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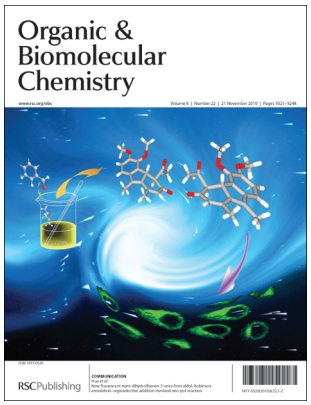
ISSN 1477-0520 CODEN OBCRAK 8(22) 5021–5248 (2010)



Cover

See Xianzhang Bu *et al.*, pp. 5048–5052.

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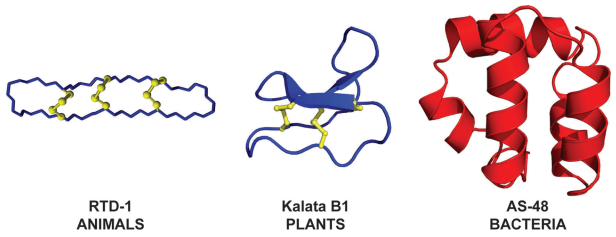
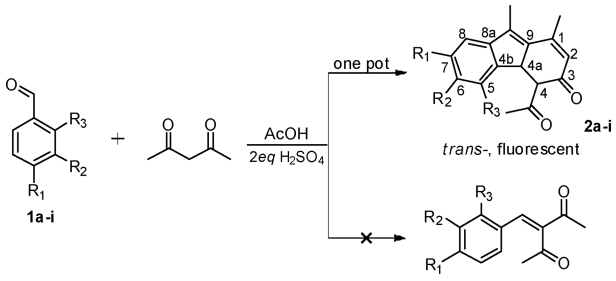
PERSPECTIVE



COMMUNICATIONS



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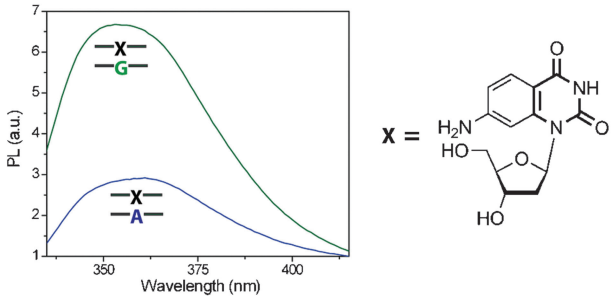
5053

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

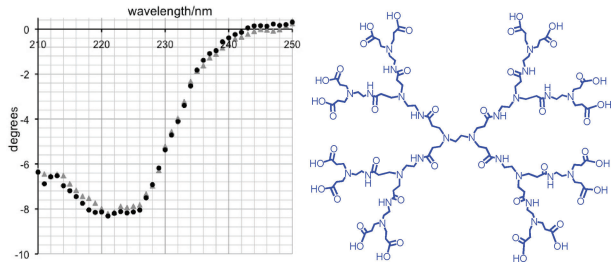


5056

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.

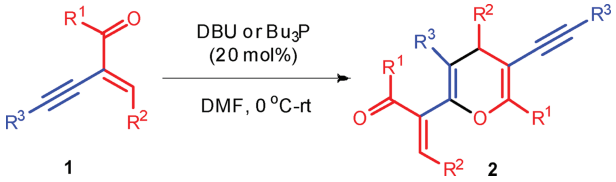


5059

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

Xiuzhao Yu, Zhongyan Cao and Junliang Zhang*

Highly substituted 4*H*-pyrans can be smoothly synthesized from readily available 2-(1-alkynyl)-2-alkene-1-ones by an unexpected DBU or Bu_3P-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.

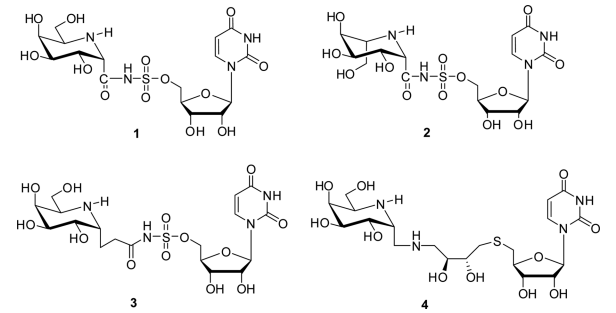


5062

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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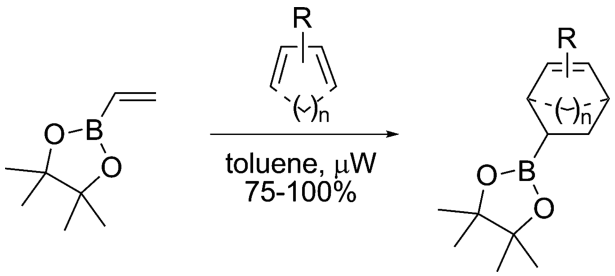
5069

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.

