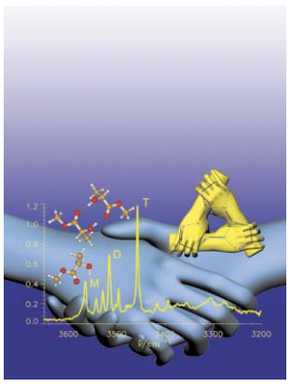
ISSN 1477–0520 OBCRAK(23) 4133–4360(2003)

Indexed in Medline from issue 1

Organic & Biomolecular Chemistry

FORMERLY PERKIN TRANSACTIONS 1 AND 2

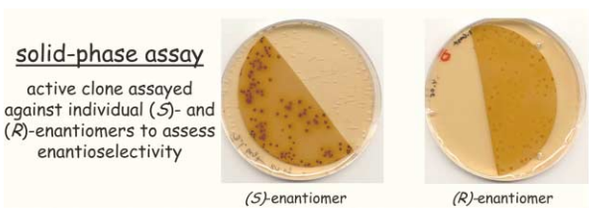
Incorporating Acta Chemica Scandinavica



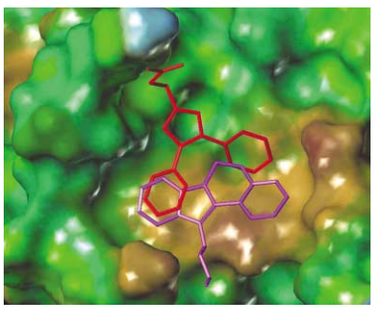
Cover
See N. Borho and M. A. Suhm, page 4351.
Handshakes visualizing the chiral self-recognition which is observed in the IR spectra of methyl lactate dimers and trimers in a supersonic jet expansion (image by P. Zielke and the authors).

contents

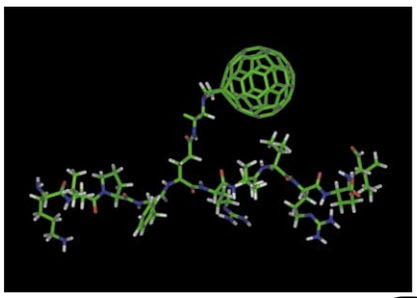
EMERGING AREA



COMMUNICATIONS



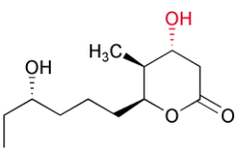
COMMUNICATIONS



Solid-phase synthesis and characterization of a novel fullerene-peptide derived from histone H3

Alberto Bianco, Davide Pantarotto, Johan Hoebeke, Jean-Paul Briand and Maurizio Prato

A novel fullero-peptide analogue from a histone H3 protein containing the L-fulleropyrrolidino-glutamic acid has been prepared by solid-phase synthesis and has been modelled in the major histocompatibility complex binding groove.

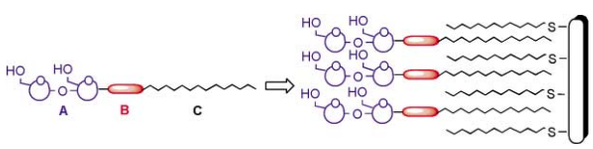


Heterologous expression in *Saccharopolyspora erythraea* of a pentaketide synthase derived from the spinosyn polyketide synthase

Christine J. Martin, Máire C. Timoney, Rose M. Sheridan, Steven G. Kendrew, Barrie Wilkinson, James Staunton and Peter F. Leadlay

Structure elucidation of a polyketide intermediate identifies a cryptic step occurring during spinosyn biosynthesis.

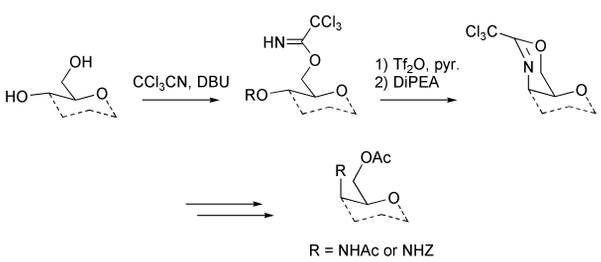
ARTICLES



Carbohydrate–protein interactions at interfaces: comparison of the binding of *Ricinus communis* lectin to two series of synthetic glycolipids using surface plasmon resonance studies

P. Critchley and G. J. Clarkson

The linker region (B) and the hydrocarbon tail (C) both influence the strength of binding of a lactosyl ligand (A) to plant lectin.



