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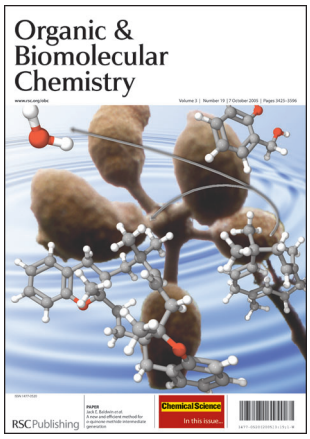
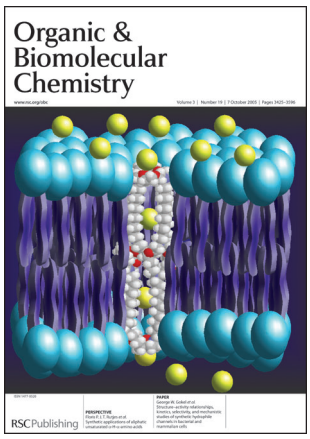


Cover

See Raphaël Rodriguez, John E. Moses, Robert M. Adlington and Jack E. Baldwin, pp. 3488–3495. Lucidene is a natural product isolated from the root bark of *Uvaria lucida* ssp. The co-isolation of **α**-humulene from the same species led to speculation that lucidene is the product of two consecutive, inverse demand hetero Diels-Alder cycloadditions of **α**-humulene with two equivalents of *o*-quinone methide. A biomimetic approach to the synthesis of lucidene is portrayed, with the elimination of water from hydroxymethyl-orcinol, providing the driving force for the generation of the *o*-quinone methide.

Image reproduced by permission of John Moses from *Org. Biomol. Chem.*, 2005, **3**, 3488.



Inside cover

See W. Matthew Leevy, Seth T. Gammon, Tatiana Levchenko, David D. Daranciang, Oscar Murillo, Vladimir Torchilin, David Piwnica-Worms, James E. Huettner and George W. Gokel, pp. 3544–3550. Hydraphiles are synthetic ion channels that function in synthetic liposomes. This report shows that they are cytotoxic to Gram-negative and Gram-positive bacteria, yeast, and mammalian cells. Their cellular toxicity compares favorably with that of other synthetic ionophores and rivals the potency of natural antibiotics. Whole cell patch clamping with mammalian cells confirms a channel mechanism in living cells suggesting that this family may comprise novel and flexible pharmacological agents.

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CHEMICAL SCIENCE

C73

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Chemical Science

October 2005/Volume 2/Issue 10

www.rsc.org/chemicalscience

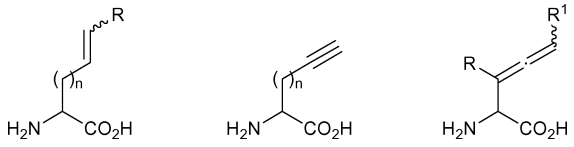
PERSPECTIVE

3435

Synthetic applications of aliphatic unsaturated α-H-α-amino acids

Jasper Kaiser, Sape S. Kinderman, Bart C. J. van Esseveldt, Floris L. van Delft, Hans E. Schoemaker, Richard H. Blaauw and Floris P. J. T. Rutjes*

Unsaturated α-H-α-amino acids are increasingly recognised as versatile synthons in (bio-)organic synthesis. Applications in the past five years have been reviewed.



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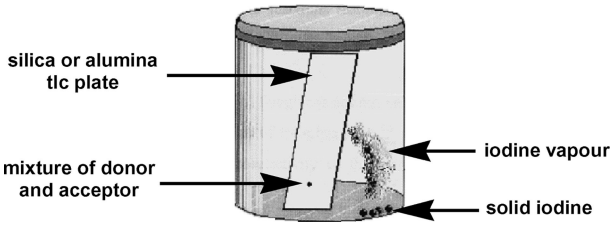
3468

Glycosylation with *in situ* separation: carbohydrate chemistry on a TLC plate

Balaram Mukhopadhyay, Peter Cura, K. P. Ravindranathan Kartha, Catherine H. Botting and Robert A. Field*

Iodine vapour promotes thioglycoside-based glycosylation chemistry on TLC plates, which in turn permits *in situ* separation by conventional elution with solvent.

