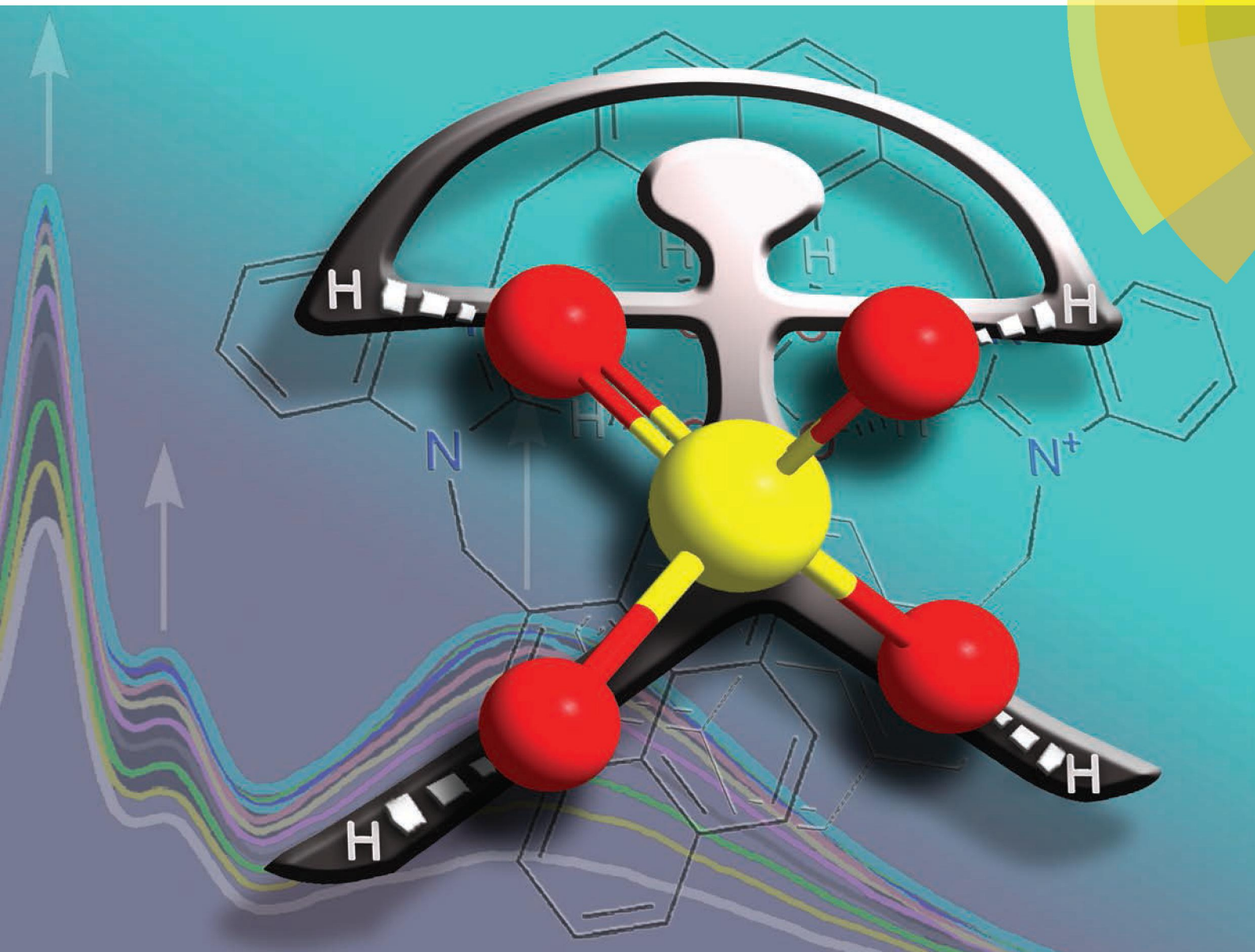


# Organic & Biomolecular Chemistry

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ISSN 1477-0520



**PAPER**

Antonio Caballero, Pedro Molina *et al.*  
A case of oxoanion recognition based on combined cationic and neutral C–H hydrogen bond interactions

