10.1071/CHv56n9toc

Volume 56, Number 9, 2003, xxxiii

The cover shows the fundamental catalytic cycle of ADEPT anti-cancer therapy, as reviewed by Tietze and Feuerstein (p. 841).

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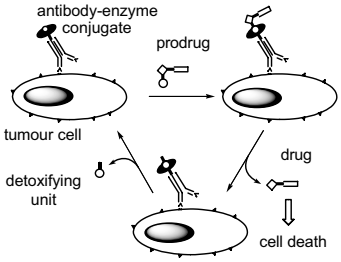
Review

Highly Selective Compounds for the Antibody-Directed Enzyme Prodrug Therapy of Cancer

Lutz F. Tietze, Tim Feuerstein

Aust. J. Chem. **2003**, *56*, 841–854.



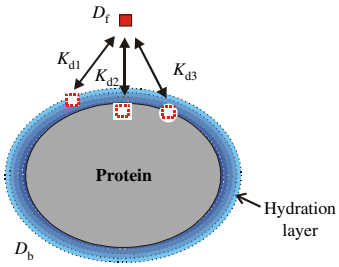
The title therapy is a new method for the selective treatment of cancers, being neither invasive like surgery nor as unselective as chemotherapy. A monoclonal antibody–enzyme conjugate—their rational design being the topic of this review—is administered shortly before a specific prodrug. The antibody section binds to a tumour cell; the enzyme cleaves the prodrug to release the cytotoxic agent only in proximity to the cancerous cell.

Current Chemistry

Recent Advances in NMR Diffusion Techniques for Studying Drug Binding

William S. Price

Aust. J. Chem. **2003**, *56*, 855–860.



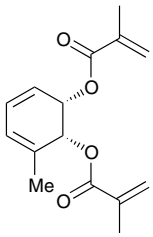
Nuclear magnetic resonance has been used to measure drug binding; two recent developments, emphasized in this article, enable these non-invasive measurements to be conducted in high-sensitivity, high-field spectrometers in non-deuterated aqueous solvents. These developments open the door for studies to be conducted under more physiologically relevant conditions.

Full Papers

Competitive Intramolecular Diels–Alder Reactions of bis-α,β-Unsaturated Ester Derivatives of Enzymatically Derived and Enantiopure *cis*-1,2-Dihydrocatechols. Enantiodivergent Synthesis of Monochiral Bicyclo[2.2.2]oct-2-enes

Martin G. Banwell, Cai Chun, Alison J. Edwards, Markus M. Vögtle

Aust. J. Chem. **2003**, *56,* 861–869.



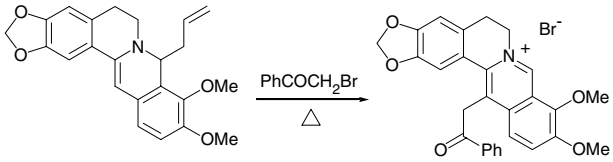
A series of readily available and enantiomerically pure bis-esters such as the one illustrated have been shown to engage, on heating, in two competing intramolecular Diels–Alder reactions and thereby afford mixtures of pseudo-enatiomeric bicyclo[2.2.2]oct-2-enes.

8-Allyldihydroberberine as an Alternative Precursor for the Synthesis of 13-Substituted Berberine Derivatives

John B. Bremner, Siritron Samosorn

Aust. J. Chem. **2003**, *56*, 871–973.

The alkaloid berberine and derivatives are of considerable biological and chemical interest, for example as antimicrobial compounds. An alternative, convenient route to 13-substituted derivatives of berberine is reported, based on the key synthetic precursor 8-allyldihydroberberine.