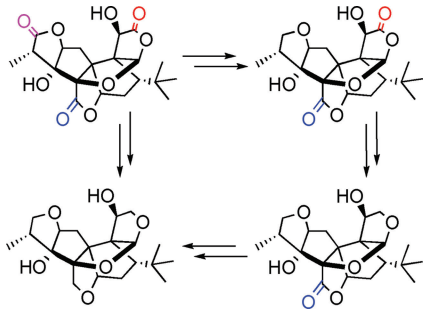


3471

Lactone-free ginkgolides *via* regioselective DIBAL-H reduction

Hideki Ishii, Sergei V. Dzyuba* and Koji Nakanishi*

The lactone rings of ginkgolide A are converted into corresponding tetrahydrofuran moieties *via* DIBAL-H reduction followed by deoxygenation of the formed lactols with $Et_3SiH–BF_3·Et_2O$ to produce a series of lactone-free ginkgolides.

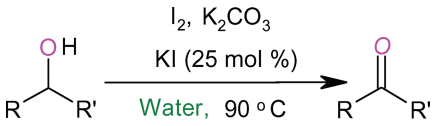


3473

Transition-metal- and organic-solvent-free: a highly efficient anaerobic process for selective oxidation of alcohols to aldehydes and ketones in water

Pranjal Gogoi and Dilip Konwar*

A mild, green and inexpensive reagent system, $I_2–KI–K_2CO_3–H_2O$ for the selective anaerobic oxidation of alcohols to aldehydes and ketones in water has been developed

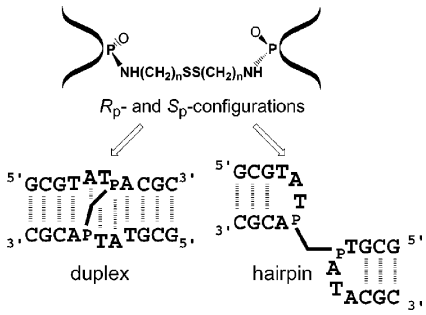


3476

Structural arrangement of DNA constrained by a cross-linker

Masayuki Endo* and Tetsuro Majima*

Double helix and hairpin structures of self-complementary oligonucleotides were controlled by strain of a disulfide cross-linker and the diastereochemistry of a phosphoramidate linkage.

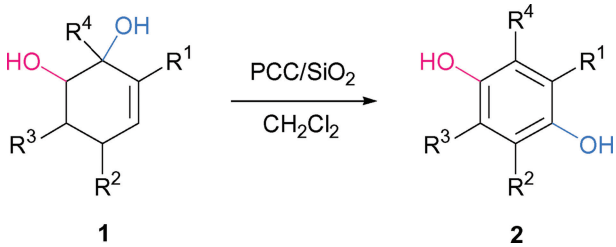


3479

Preparation of 1,4-hydrobenzoquinones by the PCC/SiO_2-promoted double oxidation of 3-cyclohexene-1,2-diols

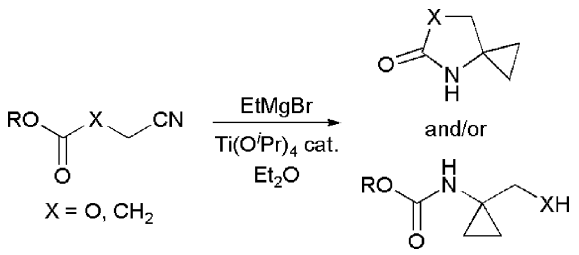
Hee Jin Kim and Sangho Koo*

A practical synthetic method of **2** has been developed by the PCC/SiO_2 double oxidation of **1**.



