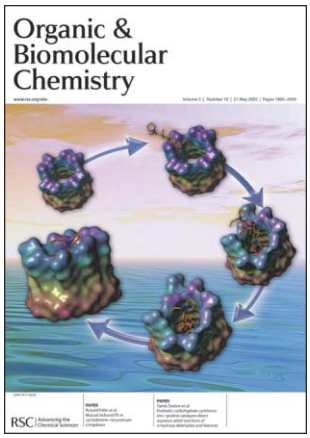


Inside Cover
See Alan Cooper, Margaret Nutley, Elizabeth J. MacLean, Ken Cameron, Lee Fielding, Jordi Mestres and Ronald Palin, pp. 1863–1871
Rocuronium bromide (steroid, orange) enters the cavity of a cyclodextrin; changes in the conformation of both the cyclodextrin and steroid ensure efficient binding. This presents a new horizon in the field of anaesthesia.

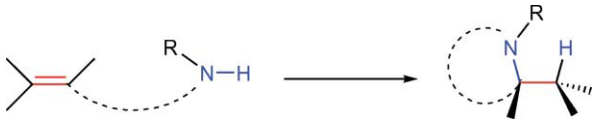
Image reproduced by permission of Ronald Palin, Mike Toker and Grant Wishart from *Org. Biomol. Chem.*, 2005, **3**, 1863.

EMERGING AREA

Catalytic asymmetric hydroamination of non-activated olefins

Kai C. Hultzsch*

Asymmetric hydroamination is a highly atom-economical process for the synthesis of chiral amines. In particular, early transition metal catalysts have been successful in reactions involving non-activated olefins.

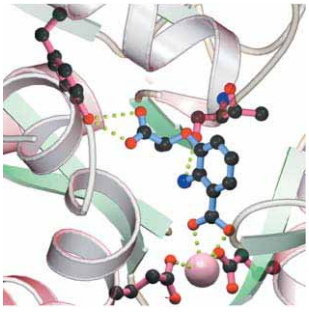


COMMUNICATIONS

Inhibition studies on salicylate synthase

Richard J. Payne, Olivier Kerbarh, Ricardo Nunez Miguel, Andrew D. Abell and Chris Abell*

Chorismate and isochorismate analogues were designed and tested as inhibitors of *Yersinia enterocolitica* salicylate synthase.



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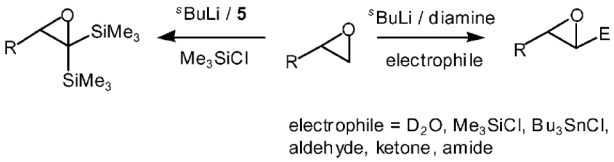
1893

Deprotonation–electrophile trapping of terminal epoxides

David M. Hodgson,* Eirene H. M. Kirton, Steven M. Miles, Stéphanie L. M. Norsikian, Nigel J. Reynolds and Steven J. Coote

Deprotonation of terminal epoxides in the presence of diamine ligands allows trapping with a range of electrophiles, yielding functionalised epoxides in good yields with control of stereochemistry at the epoxide.

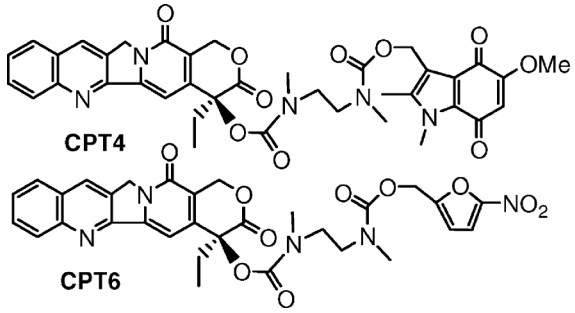


1905

Bioreduction activated prodrugs of camptothecin: molecular design, synthesis, activation mechanism and hypoxia selective cytotoxicity

Zhouen Zhang, Kazuhito Tanabe, Hiroshi Hatta and Sei-ichi Nishimoto*

Novel camptothecin prodrugs were synthesized to investigate the bioreductive activation mechanism and the hypoxia selective cytotoxicity.