A novel strategy towards the synthesis of orthogonally functionalised 4-aminoglycosides

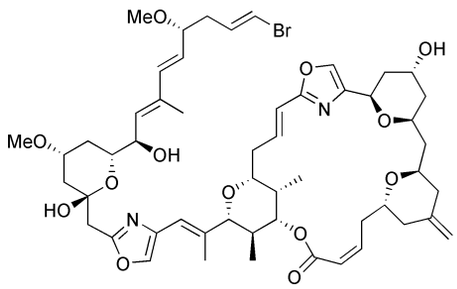
Leendert J. van den Bos, Jeroen D. C. Codée, Jacques H. van Boom, Herman S. Overkleeft and Gijsbert A. van der Marel

A tethered nucleophilic substitution strategy for the stereoselective introduction of axially oriented amino functions on suitably protected gluco- and mannopyranosides is presented.

The syntheses of tricyclic analogues of O^6-methylguanine

David M. Hammond, Dolorès Edmont, Ana R. Hornillo-Araujo and David M. Williams

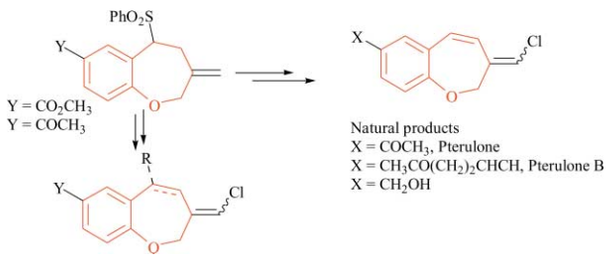
The syntheses and biological properties of the tricyclic O^6-methylguanine analogues **4** and **5** are described.



Total synthesis of (+)-phorboxazole A, a potent cytostatic agent from the sponge *Phorbas* sp.

Gerald Pattenden, Miguel A. González, Paul B. Little, David S. Millan, Alleyn T. Plowright, James A. Tornos and Tao Ye

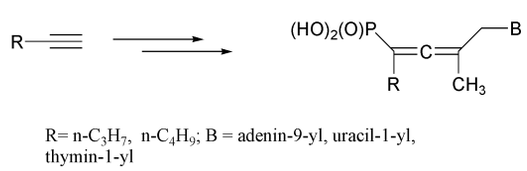
A convergent total synthesis of phorboxazole A, the most potent naturally cytotoxic agent yet discovered, is described.



Efficient syntheses of pterulone, pterulone B and related analogues

Philippe Lemaire, Geneviève Balme, Philippe Desbordes and Jean-Pierre Vors

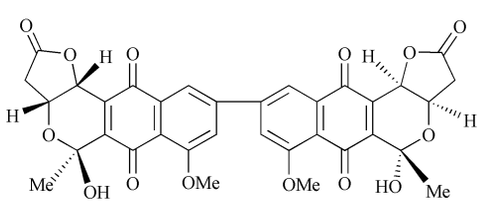
Total synthesis of pterulone, pterulone B and their alcohol analogue as well as a wide range of related unnatural analogues using a 1-benzoxepine sulfonyl-containing intermediate is presented. The biological activities of the natural products and of some of the unnatural analogues are also reported.



Synthesis and molecular structure of new acyclic analogues of nucleotides with a 1,2-alkadienic skeleton

Valery K. Brel, Vitaly K. Belsky, Adam I. Stash, Valery E. Zavodnik and Peter J. Stang

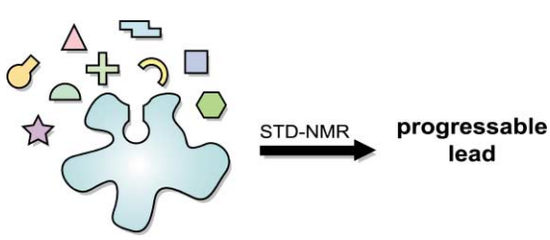
Reaction of phosphorylated allenes with purine and pyrimidine heterocyclic bases afforded new acyclic analogues of nucleotides containing a 1,2-alkadienic skeleton.