# Organic & Biomolecular Chemistry

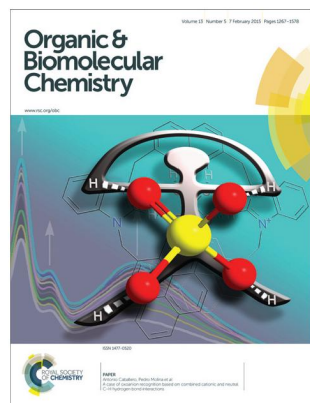
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## IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 13(5) 1267-1578 (2015)



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See Antonio Caballero, Pedro Molina *et al.*, pp. 1339–1346.

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### Inside cover

See Ahmed Kamal *et al.*, pp. 1347–1357.

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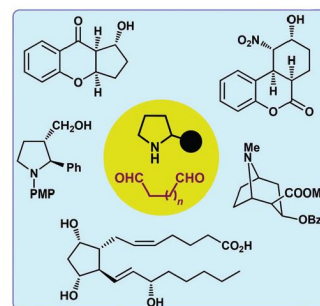
## REVIEWS

1280

### Linear dialdehydes as promising substrates for aminocatalyzed transformations

Indresh Kumar,\* Panduga Ramaraju, Nisar A. Mir and Anoop Singh

Linear dialdehydes: This article summarizes the recent utilization of linear dialdehydes as appropriate substrates for amine catalyzed cascade/domino transformations.

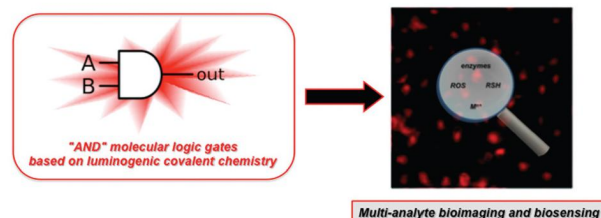


1294

### “AND” luminescent “reactive” molecular logic gates: a gateway to multi-analyte bioimaging and biosensing

Anthony Romieu

This feature article focuses on the recent development of “AND” luminescent molecular logic gates, in which the optical output is produced in response to multiple (bio)-chemical inputs and through cascades of covalent bond-modifying reactions triggered by target (bio)analytes, for biosensing and bioimaging applications in complex media.



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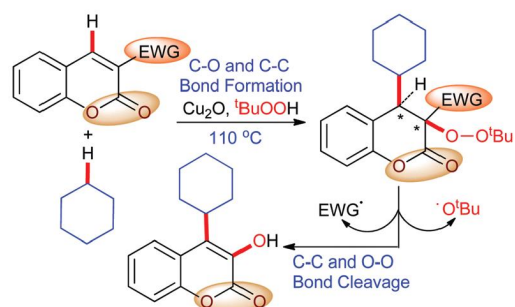
## COMMUNICATIONS

1307

**Copper(I)-promoted cycloalkylation–peroxidation of unactivated alkenes via  $sp^3$  C–H functionalisation**

Arghya Banerjee, Sourav Kumar Santra, Aniket Mishra, Nilufa Khatun and Bhisma K. Patel\*

A copper-promoted cycloalkylation–peroxidation strategy has been developed via a three-component reaction involving cycloalkanes, *tert*-butyl hydroperoxide and internal conjugated alkene.

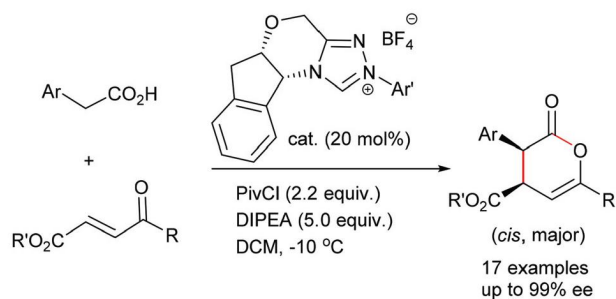


1313

**N-heterocyclic carbene-catalyzed cyclocondensation of 2-aryl carboxylic acids and enones: highly enantioselective synthesis of  $\delta$ -lactones**

Jin-Tang Cheng, Xiang-Yu Chen and Song Ye\*

The NHC-catalyzed [4 + 2] cyclocondensation of 2-aryl carboxylic acids with enones was developed, giving  $\delta$ -lactones in good yields with high enantioselectivities.

