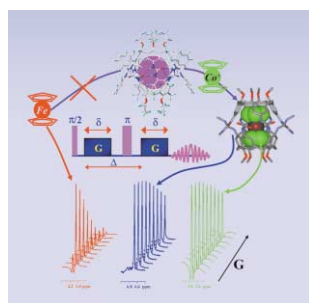


# Organic & Biomolecular Chemistry

FORMERLY PERKIN TRANSACTIONS 1 AND 2

Incorporating Acta Chemica Scandinavica

**Cover**

See L. Frish, M. O. Vysotsky, V. Böhmer and Y. Cohen, page 2011.

The cover shows the signal decays of cobaltocenium cation, ferrocene and tetraurea calix[4]arene dimer in dichloroethane solution, obtained by the pulsed gradient spin echo diffusion NMR sequence, demonstrating that only the cobaltocenium cation and the tetraurea calix[4]arene dimer diffuse as a single supramolecular entity, thus probing the encapsulation of cobaltocenium cation by the dimer.



Chemical biology articles published in this journal also appear in the *Chemical Biology Virtual Journal*: [www.rsc.org/chembiol](http://www.rsc.org/chembiol)

## contents

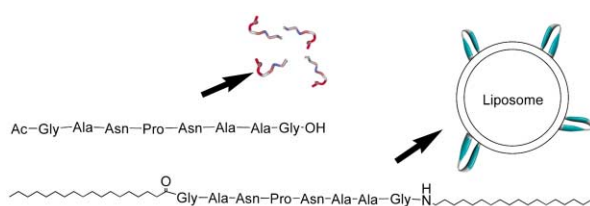
## COMMUNICATIONS

1827 1829

### Non-covalent stabilization of a $\beta$ -hairpin peptide into liposomes

Dennis W. P. M. Löwik, Jeffrey G. Linhardt, P. J. Hans M. Adams and Jan C. M. van Hest

An oligopeptide with hydrophobic moieties on both N- and C-termini was anchored into a liposome, stabilizing it into a  $\beta$ -hairpin.

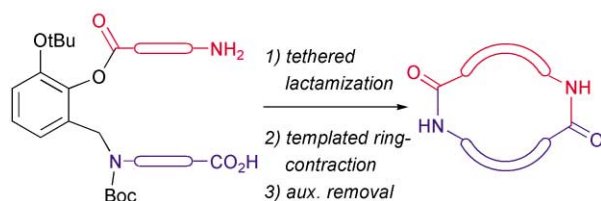


1830 1832

### A pincer auxiliary to force difficult lactamisations

Hans Bieräugel, Hans E. Schoemaker, Henk Hiemstra and Jan H. van Maarseveen

A pincer auxiliary is presented that facilitates bis-lactam ring-closure after *two* subsequent lactamisations by playing a dual tethering and templating role.

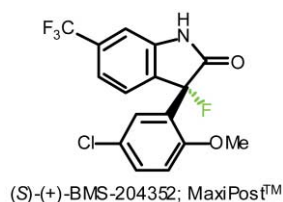


1833 1834

### Enantioselective synthesis of BMS-204352 (MaxiPost™) using *N*-fluoroammonium salts of cinchona alkaloids (F-CA-BF<sub>4</sub>)

Ludivine Zoute, Christophe Audouard, Jean-Christophe Plaquevent and Dominique Cahard

The potent Maxi-K potassium channel opener BMS-204352 was prepared by enantioselective electrophilic fluorination. An ee as high as 88% was achieved (>99% after recrystallisation).

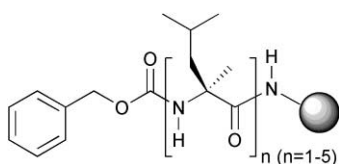


1835 1837

### Conformational analysis by HRMAS NMR spectroscopy of resin-bound homo-peptides from *C*<sup>α</sup>-methyl-leucine

Mario Rainaldi, Nathalie Lancelot, Karim Elbayed, Jesus Raya, Martial Piotto, Jean-Paul Briand, Bernard Kaptein, Quirinus B. Broxterman, Albrecht Berkessel, Fernando Formaggio, Claudio Toniolo and Alberto Bianco

A detailed characterization of the secondary structure adopted by the resin-bound [L-( $\alpha$ -Me)Leu]<sub>n</sub> homo-peptides has been performed by HRMAS NMR spectroscopy.

