

Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry

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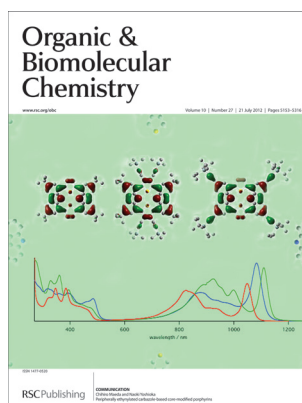
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Cover

See Takashi Takahashi *et al.*, pp. 5205–5211.

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Inside cover

See Chihiro Maeda and Naoki Yoshioka, pp. 5182–5185.

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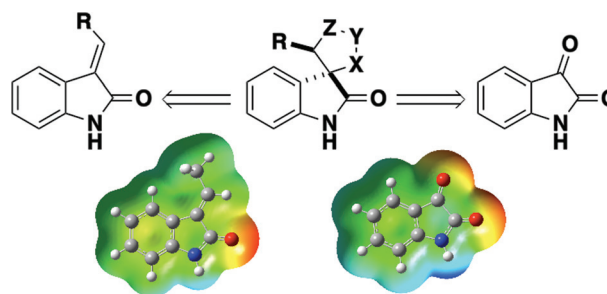
PERSPECTIVE

5165

Strategies for the enantioselective synthesis of spirooxindoles

Nicolas R. Ball-Jones, Joseph J. Badillo and Annaliese K. Franz*

This review features recent strategies for the enantioselective synthesis of spirocyclic oxindoles, focusing on reports from 2010 and 2011, while also highlighting pioneering examples from earlier work.



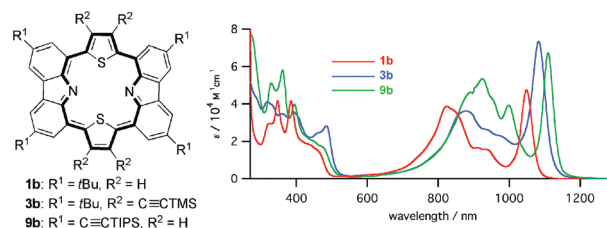
COMMUNICATIONS

5182

Peripherally ethynylated carbazole-based core-modified porphyrins

Chihiro Maeda* and Naoki Yoshioka

Peripherally ethynylated carbazole-based core-modified porphyrins were synthesized by sequential metal-catalyzed coupling and annulation reactions.



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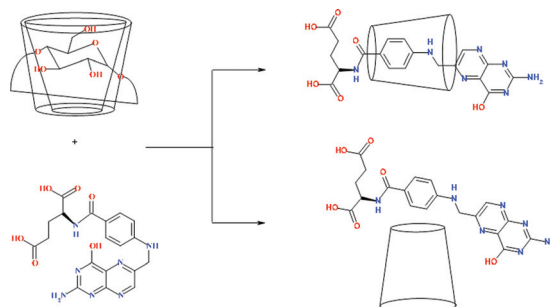
COMMUNICATIONS

5186

Structural diversity in native cyclodextrins/folic acid complexes – from [2]-rotaxane to exclusion compound

Magdalena Ceborska,* Magdalena Zimmnicka, Mariusz Pietrzak, Anna Troć, Małgorzata Koźbiał and Janusz Lipkowski

“Green synthesis” of complexes of folic acid with native cyclodextrins results, depending on the host molecule, in either an exclusion compound or 2-rotaxane.

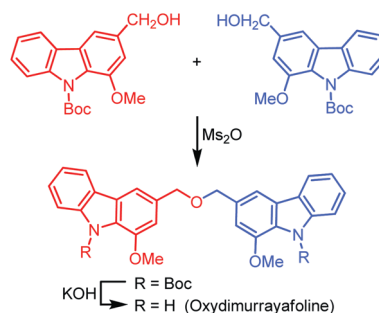


5189

First total synthesis of the biscarbazole alkaloid oxydimurrayafoline

Carsten Börger, Micha P. Krahl, Margit Gruner, Olga Kataeva and Hans-Joachim Knölker*

We report the first total synthesis of oxydimurrayafoline *via* nucleophilic substitution at the benzylic position at C-3 of the carbazole framework.