1 **2**

3482

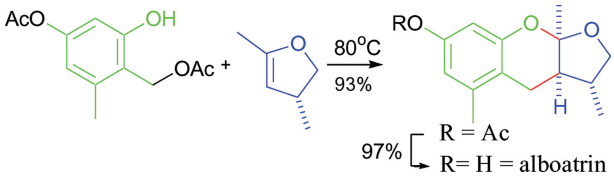


Studies on the titanium-catalyzed cyclopropanation of nitriles

Christophe Laroche, Dominique Harakat, Philippe Bertus* and Jan Szymoniak*

A catalytic variant of the Ti-mediated synthesis of cyclopropylamines from nitriles is presented.

3488

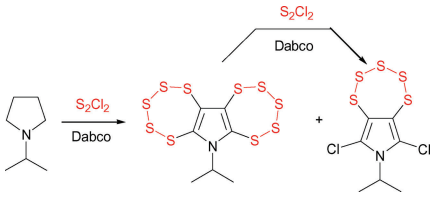


A new and efficient method for *o*-quinone methide intermediate generation: application to the biomimetic synthesis of the benzopyran derived natural products (±)-lucidene and (±)-alboatrin

Raphaël Rodriguez, John E. Moses, Robert M. Adlington and Jack E. Baldwin*

Experimental evidence is provided to support the hypothesis that a key step in the biogenesis of lucidene and alboatrin is a hetero Diels–Alder cycloaddition between an *o*-quinone methide intermediate with a simple, or activated tri-substituted olefin.

3496

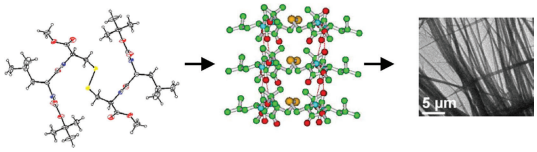


Direct synthesis of fused 1,2,3,4,5-pentathiepins

Stanislav A. Amelichev, Lidia S. Konstantinova, Konstantin A. Lyssenko, Oleg A. Rakitin* and Charles W. Rees*

Fused mono and bispentathiepins are readily available in novel one-pot cascade reactions of nucleophilic heterocycles with S_2Cl_2 and DABCO.

3502

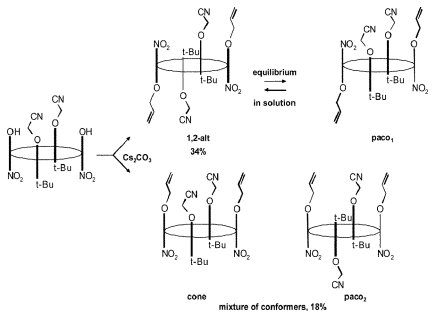


The role of the disulfide bond in amyloid-like fibrillogenesis in a model peptide system

Apurba Kumar Das, Michael G. B. Drew, Debasish Haldar and Arindam Banerjee*

Stepwise self-assembly of peptide **1** to form supramolecular β-sheet structures and fibrils in the solid state.

3508



Conformational properties of cyanomethoxy calix[4]arenes

Crenguta Danila, Volker Böhmer* and Michael Bolte

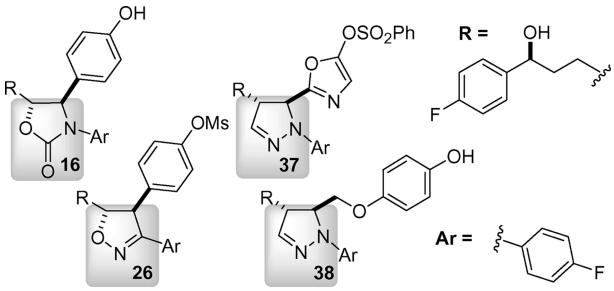
The cyanomethoxy residue can pass through the annulus of the calixarene skeleton and the *1,2-alternate* conformer is in equilibrium with the *partial cone* conformer in solution.

3514

Heterocyclic ring scaffolds as small-molecule cholesterol absorption inhibitors

Tobias Ritter, Lisbet Kværnø, Moritz Werder, Helmut Hauser and Erick M. Carreira*

Enantio- and diastereoselective syntheses of a substituted oxazolidinone, isoxazoline and pyrazoline and investigation of their *in vitro* inhibitory efficacy as cholesterol absorption inhibitors is described.