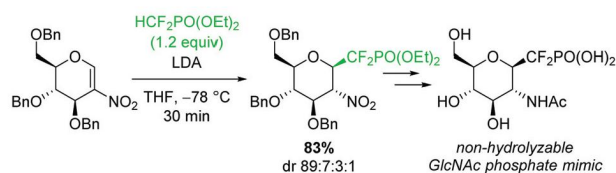


1317

**Stereoselective synthesis of fluorinated aminoglycosyl phosphonates**

Sanne Bouwman, Romano V. A. Orru and Eelco Ruijter\*

We report the highly stereoselective addition of lithiated difluorophosphonates to nitroglycals, providing synthetic access to biologically relevant fluorinated aminoglycosyl phosphonates.

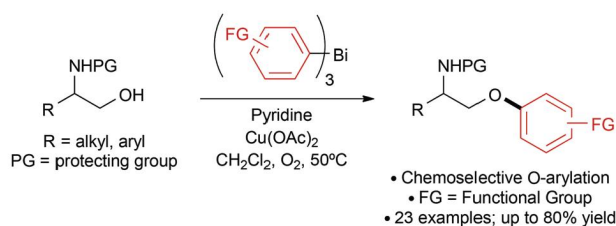


1322

**Copper-catalyzed O-arylation of N-protected 1,2-aminoalcohols using functionalized trivalent organobismuth reagents**

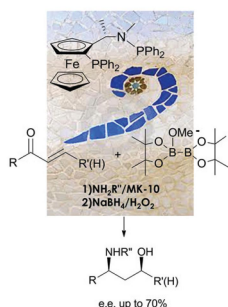
Pauline Petiot, Julien Dansereau, Martin Hébert, Imene Khene, Tabinda Ahmad, Samira Samaali, Maxime Leroy, Francis Pinsonneault, Claude Y. Legault\* and Alexandre Gagnon\*

The O-arylation of 1,2-aminoalcohols using functionalized triaryl bismuth reagents is reported.



## COMMUNICATIONS

1328

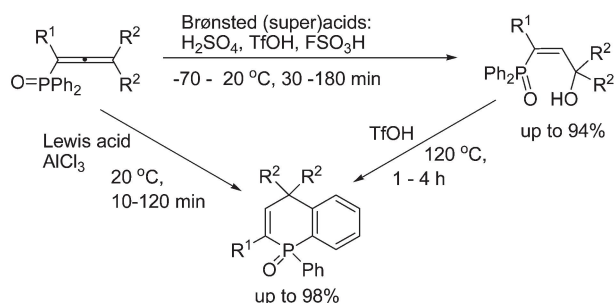


### Asymmetric metal free $\beta$ -boration of $\alpha,\beta$ -unsaturated imines assisted by (*S*)-MeBoPhoz

Enrico La Cascia, Xavier Sanz, Carles Bo, Andrew Whiting\* and Elena Fernandez\*

The adduct  $[\text{MeO} \rightarrow \text{Bpin-Bpin}]^-$  efficiently mediates the  $\beta$ -boration of  $\alpha,\beta$ -unsaturated imines formed *in situ*.

