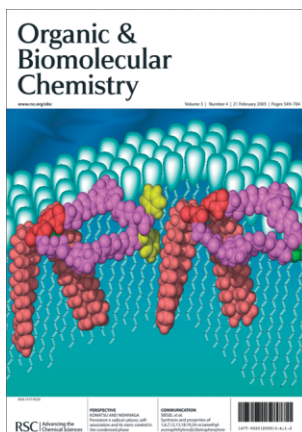


In this issue...

Harnessing UV for cancer therapy
Synthesis and photochemical properties
of some photoactivated antitumour
prodrugs releasing 5-fluorouracil.
See Zhang *et al.* page 592.



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Cover

See Robert Pajewski, Riccardo Ferdani, Jolanta Pajewska, Natasha Djedovič, Paul H. Schlesinger and George W. Gokel, pp. 619–625

Amphiphilic heptapeptides known to form chloride ion channels were linked at either the C- or N-termini to form chloride anion transporters that were more than twice as active as the monomers, supporting a dimer mechanism for pore formation.

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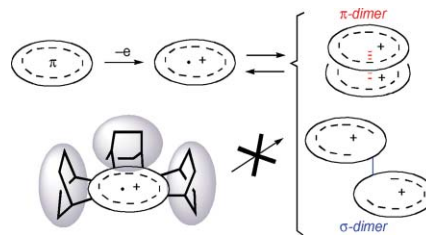
PERSPECTIVE

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Persistent π radical cations: self-association and its steric control in the condensed phase

Tohru Nishinaga and Koichi Komatsu*

The structural and electronic factors causing persistent π radical cations to undergo self-association, forming σ - and π -dimers, are summarized. This dimerization, observed in many stable π radical cations, can be suppressed by structural modification with bicyclo[2.2.2]octene frameworks.



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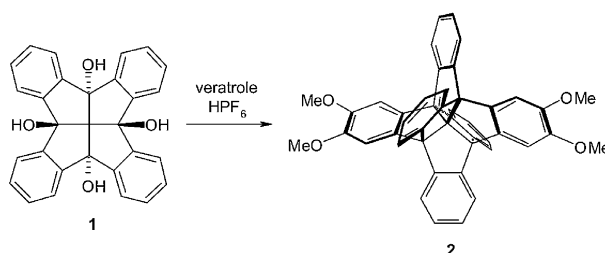
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Methoxy-substituted centrohexaindanes through the fenestrane route

Jörg Tellenbröcker, Dieter Barth, Beate Neumann, Hans-Georg Stammer and Dietmar Kuck*

Fenestrindanetetrol **1** is easily converted to methoxy-substituted centrohexaindanes, *e.g.*, tetramethoxycentrohexaindane **2**, which has been characterized by X-ray single crystal structure analysis.



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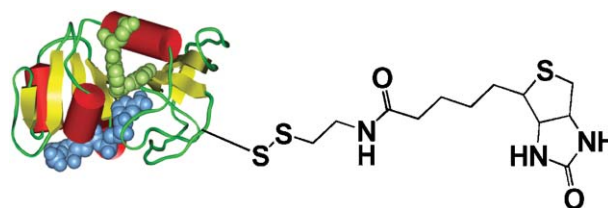
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Synthesis of homogenous site-selectively glycosylated proteins

Richard S. Swanwick, Alison M. Daines, Sabine L. Flitsch and Rudolf K. Allemann*

An efficient synthesis of glycosylated proteins is described.

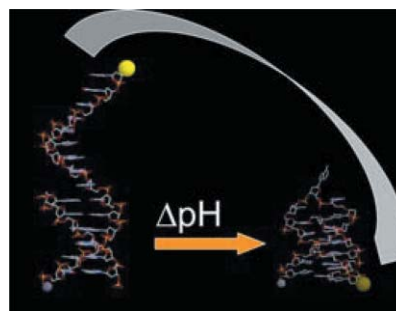


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The dynamic properties of an intramolecular transition from DNA duplex to cytosine–thymine motif triplex

Marco Brucale, Giampaolo Zuccheri* and Bruno Samori

We report the repeated formation and breakdown of an intramolecular cytosine–thymine DNA triple-helix, occurring independently of its local concentration; an ideal candidate to power simple nanometer-scale devices.

