ISSN 1477-0520 CODEN OBCRAK 3(20) 3597–3832 (2005)

In this issue...

Palladium catalysed aryl amination reactions

The catalytic amination of aryl bromides and chlorides with *N*-silylanilines is carried out in supercritical carbon dioxide to give excellent yield. See Holmes *et al.* pp. 3767–3781.

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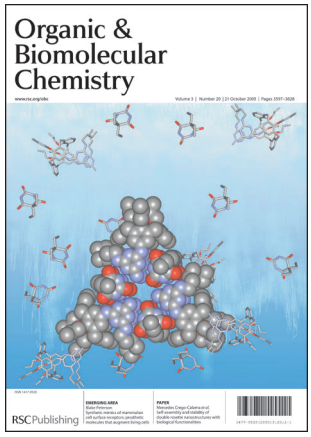

Cover

See Mattijs G. J. ten Cate, Merdan Omerović, Gennady V. Oshovsky, Mercedes Crego-Calama and David N. Reinhoudt, pp. 3727–3733. Hydrogen-bonded double rosette nanoparticles "swimming" in water, reflecting their high stability in polar solvents.

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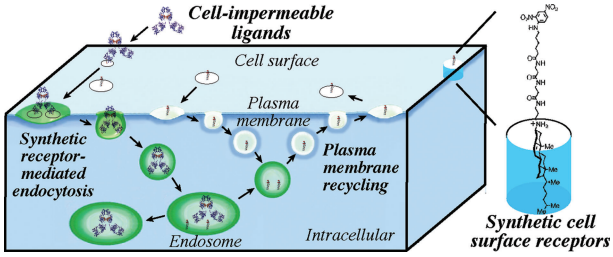
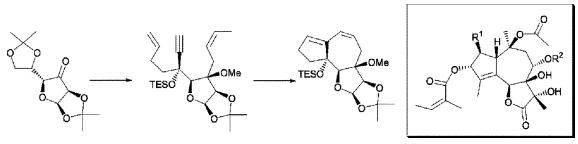
EMERGING AREA



COMMUNICATIONS



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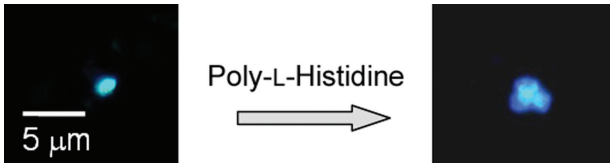
COMMUNICATIONS

3615

Membrane composition determines the fate of aggregated vesicles

Simon J. Webb,* Laurent Trembleau, Robert J. Mart and Xi Wang

Vesicles incorporating a fluorescent metal-chelating lipid have been linked together by addition of copper(II) and poly-L-histidine, but the stability of adhering vesicles towards fusion depends upon membrane composition.



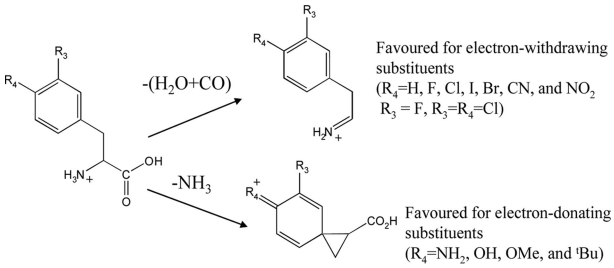
ARTICLES

3618

Neighbouring group processes in the deamination of protonated phenylalanine derivatives

Hadi Lioe and Richard A. J. O'Hair*

Low energy collision-induced dissociation of protonated phenylalanine derivatives is influenced by the nature of a ring substituent. Electron-withdrawing groups favour loss of $H_2O + CO$, while electron-donating groups favour loss of NH_3.

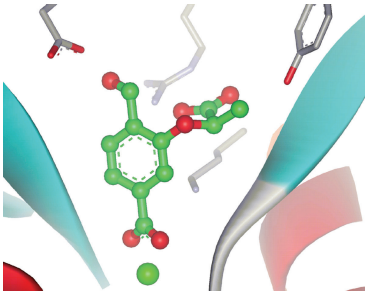


3629

Design and synthesis of aromatic inhibitors of anthranilate synthase

Richard J. Payne, Esther M. M. Bulloch, Andrew D. Abell and Chris Abell*

Docking of aromatic chorismate analogue containing a C-4 hydroxymethyl substituent into the active site of *Serratia marcescens* anthranilate synthase.

