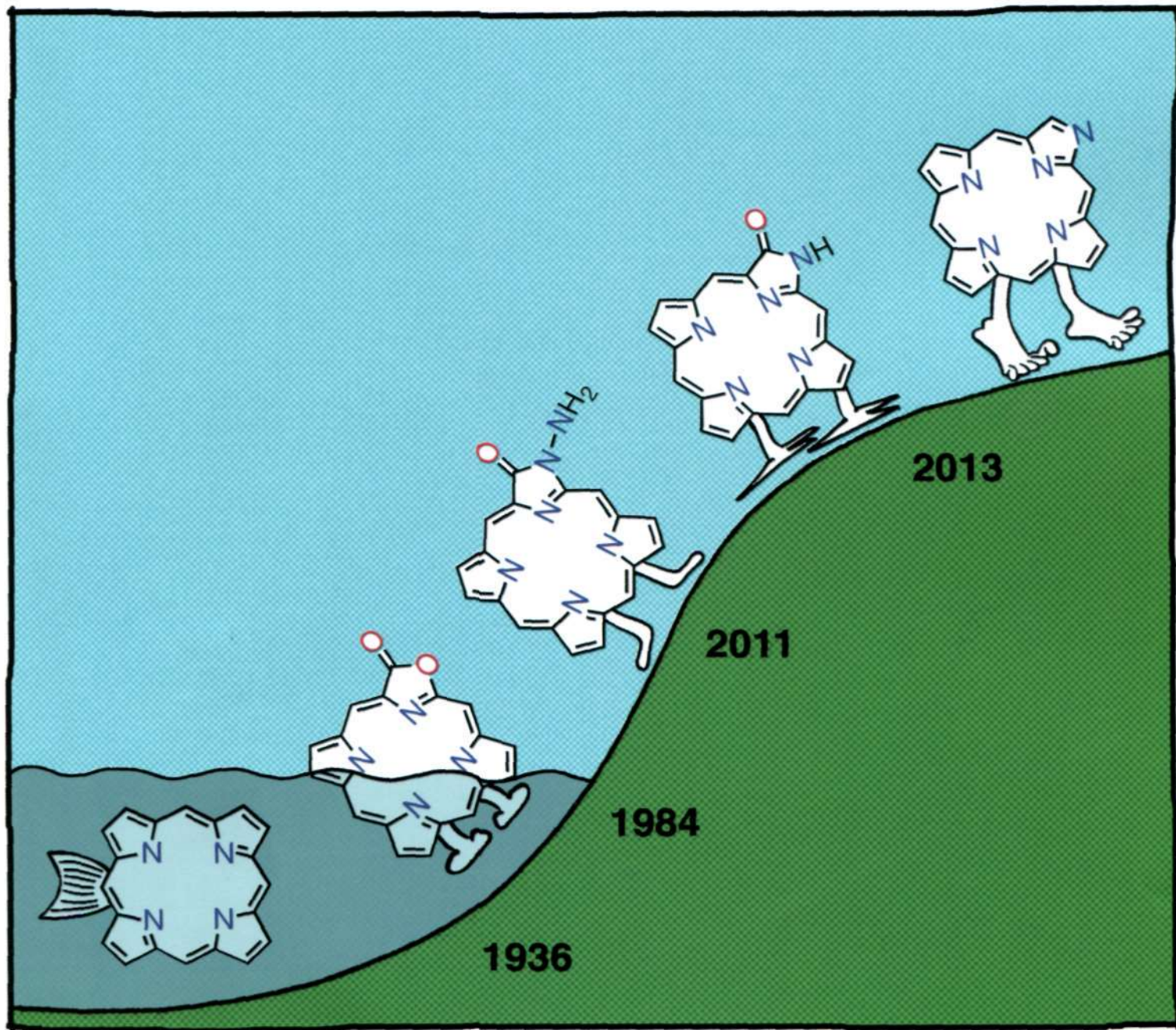


# Organic & Biomolecular Chemistry

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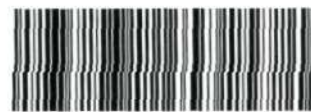
ISSN 1477-0520

RSC Publishing

**PAPER**

*Christian Brückner et al.*

Formation, structure, and reactivity of *meso*-tetraaryl-chlorolactones, -porpholactams, and -chlorolactams, porphyrin and chlorin analogues incorporating oxazolone or imidazolone moieties



