Organic & Biomolecular Chemistry

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Organic & Biomolecular Chemistry

See Xianzhang Bu et al., pp. 5048-5052.

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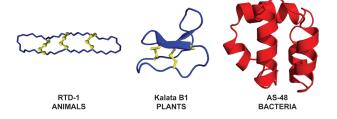
PERSPECTIVE

5035

Naturally occurring circular proteins: distribution, biosynthesis and evolution

Laura Cascales and David J Craik*

This review explores the common links between ribosomally-synthesized, ultra-stable, cyclic peptides in bacteria, fungi, plants and animals.



COMMUNICATIONS

5048

New fluorescent *trans*-dihydrofluoren-3-ones from aldol-Robinson annulation-regioselective addition involved one-pot reaction

Yingpeng Huo, Xu Qiu, Weiyan Shao, Jianing Huang, Yanjun Yu, Yinglin Zuo, Linkun An, Jun Du and Xianzhang Bu*

An unexpected discovery of new fluorescent trans-dihydrofluoren-3-ones from one pot regioselective reactions of benzaldehydes and acetylacetone is described.

One pot
$$R_1$$
 R_2 R_3 R_2 R_3 R_3 R_4 R_5 $R_$

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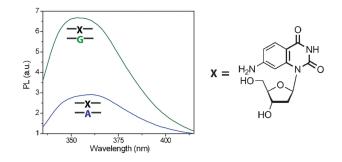
5053

Fluorescent nucleoside analogue displays enhanced emission upon pairing with guanine

Yun Xie, Tucker Maxson and Yitzhak Tor*

A fluorescent nucleobase analogue,

7-aminoquinazoline-2,4-(1H,3H)-dione, is incorporated into a DNA oligonucleotide and senses mismatched pairing by displaying G-specific fluorescence enhancement.

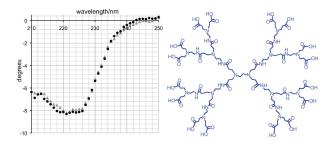


5056

Investigating possible changes in protein structure during dendrimer-protein binding

F. Chiba, G. Mann and L. J. Twyman*

The mechanism of dendrimer-protein binding is probed using CD spectroscopy. The results demonstrated that dendrimer/protein binding was not accompanied by changes in the protein's structure.



5059

Organocatalytic hetero [4+2] cycloaddition reactions of 2-(1-alkynyl)-2-alkene-1-ones: metal-free access to highly substituted 4H-pyrans

Xiuzhao Yu, Zhongyan Cao and Junliang Zhang*

Highly substituted 4H-pyrans can be smoothly synthesized from readily available 2-(1-alkynyl)-2-alkene-1-ones by an unexpected DBU or Bu₃P-catalyzed hetero-[4+2] cycloaddition reaction, in which the enone moieties act as the heterodienes and the electron-deficient alkyne moieties act as the heterodienophiles.

PAPERS

5062

Concise syntheses of selective inhibitors against α-1,3-galactosyltransferase

Guo-Liang Zhang, Li-He Zhang and Xin-Shan Ye*

Selective inhibitors of iminosugar-based UDP-Gal mimetics against α-1,3-galactosyltransferase were designed and synthesized.

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A facile microwave-assisted Diels-Alder reaction of vinylboronates

Ariel M. Sarotti, Pablo L. Pisano and Silvina C. Pellegrinet*

This is the first example of microwave-assisted Diels-Alder reaction of boron-substituted dienophiles. Subsequent in situ oxidation of the cycloadducts with alkaline hydrogen peroxide afforded the alcohols efficiently.

O-B toluene,
$$\mu W$$
 75-100% O-B

5074

A general and concise asymmetric synthesis of sphingosine, safingol and phytosphingosines via tethered aminohydroxylation

Pradeep Kumar,* Abhishek Dubey and Vedavati G. Puranik

A novel, practical and efficient enantioselective synthesis of sphingoid bases, L-threo-[2S,3S]-sphinganine (safingol), L-threo-[2S,3S]-sphingosine, L-arabino-[2R,3S,4R] and L-xylo-[2R,3S,4S]- C_{18} -phytosphingosine is described. The synthetic strategy features the Sharpless kinetic resolution and tethered aminohydroxylation as the key steps.

5087

CG base pair recognition within DNA triple helices by modified N-methylpyrrolo-dC nucleosides

Simon R. Gerrard, Mastoura M. Edrees, Imenne Bouamaied, Keith R. Fox and Tom Brown*

Enhanced triplex-mediated recognition of the CG base pair in DNA with new analogues of the non-natural bicyclic nucleoside, N-methylpyrrolo-dC.

5097

EPR and ENDOR spectroscopic study of the reactions of aromatic azides with gallium trichloride

Giorgio Bencivenni, Riccardo Cesari, Daniele Nanni, Hassane El Mkami and John C. Walton*

Brilliant blue-green species from GaCl₃ promoted reactions of aromatic azides were studied by product analysis, EPR and ENDOR spectroscopy.