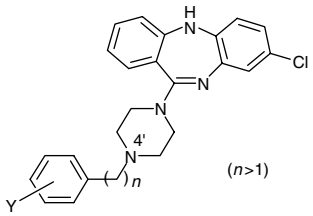


0004-9425/03/09xxxiii

Synthesis and Preliminary Pharmacological Evaluation of 4′-Arylalkyl Analogues of Clozapine. II. Effect of the Nature and Length of the Linker

Ben Capuano, Ian T. Crosby, Edward J. Lloyd, Anna Podloucka, David A. Taylor

Aust. J. Chem. **2003**, *56*, 875–886.

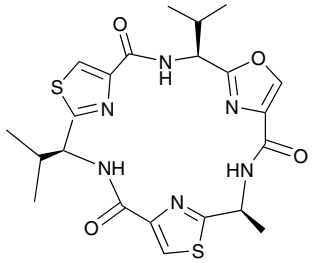


The synthesis of a second generation of tricyclic analogues of clozapine (envisaged as a structural hybridization of the antipsychotics haloperidol and clozapine) is reported. The study investigates the effect of the chain length on the ionizable nitrogen atom at physiological pH and the introduced aryl moiety (see structure). The results of preliminary pharmocological studies are also presented.

The Synthesis of Some Chiral 2-Aminoalkyloxazole-4-carboxylates from Isoxazol-5(2*H*)-ones

Matthew Cox, Rolf H. Prager, Carina E. Svensson

Aust. J. Chem. **2003**, *56*, 887–896.

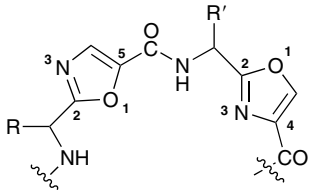


Marine natural products, such as bistratamide C (shown), which contain the 2-aminoalkyloxa(thia)zole-4-carboxylic acid skeleton, are cyclic amides that display a wide range of biological properties. Here the authors report a convenient synthesis of the oxazole and thiazole building blocks by the acylation of isoxazol-5(2*H*)-ones, photochemical conversion of the products, and subsequent deprotection.

The Synthesis of Some Chiral 2-Aminoalkyloxazole-5-carboxylates from Isoxazol-5(2*H*)-ones

Matthew Cox, Rolf H. Prager, Carina E. Svensson, Max R. Taylor

Aust. J. Chem. **2003**, *56*, 897–901.



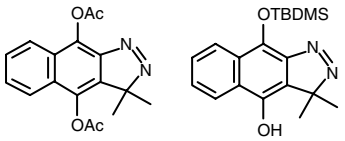
A convenient synthesis is described for a group of 2,5-disubstituted oxazoles. These materials can be used to prepare both linear and cyclic peptide mimetics in which the ring nitrogen or oxygen atoms can be selectively orientated towards the centre of the macrocycle.

Approaches to Cyclopropa-Fused Quinones. The Synthesis and Photolysis of Some 4,9-Disubstituted 3,3-Dimethyl-3*H*-benz[*f*]indazoles

Gavin E. Collis, Dieter Wege

Aust. J. Chem. **2003**, *56*, 903–907.

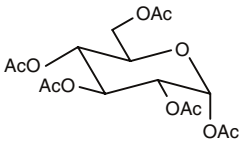
The synthesis of a range of potentially photoactive indazoles is reported. The addition of 2-diazopropane to 1,4-naphthoquinone eventually gave 3,3-dimethyl-1*H*-benz[*f*]indazol-4,9-diyl diacetate and 3,3-dimethyl-9-(*tert*-butyldimethylsilyloxy)-1*H*-benz[*f*]indazol-4-ol (both structures shown); the latter then underwent functional group manipulation to provided a number of other 4,9-disubstituted 3,3-dimethyl-3*H*-benz[*f*]indazoles. Photolysis reactions found that compounds bearing a hydroxy or alkoxy group at C9 were photochemically inert.



Regioselective Anomeric Deacetylation of Peracetylated Glycopyranoses

Kankan Bhaumik, Paresh D. Salgaonkar, K. G. Akamanchi

Aust. J. Chem. **2003**, *56*, 909–911.