1333



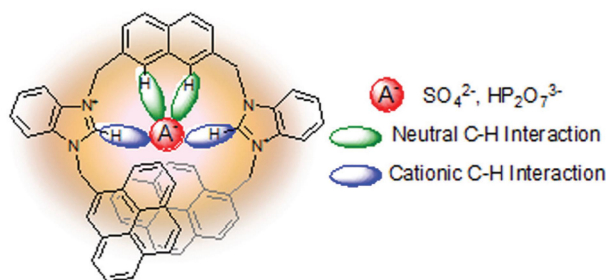
### Acid-promoted transformations of 1-(diphenylphosphoryl)allenes: synthesis of novel 1,4-dihydrophosphinoline 1-oxides

Alexander S. Bogachenkov, Albina V. Dogadina, Vadim P. Boyarskiy and Aleksander V. Vasilyev\*

1-(Diphenylphosphoryl)alka-1,2-dienes in acids (TfOH, FSO<sub>3</sub>H, H<sub>2</sub>SO<sub>4</sub>) give (3-hydroxyalk-1-en-1-yl)-diphenylphosphine oxides, that are further converted into 1-phenyl-1,4-dihydrophosphinoline 1-oxides. The latter compounds are directly formed from these 1,2-dienes under the action of AlCl<sub>3</sub>.

## PAPERS

1339

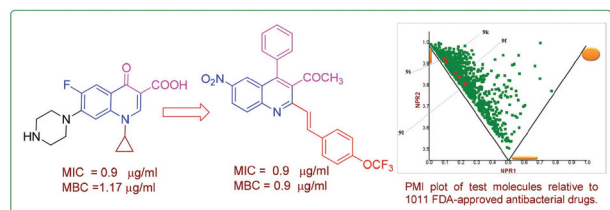


### A case of oxoanion recognition based on combined cationic and neutral C–H hydrogen bond interactions

Fabiola Zapata, Paula Sabater, Antonio Caballero\* and Pedro Molina\*

A bidentate bis-(benzimidazolium) receptor containing pyrene as fluorescent signaling units recognizes sulphate and hydrogenpyrophosphate in a competitive water–DMSO medium through combinations of cationic and neutral C–H hydrogen bonding.

1347



### Regioselective synthesis, antimicrobial evaluation and theoretical studies of 2-styryl quinolines

Ahmed Kamal,\* Abdul Rahim, Sd Riyaz, Y. Poornachandra, Moku Balakrishna, C. Ganesh Kumar, Syed Mohammed Ali Hussaini, B. Sridhar and Pavan Kumar Machiraju

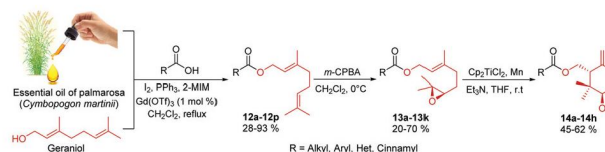
2-Styryl quinolines have been synthesized regioselectively from 2-methyl-quinoline using NaOAc in water acetic acid binary solvents and evaluated for their antibacterial activity against both Gram-positive and Gram-negative strains.

1358

### Gd(OTf)<sub>3</sub>-catalyzed synthesis of geranyl esters for the intramolecular radical cyclization of their epoxides mediated by titanocene(III)

William H. García Santos, Carlos E. Puerto Galvis and Vladimir V. Kouznetsov\*

The catalytic activity of Gd(OTf)<sub>3</sub> for the direct esterification of geraniol and the regio- and stereo-controlled radical cyclization of their epoxides mediated by titanocene(III) is described.

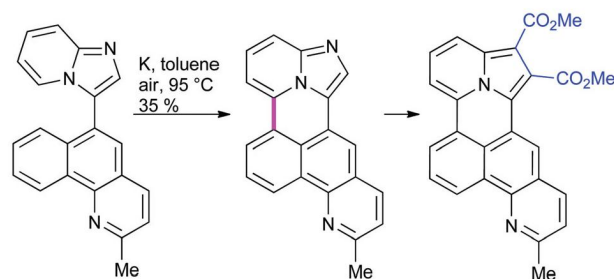


1367

### Vertically-expanded imidazo[1,2-a]pyridines and imidazo[1,5-a]pyridine via dehydrogenative coupling

Dikhi Firmansyah, Marzena Banasiewicz and Daniel T. Gryko\*

The intramolecular dehydrogenative coupling mediated by potassium constitutes the general methodology leading to weakly emitting  $\pi$ -expanded heterocycles.

