

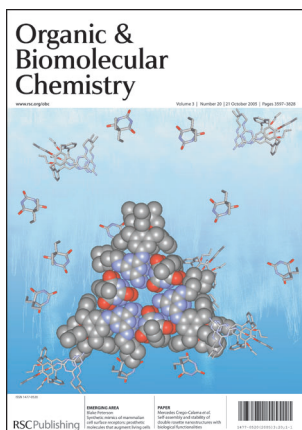
## In this issue...

### 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.



Chemical biology articles published in this journal also appear in the *Chemical Biology Virtual Journal*: [www.rsc.org/chembiol](http://www.rsc.org/chembiol)



### 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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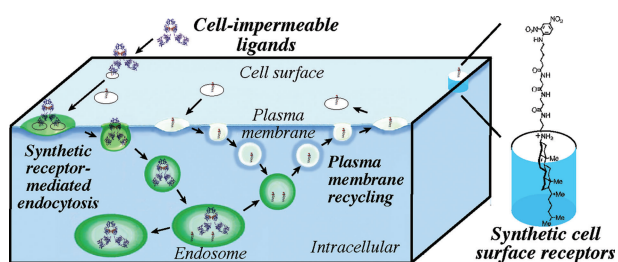
## EMERGING AREA

3607

### Synthetic mimics of mammalian cell surface receptors: prosthetic molecules that augment living cells

Blake R. Peterson\*

A new approach to the synthesis of small molecules that control and probe cellular biology.



## COMMUNICATIONS

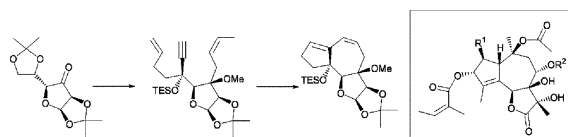
3613



### A facile domino metathetic route to a thapsigargin skeleton

Krishna P. Kaliappan\* and Rahul S. Nandurdikar

A facile synthesis of a 5,7,5-fused ring system that is present in thapsigargin belonging to a novel family of sesquiterpene lactones, guainanolides, using domino enyne-RCM is reported here.



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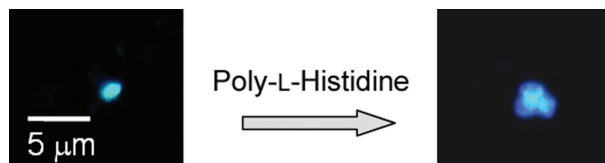
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### Membrane composition determines the fate of aggregated vesicles

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.



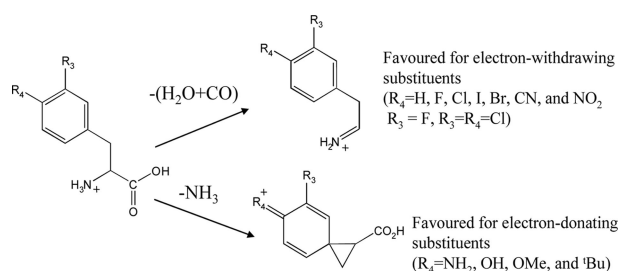
## ARTICLES

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<sub>2</sub>O + CO, while electron-donating groups favour loss of NH<sub>3</sub>.

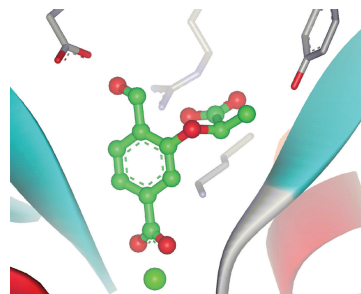


3629

### 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.

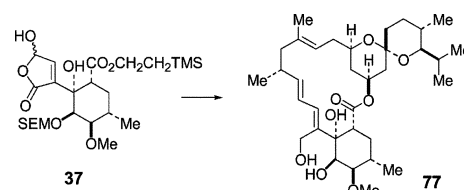


3636

### Total synthesis of milbemycins: a synthesis of (6R)-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 (6R)-6-hydroxy-3,4-dihydromilbemycin E **77** is described.