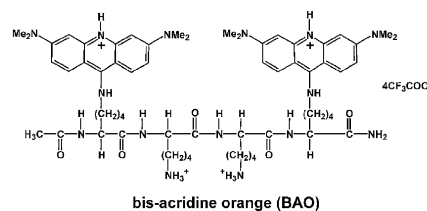


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Fluorescence enhancement of bis-acridine orange peptide, BAO, upon binding to double stranded DNA

Keiji Mizuki, Yutaka Sakakibara, Hiroyuki Ueyama, Takahiko Nojima, Michinori Waki and Shigeori Takenaka*

Newly synthesized bis-acridine orange showed a *ca.* 200-fold fluorescence enhancement upon addition of double stranded DNA, regardless of its base sequence.

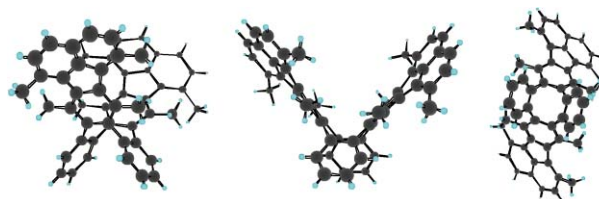


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Synthesis and properties of 1,6,7,12,13,18,19,24-octamethylacenaphthylene[*b*,*l*]tetraphenylene

Eric L. Elliott, Akihiro Orita, Daiki Hasegawa, Peter Gantzel, Junzo Otera and Jay S. Siegel*

1,6,7,12,13,18,19,24-octamethyldibenzo[*def*,*pqr*]tetraphenylene has been synthesized. The X-ray crystal structure reveals a saddle-shaped, C_2 symmetric molecule with a severely twisted surface.



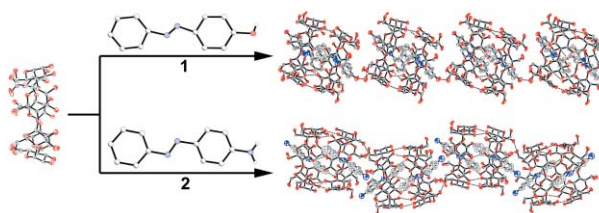
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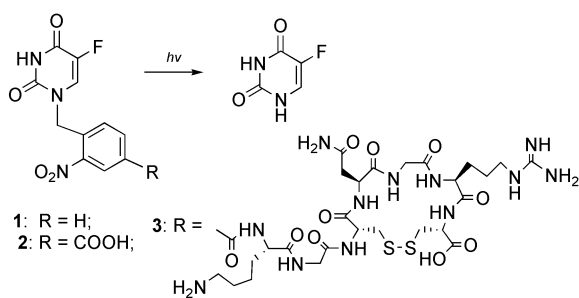
Assembly behavior of inclusion complexes of β -cyclodextrin with 4-hydroxyazobenzene and 4-aminoazobenzene

Yu Liu,* Yan-Li Zhao, Yong Chen and Dong-Sheng Guo

The difference of azobenzene's substituent groups in the inclusion complexes of β -cyclodextrin/4-hydroxyazobenzene and β -cyclodextrin/4-aminoazobenzene could lead to distinct crystal systems and binding modes.



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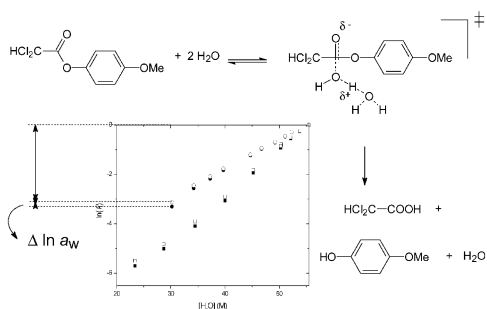


Synthesis and photochemical properties of photoactivated antitumor prodrugs releasing 5-fluorouracil

Zhouen Zhang, Hiroshi Hatta, Takeo Ito and Sei-ichi Nishimoto*

Novel 5-fluorouracil prodrugs were synthesized to investigate the efficiency and mechanism of 5-fluorouracil release upon 365 nm UV irradiation.