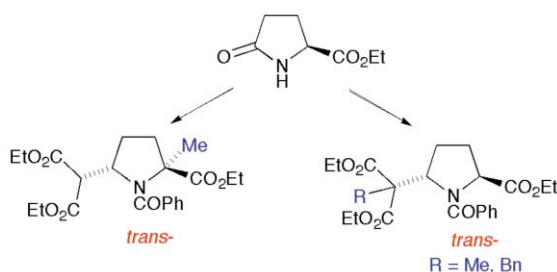


1838 1841

### 2,5-Disubstituted pyrrolidines: versatile regioselective and diastereoselective synthesis by enamine reduction and subsequent alkylation

Syed Raziullah Hussaini and Mark G. Moloney

Stereoselective reduction of an enamine derived from pyroglutamic acid followed by regioselective alkylation provides direct access to functionalised pyrrolidines.

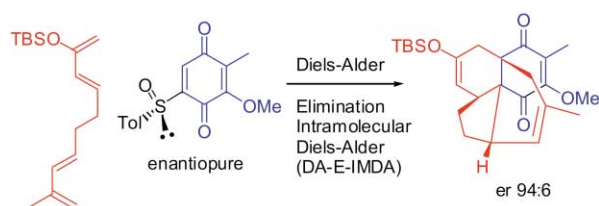


1842 1844

### An enantioselective double Diels–Alder approach to the tetracyclic framework of colombiasin A

Jason H. Chaplin, Alison J. Edwards and Bernard L. Flynn

The colombiasin A skeleton is conveniently accessed through a novel enantioselective Diels–Alder–elimination–intramolecular Diels–Alder (DA–E–IMDA) sequence.



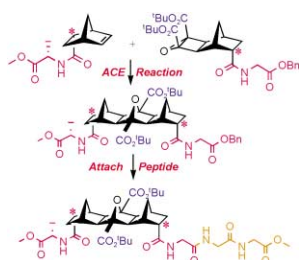
## ARTICLES

1845 1851

### Strategies and methods for the attachment of amino acids and peptides to chiral [n]polynorbornane templates

Frederick M. Pfeffer and Richard A. Russell

Amino acids and peptides attached to chiral [n]polynorbornane frameworks offer new topographically constrained substrates suitable for use in peptidomimetic investigations.

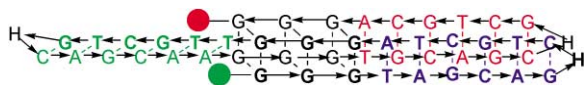


1852 1855

**The stability of intramolecular DNA quadruplexes with extended loops forming inter- and intra-loop duplexes**

Antonina Risitano and Keith R. Fox

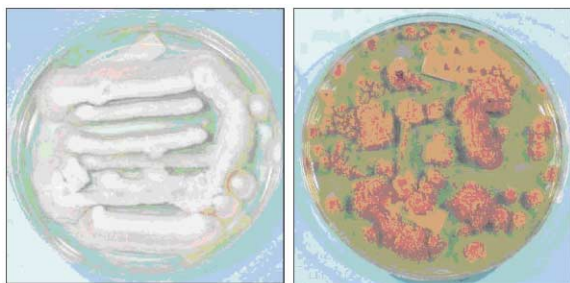
Intramolecular DNA quadruplexes can form short duplexes within extended loops.



1856 1862

**Aspergillins A–E: five novel depsipeptides from the marine-derived fungus *Aspergillus carneus***

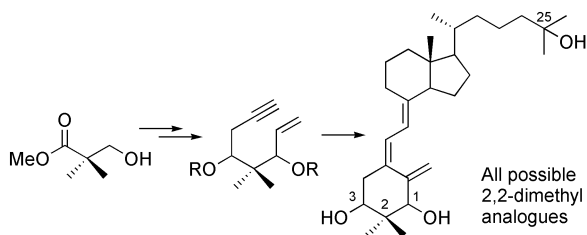
Robert J. Capon, Colin Skene, Michael Stewart, Joanne Ford, Richard A. J. O'Hair, Leisha Williams, Ernest Lacey, Jennifer H. Gill, Kirstin Heiland and Thomas Friedel

The first account of marcfortine A from a marine-derived fungus and of its ability to paralyse nematodes *in vitro* ( $LD_{99}$  0.06  $\mu\text{g mL}^{-1}$ ).