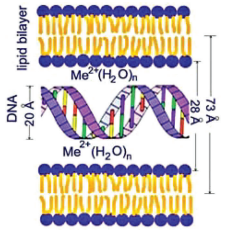


3524

Fe^{2+} promoted peroxidation of 1,2-diacyl-*sn*-glycero-3-phosphocholine liposomes in the presence of calf thymus DNA

Paolo Bruni,* Michela Pisani, Marco Iacussi and Oriano Francescangeli

Fe^{2+} promoted liposome (L) peroxidation is strongly influenced by the presence of DNA which shows a marked catalytic effect. This behaviour depends on the fact that DNA is embedded in a ternary complex L–DNA–Fe^{2+}.

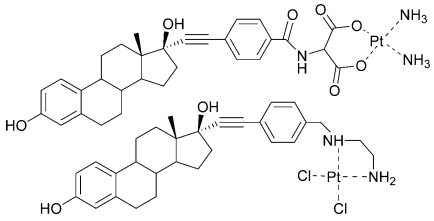


3531

Synthesis and characterisation of estrogenic carriers for cytotoxic Pt(II) fragments: biological activity of the resulting complexes

Elisabetta Gabano, Claudio Cassino, Samuele Bonetti, Cristina Prandi, Donato Colangelo, AnnaLisa Ghiglia and Domenico Osella*

We describe the synthesis, the spectroscopic characterisation and the biological properties of two estradiol functionalized platinum(II) complexes that still retain an acceptable degree of affinity for the estrogen receptor.

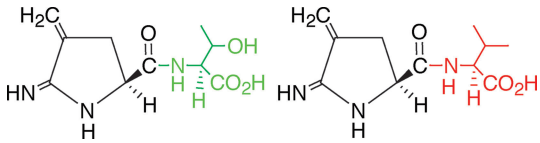


3540

Antibacterial iminopyrrolidines from *Burkholderia plantarii*, a bacterial pathogen of rice

Robin E. Mitchell* and Katrina L. Teh

Antibacterial compounds produced by *Burkholderia plantarii* were isolated and shown to consist of 2-imino-3-methylene-pyrrolidine-5-carboxylic acid coupled to threonine or valine.

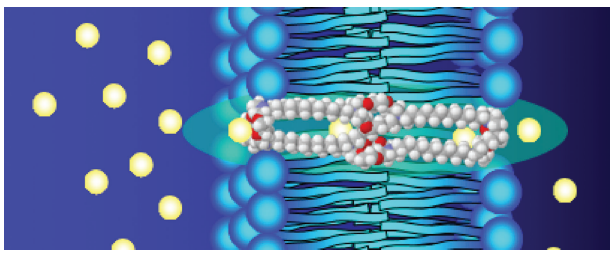


3544

Structure–activity relationships, kinetics, selectivity, and mechanistic studies of synthetic hydraphile channels in bacterial and mammalian cells

W. Matthew Leevy, Seth T. Gammon, Tatiana Levchenko, David D. Daranciang, Oscar Murillo, Vladimir Torchilin, David Piwnica-Worms, James E. Huettner and George W. Gokel*

Hydraphile compounds are shown to be cytotoxic to Gram-negative and Gram-positive bacteria, yeast, and mammalian cells.



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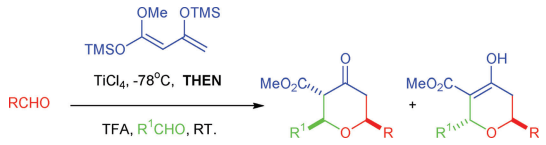
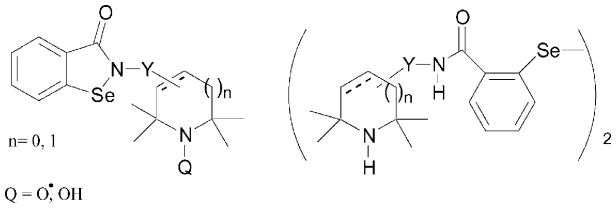


The one-pot, multi-component construction of highly substituted tetrahydropyran-4-ones using the Maitland–Japp reaction

Paul A. Clarke,* William H. C. Martin, Jason M. Hargreaves, Claire Wilson and Alexander J. Blake

A one-pot, multi-component diastereoselective reaction for the synthesis of highly substituted tetrahydropyran-4-ones, based on the long forgotten Maitland–Japp reaction has been realised. This procedure can also be extended to the formation of tetrahydropyran-4-ones in greater than 95% enantiomeric excess.