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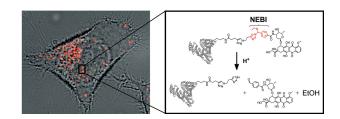




pH-Sensitive, N-ethoxybenzylimidazole (NEBI) bifunctional crosslinkers enable triggered release of therapeutics from drug delivery carriers

Alice Luong, Tawny Issarapanichkit, Seong Deok Kong, Rina Fong and Jerry Yang*

A drug conjugate comprising a pH-sensitive linker exhibits improved uptake and toxicity in cancer cells compared to free drug alone.

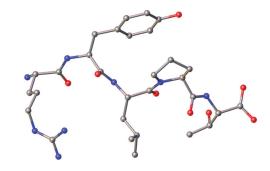


5110

Crystal structure of the insect neuropeptide proctolin

Judith A. K. Howard, Dmitry S. Yufit, Olga V. Chetina,* Simon J. Teat, Silvia C. Capelli and Philip Pattison

The crystal structure of the neuropeptide proctolin (Arg-Tyr-Leu-Pro-Thr) is reported revealing the solid-state conformation of its molecules and their association in the crystal.

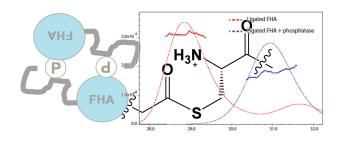


5113

Access to phosphoproteins and glycoproteins through semi-synthesis, Native Chemical Ligation and $N \rightarrow S$ acyl transfer

Jinit Masania, Jiejin Li, Stephen J. Smerdon and Derek Macmillan*

Phosphoproteins and glycoproteins can be assembled through Native Chemical Ligation using thioesters prepared by $N \rightarrow S$ acyl transfer.



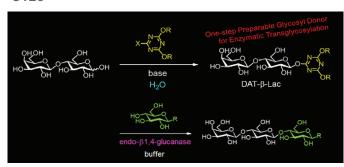
5120

Rhodium-catalysed conjugate addition of arylboronic acids to enantiopure dehydroamino acid derivatives

Jonathan D. Hargrave, Gerwyn Bish, Gabriele Kociok Köhn and Christopher G. Frost*

The rhodium-catalysed conjugate addition of arylboronic acids to an enantiopure acceptor derived from (R)-S-methylcysteine proceeds under substrate control to provide a range of functionalised phenylalanine derivatives with excellent stereocontrol via a highly diastereoselective protonation.

Single diastereomer-9 examples

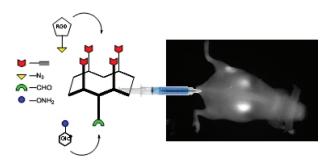


Novel dialkoxytriazine-type glycosyl donors for cellulase-catalysed lactosylation

Tomonari Tanaka, Masato Noguchi, Kazuhito Watanabe, Takuya Misawa, Masaki Ishihara, Atsushi Kobayashi and Shin-ichiro Shoda*

Novel glycosidic compounds, 4,6-dialkoxy-1,3,5-triazin-2-yl β-lactosides (DAT-β-Lac), have been prepared directly in water from lactose without protecting the hydroxy groups, and used as an efficient glycosyl donor for transglycosylation catalysed by endo-β1,4-glucanase III from Trichoderma reesei.

5133

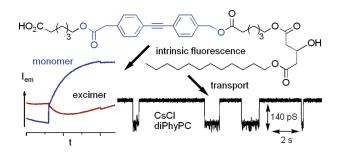


Application of click-click chemistry to the synthesis of new multivalent RGD conjugates

Mathieu Galibert, Lucie Sancey, Olivier Renaudet, Jean-Luc Coll, Pascal Dumy and Didier Boturyn*

Multivalent RGD macromolecules were designed by exploiting two orthogonal chemoselective ligations and used for the optical imaging of tumour.

5139

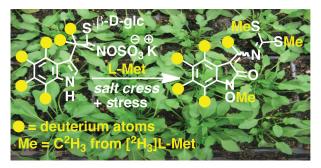


Synthesis, transport activity, membrane localization, and dynamics of oligoester ion channels containing diphenylacetylene units

Joanne M. Moszynski and Thomas M. Fyles*

Incorporation of a diphenylacetylene unit into oligoester channel-formers leads to enhanced activity, and probes membrane localization and migration dynamics.

5150



Unveiling the phytoalexin biosynthetic puzzle in salt cress: unprecedented incorporation of glucobrassicin into wasalexins A and B

M. Soledade C. Pedras,* Estifanos E. Yaya and Sajjad Hossain

The elusive biosynthetic precursors and biosynthetic pathway to wasalexins A and B produced by UV-stressed salt cress, a wild crucifer highly resistant to salt, drought, and cold, are disclosed here for the first

Synthetic studies on the mycolactone core

Kwang-Seuk Ko, Matthew D. Alexander, Shaun D. Fontaine, James E. Biggs-Houck, James J. La Clair and Michael D. Burkart*

Two approaches are presented for the synthesis of the macrolide core of the mycolactone polyketides. The first intertwines RCM with a two-step Julia olefination protocol, while the second intercepts the optimized routes of Kishi, thereby providing formal access to the mycolactones.