1863 1869

**Synthesis of 2,2-dimethyl-1,25-dihydroxyvitamin D<sub>3</sub>: A-ring structural motif that modulates interactions of vitamin D receptor with transcriptional coactivators**

Toshie Fujishima, Atsushi Kittaka, Kazuyoshi Yamaoka, Ken-ichi Takeyama, Shigeaki Kato and Hiroaki Takayama

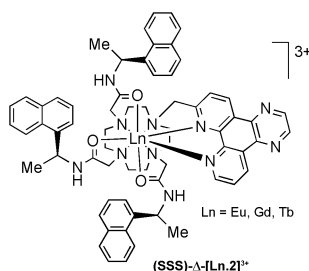
All four possible A-ring stereoisomers of 2,2-dimethyl-1,25-dihydroxyvitamin D<sub>3</sub> have been efficiently synthesised by employing a convergent method using a palladium catalyst.

1870 1872

**Enantiopure lanthanide complexes incorporating a tetraazatriphenylene sensitiser and three naphthyl groups: exciton coupling, intramolecular energy transfer, efficient singlet oxygen formation and perturbation by DNA binding**

Gabiella Bobba, Yann Bretonnière, Juan-Carlos Frias and David Parker

Efficient energy transfer from the heterocyclic chromophore to the naphthyl triplet leads to singlet oxygen formation in the Tb complex.

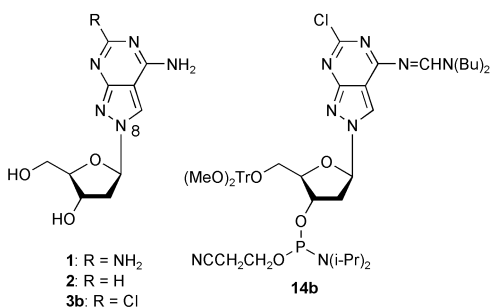


1873 1883

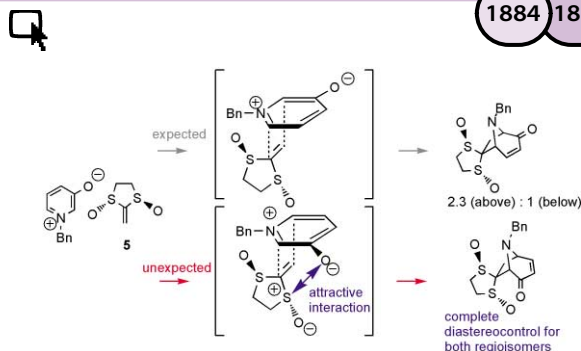
**Oligonucleotides incorporating 8-aza-7-deazapurines: synthesis and base pairing of nucleosides with nitrogen-8 as a glycosylation position**

Junlin He and Frank Seela

Novel base pair motifs are detected in DNA duplexes containing unusually linked nucleobases.



1884 1893

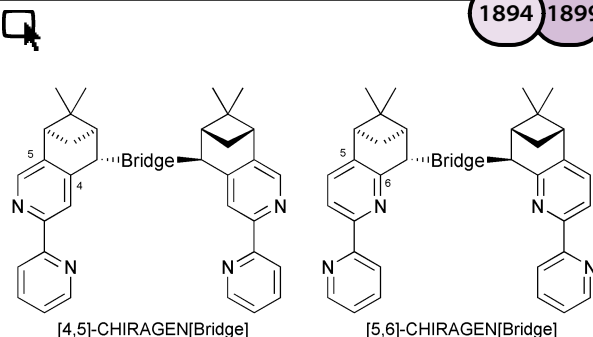


### Highly diastereoselective 1,3-dipolar cycloaddition reactions of *trans*-2-methylene-1,3-dithiolane 1,3-dioxide with 3-oxopyridinium and 3-oxidopyrylium betaines: a route to the tropane skeleton

Varinder K. Aggarwal, Richard S. Grainger, Gary K. Newton, Peter L. Spargo, Adrian D. Hobson and Harry Adams

Ketene dithioacetal bis-sulfoxides show very high reactivity and diastereoselectivity in cycloaddition reactions with 3-oxidopyridinium betaines.