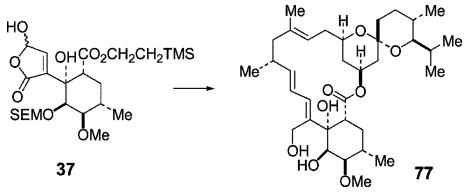


3636

Total synthesis of milbemycins: a synthesis of (6*R*)-6-hydroxy-3,4-dihydromilbemycin E

Madeleine Helliwell, Sufia Karim, Emma R. Parmee and Eric J. Thomas*

A synthesis of the racemic hydroxybutenolide **37** and its conversion into (6*R*)-6-hydroxy-3,4-dihydromilbemycin E **77** is described.

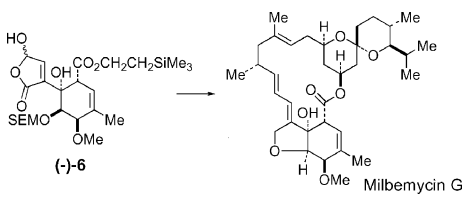


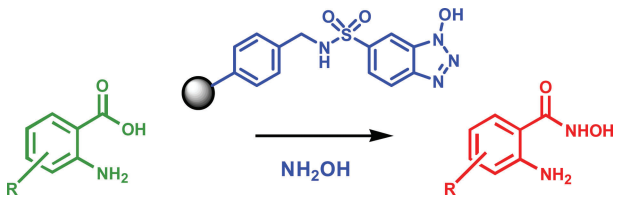
3654

A total synthesis of milbemycin G: approaches to the C(1)–C(10)-fragment and completion of the synthesis

Simon Bailey, Madeleine Helliwell, Aphiwat Teerawutgulrag and Eric J. Thomas*

The hydroxybutenolide (−)-**6** was synthesized and used to complete a total synthesis of milbemycin G.

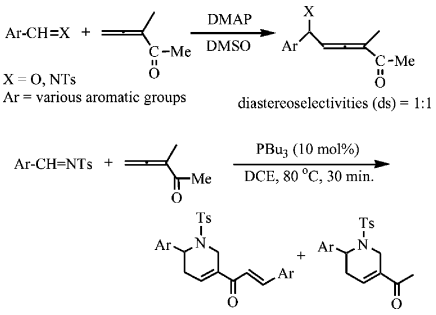




Parallel synthesis and *in vitro* activity of novel anthranilic hydroxamate-based inhibitors of the prostaglandin H_2 synthase peroxidase activity

Jean Lee, Anthony J. Chubb, Edelmiro Moman, Brian M. McLoughlin, Caroline T. Sharkey, John G. Kelly, Kevin B. Nolan, Marc Devocelle* and Desmond J. Fitzgerald*

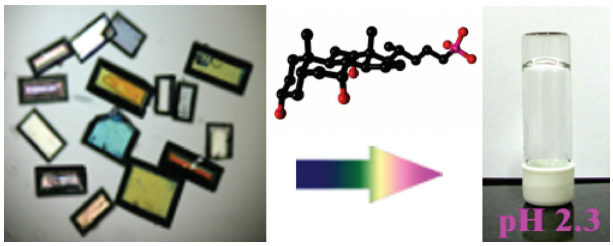
29 Anthranilic hydroxamic acid derivatives were prepared and tested for inhibition of the peroxidase activity of prostaglandin H2 synthase.



Baylis–Hillman reactions of *N*-tosyl aldimines and aryl aldehydes with 3-methylpenta-3,4-dien-2-one

Gui-Ling Zhao and Min Shi*

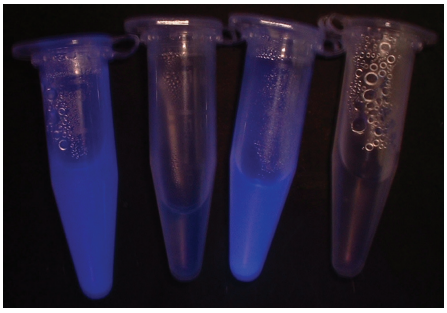
The attempted Baylis–Hillman reactions of *N*-tosyl aldimines and aryl aldehydes with 3-methylpenta-3,4-dien-2-one gave the Baylis–Hillman adducts in moderate to good yields in the presence of DMAP in DMSO.