1477-0520(2013)11:22;1-B

# Organic & Biomolecular Chemistry

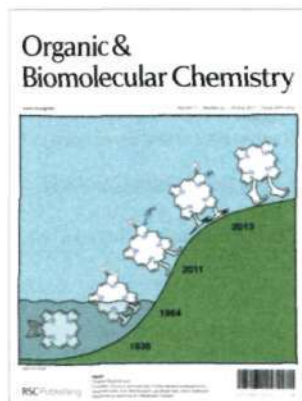
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## IN THIS ISSUE

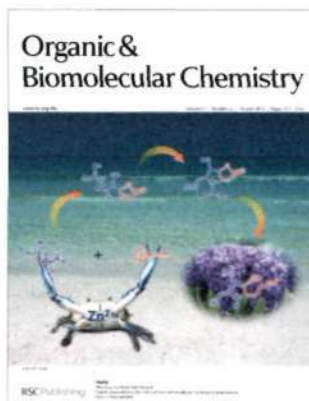
ISSN 1477-0520 CODEN OBCRAK 11(22) 3575–3762 (2013)



### Cover

See Christian Brückner *et al.*, pp. 3616–3628.

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### Inside cover

See Won Koo Lee, Hyun-Joon Ha *et al.*, pp. 3629–3634.

Image reproduced by permission of Hyun-Joon Ha from *Org. Biomol. Chem.*, 2013, **11**, 3629.

## PERSPECTIVE

3583

### Transition metal-catalyzed functionalization of pyrazines

Nicolai I. Nikishkin, Jurriaan Huskens and Willem Verboom\*

This review deals with recent progress in the field of transition metal-catalyzed cross-coupling reactions on pyrazine systems.



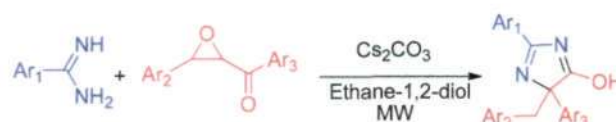
## COMMUNICATIONS

3603

### A novel domino strategy for forming poly-substituted quaternary imidazoles through a Cs<sub>2</sub>CO<sub>3</sub>-promoted aryl migration process

Hai-Wei Xu, Wei Fan, Meng-Yuan Li, Bo Jiang,\* Shu-Liang Wang and Shu-Jiang Tu\*

A new domino strategy for the synthesis of highly functionalized quaternary imidazole derivatives *via* [3 + 2] heterocyclization, involving an aryl migration and ring-opening of oxirane, has been developed.

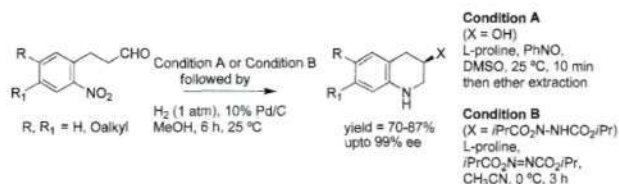


3608

### Proline catalyzed sequential $\alpha$ -aminoxylation or -amination/reductive cyclization of *o*-nitrohydrocinnamaldehydes: a high yield synthesis of chiral 3-substituted tetrahydroquinolines

Varun Rawat, B. Senthil Kumar and Arumugam Sudalai\*

A new sequential proline catalyzed  $\alpha$ -aminoxylation or -amination/reductive cyclization protocol for the synthesis of enantioenriched 3-substituted tetrahydroquinoline derivatives (THQs) in high yields is described.

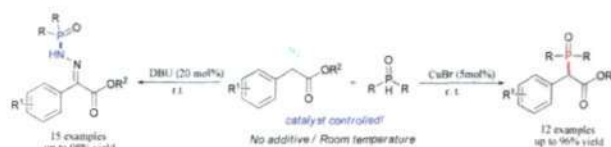


3612

### Catalyst-controlled switchable phosphination of $\alpha$ -diazooesters

Honglai Jiang, Hongming Jin, Ablimit Abdukader, Aijun Lin, Yixiang Cheng and Chengjian Zhu\*

A catalyst-controlled switchable phosphination of  $\alpha$ -diazooesters has been developed by using DBU and copper as catalysts. It provided an efficient synthetic method for the construction of various phosphorus compounds *via* the formation of N-P and C-P bonds.