1894 1899

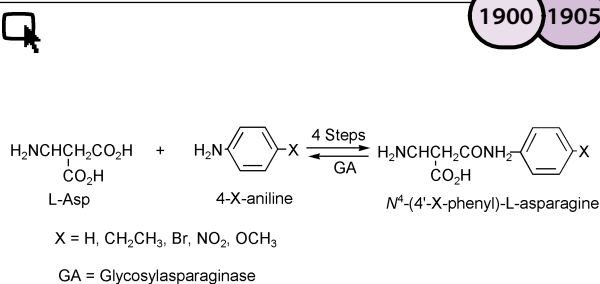


### Synthetic routes for a new family of chiral tetradentate ligands containing pyridine rings

Mathias Düggeli, Catherine Goujon-Ginglinger, Sarah Richard Ducotterd, David Mauron, Christophe Bonte, Alexander von Zelewsky, Helen Stoeckli-Evans and Antonia Neels

The family of chiral tetradentate ligands containing pyridine is significantly enlarged through the synthetic routes described in this publication.

1900 1905

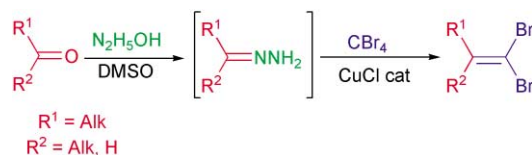


### Acylation is rate-limiting in glycosylasparaginase-catalyzed hydrolysis of *N*<sup>4</sup>-(4'-substituted phenyl)-L-asparagines

Wenjun Du and John M. Risley

The question posed in this study was: Is the acylation step the rate-limiting step in the hydrolysis reaction as in serine proteases? To answer this question a series of mostly new substituted anilides was synthesized and characterized, and their hydrolysis reactions catalyzed by glycosylasparaginase from human amniotic fluid were studied.

1906 1908

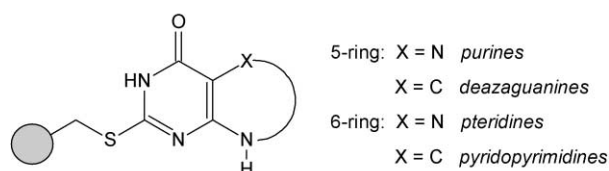


### Novel efficient synthesis of dibromoalkenes. A first example of catalytic olefination of aliphatic carbonyl compounds

Vasily N. Korotchenko, Alexey V. Shastin, Valentine G. Nenajdenko and Elizabeth S. Balenkova

The reaction proceeds under mild conditions to give the target products in good to high yields.

1909 1918

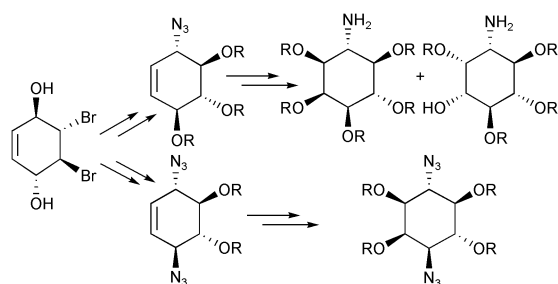


### A prototype solid phase synthesis of pteridines and related heterocyclic compounds

Colin L. Gibson, Salvatore La Rosa and Colin J. Suckling

The development of a versatile solid phase synthesis of bicyclic polyaza heterocycles including pteridines, purines, and deazapurines is described.

1919 1929

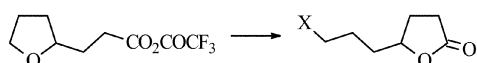


### Stereoselective synthesis of several azido/amino- and diazido/diamino-*myo*-inositols and their phosphates from *p*-benzoquinone

Michael A. L. Podeschwa, Oliver Plettenburg and Hans-Josef Altenbach

Syntheses of target compounds *via* azido/diazido-conduritol B derivatives (easily available in both enantiomeric forms) are described.

1930 1937

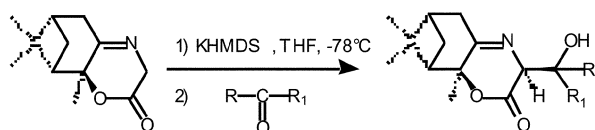


### Intramolecular acylative ring-switching reactions of 3-(tetrahydro-2'-furyl)propanoic acid derivatives to give butanolides: mechanism and scope

David H. Grayson, Úna McCarthy and Edwin D. Roycroft

Butanolides are produced *via* intramolecular rearrangement of acylium species derived from 3-(tetrahydro-2'-furyl)propanoic acids.