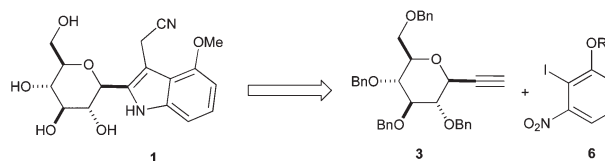


5194

Total synthesis of indole-3-acetonitrile-4-methoxy-2-C-β-D-glucopyranoside. Proposal for structural revision of the natural product

Akop Yepremyan and Thomas G. Minehan*

Indole-3-acetonitrile-4-methoxy-2-C-β-D-glucopyranoside (**1**), a novel C-glycoside from *Isatis indigotica* with important cytotoxic activity, has been prepared in ten steps from ethynyl-β-C-glycoside **3** and 2-iodo-3-nitrophenyl acetate **6**. A revised structure for the natural product is proposed.

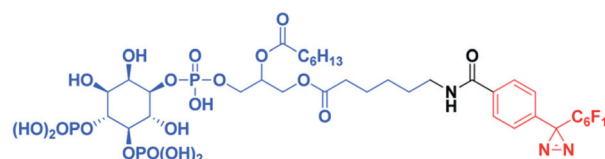


5197

Incorporation of a fluoros diazirine group into phosphatidylinositol 4,5-bisphosphate to illustrate its interaction with ADP-ribosylation factor 1

Weigang Huang, Wei Sun, Zhiquan Song, Yanbao Yu, Xian Chen and Qisheng Zhang*

A fluoros diazirine group has been incorporated into phosphatidylinositol 4,5-bisphosphate (PIP₂) to identify PIP₂-interacting proteins through light-induced crosslinking.



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The IKCOC prize winner will deliver the opening lecture.

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Invited Lecturers

Session 1: Efficiency in Organic Synthesis
Varinder K. Aggarwal (Univ. Bristol)
Martin G. Banwell (Australian National Univ.)
Jeffrey W. Bode (ETH Zurich)
Marta Catellani (Univ. Parma)
Sukbok Chang (KAIST)
F. Dean Toste (Univ. California, Berkeley)

Session 2: Organic Synthesis for Materials Science

Eric V. Anslyn (Univ. Texas, Austin)
Shie-Ming Peng (National Taiwan Univ.)
John R. Reynolds (Univ. Florida)
Kazuo Takimiya (Hiroshima Univ.)
Jean-Marie Tarascon (Univ. Picardie Jules Verne)
Frank Wurthner (Univ. Wurzburg)

Session 3: Organic Synthesis for Life Science

Benjamin G. Davis (Univ. Oxford)
Philip Garner (Washington State Univ.)
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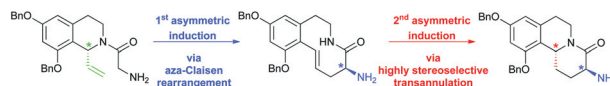
COMMUNICATIONS

5202

Asymmetric formal synthesis of schulzeines A and C

Jaebong Jang, Jong-Wha Jung, Jaeseung Ahn, Jaehoon Sim, Dong-Jo Chang, Dae-Duk Kim and Young-Ger Suh*

Efficient and highly stereoselective formal synthesis of schulzeines A and C has been accomplished *via* the aza-Claisen rearrangement-induced ring expansion and the stereoselective transannulation.



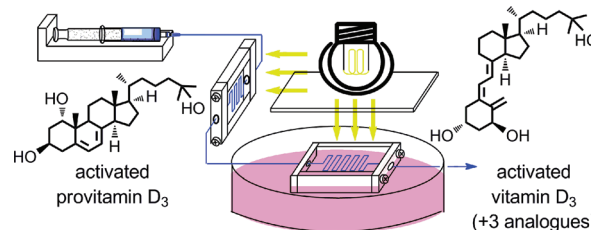
PAPERS

5205

Continuous-flow synthesis of activated vitamin D₃ and its analogues

Shinichiro Fuse, Yuto Mifune, Nobutake Tanabe and Takashi Takahashi*

A highly efficient, two-stage, continuous-flow synthesis of activated vitamin D₃ and its analogues was achieved.