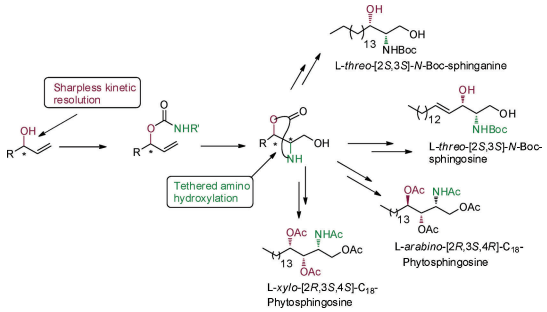


5074

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

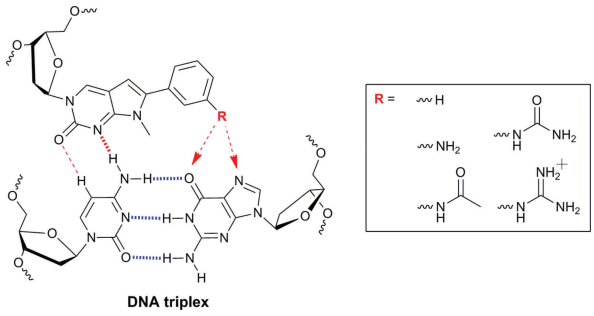


5087

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.

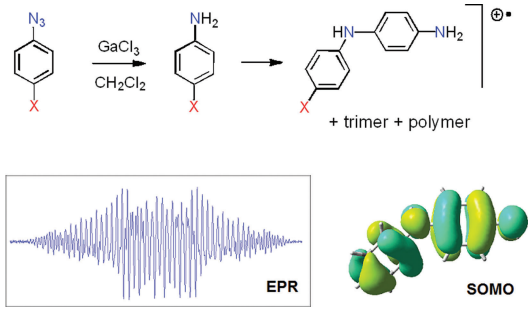


5097

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 $GaCl_3$ promoted reactions of aromatic azides were studied by product analysis, EPR and ENDOR spectroscopy.



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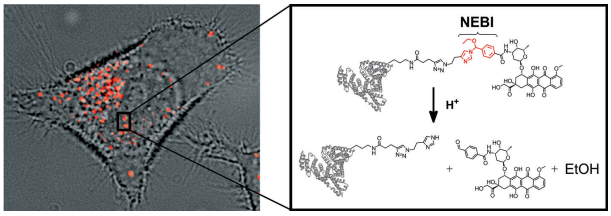