1938 1942

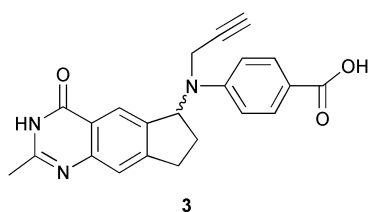


### Optically pure $\beta$ -substituted $\beta$ -hydroxy aspartates as glutamate transporter blockers

Johny Wehbe, Tarek Kassem, Valérie Rolland, Marc Rolland, Mohamad Tabcheh, Marie-Louise Roumestant and Jean Martinez

A short asymmetric synthesis of optically pure  $\beta$ -substituted  $\beta$ -hydroxy aspartates is described. The key step is an aldol reaction between a glycine enolate derived from an oxazinone intermediate used as chiral auxiliary and various  $\alpha$ -keto esters.

1943 1946

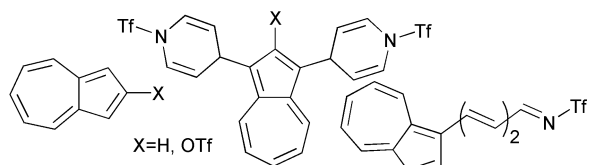


### Antifolate chemistry: synthesis of 4- $\{N$ -[(6*RS*)-2-methyl-4-oxo-3,4,7,8-tetrahydro-6*H*-cyclopenta[*g*]quinazolin-6-yl]-*N*-(prop-2-ynyl)amino}benzoic acid *via* a (propargyl)Co<sub>2</sub>(CO)<sub>6</sub><sup>+</sup> complex

Vassilios Bavetsias, Rainer Clauss and Elisa A. Henderson

A new route to compound **3** is reported which includes the use of the (propargyl)Co<sub>2</sub>(CO)<sub>6</sub><sup>+</sup> complex for the introduction of the propargyl group.

1947 1952

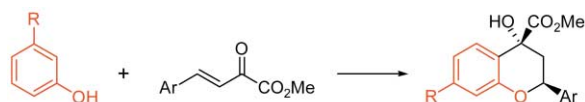


### Reaction of azulenes with 1-trifluoromethanesulfonylpyridinium trifluoromethanesulfonate (TPT) and synthesis of the parent azulene

Shunji Ito, Ryuji Yokoyama, Tetsuo Okujima, Tomomi Terazono, Takahiro Kubo, Akio Tajiri, Masataka Watanabe and Noboru Morita

Reaction of azulenes with 1-trifluoromethanesulfonylpyridinium trifluoromethanesulfonate (TPT) and synthesis of the parent azulene are described.

1953 1958

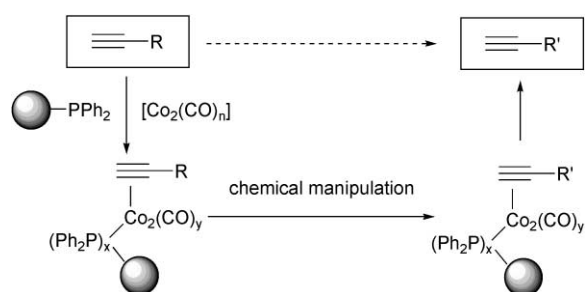


### Formation of optically active chromanes by catalytic asymmetric tandem oxa-Michael addition–Friedel–Crafts alkylation reactions

Hester L. van Lingen, Wei Zhuang, Tore Hansen, Floris P. J. T. Rutjes and Karl Anker Jørgensen

A catalytic tandem reaction, which provides facile and efficient access to optically active functionalised chromanes, proceeds under the influence of bisoxazoline-based catalysts to give diastereomerically pure products in enantioselectivities up to 81% and excellent yields.

1959 1968

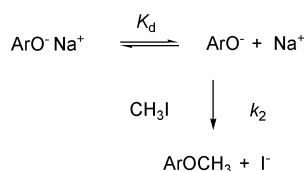


### The application of polymer-bound carbonylcobalt(0) species in linker chemistry and catalysis

Alex C. Comely, Susan E. Gibson, Neil J. Hales, Craig Johnstone and Andrea Stevenazzi

Carbonylcobalt(0) species have been used as linkers between alkynes and a polymer support. The cobalt coated polymers produced during this study were shown to catalyse the Pauson–Khand reaction.