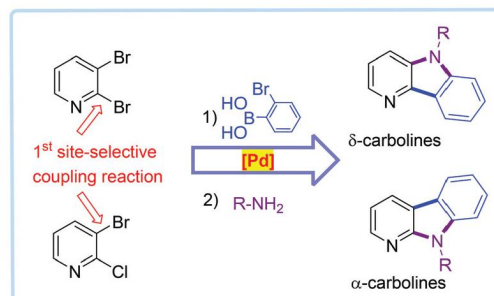


1375

### Efficient synthesis of $\alpha$ - and $\delta$ -carbolines by sequential Pd-catalyzed site-selective C–C and twofold C–N coupling reactions

Tran Quang Hung, Tuan Thanh Dang,\* Julia Janke, Alexander Villinger and Peter Langer\*

Two concise and efficient approaches were developed for the synthesis of  $\alpha$ - and  $\delta$ -carboline derivatives.

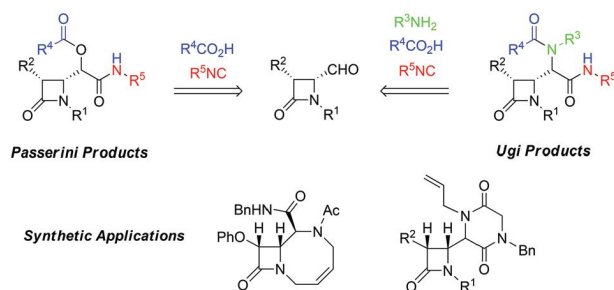


1387

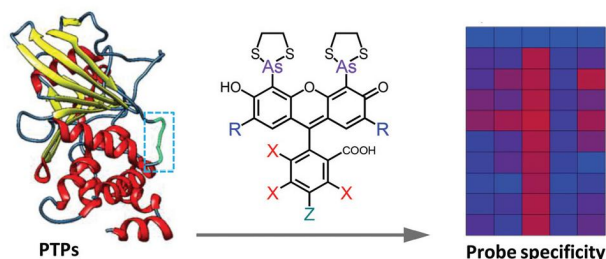
### Investigation of the Passerini and Ugi reactions in $\beta$ -lactam aldehydes. Synthetic applications

Benito Alcaide,\* Pedro Almendros, Cristina Aragoncillo, Ricardo Callejo, M. Pilar Ruiz and M. Rosario Torres

Ugi and Passerini multicomponent reactions on 4-oxoazetidine-2-carboxaldehydes allow the straightforward synthesis of highly functionalized  $\beta$ -lactams.



1395

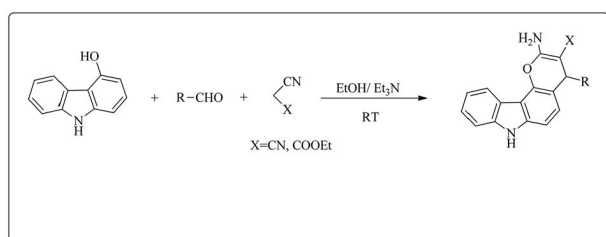


### Probing the target-specific inhibition of sensitized protein tyrosine phosphatases with biarsenical probes

Adam Pomorski, Justyna Adamczyk, Anthony C. Bishop and Artur Krężel\*

A library of biarsenical probes was developed, characterized and used to probe structure–activity relationships for inhibition of sensitized protein tyrosine phosphatases (PTPs), revealing the superior inhibitory properties of 2',7'-substituted biarsenicals.