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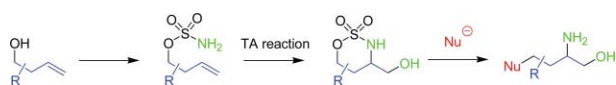


Kinetics of hydrolysis of 4-methoxyphenyl-2,2-dichloroethanoate in binary water–cosolvent mixtures; the role of solvent activity and solute–solute interactions

Theo Rispens, Celia Cabaleiro-Lago and Jan B. F. N. Engberts

Rate constants and isobaric activation parameters are reported for the pH-independent hydrolysis of 4-methoxyphenyl-2,2-dichloroethanoate in binary water–cosolvent mixtures.

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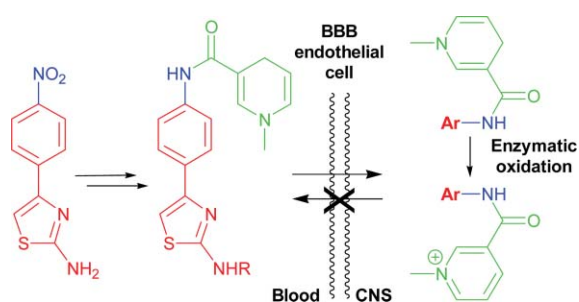


Tethered aminohydroxylation using acyclic homo-allylic sulfamate esters and sulfonamides as substrates

Martin N. Kenworthy* and Richard J. K. Taylor*

Homo-allylic sulfamate esters undergo tethered aminohydroxylation (TA) delivering cyclic sulfamidates which are then employed in nucleophilic ring-opening reactions.

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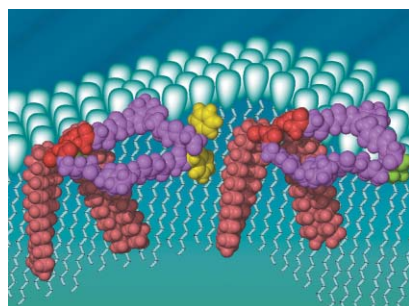


Substituted thiazolamide coupled to a redox delivery system: a new γ -secretase inhibitor with enhanced pharmacokinetic profile

Younes Laras, Gilles Quéléver, Cédrik Garino, Nicolas Pietrancosta, Mahmoud Sheha, Frédéric Bihel, Michael S. Wolfe and Jean-Louis Kraus*

We report the synthesis of a γ -secretase inhibitor bearing a Redox Chemical Delivery System (RCDS) which improved its distribution through the Blood Brain Barrier.

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Evidence for dimer formation by an amphiphilic heptapeptide that mediates chloride and carboxyfluorescein release from liposomes

Robert Pajewski, Riccardo Ferdani, Jolanta Pajewska, Natasha Djedović, Paul H. Schlesinger and George W. Gokel*

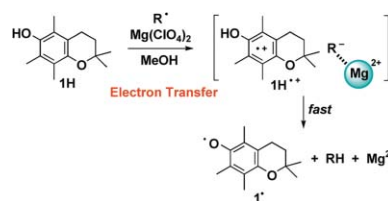
Covalent attachment of two amphiphilic peptides thought to function as dimers leads to more active chloride channels.

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Electron-transfer mechanism in radical-scavenging reactions by a vitamin E model in a protic medium

Ikuo Nakanishi,* Tomonori Kawashima, Kei Ohkubo, Hideko Kanazawa, Keiko Inami, Masataka Mochizuki, Kiyoshi Fukuhara, Haruhiro Okuda, Toshihiko Ozawa, Shinobu Itoh, Shunichi Fukuzumi* and Nobuo Ikota*

Scavenging reactions of radicals by a vitamin E model (**1H**) in methanol proceed *via* an electron transfer followed by a proton transfer, as distinct from the one-step hydrogen atom transfer observed in acetonitrile.