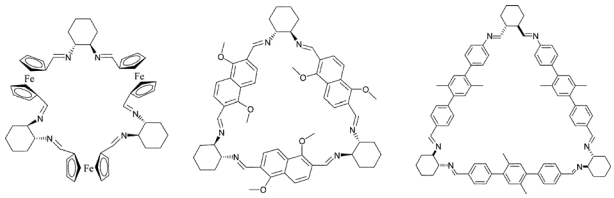


1911

Tuning the size of macrocyclic cavities in trianglimine macrocycles

Nikolai Kuhnert,* Nicolai Burzlaff, Chirag Patel and Ana Lopez-Periago

By choosing aromatic dialdehydes of different sizes it is possible to have full control over the overall size of the central hole of trianglimine macrocycles.

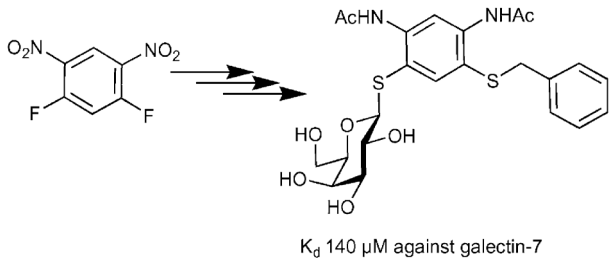


1922

Synthesis of a phenyl thio-β-D-galactopyranoside library from 1,5-difluoro-2,4-dinitrobenzene: discovery of efficient and selective monosaccharide inhibitors of galectin-7

Ian Cumpstey, Susanne Carlsson, Hakon Leffler and Ulf J. Nilsson*

Reaction of 1,5-difluoro-2,4-dinitrobenzene with 1-thio-β-D-galactose provides substituted phenyl 1-thio-β-D-galactopyranosides as potent galectin inhibitors.

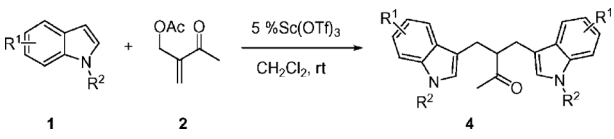


1933

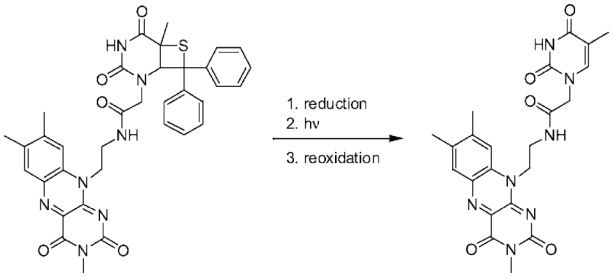
Sc(OTf)3-catalyzed efficient synthesis of β,β-bis(indolyl) ketones by the double indolylation of acetic acid 2-methylene-3-oxobutyl ester

Shengming Ma,* Shichao Yu and Zhihua Peng

The double indolylation of acetic acid 2-methylene-3-oxo-butyl ester with differently substituted indoles to afforded β,β-bis(indolyl) ketones is reported.



1937

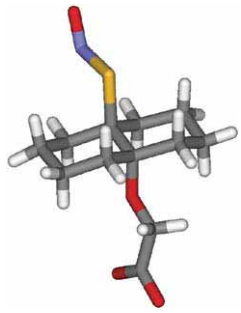


Model compounds for (6–4) photolyases: a comparative flavin induced cleavage study of oxetanes and thietanes

Marcus G. Friedel, Michaela K. Cichon and Thomas Carell*

A flavin–thietane model compound was prepared in order to analyze a reductive cycloreversion process employed by the DNA repair enzyme (6–4) photolyase.