Synthesis of regioisomeric analogues of crisamicin A

Margaret A. Brimble and Michelle Y. H. Lai

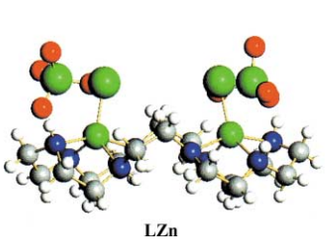
A synthesis of an analogue of the dimeric pyranonaphthoquinone antibiotic crisamicin A is reported using a furofuran annulation–oxidative rearrangement strategy.

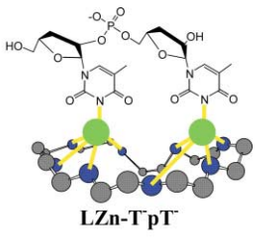


Exploring the active site of human factor Xa protein by NMR screening of small molecule probes

Lee Fielding, Dan Fletcher, Samantha Rutherford, Jasmit Kaur and Jordi Mestres

STD-NMR identifies ligands, binding isotherms determine affinity and competition experiments demonstrate specificity.

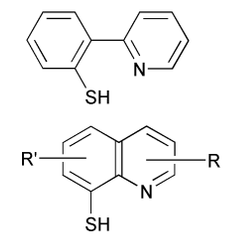




Selective recognition of thymidylylthymidine (TpT) and antitumor effects of a macrocyclic dizinc(II) complex

Jian Gao, Joseph H. Reibenspies and Arthur E. Martell

A polyamino dizinc(II) complex was found to be highly selective in recognizing deoxythymidine (dT) and thymidylylthymidine (TpT) on a DNA sequence. The complex shows to be a potent inhibitor of tumor cell growth *in vitro* with low IC_{50} values.

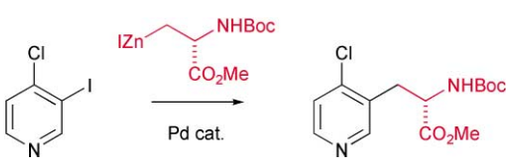


R'= NH_2 ; R= OH, CH_3, COOH

Preparation and evaluation of sulfur-containing metal chelators

Sylvain Clavier, Øystein Rist, Stina Hansen, Lars-Ole Gerlach, Thomas Högberg and Jan Bergman

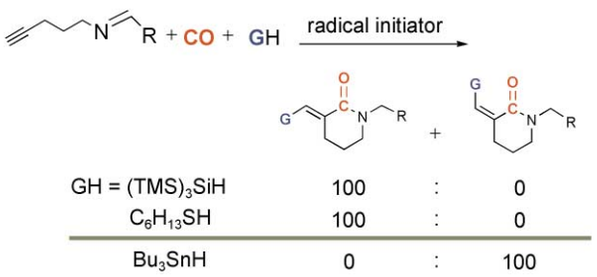
Metal chelators such as 8-mercaptoquinoline derivatives and 2-(2-pyridyl)thiophenol were synthesized and their affinity toward zinc ions was determined.



Preparation of enantiomerically pure pyridyl amino acids from serine

Stefania Tabanella, Ingrid Valancogne and Richard F. W. Jackson

Coupling of serine-derived organozinc reagents with halopyridines allows the preparation of pyridyl amino acids, including a DMAP derivative.

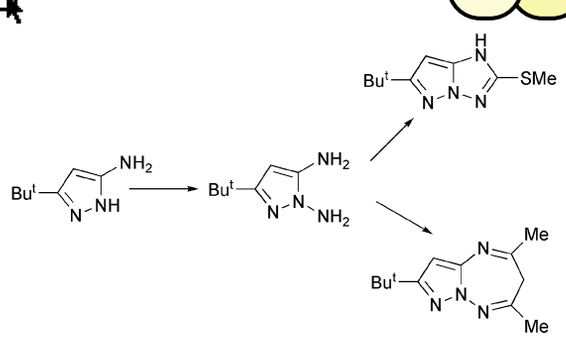


GH = $(TMS)_3SiH$	100	:	0
$C_6H_{13}SH$	100	:	0
Bu_3SnH	0	:	100

Cyclizative radical carbonylations of azaenynes by TTMSS and hexanethiol leading to α-silyl- and thiomethylene lactams. Insights into the *E*/*Z* stereoselectivities