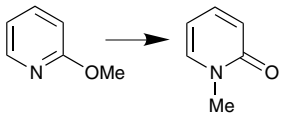
Reported here is a new method for selective anomeric deacetylation of peracetylated sugars. Unlike other methods, which require hazardous mercury(II) or cumbersome anhydrous conditions for example, this method demands only a simple copper(II) salt and aqueous methanol.

On the Thermally Induced Rearrangement of 2-Alkoxypyridines to *N*-alkylpyridones

Troy Lister, Rolf H. Prager, Michael Tsaconas, Kerry L. Wilkinson

Aust. J. Chem. **2003**, *56*, 913–916.

Flash vacuum pyrolysis of 2-alkoxypyridines gives their *N*-alkyl-2-pyridone analogues, as indicated in the graphic. Evidence to support an intramolecular four-centre pathway is presented.

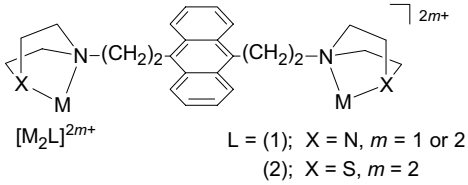


The Formation of Fluorescent Alkali Metal and Alkaline Earth Complexes by 1-(2-{10-[2-Piperazinoethyl]-9-anthryl}ethyl)piperazine and Alkaline Earth Complexes by 4-(2-{10-[2-(1,4-Thiazinan-4-yl)ethyl]-9-anthryl}ethyl)thiomorpholine in Acetonitrile

Jason P. Geue, Nicholas J. Head, A. David Ward, Stephen F. Lincoln

Aust. J. Chem. **2003**, *56*, 917–922.

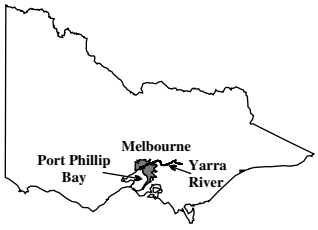
Complexation by the akali metal and alkaline earth ions (M^{2m+}) modulates photoinduced electron transfer and enhances the fluorescence of ligand L (=(1)) in $[M_2(1)]^{2m+}$ in acetonitrile. The alkaline earth ions act similarly for (2). The precursor complexes are less fluorescent and exist in equilbria where M^{2+} is either complexed by a single receptor, $[ML]^{m+}$, or by two in a 'sandwich' complex, $[ML']^{m+}$, to extents varying with the identity of M^{m+} and L.



Effect of an Alternating Oxic/Anoxic Regime on a (Freshwater) Yarra River Sediment

Michael R. Grace, Thomas Jakob, Dietfried Donnert, Ronald Beckett

Aust. J. Chem. **2003**, *56*, 923–932.

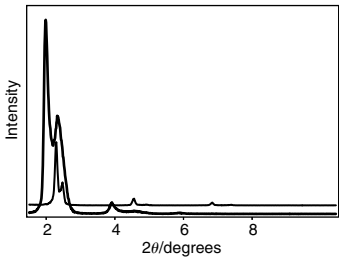


The Yarra River flows through Melbourne to discharge in Port Phillip Bay. While the Bay in good ecological health, a two- to three-fold increase in nitrogen loading may result in severe eutrophication. The nitrogen, phosphorus, iron, and magnesium cycles were shown to be interdependent on a time scale of hours to weeks across a wide oxygen gradient.

The Growth of Self-Assembled Titania-Based Films at the Air–Water Interface

Mark J. Henderson, David King, John W. White

Aust. J. Chem. **2003**, *56*, 933–939.