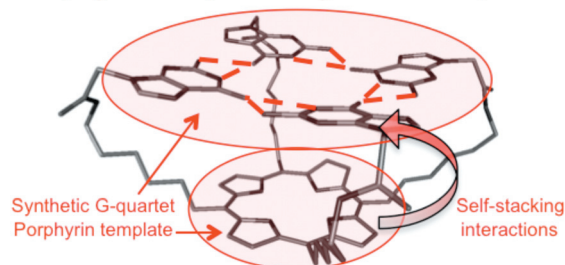


5212

Porphyrin-templated synthetic G-quartet (PorphySQ): a second prototype of G-quartet-based G-quadruplex ligand

Hai-Jun Xu, Loic Stefan, Romain Haudecoeur, Sophie Vuong, Philippe Richard, Franck Denat, Jean-Michel Barbe, Claude P. Gros* and David Monchaud*

A novel template-assembled synthetic G-quartet (TASQ) is reported as an interesting G-quartet-based G-quadruplex ligand, which interacts with quadruplex-DNA *via* an innovative nature-inspired binding mode.

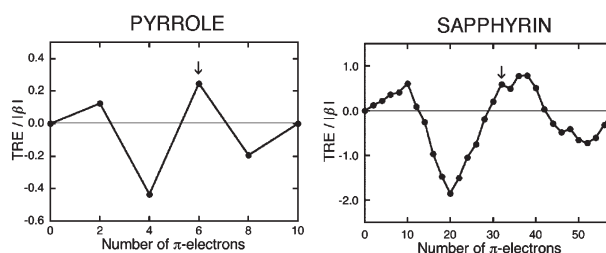
Porphyrin-templated Synthetic G-quartet

5219

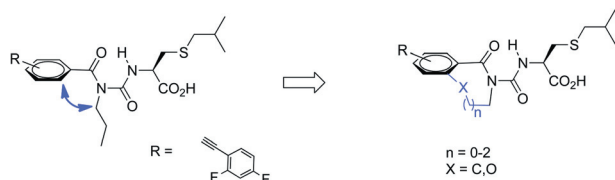
The origin of global and macrocyclic aromaticity in porphyrinoids

Yuto Nakagami, Rika Sekine and Jun-ichi Aihara*

This paper described how to associate the global and macrocyclic aromaticity of porphyrinoids graph-theoretically with their local structures.



5230

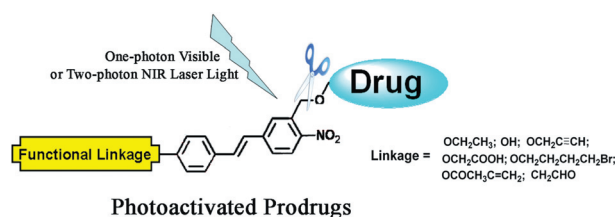


Synthesis of conformationally constrained benzoylureas as BH₃-mimetics

Ryan M. Brady, Effie Hatzis, Theresa Connor, Ian P. Street, Jonathan B. Baell and Guillaume Lessene*

The design and synthesis of conformationally constrained benzoylurea BH₃-mimetics is described. Central to helix mimicry, the intramolecular hydrogen bond in the benzoylurea plays a key role in the pre-organisation of the acyclic substrates for cyclisation via ring closing metathesis.

5238

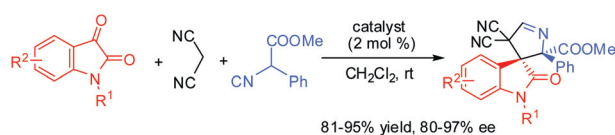


Long conjugated 2-nitrobenzyl derivative caged anticancer prodrugs with visible light regulated release: preparation and functionalizations

Chunyan Bao, Ming Jin,* Bo Li, Yaodong Xu, Jingyan Jin and Linyong Zhu*

Photoactivated anticancer prodrugs with different functional linkages were synthesized and used as a new strategy for photo-controlled release systems.