Mami Tojino, Noboru Otsuka, Takahide Fukuyama, Hiroshi Matsubara, Carl H. Schiesser, Hiroki Kuriyama, Hironari Miyazato, Satoshi Minakata, Mitsuo Komatsu and Ilhyong Ryu

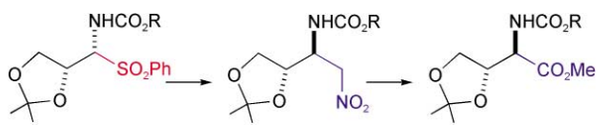
Cyclizative radical carbonylations of azaenynes were examined using TTMSS and hexanethiol as radical mediators.



Synthesis and chemistry of 3-*tert*-butyl-1,5-diaminopyrazole

Alexander J. Blake, David Clarke, Richard W. Mares and Hamish McNab

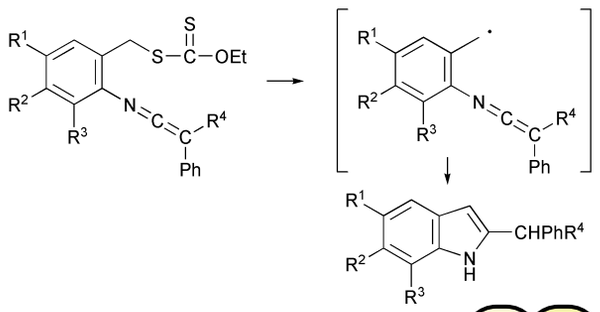
N-Amination of 3-amino-5-*tert*-butylpyrazole with hydroxylamine-*O*-sulfonic acid gave a 1,5-diaminopyrazole with good regiochemical control.



Highly diastereoselective addition of nitromethane anion to chiral α-amidoalkylphenyl sulfones. Synthesis of optically active α-amino acid derivatives

Elisabetta Foresti, Gianni Palmieri, Marino Petrini and Roberto Profeta

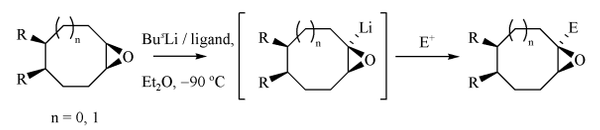
Optically active α-amino acid methyl esters are prepared by nitromethylation of chiral α-amidoalkylphenyl sulfones followed by an oxidative Nef reaction.



Intramolecular addition of benzylic radicals onto ketenimines. Synthesis of 2-alkylindoles

Mateo Alajarín, Angel Vidal and María-Mar Ortín

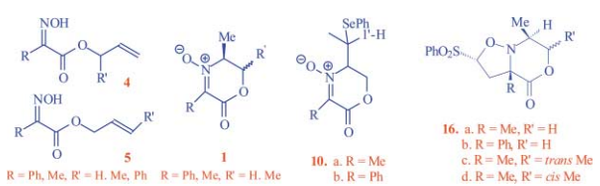
Benzylic radicals generated from xanthates add intramolecularly to ketenimines providing 2-alkylindoles.



Enantioselective synthesis of epoxides by α-deprotonation—electrophile trapping of achiral epoxides

David M. Hodgson, Timothy J. Buxton, Iain D. Cameron, Emmanuel Gras and Eirene H. M. Kirton

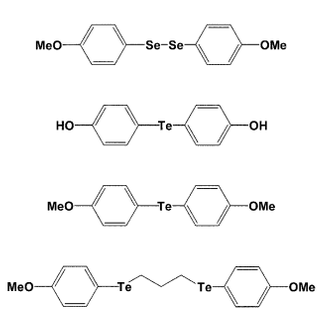
Enantioselective α-deprotonation of achiral epoxides using organolithiums in the presence of (−)-sparteine and subsequent electrophile trapping gives access to enantioenriched trisubstituted epoxides (in up to 86% ee).