Micellar aggregates and hydrogels from phosphonobile salts

Ponnusamy Babu, D. Chopra, T. N. Guru Row and Uday Maitra*

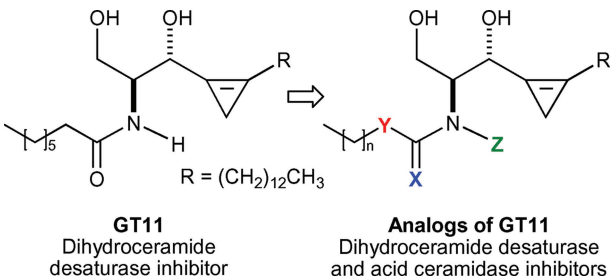
Micellar aggregates formed by novel phosphonobile salts were studied by fluorescence and ^{31}P NMR. An unprecedented, pH sensitive hydrogel formation by phosphonocholates, and the development of a reversible thermochromic gel are reported.



Excited state tautomerization of azaindole

Michael T. Cash, Peter R. Schreiner and Robert S. Phillips

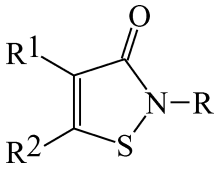
Azaindoles, the chromophoric moieties of fluorescent tryptophan analogs, are investigated for their potential as fluorescent probes through computational and experimental methods.

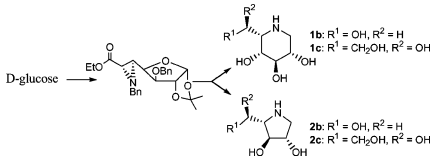


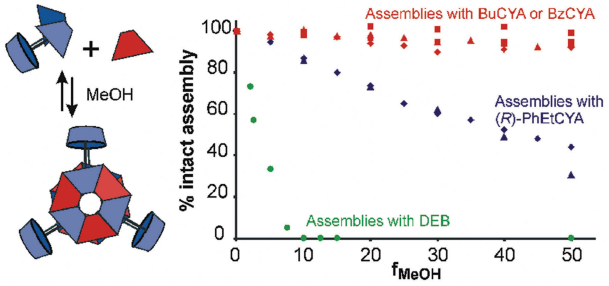
Analogs of the dihydroceramide desaturase inhibitor GT11 modified at the amide function: synthesis and biological activities

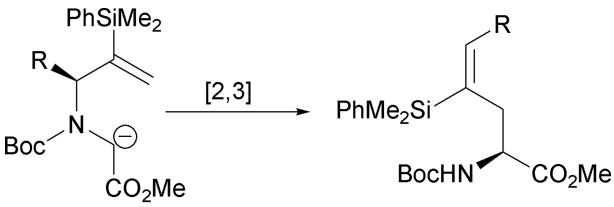
Carmen Bedia, Gemma Triola, Josefina Casas, Amadeu Llebaria and Gemma Fabriàs*

Biological effects of chemical modification of the GT11 amide linkage are reported: urea and thiourea analogs of GT11, as well as three α-ketoamides, inhibited the desaturation of *N*-octanoylsphinganine to *N*-octanoylsphingosine.