1404

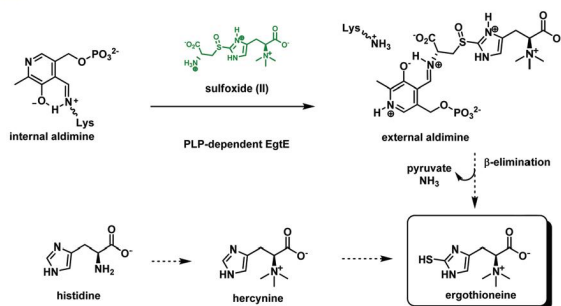


### Synthesis and biological evaluation of novel pyrano[3,2-c]carbazole derivatives as anti-tumor agents inducing apoptosis *via* tubulin polymerization inhibition

P. Padmaja,\* G. K. Rao, A. Indrasena, B. V. S. Reddy, N. Patel, A. B. Shaik, N. Reddy, P. K. Dubey and M. P. Bhadra\*

A series of novel pyrano[3,2-c]carbazole derivatives have been synthesized and antiproliferative activity of the derivatives were investigated on various cancer cell lines.

1415

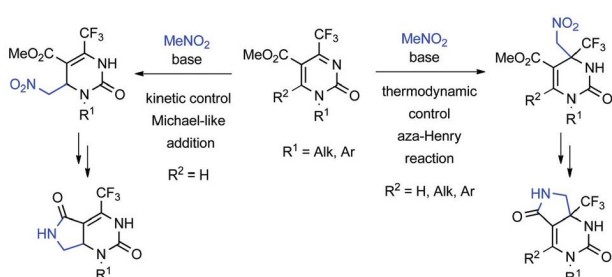


### Improved synthesis of the super antioxidant, ergothioneine, and its biosynthetic pathway intermediates

Peguy Lutete Khonde and Anwar Jardine\*

Ergothioneine and mycothiol are low molecular mass redox protective thiols present in actinomycetes, in particular mycobacteria.

1420



### Development of an efficient route to CF<sub>3</sub>-substituted pyrrolopyrimidines through understanding the competition between Michael and aza-Henry reactions

V. M. Tkachuk, V. A. Sukach, K. V. Kovalchuk, M. V. Vovk and V. G. Nenajdenko\*

A simple control of temperature and time in the nitromethane addition to 4-trifluoromethylated pyrimidin-2-ones allowed regioselective synthesis of isomeric products.

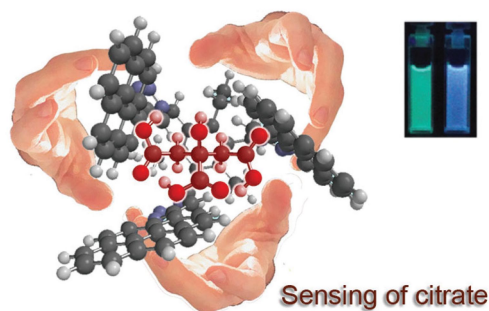
## PAPERS

1429

### Tris(triazole) tripodal receptors as selective probes for citrate anion recognition and multichannel transition and heavy metal cation sensing

María del Carmen González, Francisco Otón, Arturo Espinosa, Alberto Tárraga\* and Pedro Molina\*

The preparation and binding properties towards citrate anions and cations of two three-armed triazole based receptors are described.

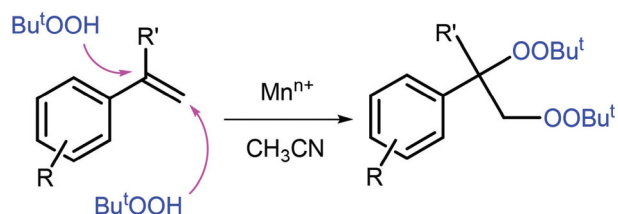


1439

### Manganese triacetate as an efficient catalyst for bisperoxidation of styrenes

Alexander O. Terent'ev,\* Mikhail Yu. Sharipov, Igor B. Krylov, Darya V. Gaidarenko and Gennady I. Nikishin

Bisperoxidation of styrenes with *tert*-butyl hydroperoxide in the presence of a catalytic amount of  $Mn(OAc)_3$ .