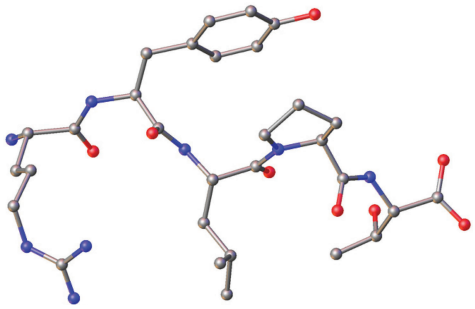

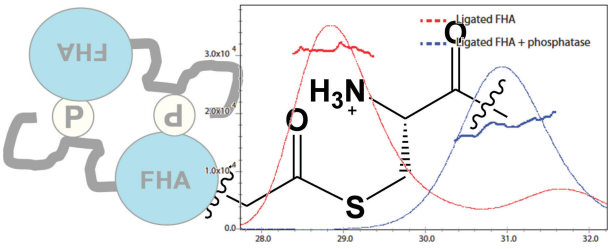

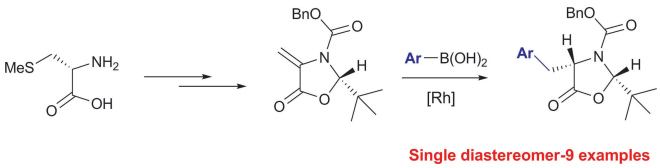

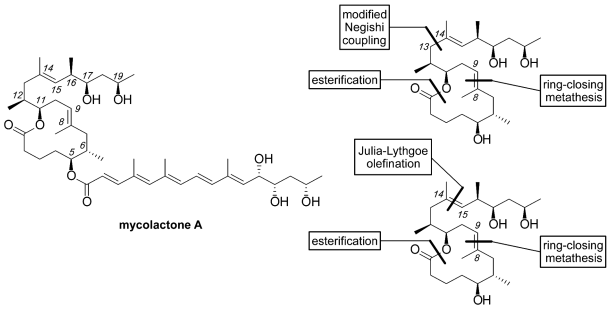

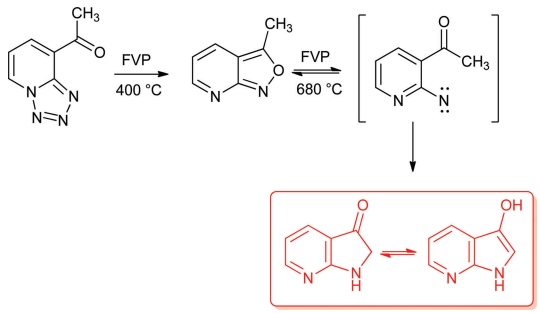

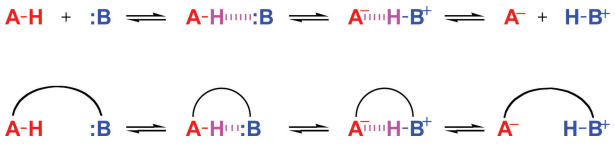

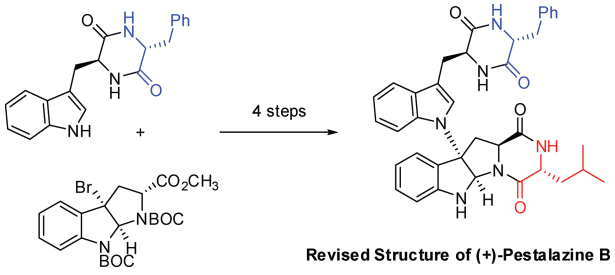

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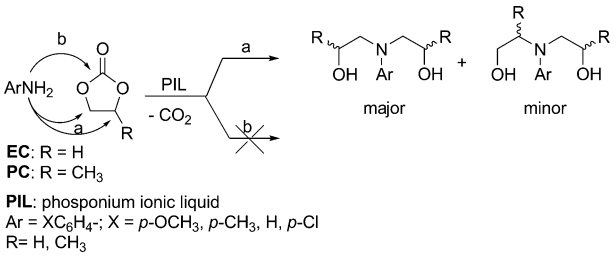
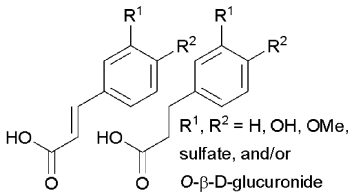


The reaction of primary aromatic amines with alkylene carbonates for the selective synthesis of bis-*N*-(2-hydroxy)alkylanilines: the catalytic effect of phosphonium-based ionic liquids

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



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*

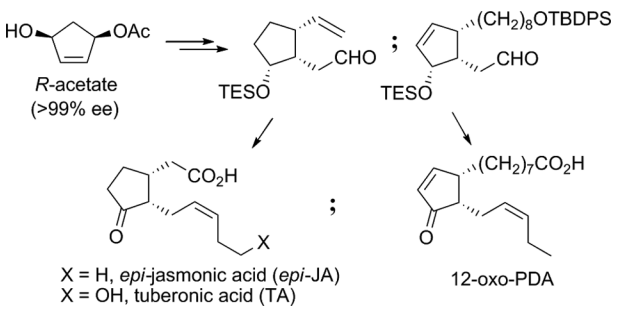
A set of 24 potential human metabolites of coffee polyphenols has been chemically prepared and used as analytical standards for unequivocal identifications in human biological fluids. The analytical standards included glucuronide conjugates and sulfate esters of caffeic, ferulic, isoferulic, *m*-coumaric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

5212

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.

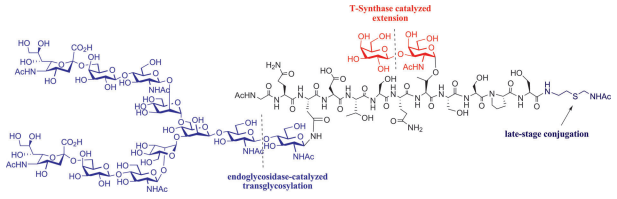


5224

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.

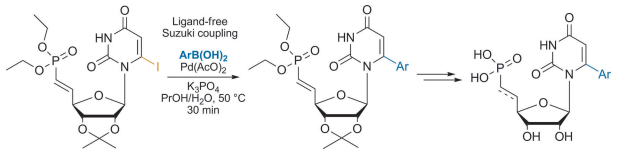


5234

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.



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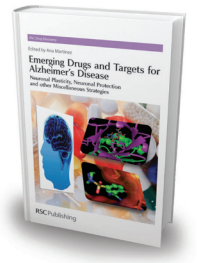

Emerging Drugs and Targets for Alzheimer's Disease

Edited by Ana Martinez | Medicinal Chemistry Institute–CSIC, Spain
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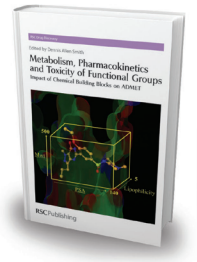

Metabolism, Pharmacokinetics and Toxicity of Functional Groups: Impact of Chemical Building Blocks on ADMET

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ISBN: 9781849730167
Price: £144.99 Publication: April 2010

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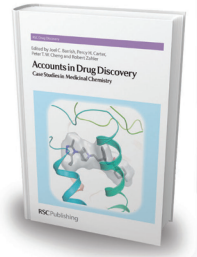

Accounts in Drug Discovery Case Studies in Medicinal Chemistry

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

Accounts in Drug Discovery describes recent case studies in medicinal chemistry with a particular emphasis on how problems can be overcome.

New Frontiers in Chemical Biology Enabling Drug Discovery

Edited by Mark Bunnage
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