1942

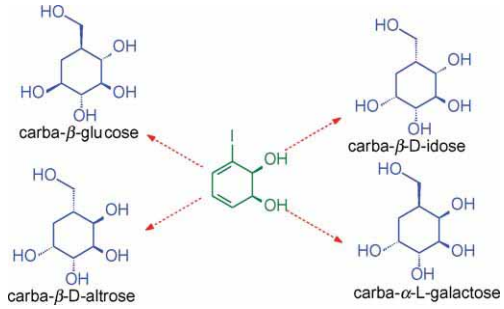


The synthesis of water soluble decalin-based thiols and *S*-nitrosothiols—model systems for studying the reactions of nitric oxide with protein thiols

Alan C. Spivey,* Jacqueline Colley, Lindsey Sprigens, Susan M. Hancock, D. Stuart Cameron, Kordi I. Chigboh, Gemma Veitch, Christopher S. Frampton and Harry Adams

The synthesis of novel aqueous soluble decalin-based thiols for the study of nitric oxide–thiol interactions and X-ray structures of *S*-nitroso thiol derivatives are described.

1953

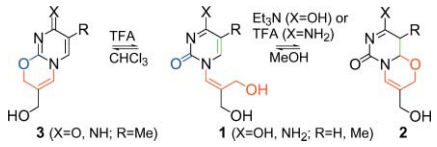


Chemoenzymatic synthesis of carbasugars from iodobenzene

Derek R. Boyd,* Narain D. Sharma, Nuria M. Llamas, John F. Malone, Colin R. O'Dowd and Christopher. C. R. Allen

The four pyranose carbasugars, carba-β-D-altrose, carba-α-L-galactose, carba-β-D-idose, and carba-β-L-glucose were obtained from the *cis*-dihydrodiol metabolite of iodobenzene *via* chemoenzymatic synthesis.

1964

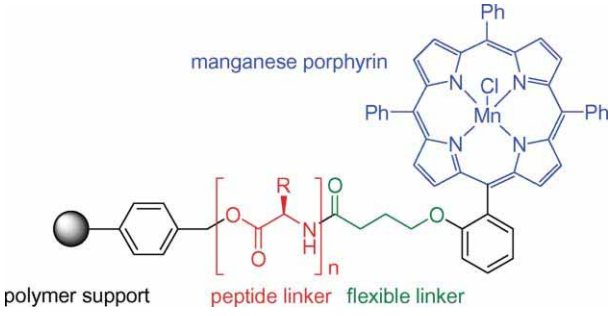


Cyclization reactions of 1-[3′-hydroxy-2′-(hydroxymethyl)-prop-1′-enyl]pyrimidine nucleobases: intramolecular Michael additions to the C(5)=C(6) bonds and intramolecular dehydrations

Otto Dahl, Jacob Jensen, Michael Åxman Petersen and Ulla Henriksen*

The nucleoside analogues **1** undergo Michael addition when treated with TFA or/and Et_3N in MeOH, but form anhydro compounds (**3**) with TFA under anhydrous conditions.

1971



Polymer-supported manganese porphyrin catalysts—peptide-linker promoted chemoselectivity

Emilie Brulé, King Kuok (Mimi) Hii and Yolanda R. de Miguel*

A novel polymer-supported manganese porphyrin catalyst with a histidine-containing peptide linker displays good chemoselectivity in the epoxidation of limonene.