5245

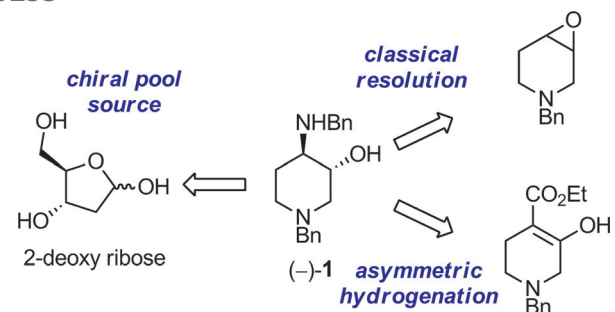


Enantioselective synthesis of 3,3'-dihydropyrryl-spirooxindoles via an organocatalytic three-component reaction

Wen-Tao Wei, Chun-Xia Chen, Rui-Jiong Lu, Jin-Jia Wang, Xue-Jing Zhang and Ming Yan*

Organocatalytic three-component reaction of isatins, malononitrile and isocyanacetates provided 3,3'-dihydropyrryl-spirooxindoles in excellent yields and enantioselectivities.

5253



Synthetic approaches to a chiral 4-amino-3-hydroxy piperidine with pharmaceutical relevance

Adrian Ortiz,* Ian S. Young, James R. Sawyer, Yi Hsiao, Amarjit Singh, Masano Sugiyama, R. Michael Corbett, Melissa Chau, Zhongping Shi and David A. Conlon

Four synthetic strategies were evaluated towards the preparation of (-)-(3*R*,4*R*)-1-benzyl-4-(benzylamino)piperidin-3-ol (**1**), a key building block in the synthesis of BMS-690514.

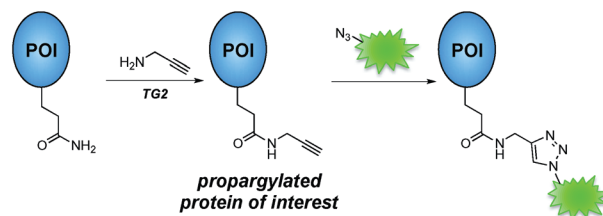
PAPERS

5258

Site-specific protein propargylation using tissue transglutaminase

Claudio Gnaccarini, Wajih Ben-Tahar, Amina Mulani, Isabelle Roy, William D. Lubell, Joelle N. Pelletier and Jeffrey W. Keillor*

Propargyl amine was discovered to be an excellent substrate for tissue transglutaminase, allowing its application to site-specific protein labelling.

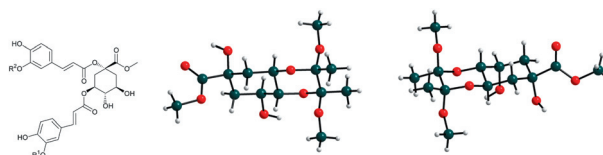


5266

First diastereoselective synthesis of methyl caffeoyl- and feruloyl-*muco*-quinates

Rakesh Jaiswal, Michael H. Dickman and Nikolai Kuhnert*

We report on a diastereoselective synthesis of six derivatives of caffeoyl- and feruloyl-*muco*-quinic acids. We also show that *muco*-quinic acid derivatives, which are formally diastereoisomers of chlorogenic acids, can be readily distinguished by their tandem mass spectra.

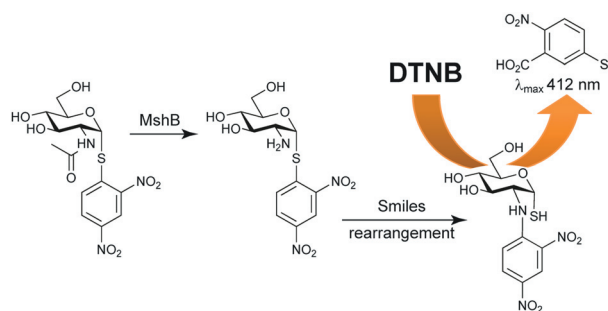


5278

An enzyme-initiated Smiles rearrangement enables the development of an assay of MshB, the GlcNAc-Ins deacetylase of mycothiol biosynthesis

Dirk A. Lamprecht, Ndivhuwo O. Muneri, Hayden Eastwood, Kevin J. Naidoo, Erick Strauss* and Anwar Jardine*

Enzymatic deacetylation is followed by a Smiles rearrangement that is exploited for assay development based on the uncovered thiol group.

