

INDEXED IN MEDLINE

Cover

Incorporating Acta Chemica Scandinavica



See Oliver A. Kent and Andrew M. MacMillan, pp. 1957–1961. Short double-stranded RNA induces potent and specific suppression of gene expression in eukaryotic organisms.

Image reproduced by permission of Andrew M. MacMillan. © Andrew M. MacMillan



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







ii





The first aminoacylase-catalyzed enantioselective synthesis of aromatic β-amino acids

Harald Gröger, Harald Trauthwein, Stefan Buchholz, Karlheinz Drauz, Christiane Sacherer, Sylvie Godfrin and Helge Werner

For the first time *N*-acetylated β -amino acids are resolved enzymatically very efficiently by porcine kidney acylase taking chloroacetyl as leaving group.

ARTICLES

Novel polymer-supported coupling/dehydrating reagents for use in organic synthesis

Kathryn E. Fairfull-Smith (née Elson), Ian D. Jenkins and Wendy A. Loughlin

Reagents 3 and 4 are useful for the synthesis of amides, esters, ethers, peptides, nitriles, epoxides, anhydrides, azides and

Enzymatic synthesis of complex glycosaminotrioses and study of their molecular recognition by hevein domains

Nuria Aboitiz, F. Javier Cañada, Lucie Hušáková, Marek Kuzma, Vladimír Křen and Jesús Jiménez-Barbero

Novel chitotriose analogues, with ManNAc and GalNAc at the reducing and non-reducing ends, respectively, are recognized by hevein domains in a similar manner to the natural compounds.

Preparation of enantiopure biimidazoline ligands and their use in asymmetric catalysis

Nicola A. Boland, Mike Casey, Stephen J. Hynes, Jonathan W. Matthews, Helge Müller-Bunz and Philippa Wilkes

The greater donor strength of biimidazolines may be an advantage over bioxazoline ligands in some catalytic reactions.

Studies towards the total synthesis of batzelladine A

Mark C. Elliott and Matthew S. Long

Synthesis of the left-hand side of the anti-HIV natural product batzelladine A is presented, using a three-component coupling as the key step.



NH2 HCO2

NH

EtO2C

OTBS

NH

EtO₂C

SiNCS)4

OHC CH3



Substrate **15**; $R_1=R_4=R_5=H$, $R_2=R_3=R_6=Me$ Substrate **16**; $R_2=R_3=R_5=H$, $R_1=R_4=R_6=Me$ Substrate **17**; $R_2=R_3=R_6=H$, $R_1=R_4=R_5=Me$

Q

path *a:* cyclization; path *b*: no reaction

path a:cyclization, but low yied; path b, no reaction No reaction



2028 2039



or

ARTICLES

Squalene–hopene cyclase: insight into the role of the methyl group on the squalene backbone upon the polycyclization cascade. Enzymatic cyclization products of squalene analogs lacking a 26-methyl group and possessing a methyl group at C(7) or C(11)

Shin-ichi Nakano, Shumi Ohashi and Tsutomu Hoshino

Insights are provided into the polycyclization reaction of squalene; some analogs were synthesized and incubated with the cell-free homogenates of the recombinant *Escherichia coli* encoding the wild-type squalene cyclase.

O-Protected 3-hydroxy-oxazolidin-2,4-diones: novel precursors in the synthesis of α-hydroxyhydroxamic acids

Thomas Kurz and Khalid Widyan

A novel strategy for the synthesis of α -hydroxyhydroxamic acids from *O*-protected 3-hydroxy-oxazolidin-2,4-diones.

Synthesis and biological evaluation of new cross-conjugated dienone marine prostanoid analogues

Cyrille Kuhn, Emmanuel Roulland, Jean-Claude Madelmont, Claude Monneret and Jean-Claude Florent

The synthesis of a series of brominated cross-conjugated dienone, marine prostanoid analogues, was considered using two cyclopentannelation processes.

Rate and product studies with dimethyl phosphorochloridate and phosphorochloridothionate under solvolytic conditions

Dennis N. Kevill and Jeffrey S. Carver

The specific rates of solvolysis of dimethyl phosphorochloridate and of dimethyl phosphorochloridothionate are very well correlated using the extended Grunwald–Winstein equation. It is concluded that the chloride and chloridothionate solvolyses follow a concerted displacement mechanism.