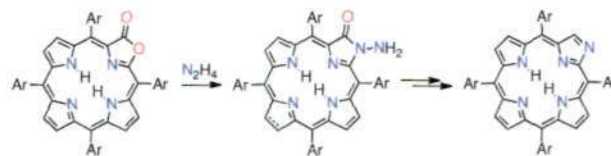
PAPERS

3616

### Formation, structure, and reactivity of meso-tetraaryl-chlorolactones, -porpholactams, and -chlorolactams, porphyrin and chlorin analogues incorporating oxazolone or imidazolone moieties

Joshua Akhigbe, John Haskoor, Jeanette A. Krause, Matthias Zeller and Christian Brückner\*

An hydrazine-induced O-to-N exchange in porpholactones forms porpholactams; a concomitant reduction generates the chlorin analogues chlorolactone and chlorolactam; further manipulations generates imidazoloporphyrins.

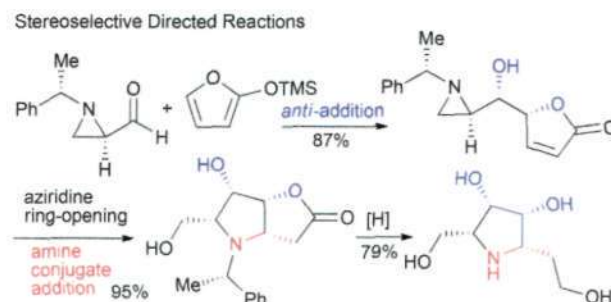


3629

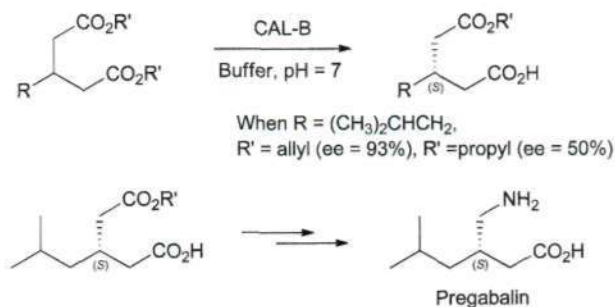
### Highly stereoselective directed reactions and an efficient synthesis of azafuranoses from a chiral aziridine

Hogyu Lee, Jun Hee Kim, Won Koo Lee,\* Jaeheung Cho, Wonwoo Nam, Jaedeok Lee and Hyun-Joon Ha\*

Azafuranoses represented by the natural product (+)-2,5-imino-2,5,6-trideoxy-gulo-heptitol and its C(3)-epimer were elaborated from a commercially available enantiomerically pure (2*R*)-hydroxymethylaziridine by highly stereoselective directed reactions.



3635

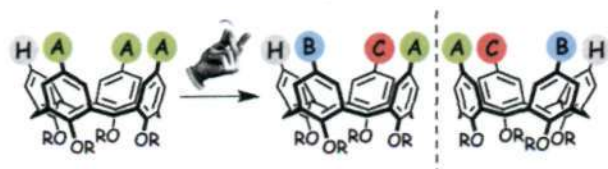


### CAL-B catalyzed desymmetrization of 3-alkylglutarate: "olefin effect" and asymmetric synthesis of pregabalin

Jae-Hoon Jung, Doo-Ha Yoon, Philjun Kang, Won Koo Lee,\* Heesung Eum and Hyun-Joon Ha\*

CAL-B catalyzed desymmetrization of prochiral 3-alkylglutaric acid diesters was performed to prepare optically active 3-alkylglutaric acid monoesters bearing various alkyl substituents, including methyl, ethyl, propyl and allyl groups.

3642

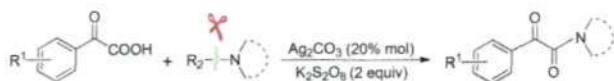


### One-shot preparation of an inherently chiral trifunctional calix[4]arene from an easily available cone-triformylcalix[4]arene

Maria Ciaccia, Irene Tosi, Roberta Cacciapaglia, Alessandro Casnati, Laura Baldini\* and Stefano Di Stefano\*

An inherently chiral ABCH-substituted cone-calix[4]arene derivative has been prepared in a one-step process starting from the easily available cone-triformylcalix[4]arene.