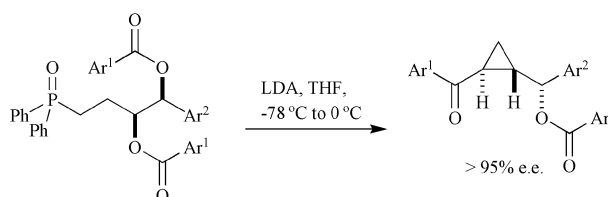


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Asymmetric cyclopropane synthesis *via* phosphine oxide mediated cascade reactions

Thomas Boesen, David J. Fox, Warren Galloway, Daniel Sejer Pedersen, Charles R. Tyzack and Stuart Warren*

A single-step stereospecific cascade cyclopropanation reaction eliminates stereochemical leakage found in an equivalent known two-step procedure.

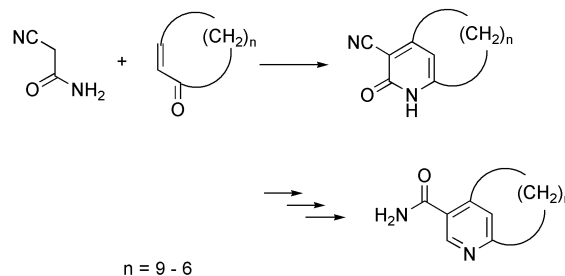


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Novel synthesis, static and dynamic properties, and structural characteristics of 5-cyano[*n*](2,4)pyridinophane-6-ones (*n* = 9–6) and their chemical transformations

Makoto Nitta,* Tsuyoshi Sakakida, Hideo Miyabara, Hiroyuki Yamamoto and Shin-ichi Naya

A synthesis of 5-cyano[*n*](2,4)pyridinophane-6-ones (*n* = 9–6) was performed, and their static and dynamic properties, structural characteristics, and chemical transformations have been studied.

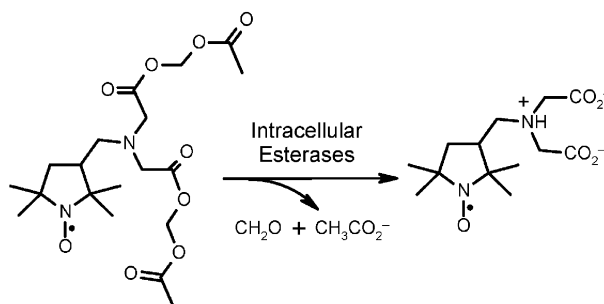


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Synthesis and biological testing of aminoxylys designed for long-term retention by living cells

Gerald M. Rosen, Scott R. Burks, Mark J. Kohr and Joseph P. Y. Kao*

Mixed-charge aminoxylys optimized for intracellular retention should permit cell tracking *in vivo* by electron paramagnetic resonance imaging (EPRI).

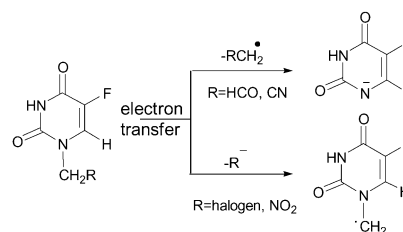


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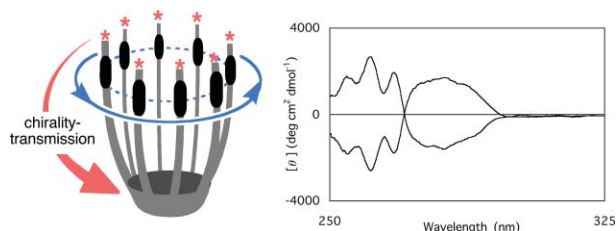
Density functional calculations on dissociation reactions of radical anions of 5-fluorouracil derivatives

Gabriela L. Borosky and Adriana B. Pierini*

We present a density functional study on the electron transfer promoted fragmentation of 5-fluorouracil derivatives, potential targets for the design of novel radiation-activated prodrugs.