1977

Absolute configuration and predominant conformations of 1,1-dimethyl-2-phenylethyl phenyl sulfoxide

Ana G. Petrovic, Jiangtao He, Prasad L. Polavarapu,* Ling S. Xiao and Daniel W. Armstrong

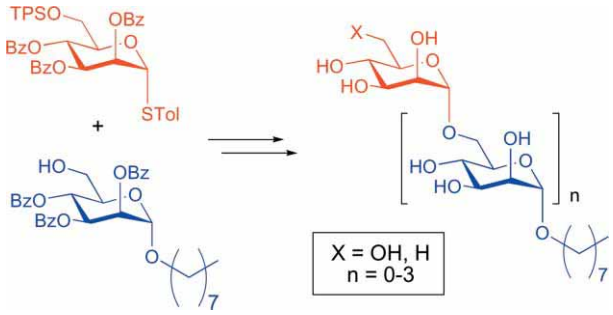
The absolute configuration of 1,1-dimethyl-2-phenylethyl phenyl sulfoxide was determined from a comparison of predicted and observed VCD, OR, and ECD.

1982

Rapid, iterative assembly of octyl α-1,6-oligomannosides and their 6-deoxy equivalents

Jacinta A. Watt and Spencer J. Williams*

A simple iterative route to hydrophobic α-1,6-linked oligomannosides and their 6-deoxy congeners from a single glycosyl donor and acceptor alcohol is described.

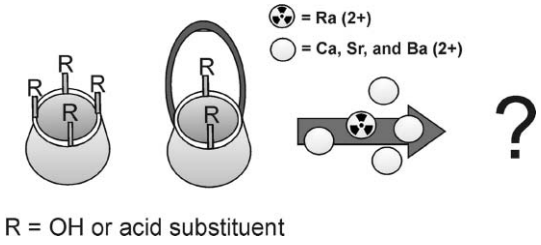


1993

Thiacalix[4]arene derivatives as radium ionophores: a study on the requirements for Ra^{2+} extraction

Fijs W. B. van Leeuwen, Hans Beijleveld, Aldrik H. Velders, Jurriaan Huskens, Willem Verboom* and David N. Reinhoudt*

A systematic study on selective Ra^{2+} extractants, based on synergistic, anionic, and ionizable crown ether derivatives as extractants, is reported.

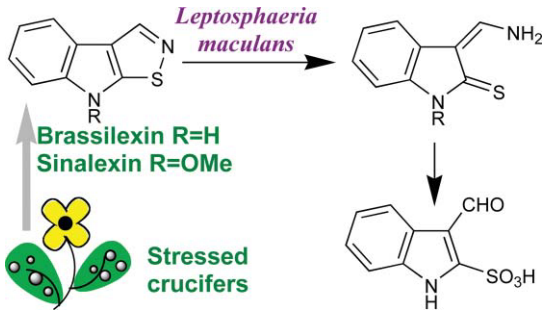


2002

Detoxification pathways of the phytoalexins brassilexin and sinalexin in *Leptosphaeria maculans*: isolation and synthesis of the elusive intermediate 3-formylindolyl-2-sulfonic acid

M. Soledade C. Pedras* and Mojmir Suchy

Detoxification of the potent antifungal phytoalexins brassilexin and sinalexin involves reductive bioconversion to the corresponding 3-aminomethyleneindole-2-thiones. Brassilexin is ultimately transformed to the new metabolite 3-formylindolyl-2-sulfonic acid.

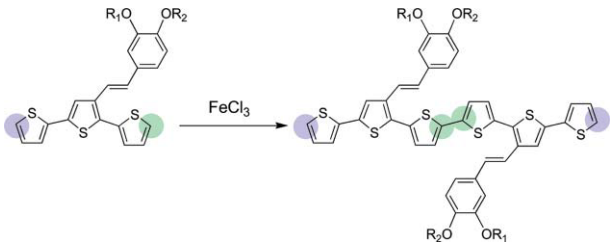


2008

Towards functionalized poly(terthiophenes): regioselective synthesis of oligoether-substituted bis(styryl)sexithiophenes

