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Cover

#### Incorporating Acta Chemica Scandinavica



See Shinichi Kodato, Joannes T. M. Linders, Xiao-Hui Gu, Koichiro Yamada, Judith L. Flippen-Anderson,

Jeffrey R. Deschamps, Arthur E. Jacobson and Kenner C. Rice, page 330. The structure of morphine (on the left) and a 5-phenylmorphan conformationally restrained with an oxide bridge like that in morphine adorns the robust specimens of the opium poppy (*Papaver somiferum*) in full bloom. A freshly lanced opium poppy seed capsule at the lower right exudes sap that will harden overnight to opium containing 10–15% morphine. The conformationally restrained 5-phenylmorphan structures serve as probes to detect the opioid receptor active conformation of the unbridged parent that shows an intriguing pharmacological profile. Cover Art by Mark S. Pinkston, Compuvisions, Inc.



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#### EMERGING AREA

### Nucleic acid nanotechnology—towards Ångström-scale engineering

Jesper Wengel

Nucleic acids and analogues are promising building blocks for reliable self-assembly of nanometer-sized two- or three-dimensional materials. In order to mimic nature with respect to size and function, Ångström-scale chemical engineering is emerging as pivotal for future developments.

#### COMMUNICATIONS

### Synthesis and bio-assay of RCM-derived Bowman-Birk inhibitor analogues

Steven M. Miles, Robin J. Leatherbarrow, Stephen P. Marsden and William J. Coates

Solid phase microwave-assisted ring closing metathesis gave potent macrocyclic chymotrypsin inhibitors, analogues of disulfide-cyclised Bowman–Birk inhibitor peptides.

Chemical Science



solvent free

120°C, 5 min

ArE

FAr

290

96-99%

288

### COMMUNICATIONS

### Palladium-catalyzed addition of disulfides and diselenides to alkynes under solvent free conditions

Valentine P. Ananikov and Irina P. Beletskaya

Highly efficient and selective E-E bond addition to alkynes (E = S, Se) was achieved by palladium-catalyzed reaction under solvent free conditions.

#### Substrate-assisted antibody catalysis

Shixian Deng, Narine Bharat, Paloma de Prada and Donald W. Landry

We have successfully implemented a new strategy in transition-state analog design applicable to substrates with potentially catalytic, conformationally accessible, functional groups.



#### ARTICLES

#### Metallation of pyridines and quinolines in the presence of a remote carboxylate group. New syntheses of heterocyclic quinones

Anne-Sophie Rebstock, Florence Mongin, François Trécourt and Guy Quéguiner

Syntheses of heterocyclic quinones are reported *via* a metallation-*in situ* cyclization sequence.

#### Directed, selective insertion of single molecules into patterned self-assembled monolayers of alkanethiols with different chain lengths

Xue-Mei Li, Tommaso Auletta, Frank C. J. M. van Veggel, Jurriaan Huskens and David N. Reinhoudt

Insertion of individually addressable dendritic wedges into self-assembled monolayers patterned by microcontact printing is directed exclusively to short-chain thiol areas.

#### Phosphorus–nitrogen–phosphorus ligands: cooperative effects between nitrogen and phosphorus substituents on catalytic activity

Sébastien L. Parisel, Neil D. Moorcroft, Anny Jutand, David J. Aldous and King Kuok (Mimi) Hii

A new generation of PNP compounds bearing different diarylphosphine groups were prepared and used as ligands in palladium-catalysed Suzuki cross-coupling reactions.



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 $Ar = o-MeOC_6H_4, d$  $Ar = p-Me_2NC_6H_4, e$ 



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### ARTICLES

High affinity DNAzyme-based ligands for transition metal cations – a prototype sensor for Hg<sup>2+</sup>

Jason M. Thomas, Richard Ting and David M. Perrin

A survey of the effects of various transition metals on the intramolecular cleavage rate of an imidazole modified,  $M^{2+}$ -independent, self-cleaving "9<sub>25</sub>–11" DNA is reported.

### Effects of an 8-bromodeoxyguanosine incorporation on the parallel quadruplex structure [d(TGGGT)]<sub>4</sub>

Veronica Esposito, Antonio Randazzo, Gennaro Piccialli, Luigi Petraccone, Concetta Giancola and Luciano Mayol

Structural study of three quadruplexes  $[d(TG^{Br}GGT)]_4$ ,  $[d(TGG^{Br}GT)]_4$  and  $[d(TGGG^{Br}T)]_4$ , where  $G^{Br}$  indicates an 8-bromodeoxyguanosine residue.

# Design and synthesis of multi-component $18\pi$ annulenic fluorofullerene ensembles suitable for donor-acceptor applications

Glenn A. Burley, Anthony G. Avent, Ilya V. Gol'dt, Peter B. Hitchcock, Hamad Al-Matar, Demis Paolucci, Francesco Paolucci, Patrick W. Fowler, Alessandro Soncini, Joan M. Street and Roger Taylor

A series of fullerene trannulenes (all-*trans* annulenes) have been prepared by reaction of  $C_{60}F_{18}$  with methanetricarboxylate esters that incorporate a range of photoactive functions.