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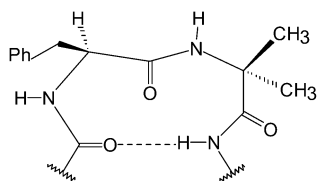


Preparation and unique circular dichroism phenomena of urea-functionalized self-folding resorcinarenes bearing chiral termini through asymmetric hydrogen-bonding belts

Osamu Hayashida,* Jun-ichi Ito, Shinji Matsumoto and Itaru Hamachi

A chirality transmission from the chiral urea termini to the macrocycles through hexyl spacers results in CD bands at 280 nm.

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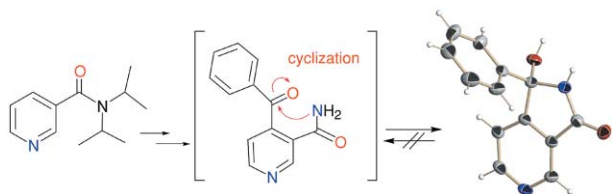


β -Turn mimic in tripeptide with Phe(1)-Aib(2) as corner residues and β -strand structure in an isomeric tripeptide: an X-ray diffraction study

Anita Dutt, Roland Fröhlich and Animesh Pramanik*

The tripeptide Boc-Phe-Aib-Leu-OMe (Aib = α -aminoisobutyric acid) adopts a type II β -turn structure in solid state, whereas the isomeric tripeptide Boc-Phe-Leu-Aib-OMe prefers a β -strand like conformation.

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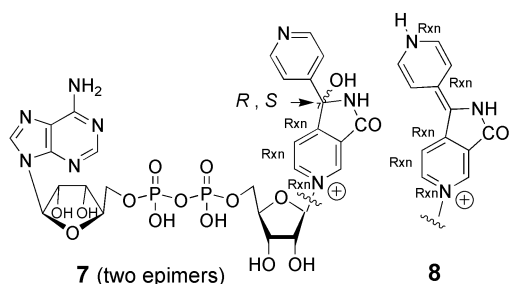


Studies on the 4-benzoylpyridine-3-carboxamide entity as a fragment model of the Isoniazid–NAD adduct

Sylvain Broussy, Vania Bernardes-Génisson, Heinz Gornitzka, Jean Bernadou* and Bernard Meunier

4-Benzoylpyridine-3-carboxamide exists in a cyclized hemiamidal structure, likely to be present in Isoniazid–NAD adducts proposed to be involved in the mechanism of action of the antituberculous drug Isoniazid.

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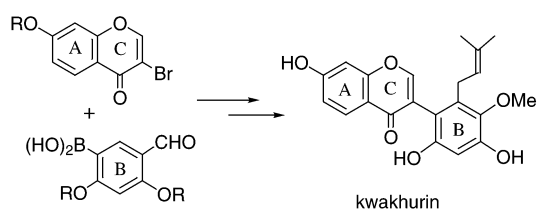


^1H and ^{13}C NMR characterization of pyridinium-type isoniazid–NAD adducts as possible inhibitors of InhA reductase of *Mycobacterium tuberculosis*

Sylvain Broussy, Vania Bernardes-Génisson, Yannick Coppel, Annaik Quémard, Jean Bernadou* and Bernard Meunier

It was shown that pyridinium-type isoniazid–NAD adducts can exist in solution as either two oxidized epimers, creating a chiral center at C7, or as a single dehydrated species.

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The first total synthesis of kwakhurin, a characteristic component of a rejuvenating plant, “kwao keur”: toward an efficient synthetic route to phytoestrogenic isoflavones

Fumihito Ito, Misako Iwasaki, Toshiko Watanabe,* Tsutomu Ishikawa* and Yoshihiro Higuchi

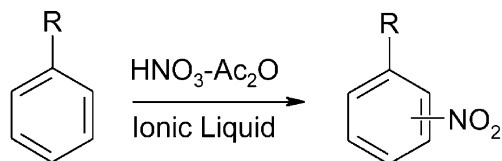
A convergent synthesis of kwakhurin, a characteristic estrogen-like isoflavone of *Pueraria mirifica* (Leguminosae), is described.