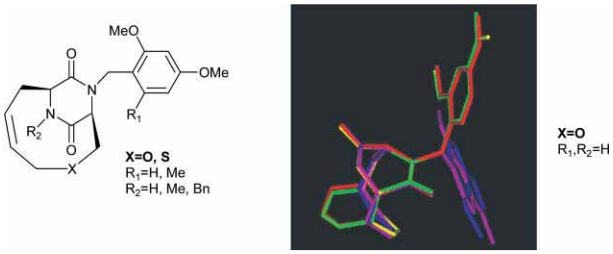
Daina K. Grant,* Kenneth W. Jolley, David L. Officer, Keith C. Gordon and Tracey M. Clarke

Chemical oxidation of ether-substituted styrylterthiophenes affords a single step preparation of a variety of new regioisomerically pure bis(oligo(oxyethylene)styryl)sexithiophenes.



ARTICLES

2016

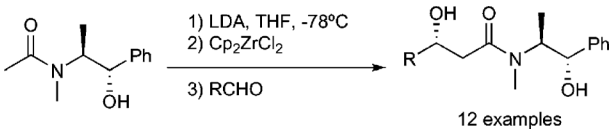


Design and synthesis of new bicyclic diketopiperazines as scaffolds for receptor probes of structurally diverse functionality

Pedro Besada, Liaman Mamedova, Craig J. Thomas, Stefano Costanzi and Kenneth A. Jacobson*

A new bicyclic scaffold that combines a diketopiperazine (DKP) bridged with a 10-membered ring has been synthesized and found to provide inhibitors of P2Y receptor signalling.

2026

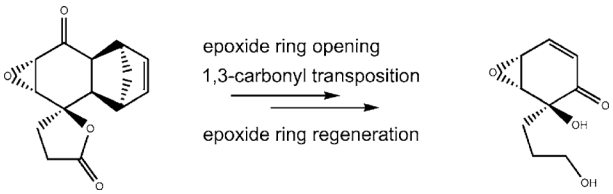


(*S*,*S*)-(+)-Pseudoephedrine as chiral auxiliary in asymmetric acetate aldol reactions

Mónica Rodríguez, Jose L. Vicario, Dolores Badía* and Luisa Carrillo

The ability of the aminoalcohol (*S*,*S*)-(+)-pseudoephedrine as chiral auxiliary in asymmetric acetate aldol reactions has been evaluated.

2031



Synthesis of a 4,5-epoxy-2-cyclohexen-1-one derivative *via* epoxide ring opening, 1,3-carbonyl transposition and epoxide ring regeneration: a synthetic study on a scyphostatin analogue

Ryukichi Takagi, Kengo Tojo, Masato Iwata and Katsuo Ohkata*

A 6-alkyl-4,5-epoxy-6-hydroxy-2-cyclohexen-1-one derivative was synthesized from the Diels–Alder adduct *via* epoxide ring opening, 1,3-carbonyl transposition and epoxide ring regeneration.

ADDITIONS AND CORRECTIONS

2037

Peter Murray-Rust, Henry S. Rzepa, Simon M. Tyrrell and Yong Zhang

Representation and use of chemistry in the global electronic age

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Perspective: Chemistry and biology of wortmannin
Peter Wipf and Robert J. Halter (**DOI**: 10.1039/b504418a)

Communication: *cis*-Stereoselective SmI_2-promoted reductive coupling of keto-nitrones: first synthesis of 1-epitrehazolamine
Géraldine Masson, Christian Philouze and Sandrine Py (**DOI**: 10.1039/b503981a)

Communication: Introduction of a substituent at the 5″-position of N-Boc neomycin B under Mitsunobu reaction conditions
Angelica Hernandez Linarez, Dominique Fourmy, Jean-Louis Fourrey and Ali Loukaci (**DOI**: 10.1039/b505280g)

Total syntheses of enantiomerically enriched *R*-(+)- and *S*-(−)-deplancheine
Nadiya Sydorenko, Craig A. Zificsak, Aleksey I. Gerasyuto and Richard P. Hsung (**DOI**: 10.1039/b503862f)