#### Synthesis of *rac*-(1*R*,4a*R*,9a*R*)-2-methyl-1,3,4,9atetrahydro-2*H*-1,4a-propanobenzofuro[2,3-*c*]pyridin-6-ol. An unusual double rearrangement leading to the *ortho*- and *para*-f oxide-bridged phenylmorphan isomers

Shinichi Kodato, Joannes T. M. Linders, Xiao-Hui Gu, Koichiro Yamada, Judith L. Flippen-Anderson, Jeffrey R. Deschamps, Arthur E. Jacobson and Kenner C. Rice

The X-ray crystallographic structure analysis of the *para*-f oxide-bridged phenylmorphan **10** (and the *ortho*-isomer **9**) provides essential input for eventual SAR correlation.

### Cyclodextrin complexation of a stilbene and the self-assembly of a simple molecular device

Julia S. Lock, Bruce L. May, Philip Clements, Stephen F. Lincoln and Christopher J. Easton

Controlled isomerism of a stilbene complexed in urea-linked  $\alpha$ - and  $\beta$ -cyclodextrin facilitates the reversible complexation of 4-methylbenzene derivatives.

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#### ARTICLES

#### Prodrugs of HIV protease inhibitors—saquinavir, indinavir and nelfinavir—derived from diglycerides or amino acids: synthesis, stability and anti-HIV activity

Bérangère Gaucher, Marielle Rouquayrol, Dominique Roche, Jacques Greiner, Anne-Marie Aubertin and Pierre Vierling

In attempt to improve the HIV-PI pharmacological properties, the synthesis, stability and anti-HIV activity of PI-prodrugs was investigated; the amino acid-conjugates appeared to be the most promising.

### Evidence for gas-phase redox chemistry inducing novel fragmentation in a complex natural product

Norberto P. Lopes, Christian B. W. Stark, James Staunton and Paul J. Gates

The mechanisms of this new chemistry is proposed along with a simple application to identify the site of alteration between monensin A and monensin B – not possible under normal conditions.

#### Asymmetric synthesis of the stereoisomers of 2-amino-5-carboxymethyl-cyclopentane-1-carboxylate

Julio G. Urones, Narciso M. Garrido, David Díez, Mohamed M. El Hammoumi, Sara H. Dominguez, J. Antonio Casaseca, Stephen G. Davies and Andrew D. Smith

The stereoisomers of 2-amino-5-carboxymethyl-cyclopentane-1-carboxylate may be prepared stereoselectively from diester derivatives of (E, E)-octa-2,6-diendioc acid, with the key step utilising the conjugate addition of homochiral lithium *N*-benzyl-*N*- $\alpha$ -methylbenzylamide.

## A two-directional synthesis of the $C_{58}$ - $C_{71}$ fragment of palytoxin

Robert Hodgson and Adam Nelson

A two-directional synthetic strategy has been applied in the synthesis of a protected version of the  $C_{58}$ – $C_{71}$  fragment of palytoxin. This fragment is almost  $C_2$ -symmetrical, and this hidden symmetry was exploited in its synthesis.

### Factors influencing solvent adduct formation by calixarenes in the solid state

Zouhair Asfari, Alexander Bilyk, Cameron Bond, Jack M. Harrowfield, George A. Koutsantonis, Nigel Lengkeek, Mauro Mocerino, Brian W. Skelton, Alexandre N. Sobolev, Simon Strano, Jacques Vicens and Allan H. White

New examples of solvent adduction in crystalline calix[4]arene derivatives extend from cavity inclusion of water by a cationic Ru species (figure) to lattice clathration of toluene.

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1, R = R<sup>1</sup> = R<sup>2</sup> = R<sup>3</sup> = H 2, R = SO<sub>3</sub>Na, R<sup>1</sup> = R<sup>2</sup> = R<sup>3</sup> = H 3, R = R<sup>2</sup> = R<sup>3</sup> = H, R<sup>1</sup> = SO<sub>3</sub>Na 4, R = R<sup>1</sup> = R<sup>3</sup> = H, R<sup>2</sup> = SO<sub>3</sub>Na 5, R = R<sup>1</sup> = R<sup>2</sup> = H, R<sup>3</sup> = SO<sub>3</sub>Na 6, R = R<sup>2</sup> = SO<sub>3</sub>Na, R<sup>1</sup> = R<sup>3</sup> = H



### ARTICLES

## $\label{eq:seudo-C_3-symmetric trisoxazolines as ligands in copper catalyzed enantioselective Diels-Alder reaction$

Jian Zhou and Yong Tang

Chiral trisoxazolines  $4-6/Cu(ClO_4)_2 \cdot 6H_2O$  catalyse the Diels–Alder reaction of cyclopentadiene with acryloyl-2-oxazolidinones or ketoesters in air with up to 82% ee.

# Synthesis of various sulfoforms of the trisaccharide $\beta$ -D-GlcpA-(1 $\rightarrow$ 3)- $\beta$ -D-Galp-(1 $\rightarrow$ 3)- $\beta$ -D-Galp-(1 $\rightarrow$ OMP) as probes for the study of the biosynthesis and sorting of proteoglycans

Bertrand Thollas and Jean-Claude Jacquinet

An efficient preparation of trisaccharide 1 and its sulfoforms 2–6, useful probes for the study of the biosynthesis of proteoglycans, is described.

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