1969 1971

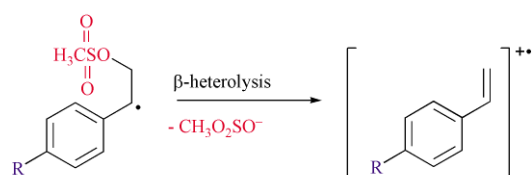


### Reinterpretation of the kinetic data and the non-steady state hypothesis (two-step mechanism) for the S<sub>N</sub>2 reaction between *p*-nitrophenoxide and methyl iodide in aprotic solvents containing water

Eduardo Humeres and T. William Bentley

A recently-proposed two-step S<sub>N</sub>2 mechanism is reinterpreted either by preferential reaction *via* free anions and/or by base-quenching side reactions.

1972 1979



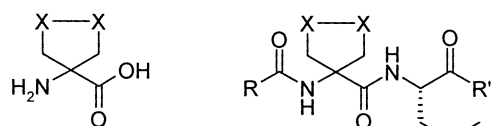
R = Cl, H, F, CH<sub>3</sub>, and OCH<sub>3</sub>

### Substituent effects on the ionization reaction of β-mesylate phenethyl radicals

Sandy F. Lancelot, Frances L. Cozens and Norman P. Schepp

Substituent and solvent effects are observed in the ionization of mesylate from β-substituted aryloethyl radicals.

1980 1988



X = S, Adt  
X = CH<sub>2</sub>, Ac<sub>5</sub>c

X = S (a); CH<sub>2</sub> (b)

1a,b R = OBut; R' = OMe  
2a,b R = H; R' = OMe  
3a,b R = OBut; R' = Ala-OMe

### Peptide backbone folding induced by the C<sup>α</sup>-tetrasubstituted cyclic α-amino acids 4-amino-1,2-dithiolane-4-carboxylic acid (Adt) and 1-aminocyclopentane-1-carboxylic acid (Ac<sub>5</sub>c). A joint computational and experimental study

Massimiliano Aschi, Gino Lucente, Fernando Mazza, Adriano Mollica, Enrico Morera, Marianna Nalli and Mario Pagliarunga Paradisi

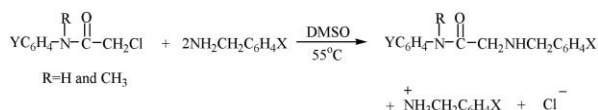
Oligopeptide models have been studied, through application of computational and experimental methodologies, in order to determine the properties of the Ac<sub>5</sub>c and Adt residues as γ-turn inducers.



1989 1994

**Nucleophilic substitution reactions of  $\alpha$ -chloroacetanilides with benzylamines in dimethyl sulfoxide**

Ki Sun Lee, Keshab Kumar Adhikary, Hai Whang Lee, Bon-Su Lee and Ikchoon Lee

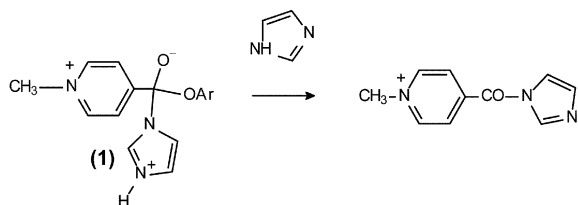
Consideration of Brønsted  $\beta_X$  values and cross-interaction constants,  $\rho_{XY}$ .

1995 2000

**Reaction of imidazole with toluene-4-sulfonate salts of substituted phenyl *N*-methylpyridinium-4-carboxylate esters: special base catalysis by imidazole**

Matthew J. Colthurst and Andrew Williams

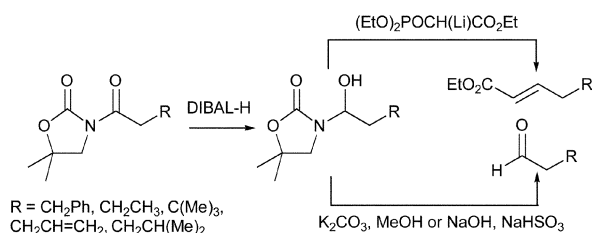
General bases do not catalyse the imidazolysis reaction thus excluding the mechanism analogous to that for aminolysis of esters by primary or secondary amines.