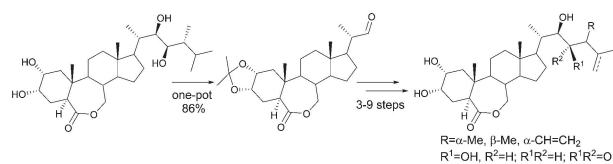


1446

### The development and use of a general route to brassinolide, its biosynthetic precursors, metabolites and analogues

A. L. Hurski, Yu. V. Ermolovich, V. N. Zhabinskii\* and V. A. Khrpach

A new method for the construction of steroid side chains through the addition of lithium salts of dithianes to a C-22 aldehyde was developed. It was applied for the preparation of brassinolide and related brassinosteroids.

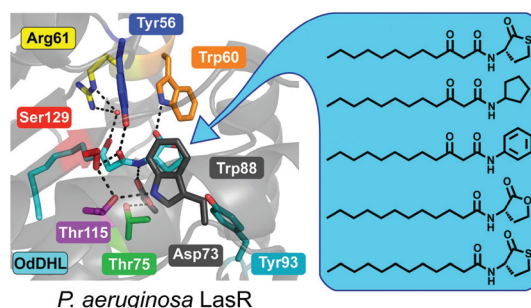


1453

### Unraveling the contributions of hydrogen-bonding interactions to the activity of native and non-native ligands in the quorum-sensing receptor LasR

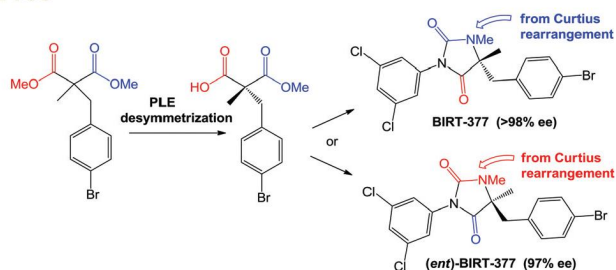
Joseph P. Gerdt, Christine E. McInnis, Trevor L. Schell and Helen E. Blackwell\*

Systematic analyses of mutant LasR quorum-sensing receptors with its native ligand and a suite of synthetic analogues reveal the importance of specific polar interactions for native receptor activation.





1463

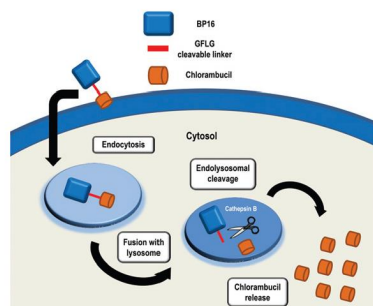


### Stereodivergent synthesis of the LFA-1 antagonist BIRT-377 by porcine liver esterase desymmetrization and Curtius rearrangement

Aaron Johnson, Matthew J. Saunders and Thomas G. Back\*

BIRT-377 was synthesized by enzymatic desymmetrization in conjunction with Curtius rearrangement, affording a key  $\alpha$ -quaternary amine intermediate in high ee.

1470

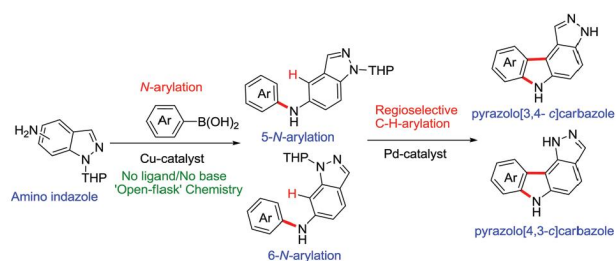


### Enzyme-triggered delivery of chlorambucil from conjugates based on the cell-penetrating peptide BP16

Marta Soler, Marta González-Bártulos, Eduard Figueras, Xavi Ribas, Miquel Costas, Anna Massaguer, Marta Planas\* and Lidia Feliu\*

The combination of the cell-penetrating peptide BP16 with the enzymatic cleavable sequence Gly-Phe-Leu-Gly constitutes a drug delivery system for the effective uptake and release of chlorambucil in cancer cells.