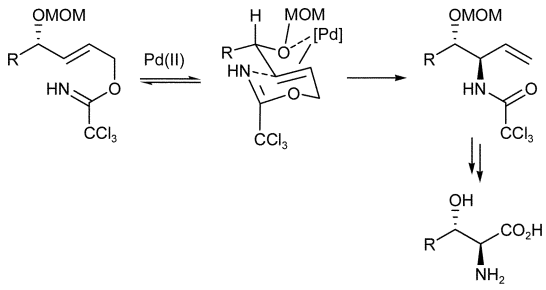


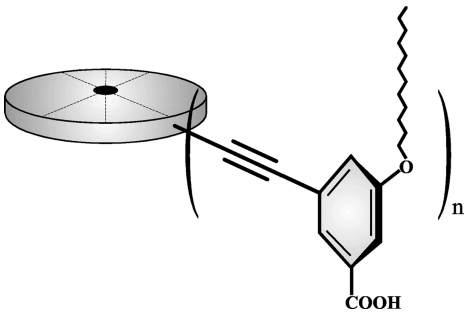






R=*i*-Pr, 66%, 91% *E*, 85% chirality transfer
R=CH_2OMOM, 65%, 91% *E*, 88% chirality transfer

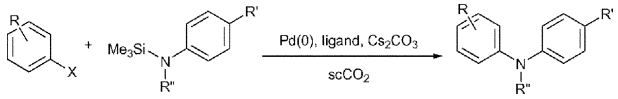




Oligofunctional amphiphiles featuring geometric core group preorganization: synthesis and study of Langmuir and Langmuir–Blodgett films

Petra U. Müller, Edwin Weber,* Gerd Rheinwald and Wilhelm Seichter

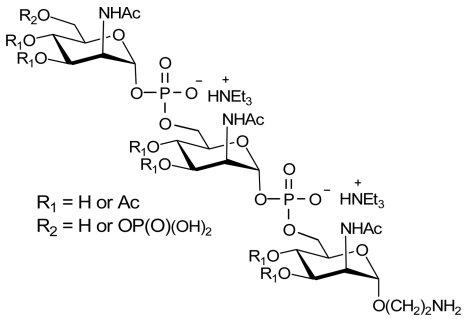
A new type of preorganized oligofunctional amphiphile featuring a well-defined central unit with different numbers of attached amphiphilic segment groups proved useful in the formation of Langmuir and Langmuir–Blodgett films.



Palladium catalysed aryl amination reactions in supercritical carbon dioxide

Catherine J. Smith,* Melanie W. S. Tsang, Andrew B. Holmes,* Rick L. Danheiser and Jefferson W. Tester

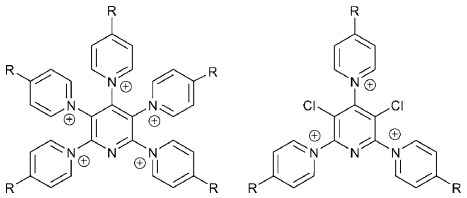
Palladium catalysed *N*-arylation of *N*-silylanilines, *N*-silyldiarylamines, *N*-silylazoles and *N*-silylsulfonamides with aryl bromides and chlorides in supercritical carbon dioxide is described.



Synthesis of structures corresponding to the capsular polysaccharide of *Neisseria meningitidis* group A

Rikard Slättegård, Peter Teodorovic, Henok Hadgu Kinfe, Neil Ravenscroft, David W. Gammon and Stefan Oscarson*

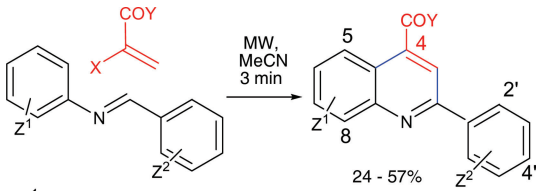
Four differently substituted trimers of the CPS repeating unit have been synthesised to investigate the dependence on oligosaccharide size, and acetylation and phosphorylation mode of conjugate vaccines against *N. meningitidis* group A.



From uncharged to decacationic molecules: syntheses and spectroscopic properties of heteroarenium-substituted pyridines

Andreas Schmidt,* Thorsten Mordhorst and Martin Nieger

Reactions of pentachloropyridine are presented which afford oligocationic pyridines bearing up to ten positive charges within a common π-electron system.



Rapid synthesis of quinoline-4-carboxylic acid derivatives from arylimines and 2-substituted acrylates or acrylamides under indium(III) chloride and microwave activations. Scope and limitations of the reaction

Dorothée Duvelleroy, Cécile Perrio,* Olivier Parisel and Marie-Claire Lasne*

Reaction of 2-methoxyacrylic acid derivatives with *N*-arylbenzaldimines in acetonitrile under $InCl_3$ catalysis and microwave irradiation afforded substituted quinoline-4-carboxylic acids in isolated yields up to 57% within 3 min. The role of Lewis acid was specified using ^{13}C NMR data and model theoretical studies.