2001 2010

***N*-Acyl-5,5-dimethyloxazolidin-2-ones as latent aldehyde equivalents**

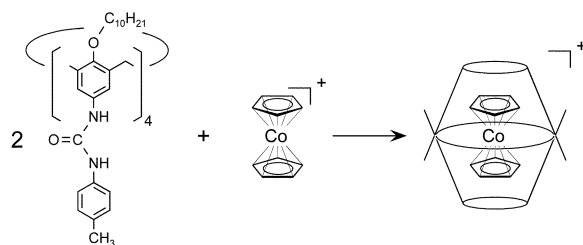
Jordi Bach, Cécile Blachère, Steven D. Bull, Stephen G. Davies, Rebecca L. Nicholson, Paul D. Price, Hitesh J. Sanganeer and Andrew D. Smith

DIBAL-H reduction of *N*-acyl-5,5-dimethyloxazolidinones generates stable, tetrahedral carbinol species which may be fragmented upon treatment with base to the aldehyde, or with a lithiated phosphonate reagent to the  $\alpha,\beta$ -unsaturated ester.

2011 2014

**Compensation of steric demand by cation- $\pi$  interactions, cobaltocenium cations as guests in tetraurea calix[4]arene dimers**

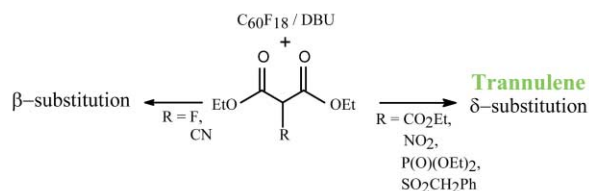
Limor Frish, Myroslav O. Vysotsky, Volker Böhmer and Yoram Cohen

Tetraurea calix[4]arene dimers encapsulate a cobaltocenium cation with much higher affinity than ferrocene demonstrating the relative importance of cation- $\pi$  interactions in such systems.

2015 2023

**Synthesis of 18 $\pi$  annulenic fluorofullerenes from tertiary carbanions: size matters!**

Glenn A. Burley, Anthony G. Avent, Olga V. Boltalina, Thomas Drewello, Ilya V. Goldt, Massimo Marcaccio, Francesco Paolucci, Demis Paolucci, Joan M. Street and Roger Taylor

A range of tertiary carbanions have been treated with C<sub>60</sub>F<sub>18</sub> to assess the effect of steric bulk on the position of nucleophilic substitution.

## COPIES OF CITED ARTICLES

The Library and Information Centre (LIC) of the RSC offers a first class Document Delivery Service for items in Chemistry and related subjects. Contact the LIC, The Royal Society of Chemistry, Burlington House, Piccadilly, London W1V 0BN, UK.

This service is only available from the LIC in London and not the RSC in Cambridge.

## ADVANCED CONTENTS LISTS

Contents lists in advance of publication are available on the web via [www.rsc.org/obc](http://www.rsc.org/obc) – or take advantage of our free e-mail alerting service ([www.rsc.org/ej\\_alert](http://www.rsc.org/ej_alert)) to receive notification each time a new list becomes available.

## ADVANCE ARTICLES AND ELECTRONIC JOURNAL

Free site-wide access to Advance Articles and the electronic form of this journal is provided with a full-rate institutional subscription. See [www.rsc.org/ejs](http://www.rsc.org/ejs) for more information.

\* Indicates the author for correspondence: see article for details.



Electronic supplementary information is available on <http://www.rsc.org/esi>: see article for further information.

### RSC Journals Grants for International Authors

Applications are invited from RSC journal authors wishing to receive funding from the RSC Journals Grants for International Authors scheme to visit laboratories outside their normal country of residence for one or both of the following objectives: to collaborate in research; to give or receive special expertise or training.

There are no restrictions on the countries between which visits may be made, but a significant proportion of these grants will be for visits to the UK and other European Union countries. Applicants should have a recent record of publishing in RSC journals. A grant will not exceed £2000.

Applications will be assessed by a panel chaired by the President of the RSC.

For the full criteria for applications and an application form, please see [www.rsc.org/jgrant](http://www.rsc.org/jgrant) or contact: Dr Adrian P Kybett, Journals Grants for International Authors, Royal Society of Chemistry, Thomas Graham House, Science Park, Milton Road, Cambridge, UK CB4 0WF; e-mail [jga@rsc.org](mailto:jga@rsc.org)

RSC Members may also apply for Jones Travelling Fellowships to make overseas laboratory study visits. For further information and an application form, contact: Mr S Langer, Royal Society of Chemistry, Burlington House, Piccadilly, London W1V 0BN; e-mail [langers@rsc.org](mailto:langers@rsc.org); [www.rsc.org/lap/funding/fundpostdoc.htm](http://www.rsc.org/lap/funding/fundpostdoc.htm)