2040

(MeO)₂P-OH

2043



ROH/H₂O (MeO)₂P−OR +

Mimicking enzymatic transaminations: attempts to understand and develop a catalytic asymmetric approach to chiral α-amino acids

Stephan Bachmann, Kristian Rahbek Knudsen and Karl Anker Jørgensen

Chiral Lewis acids can mediate the asymmetric transamination of α -keto esters using primary amines as the nitrogen source.

iv



A chemoenzymatic total synthesis of the phytotoxic undecenolide (-)-cladospolide A

Martin G. Banwell and David T. J. Loong

The enzymatically-derived and enantiomerically pure synthons shown on the left have been exploited in an efficient assembly of the title undecenolide.

New approaches to the industrial synthesis of HIV protease inhibitors

Yutaka Honda, Satoshi Katayama, Mitsuhiko Kojima, Takayuki Suzuki, Naomi Kishibata and Kunisuke Izawa

A synthetic route in which an (S)-tetrahydrofuranyloxy carbonyl is attached to L-phenylalanine appears to be the most promising manufacturing process.

Expected and unexpected results from combined β-hairpin design elements

Muthu Dhanasekaran, Om Prakash, Yu Xi Gong and Paul W. Baures

A combined D-ProGly β -turn, Trp–zip interaction, and Glu–Lys cross strand interaction stabilize a β -hairpin with a β -strand Gly.

Rate constants for hydrogen abstraction from alkoxides by a perfluoroalkyl radical. An oxyanion accelerated process

Joseph A. Cradlebaugh, Li Zhang, G. Robert Shelton, Grzegorz Litwinienko, Bruce E. Smart, Keith U. Ingold and William R. Dolbier, Jr.

H-abstraction rate constants of alkoxides by a fluorinated radical are 100 to 1000 times greater than those of the respective alcohols.

Large primary kinetic isotope effects in the abstraction of hydrogen from organic compounds by a fluorinated radical in water

Joseph A. Cradlebaugh, Li Zhang, A. B. Shtarev, Bruce E. Smart and William R. Dolbier, Jr.

Both primary and secondary deuterium isotope effects have been measured for H-abstraction from some water soluble substrates by a fluorinated radical.





(+)-Kalkitoxin









ARTICLES

Total synthesis and biological evaluation of (+)-kalkitoxin, a cytotoxic metabolite of the cyanobacterium *Lyngbya majuscula*

James D. White, Qing Xu, Chang-Sun Lee and Frederick A. Valeriote

An asymmetric tandem conjugate addition–enolate alkylation leads to the *anti*,*anti*-1,2,4-trimethyl array of (+)-kalkitoxin whose cytotoxicity (IC_{50} 10⁻³ µg mL⁻¹) is compared to that of two precursors lacking the thiazoline moiety.

The synthesis and properties of oligoribonucleotide-spermine conjugates

Andrew J. Marsh, David M. Williams and Jane A. Grasby

The chemical synthesis and properties of modified hairpin ribozymes containing C5-spermine-functionalised uridines (in red) are described.

Synthesis and cholera toxin binding properties of multivalent GM1 mimics

Daniela Arosio, Ioannis Vrasidas, Paola Valentini, Rob M. J. Liskamp, Roland J. Pieters and Anna Bernardi

Multivalent versions of a GM1 mimic showed greatly enhanced binding to cholera toxin B subunit (CTB).

Stereochemical variations on the colchicine motif. Peracid oxidation of thiocolchicone. Synthesis, conformation and inhibition of microtubule assembly

Ulf Berg, Håkan Bladh and Konstantinos Mpampos

Peracid oxidation of thiocolchicone produced five different colchicine analogs, which were studied with respect to conformation and inhibition of microtubule assembly.

Peribysins A–D, potent cell-adhesion inhibitors from a sea hare-derived culture of *Periconia* species

Takeshi Yamada, Masashi Iritani, Katsuhiko Minoura, Kenzo Kawai and Atsushi Numata

Peribysin A–D 1–4, including a new type of furanofuran, have been produced by a strain of *Periconia byssoidess* from an *Aplysia* sea hare. All these metabolites potently inhibited the adhesion of human-leukemia HL-60 cells to HUVEC.

vi



ADDITIONS AND CORRECTIONS

Stefania Mazzini, Maria Cristina Bellucci, Sabrina Dallavalle, Franca Fraternali and Rosanna Mondelli Mode of binding of camptothecins to double helix oligonucleotides



CONFERENCE DIARY

Dates, venues and contact details of forthcoming events.

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; Tel: +44 (0) 20 7437 8656; Fax: +44 (0) 20 7287 9798; E-mail: library@rsc.org

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

FREE E-MAIL ALERTS

Contents lists in advance of publication are available on the web via www.rsc.org/obc – or take advantage of our free e-mail alerting service (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 for more information.

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



Electronic supplementary information (ESI) is available *via* the online article (see http://www.rsc.org/esi for general information about ESI)