3649

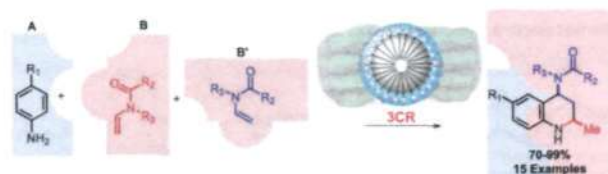


### Silver-catalyzed amidation of benzoylformic acids with tertiary amines via selective carbon–nitrogen bond cleavage

Xiaobin Zhang, Wenchao Yang and Lei Wang\*

A novel approach to  $\alpha$ -ketoamides using tertiary amines as nitrogen group sources via C–N bond cleavage has been developed.

3655



### Aqueous SDS micelle-promoted acid-catalyzed domino ABB' imino Diels–Alder reaction: a mild and efficient synthesis of privileged 2-methyl-tetrahydroquinoline scaffolds

Diego R. Merchán Arenas, Carlos A. Martínez Bonilla and Vladimir V. Kouznetsov\*

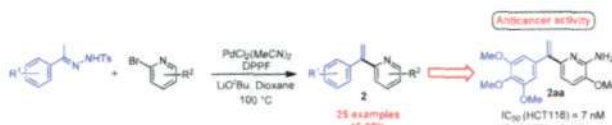
A new green and efficient synthesis of *cis* 4-amido-*N*-yl-2-methyl-1,2,3,4-tetrahydroquinolines through domino type ABB' imino Diels–Alder reaction in acidified aqueous-SDS surfactant was developed.

3664

### An efficient coupling of *N*-tosylhydrazones with 2-halopyridines: synthesis of 2- $\alpha$ -styrylpyridines endowed with antitumor activity

Marie Lawson, Abdallah Hamze,\* Jean-François Peyrat, Jérôme Bignon, Joelle Dubois, Jean-Daniel Brion and Mouad Alami\*

$\text{PdCl}_2(\text{MeCN})_2$  in combination with DPPF or  $t\text{Bu}_2\text{MeP-HBF}_4$  catalyzes the reaction of *N*-tosylhydrazones with various 2-halopyridines to provide the 2- $\alpha$ -styrylpyridines olefins of biological interest.

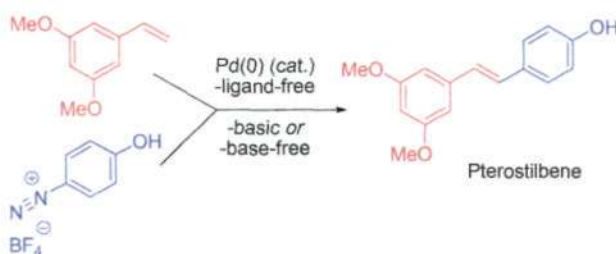


3674

### Scope and limitations of the Heck–Matsuda-coupling of phenol diazonium salts and styrenes: a protecting-group economic synthesis of phenolic stilbenes

Bernd Schmidt,\* Nelli Elizarov, René Berger and Frank Hölder

4'-Hydroxy stilbenes were synthesized from 4-phenol diazonium salts *via* the Heck–Matsuda coupling without using OH-protecting groups for the arylating agent.

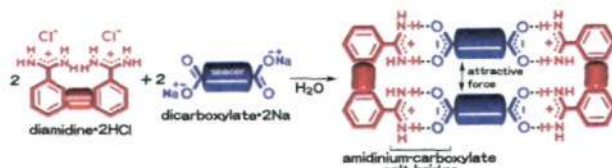


3692

### Four-component assembly in the crystalline state driven by amidinium–carboxylate salt bridge formation from an aqueous solution

Takahiro Kusakawa,\* Kazuya Matsumoto, Hajime Nakamura, Wataru Iizuka, Keisuke Toyama and Shota Takeshita

The introduction of two amidinium groups to the 1,8-position of a spacer unit can control the direction of formation of a self-assembled structure and succeeded in the formation of a four-component assembled structure.