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 **Acetyl nitrate nitrations in [bmpy][N(Tf)₂] and [bmpy][OTf], and the recycling of ionic liquids**

Emilie Dal and N. Llewellyn Lancaster*

The use of acetyl nitrate in ionic liquids allows nitration of deactivated substrates, unlike the same reactions in dichloromethane.

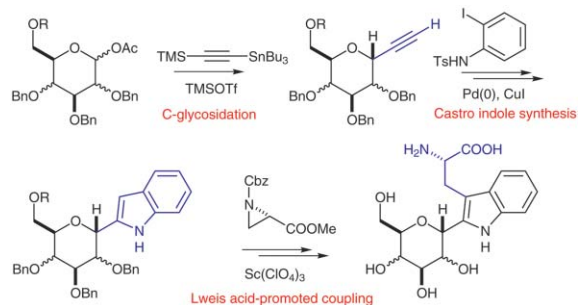


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Stereocontrolled syntheses of α -C-mannosyltryptophan and its analogues

Toshio Nishikawa,* Yuya Koide, Shigeo Kajii, Kyoko Wada, Miyuki Ishikawa and Minoru Isobe

C-Mannosyltryptophan and its glucose and galactose analogues were stereoselectively synthesized.



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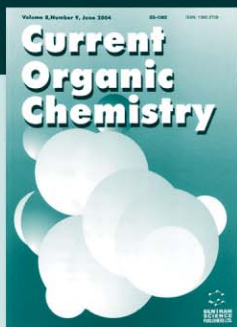
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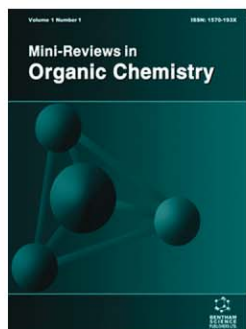
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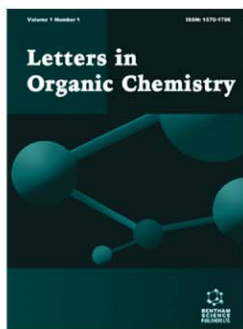
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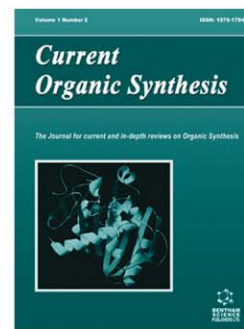
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Luke Hunter, Malcolm D. McLeod and Craig A. Hutton (DOI: 10.1039/b418876d)

Thermotropic liquid-crystalline peptide derivatives: oligo(glutamic acid)s forming hydrogen-bonded columns

Masayuki Nishii, Toru Matsuoka, Yuko Kamikawa and Takashi Kato (DOI: 10.1039/b416474a)

Unexpected *Z*-stereoselectivity in the Ramberg–Bäcklund reaction of diarylsulfones leading to *cis*-stilbenes: the effect of aryl substituents and application in the synthesis of the integrastatin nucleus

Jonathan S. Foot, Gerard M. P. Giblin, A. C. Whitwood and R. J. K. Taylor (DOI: 10.1039/b418426b)

Structure–activity relationships of galabioside derivatives as inhibitors of *E. coli* and *S. suis* adhesins: nanomolar inhibitors of *S. suis* adhesins

Jörgen Ohlssona, Andreas Larsson, Sauli Haatajac, Jenny Alajääski, Peter Stenlund, Jerome S. Pinker, Scott J. Hultgren, Jukka Finne, Jan Kihlberg and Ulf J Nilsson (DOI: 10.1039/b416878j)

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Christian Marcus Pedersen, Lavinia Georgeta Marinescu and Mikael Bols (DOI: 10.1039/b500037h)

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Maria Duca, Paola B. Arimondo, Stéphane Léonce, Alain Pierré, Bruno Pfeiffer, Claude Monneret and Daniel Dauzonne (DOI: 10.1039/b416862c)

Neutral cumulene oxide CCCCCO is accessible by one-electron oxidation of [CCCCO]⁻ in the gas phase

Mark Fitzgerald, Andrew M. McAnoy, John H. Bowie, Detlef Schröder and Helmut Schwarz (DOI: 10.1039/b417920j)

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