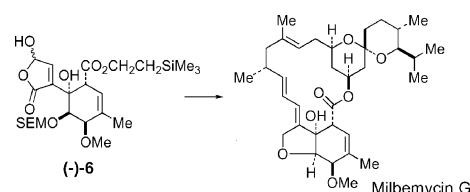


3654

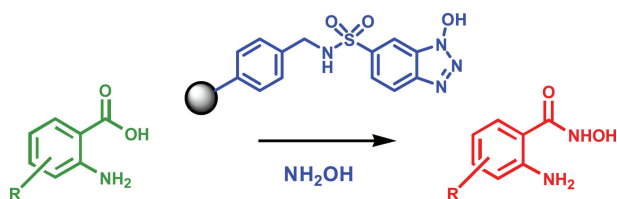
### A total synthesis of milbemycin G: approaches to the C(1)–C(10)-fragment and completion of the synthesis

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.



3678

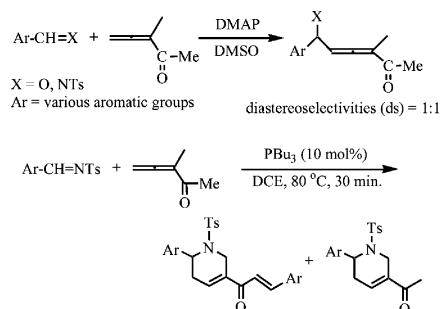


### Parallel synthesis and *in vitro* activity of novel anthranilic hydroxamate-based inhibitors of the prostaglandin H<sub>2</sub> synthase peroxidase activity

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 H<sub>2</sub> synthase.

3686

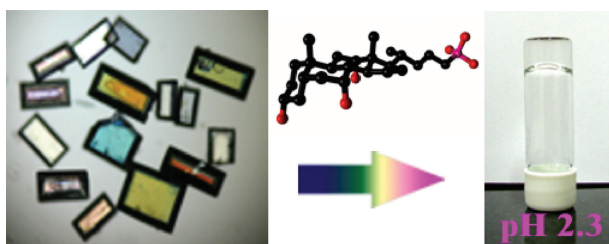


### Baylis–Hillman reactions of *N*-tosyl aldimines and aryl aldehydes with 3-methylpenta-3,4-dien-2-one

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.

3695

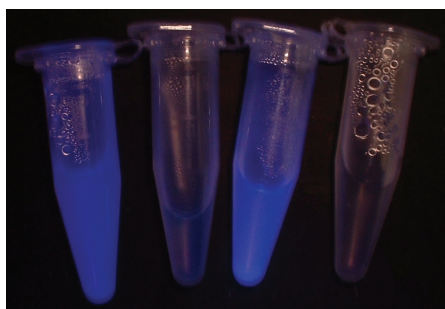


### Micellar aggregates and hydrogels from phosphonobile salts

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

Micellar aggregates formed by novel phosphonobile salts were studied by fluorescence and <sup>31</sup>P NMR. An unprecedented, pH sensitive hydrogel formation by phosphonocholeates, and the development of a reversible thermochromic gel are reported.

3701

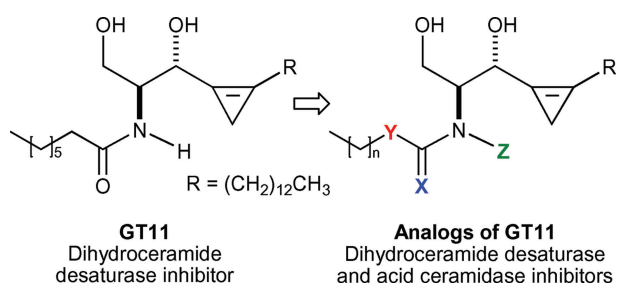


### Excited state tautomerization of azaindole

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.

3707



### Analogues 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\*

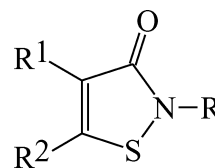
Biological effects of chemical modification of the GT11 amide linkage are reported: urea and thiourea analogs of GT11, as well as three  $\alpha$ -ketoamides, inhibited the desaturation of *N*-octanoylsphinganine to *N*-octanoylsphingosine.

3713


## Structure–activity relationships in 3-isothiazolones

John O. Morley,\* A. Jayne Oliver Kapur and Michael H. Charlton

The biological activity of 3-isothiazolones shows a reasonable correlation with their structural features and calculated solvation energies.