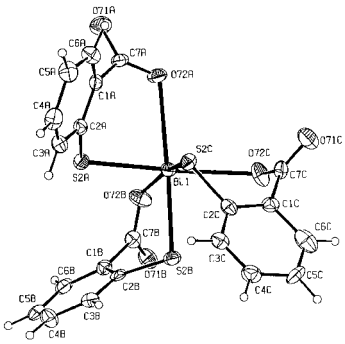
The preparation of inorganic–organic composite materials using surfactant molecules as the structure-directing agent is of great technological value. Two titania-based films are described—one, obtained from an alkaline solution is partly structured and comprises only a few layers; the other, obtained from aqueous acidic solution and a different surfactant, is highly ordered, as revealed through the complementarity of X-ray and neutron reflectivity.

Short Communications

The Preparation and Crystal Structure of Ammonium Bismuth(III) Thiosalicylate Dihydrate

Dalius S. Sagatys, Graham Smith, Raymond C. Bott, Peter C. Healy

Aust. J. Chem. **2003**, *56*, 941–943.

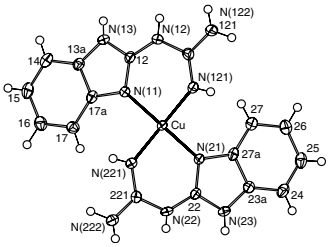


The pharmaceutical uses of bismuth is limited by the range of ligands that both coordinate strongly yet still impart good water solubility. The use of bifunctional thio ligands for complexation of bismuth(III) may provide a means of generating water-soluble compounds for pharmaceutical usage.

Cation Structures in Bis(2-Guanidino-benzimidazole)metal(II) Complexes: Crystal and Molecular Structure of the Copper(II) Perchlorate Adduct

Naser Arablo, S. Ali Asghar Torabi, Ali Morsali, Brian W. Skelton, Allan H. White

Aust. J. Chem. **2003**, *56*, 945–957.



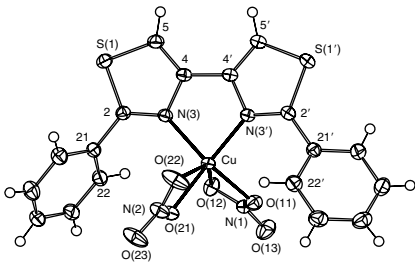
The $[Cu(gbH)_2]^{2+}$ cation (gbH = title ligand) in its perchlorate salt has a distorted four-coordinate planar metal atom environment ($Cu–N_{guan.}$ 1.928(3), 1.924(3); $Cu–N_{imid.}$ 1.976(3), 1.967(2) Å) where the N–Cu–N *trans* angles are 151.01(9), 149.4(1)°.

4,4′-Bithiazoles as Ligands: Crystal and Molecular Structure of bis(*O*,*O*′-Nitrato) (2,2′-diphenyl-4,4′-bithiazole)copper(II) (Two Polymorphs)

S. Ali Asghar Torabi, Fahimeh Jamali, George A. Koutsantonis, Ali Morsali, Brian W. Skelton, Allan H. White

Aust. J. Chem. **2003**, *56*, 949–952.

Depending on the solvent, the title complex crystallizes into one of two distinct habitats. The bithiazole ligand behaves as a conventional *cis*-*N*,*N*′ chelate; the subtle difference distinguishing the two structures lies in the angle between the nitrate and thiazole planes, and the substituent dispositions about the latter.



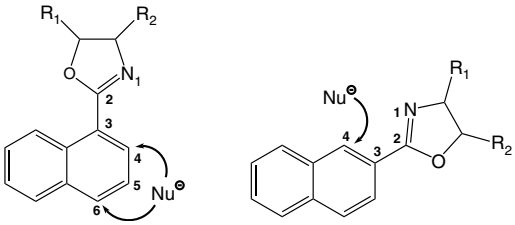
Focus

Oxazolines as Directing Agents in the Nucleophilic Addition to Naphthalenes

Andrew Scott

Aust. J. Chem. **2003**, *56*, 953.

Enantiometically pure oxazolines are relatively straightforward to prepare from chiral amino alcohols. When attached to naphthalene systems, oxazolines activate the π-system towards nucleophilic addition at the positions shown, a feature of some synthetic utility.



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