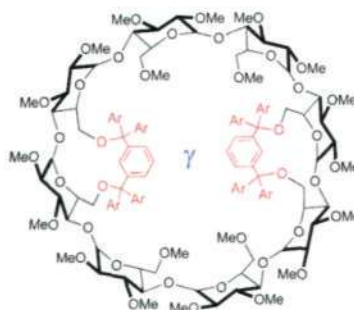


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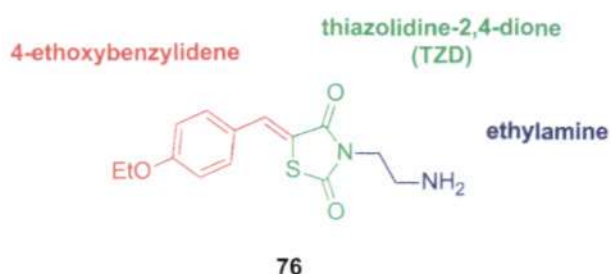
### Regioselective di- and tetra-functionalisation of $\gamma$ -cyclodextrin using capping methodology

Matthieu Jouffroy, Dominique Armspach,\* Dominique Matt\* and Loïc Toupet

$\gamma$ -Cyclodextrin was regioselectively functionalised using the dialkylating capping reagent 1,3-bis[bis(4-*tert*-butylphenyl)chloro-methyl]benzene.



3706

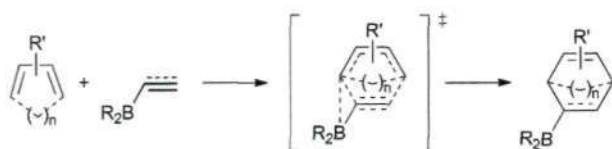


### Structural modifications of (Z)-3-(2-aminoethyl)-5-(4-ethoxybenzylidene)thiazolidine-2,4-dione that improve selectivity for inhibiting the proliferation of melanoma cells containing active ERK signaling

K.-Y. Jung, R. Samadani, J. Chauhan, K. Nevels, J. L. Yap, J. Zhang, S. Worlikar, M. E. Lanning, L. Chen, M. Ensey, S. Shukla, R. Salmo, G. Heinzl, C. Gordon, T. Dukes, A. D. MacKerell Jr., P. Shapiro\* and S. Fletcher\*

Cell-based SAR of an ERK docking domain inhibitor.

3733

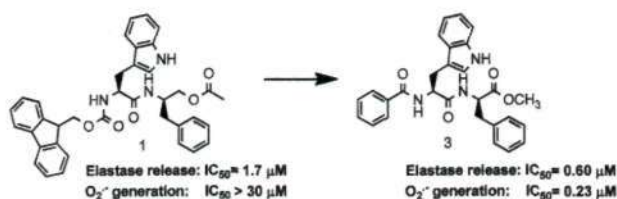


### Theoretical investigation of the Diels–Alder reactions of unsaturated boronates

Nicolás Grimblat and Silvina C. Pellegrinet\*

Concerted normal electron-demand Diels–Alder reactions with asynchronous TSs and weak [4 + 3] C–B SOIs for boronates.

3742

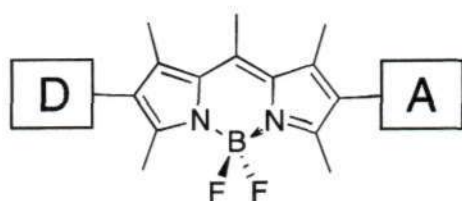


### Design and synthesis of tryptophan containing dipeptide derivatives as formyl peptide receptor 1 antagonist

Tsong-Long Hwang, Chih-Hao Hung, Ching-Yun Hsu, Yin-Ting Huang, Yu-Chi Tsai and Pei-Wen Hsieh\*

A series of tryptophan containing dipeptides were synthesized and their anti-inflammatory effects and underlying mechanisms were investigated in human neutrophils.

3756



### Manipulating *non-innocent* $\pi$ -spacers: the challenges of using 2,6-disubstituted BODIPY cores within donor–acceptor light-harvesting motifs

Catherine Bonnier, Devin D. Machin, Omar Abdi and Bryan D. Koivisto\*

The syntheses and physicochemical properties for a series of 2,6-disubstituted-4,4-difluoro-4-bora-3a,4a-diaza-*s*-indacene (BODIPY) dyes are reported.