3564

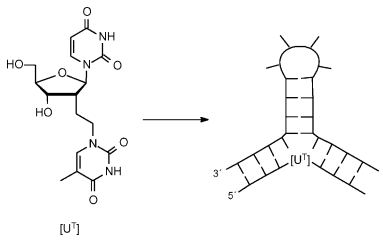


Combining benzo[*d*]isoselenazol-3-ones with sterically hindered alicyclic amines and nitroxides: enhanced activity as glutathione peroxidase mimics

Tamas Kálai, Govindasamy Mugesh, Gouriprasanna Roy, Helmut Sies, Zoltán Berente and Kálmán Hideg*

The synthesis of ebselen-based compounds with sterically hindered redox-active pyrroline substituents with enhanced GPx activity is described.

3570

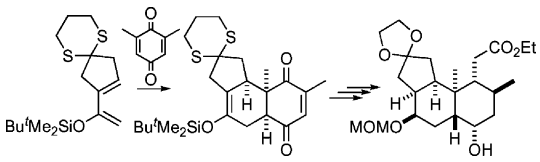


Stabilisation of nucleic acid secondary structures by oligonucleotides with an additional nucleobase; synthesis and incorporation of 2′-deoxy-2′-*C*-(2-(thymine-1-yl)-ethyl)uridine

Søren Ljungberg Pedersen and Poul Nielsen*

A nucleoside with two nucleobases was incorporated into oligonucleotides which were found to form stable duplexes and three-way junctions with increased stability.

3576

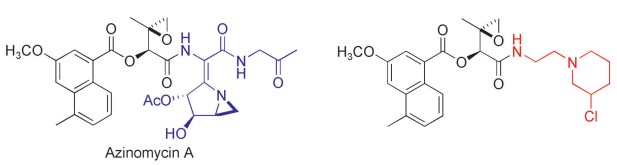


Synthetic studies toward the kempane diterpenes. Construction of a key tricyclic intermediate

Guanglin Bao, Liang Zhao and D. Jean Burnell*

The preparation is reported of a tricyclic compound that possesses the functionality and the relative stereochemistry, at eight centres, present in the tetracyclic kempane diterpenes.

3585



Design and synthesis of a DNA-crosslinking azinomycin analogue

Maxwell A. Casely-Hayford, Klaus Pors, Colin H. James, Laurence H. Patterson, John A. Hartley and Mark Searcey*

A designed analogue of the azinomycins crosslinks duplex DNA.

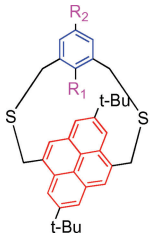
ARTICLES

3590

Syntheses, structural properties, and charge-transfer complexes of pyrenophanes

Akihiko Tsuge,* Megumi Otsuka, Tetsuji Moriguch and Kazunori Sakata

Charge-transfer complexes of **3a–i** and TCNE have been examined.



3a: R_1=H R_2=CH_3
3b: R_1=H R_2=OCH_3
3c: R_1=OCH_3 R_2=H
3d: R_1=H R_2=NO_2
3e: R_1=NO_2 R_2=H
3f: R_1=F R_2=H
3g: R_1=R_2=H
3h: R_1=H R_2=NH_2
3i: R_1=NH_2 R_2=H

ADDITIONS AND CORRECTIONS

3594

Structural properties of cyclic peptides containing *cis*- or *trans*-2-aminocyclohexane carboxylic acid

Ulf Strijowski and Norbert Sewald

3594

Controlled intracellular localization and enhanced antisense effect of oligonucleotides by chemical conjugation