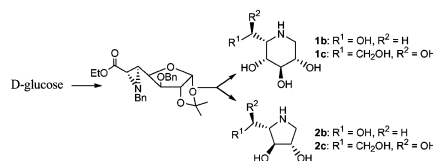


3720


**Aziridine carboxylate from D-glucose: synthesis of polyhydroxylated piperidine, pyrrolidine alkaloids and study of their glycosidase inhibition**

Dilip D. Dhavale,\* K. S. Ajish Kumar, Vinod D. Chaudhari, Tarun Sharma, Sushma G. Sabharwal and J. PrakashaReddy

An efficient synthesis of piperidine and pyrrolidine alkaloids using aziridine carboxylate derived from D-glucose and their glycosidase inhibitory activity is presented.

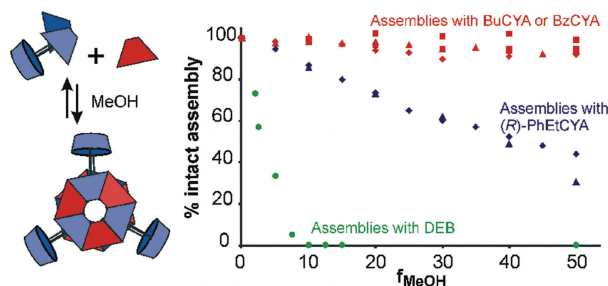


3727


**Self-assembly and stability of double rosette nanostructures with biological functionalities**

Mattijs G. J. ten Cate, Merdan Omerović, Gennady V. Oshovsky, Mercedes Crego-Calama\* and David N. Reinhoudt\*

The synthesis of synthetic hydrogen-bonded receptors bearing sugars, amino acids, and small peptides and their stability in polar solvents is presented.

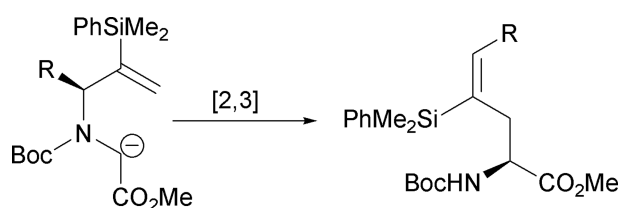


3734

**Chirality transfer in the aza-[2,3]-Wittig sigmatropic rearrangement**

James C. Anderson,\* J. Gair Ford and Matthew Whiting

Chiral rearrangement precursors show that the stereoselectivity of the aza-[2,3]-Wittig rearrangement can be controlled if the stereogenic centre is greater in steric bulk than a methyl substituent.



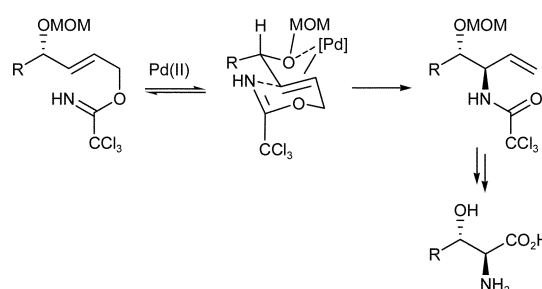
R = *i*-Pr, 66%, 91% *E*, 85% chirality transfer  
R = CH<sub>2</sub>OMOM, 65%, 91% *E*, 88% chirality transfer

3749

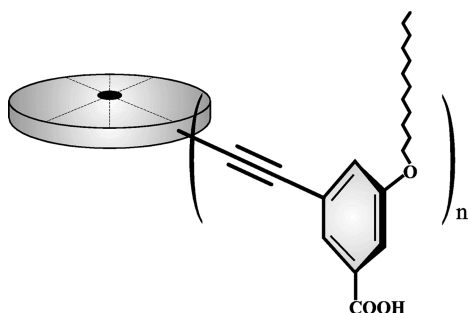
**Stereoselective β-hydroxy-α-amino acid synthesis via an ether-directed, palladium-catalysed aza-Claisen rearrangement**

Kate N. Fanning, Andrew G. Jamieson and Andrew Sutherland\*

We describe a new approach for the highly stereoselective synthesis of β-hydroxy-α-amino acids using an ether-directed, Pd(II)-catalysed aza-Claisen rearrangement.