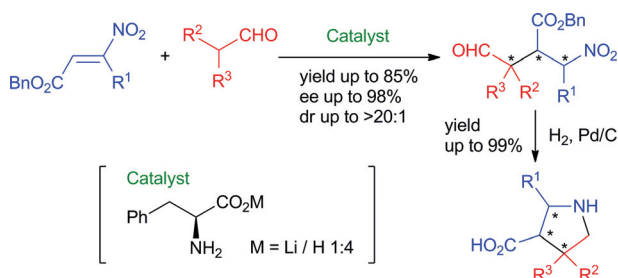


5289

Enantioselective synthesis of gabapentin analogues via organocatalytic asymmetric Michael addition of α -branched aldehydes to β -nitroacrylates

Masanori Yoshida,* Erika Masaki, Hiroto Ikehara and Shoji Hara

Michael addition of α -branched aldehydes to β -nitroacrylates was successfully carried out by using a mixed catalyst consisting of a primary amino acid, L-phenylalanine, and its lithium salt to give β -formyl- β' -nitroesters having a quaternary carbon centre in good yields with high enantioselectivity.

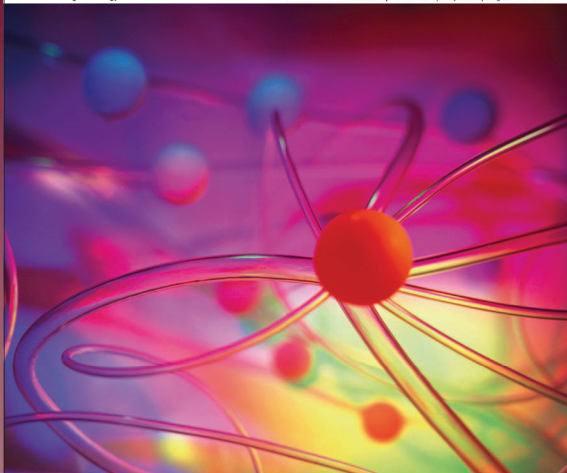


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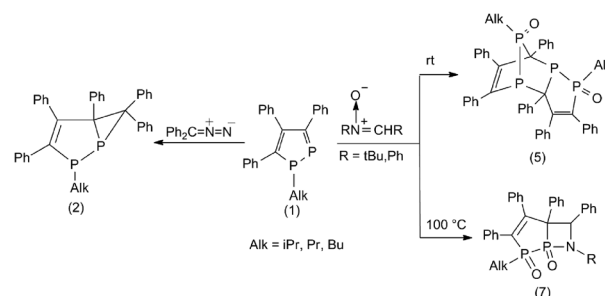
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5298

Reactions of 1-alkyl-1,2-diphospholes with 1,3-dipoles: diphenyldiazomethane and nitrones

Almaz Zagidullin, Yulia Ganushevich, Vasili Miluykov,*
Dmitry Krivolapov, Olga Kataeva, Oleg Sinyashin and
Evamarie Hey-Hawkins

The bicyclic phosphiranes **2** can be obtained in high yield by reaction of 1-alkyl-1,2-diphospholes (**1**) with diphenyldiazomethane. Depending on the temperature, reactions of **1** with nitrones lead to dimers of 1-alkyl-1,2-diphosphole-1-oxide (**5**) or bicyclic compounds with β -lactam moiety (7).

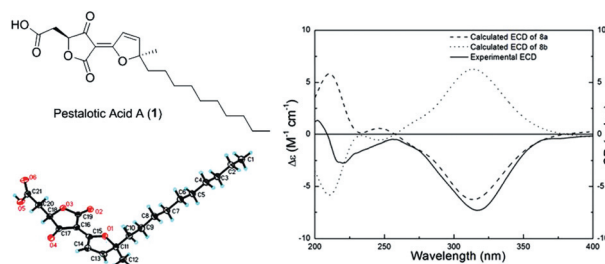


5307

Isolation, antimicrobial activity, and absolute configuration of the furylidene tetronic acid core of pestalotic acids A–G

Fan Zhang, Gang Ding, Li Li, Xiaoyue Cai, Yikang Si,
Liangdong Guo and Yongsheng Che*

Isolation of new furylidene tetronic acids (**1–7**) from an endophyte and absolute configuration assignment by ECD calculations.



Lipids and Membrane Biophysics: Faraday Discussion 161

11 - 13 September 2012
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