5166

3-Hydroxypyrrolo[2,3-b]pyridine and related compounds – indoxyl analogues with fused electron deficient rings

Alexander P. Gaywood and Hamish McNab*

Flash vacuum pyrolysis of 4-acetyltetrazolo[1,5-a]pyridine at 400 °C provides 3-methylisoxazolo[3,4-b]pyridine. At higher temperatures, an unstable heteroindoxyl is obtained whose chemistry is discussed.

5174

A computational analysis of intramolecularity in proton transfer reactions

Rafik Karaman* and Robert Pascal*

A theoretical investigation was aimed at understanding the efficiency of intramolecular vs. intermolecular proton transfers taking into account hydrogen bonding.

$$A-H + :B \implies A-H = :B \implies A^{-} + H-B^{+}$$

5179

Concise total synthesis and structural revision of (+)-pestalazine B

Carlos Pérez-Balado and Ángel R. de Lera*

A short and versatile synthesis of (+)-pestalazine B allowed the structural revision of the initial proposed structure, in which the D-Phe and D-Leu residues are exchanged.

ArNH₂ O O PIL OH Ar OH OH Ar OH Major Minor

EC: R = H
PC: R = CH₃

PIL: phosponium ionic liquid
Ar =
$$XC_6H_4$$
-; $X = \rho$ -OCH₃, ρ -CH₃, H, ρ -Cl
R = H, CH₃

The reaction of primary aromatic amines with alkylene carbonates for the selective synthesis of bis-N-(2-hydroxy)alkylanilines: the catalytic effect of phosphonium-based ionic liquids

Maurizio Selva,* Massimo Fabris, Vittorio Lucchini, Alvise Perosa and Marco Noè

Phosphonium-based Ionic Liquids (PILs) efficiently catalyzed the reaction of primary aromatic amines with alkylene carbonates to produce bis-N-(2-hydroxy)alkylanilines.

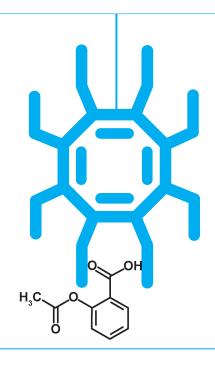
5199

HO HO
$$R^1$$
, R^2 = H, OH, OMe, sulfate, and/or R^2 R^3 R^4 R^2 R^4 R^4

First synthesis, characterization, and evidence for the presence of hydroxycinnamic acid sulfate and glucuronide conjugates in human biological fluids as a result of coffee consumption

R. Fumeaux, C. Menozzi-Smarrito, A. Stalmach, C. Munari, K. Kraehenbuehl, H. Steiling, A. Crozier, G. Williamson and D. Barron*

A set of 24 potential human metabolites of coffee polyphenols has been chemically prepared and used as analytical standards for unequivocal identifications in human biological fluids. The analytical standards included glucuronide conjugates and sulfate esters of caffeic, ferulic, isoferulic, *m*-coumaric and *p*-coumaric acids as well as their dihydro



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Stereoselective synthesis of epi-jasmonic acid, tuberonic acid, and 12-oxo-PDA

Hisato Nonaka, Narihito Ogawa, Noriaki Maeda, Yong-Gang Wang and Yuichi Kobayashi*

The above aldehydes were designed as non-enolizable key intermediates for stereoselective synthesis of the title compounds.

5224

Expeditious chemoenzymatic synthesis of CD52 glycopeptide antigens

Wei Huang, Xinyu Zhang, Tongzhong Ju, Richard D. Cummings and Lai-Xi Wang*

Facile synthesis of CD52 glycoforms carrying both N- and O-glycans was achieved by a convergent chemoenzymatic approach.

5234

Synthesis of C-6-substituted uridine phosphonates through aerobic ligand-free Suzuki-Miyaura cross-coupling

Radim Nencka, Davy Sinnaeve, Izet Karalic, José C. Martins and Serge Van Calenbergh*

We present an efficient protocol for the construction of C-6-(hetero)aryl-substituted uridine phosphonate analogues utilizing an aerobic, ligand-free Suzuki-Miyaura cross-coupling.



Emerging Drugs and Targets for Alzheimer's Disease

Edited by Ana Martinez | Medicinal Chemistry Institute-CSIC, Spain ISBN: 9781849730457

Price: £220.00 Publication: May 2010

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Metabolism, Pharmacokinetics and Toxicity of Functional Groups: Impact of Chemical Building Blocks on ADMET

Edited by Dennis A Smith | Pfizer Global R & D, UK

ISBN: 9781849730167

Price: £144.99 Publication: April 2010

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Accounts in Drug Discovery Case Studies in Medicinal Chemistry

Edited by Joel Barrish, Percy Carter, Robert Zahler, Peter Cheng Bristol-Myers-Squibb, USA ISBN: 9781849731263 Price: £132.99 Publication: October 2010

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New Frontiers in Chemical Biology Enabling Drug Discovery

Edited by Mark Bunnage Pfizer Global R & D, UK ISBN: 9781849731256 Price: £132.99 Publication: December 2010

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