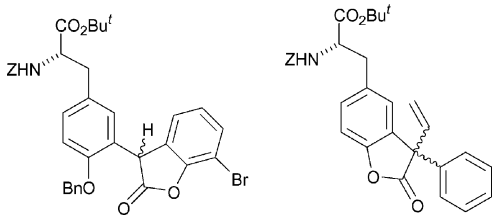
ARTICLES

3805

The diazo route to diazonamide A: studies on the tyrosine-derived fragment

Francine N. Palmer, Franck Lach, Cyril Poriel, Adrian G. Pepper, Mark C. Bagley, Alexandra M. Z. Slawin and Christopher J. Moody*

A number of routes to potentially useful intermediates for the synthesis of the tyrosine-derived fragment of the marine natural product diazonamide A are described.

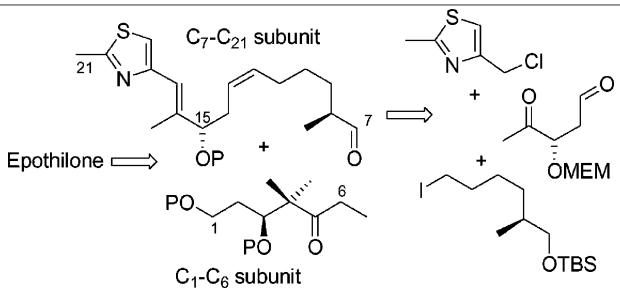


3812

Studies towards the synthesis of epothilone A *via* organoboranes

P. Veeraraghavan Ramachandran,* J. Subash Chandra, Bodhuri Prabhudas, Debarshi Pratihar and M.Venkat Ram Reddy

Synthesis of all of the subunits of epothilone A has been achieved *via* dimethylallyl-, alkoxyallyl- and crotylboranes derived from α-pinene.



ADDITIONS AND CORRECTIONS

3825

Synthesis of (6*R*)- and (6*S*)-5,10-dideazatetrahydrofolate oligo-γ-glutamates: Kinetics of multiple glutamate ligations catalyzed by folylpoly-γ-glutamate synthetase

John W. Tomsho, John J. McGuire and James K. Coward

3826

New pyrazolo[3,4-*b*]pyridones as selective A_1 adenosine receptor antagonists: synthesis, biological evaluation and molecular modelling studies

Paola Fossa, Marco Pestarino, Giulia Menozzi, Luisa Mosti, Silvia Schenone, Angelo Ranise, Francesco Bondavalli, M. Letizia Trincavelli, Antonio Lucacchini and Claudia Martini

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Communication: Positive ion pair cooperativity exhibited for the binding of phosphate under physiological conditions

Patrick Gunning (**DOI**: 10.1039/b510262f)

Communication: Recognition of septanose carbohydrates by concanavalin A

Steve Castro, Michael Duff, Nicole L. Snyder, Martha Morton, C. V. Kumar and Mark W. Peczuh (**DOI**: 10.1039/b509243d)

C-terminal properties are important for ring-fused 2-pyridones that interfere with chaperone function in uropathogenic *E. coli*

Veronica Åberg, Mattias Hedenström, Jerome S. Pinkner, S. J. Hultgren and Fredrik Almqvist (**DOI**: 10.1039/b509376g)

3-(2-Pyridyl)-[1,2,3]triazolo[1,5-a]pyridines. An experimental and theoretical (DFT) study of the ring-chain isomerization

Belén Abarca, Ibon Alkorta, Rafael Ballesteros, Fernando Blanco, Mimoun Chadlaoui, José Elguero and Fatemeh Mojarrad (**DOI**: 10.1039/b510535h)

Kinetic and thermodynamic stereocontrol in the atroposelective formation of sulfoxides by oxidation of 2-sulfanyl-1-naphthamides

Mark S. Betson, Jonathan Clayden, Madeleine Helliwell and David Mitjans (**DOI**: 10.1039/b511452g)

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