Takanori Kubo, Zhivko Zhelev, Bakalova Rumiana, Hideki Ohba, Keiko Doi and Masayuki Fujii

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AUTHOR INDEX

Adlington, Robert M., 3488
Amelichev, Stanislav A., 3496
Bakalova, Rumiana, 3594
Baldwin, Jack E., 3488
Banerjee, Arindam, 3502
Bao, Guanglin, 3576
Berente, Zoltán, 3564
Bertus, Philippe, 3482
Blaauw, Richard H., 3435
Blake, Alexander J., 3551
Böhmer, Volker, 3508
Bolte, Michael, 3508
Bonetti, Samuele, 3531
Botting, Catherine H., 3468
Bruni, Paolo, 3524
Burnell, D. Jean, 3576
Carreira, Erick M., 3514
Casely-Hayford, Maxwell A., 3585
Cassino, Claudio, 3531
Clarke, Paul A., 3551
Colangelo, Donato, 3531
Cura, Peter, 3468
Danila, Crenguta, 3508
Daranciang, David D., 3544
Das, Apurba Kumar, 3502
Doi, Keiko, 3594
Drew, Michael G. B., 3502
Dzyuba, Sergei V., 3471
Endo, Masayuki, 3476
Field, Robert A., 3468
Francescangeli, Oriano, 3524
Fujii, Masayuki, 3594
Gabano, Elisabetta, 3531
Gammon, Seth T., 3544
Ghiglia, AnnaLisa, 3531
Gogoi, Pranjal, 3473
Gokel, George W., 3544
Haldar, Debasish, 3502
Harakat, Dominique, 3482
Hargreaves, Jason M., 3551
Hartley, John A., 3585
Hauser, Helmut, 3514
Hideg, Kálmán, 3564
Huettner, James E., 3544
Iacussi, Marco, 3524
Ishii, Hideki, 3471
James, Colin H., 3585
Kaiser, Jasper, 3435
Kálai, Tamas, 3564
Kartha, K. P. Ravindranathan, 3468
Kim, Hee Jin, 3479
Kinderman, Sape S., 3435
Konstantinova, Lidia S., 3496
Konwar, Dilip, 3473
Koo, Sangho, 3479
Kubo, Takanori, 3594
Kværnø, Lisbet, 3514
Laroche, Christophe, 3482
Leevy, W. Matthew, 3544
Levchenko, Tatiana, 3544
Lyssenko, Konstantin A., 3496
Majima, Tetsuro, 3476
Martin, William H. C., 3551
Mitchell, Robin E., 3540
Moriguch, Tetsuji, 3590
Moses, John E., 3488
Mugesh, Govindasamy, 3564
Mukhopadhyay, Balaram, 3468
Murillo, Oscar, 3544
Nakanishi, Koji, 3471
Nielsen, Poul, 3570
Ohba, Hideki, 3594
Osella, Domenico, 3531
Otsuka, Megumi, 3590
Patterson, Laurence H., 3585
Pedersen, Søren Ljungberg, 3570
Pisani, Michela, 3524
Piwnica-Worms, David, 3544
Pors, Klaus, 3585
Prandi, Cristina, 3531
Rakitin, Oleg A., 3496
Rees, Charles W., 3496
Ritter, Tobias, 3514
Rodriguez, Raphaël, 3488
Roy, Gouriprasanna, 3564
Rutjes, Floris P. J. T., 3435
Sakata, Kazunori, 3590
Schoemaker, Hans E., 3435
Searcey, Mark, 3585
Sewald, Norbert, 3594
Sies, Helmut, 3564
Strijowski, Ulf, 3594
Szymoniak, Jan, 3482
Teh, Katrina L., 3540
Torchilin, Vladimir, 3544
Tsuge, Akihiko, 3590
van Delft, Floris L., 3435
van Esseveldt, Bart C. J., 3435
Werder, Moritz, 3514
Wilson, Claire, 3551
Zhao, Liang, 3576
Zhelev, Zhivko, 3594

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