3757

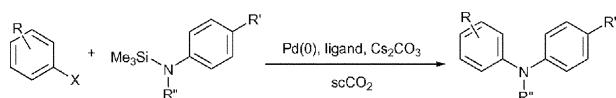


### Oligofunctional amphiphiles featuring geometric core group preorganization: synthesis and study of Langmuir and Langmuir–Blodgett films

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.

3767

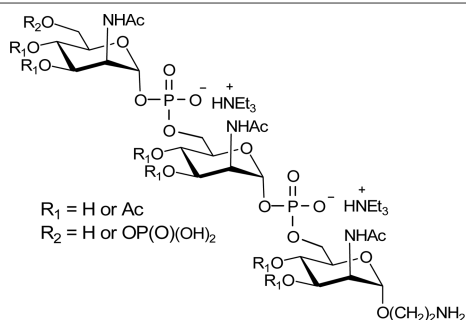


### Palladium catalysed aryl amination reactions in supercritical carbon dioxide

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.

3782

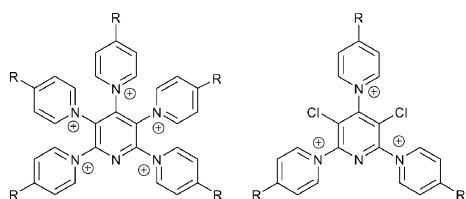


### Synthesis of structures corresponding to the capsular polysaccharide of *Neisseria meningitidis* group A

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.

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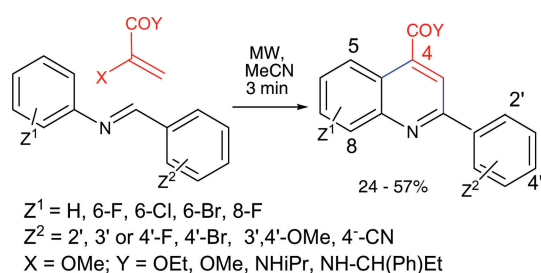


### From uncharged to decacationic molecules: syntheses and spectroscopic properties of heteroarenium-substituted pyridines

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  $\pi$ -electron system.

3794



### 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

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

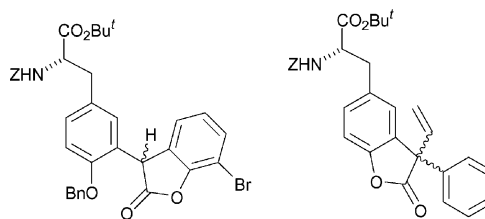
Reaction of 2-methoxyacrylic acid derivatives with *N*-arylbenzaldimines in acetonitrile under  $\text{InCl}_3$  catalysis and microwave irradiation afforded substituted quinoline-4-carboxylic acids in isolated yields up to 57% within 3 min. The role of Lewis acid was specified using  $^{13}\text{C}$  NMR data and model theoretical studies.

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.

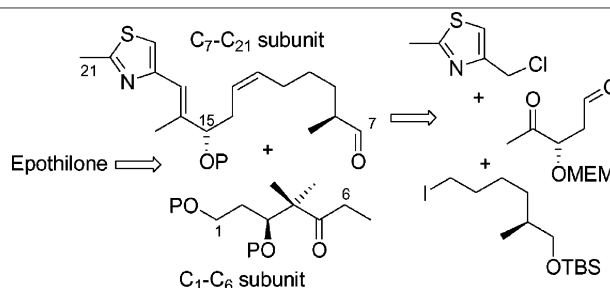


3812

### 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  $\alpha$ -pinene.



## ADDITIONS AND CORRECTIONS

3825

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

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

3826

### New pyrazolo[3,4-*b*]pyridones as selective A<sub>1</sub> 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

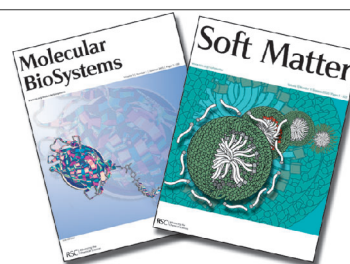
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