α-Oximono-esters as precursors to heterocycles – generation of oxazinone *N*-oxides and cycloaddition to alkene dipolarophiles

Frances Heaney, Julie Fenlon, Colm O'Mahony, Patrick McArdle and Desmond Cunningham

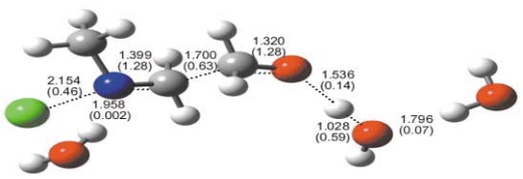
Reactivity of δ-alkenyl α-oximino-esters **4/5** is determined by (i) oxime geometry (ii) allylic substitution (iii) terminal substitution and (iv) the nature of the *C*-oximino group; the oxazinone *N*-oxides **1** cycloadd to alkene dipolarophiles with varying diastereoselectivity – crystal structures are presented for **16a** and **16c**, the phenyl selenyl dipole **10a** cycloadds dimethyl acetylenedicarboxylate only.



Evaluation of sulfur, selenium and tellurium catalysts with antioxidant potential

Gregory I. Giles, Fiona H. Fry, Karen M. Tasker, Andrea L. Holme, Chris Peers, Kim N. Green, Lars-Oliver Klotz, Helmut Sies and Claus Jacob

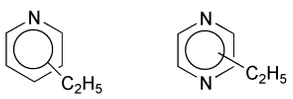
Organo-sulfur, -selenium and -tellurium compounds designed to catalytically counteract oxidative stress have been synthesised and successfully evaluated as antioxidants using a multidisciplinary array of electrochemical, *in vitro* studies as well as cell culture methods.



Understanding the mechanism of base-assisted decomposition of (*N*-halo),*N*-alkylalcoholamines

Juan Andrés, Xosé L. Armesto, Moisés Canle L., M. Victoria García, Daniel R. Ramos and J. Arturo Santaballa

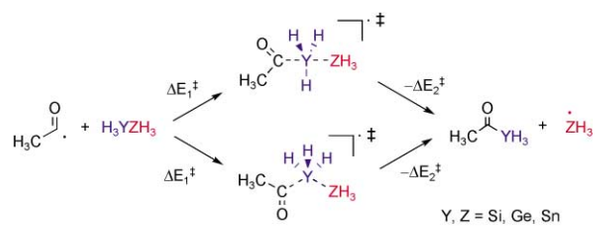
Decomposition of *N*-chloro-*N*-alkylalcoholamines in alkaline media takes place by two concurrent base-assisted pathways: a Grob-like fragmentation and an intramolecular elimination.



Thermochemical study of the ethylpyridine and ethylpyrazine isomers

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

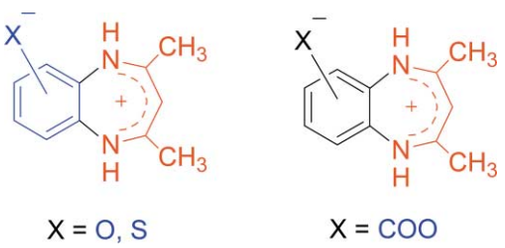
Thermochemistry of the ethylpyridine and ethylpyrazine isomers: a combined experimental and theoretical investigation of enthalpies and energies.



An *ab-initio* study of some homolytic substitution reactions of acyl radicals at silicon, germanium and tin

Hiroshi Matsubara and Carl H. Schiesser

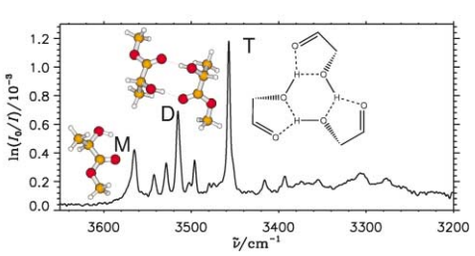
Homolytic substitution of acyl radicals at silicon, germanium and tin can proceed *via* both backside and frontside attack mechanisms.



On benzo[*b*][1,4]diazepinium-olates, -thiolates and -carboxylates as anti-Hückel mesomeric betaines

Andreas Schmidt, Abbas Gholipour Shilabin and Martin Nieger

Long C–N bonds prevent the conjugation of 4*n* π-electrons, so that the title compounds consist of negatively (blue) and positively charged (red) structure elements.



Self-organization of lactates in the gas phase

Nicole Borho and Martin A. Suhm

FTIR spectra of lactate clusters in supersonic jets reveal gas phase chiral recognition effects which increase from dimers to tetramers.

ADDITIONS AND CORRECTIONS

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