Regioselective routes to disubstituted dibenzo crown ethers and their complexations
Harry W. Gibson, Hong Wang, Klaus Bonrad, Jason W. Jones, Carla Slebodnick, Lev N. Zackharov, Arnold L. Rheingold, Bradley Habenicht, Peter Lobue and Amy E. Ratliff (**DOI**: 10.1039/b503072m)

Synthesis and capsule formation of upper rim substituted tetracrylamido calix[4]arenes
Nikolai Kuhnert and Adam Le-Gresley (**DOI**: 10.1039/b502378e)

Synthesis and biological evaluation of novel fumagillin and ovalicin analogues
Ralph Mazitschek, Axel Huwe and Athanassios Giannis (**DOI**: 10.1039/b503163j)

Efficient axial chirality induction in biphenyldiol triggered by proton-transferred hydrogen bonding with chiral amine
Hideki Takagi, Tadashi Mizutani, Takuya Horiguchi, Susumu Kitagawa and Hisanobu Ogoshi (**DOI**: 10.1039/b416349d)

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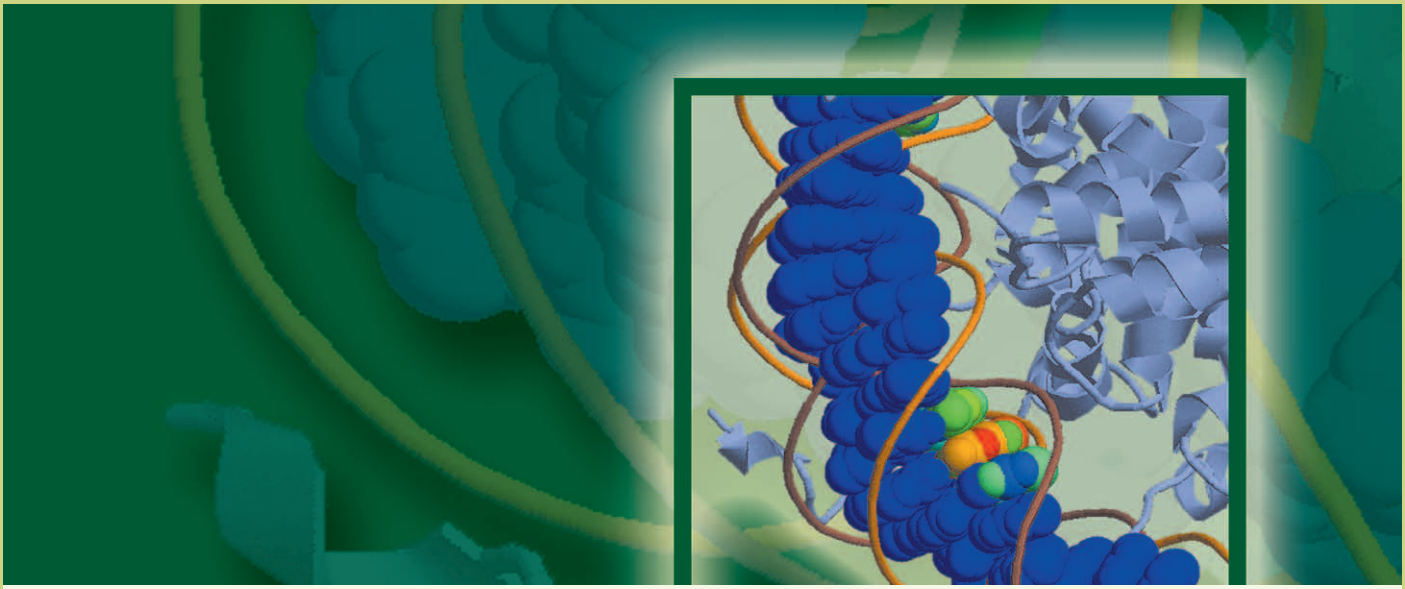

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