1481

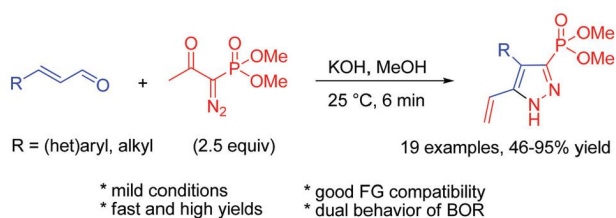


### Cu-catalyzed arylation of the amino group in the indazole ring: regioselective synthesis of pyrazolo-carbazoles

K. Anil Kumar, Prakash Kannaboina, Devendra K. Dhaked, Ram A. Vishwakarma, Prasad V. Bharatam\* and Parthasarathi Das\*

Arylated aminoindazoles were synthesized by copper-catalyzed C–N bond cross-coupling with boronic acids. These were then transformed to pyrazolo-carbazoles by Pd-catalyzed regioselective cross-dehydrogenative coupling.

1492



### Domino reaction involving the Bestmann–Ohira reagent and $\alpha,\beta$ -unsaturated aldehydes: efficient synthesis of functionalized pyrazoles

Shakir Ahamad, Ashis Kumar Gupta, Ruchir Kant and Kishor Mohanan\*

A mild, efficient and rapid domino reaction involving the Bestmann–Ohira reagent (BOR) and  $\alpha,\beta$ -unsaturated aldehydes has been developed for the synthesis of densely functionalized vinylpyrazoles.

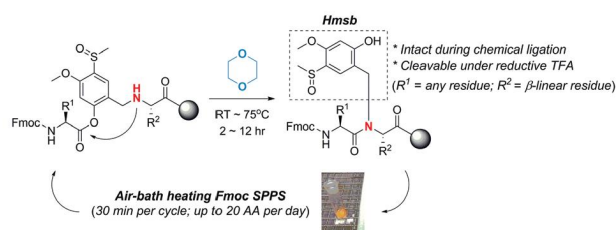
## PAPERS

1500

## Accelerated Fmoc solid-phase synthesis of peptides with aggregation-disrupting backbones

Yi-Chao Huang, Chao-Jian Guan, Xiang-Long Tan, Chen-Chen Chen, Qing-Xiang Guo and Yi-Ming Li\*

In this work, we describe an accelerated solid-phase synthetic protocol for ordinary or difficult peptides involving air-bath heating and amide protection.

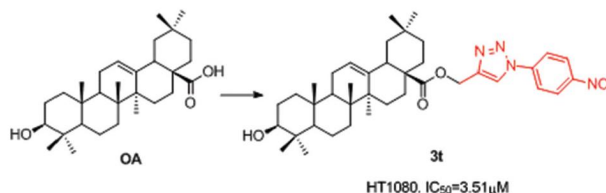


1507

## A library of 1,2,3-triazole-substituted oleanolic acid derivatives as anticancer agents: design, synthesis, and biological evaluation

Gaofei Wei, Weijing Luan, Shuai Wang, Shanshan Cui, Fengran Li, Yongxiang Liu, Yang Liu\* and Maosheng Cheng\*

A series of novel oleanolic acid coupled 1,2,3-triazole derivatives have been designed and synthesized by employing a Cu(I) catalyzed Huisgen 1,3-dipolar cycloaddition reaction.

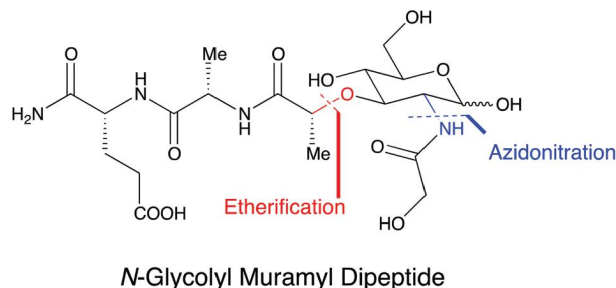


1515

A robust synthesis of *N*-glycolyl muramyl dipeptide via azidonitration/reduction

Shuo Xing and James L. Gleason\*

Glycol etherification followed by azidonitration/reduction solves a difficult  $S_N2$  step in the synthesis of *N*-glycolyl muramyl dipeptide.

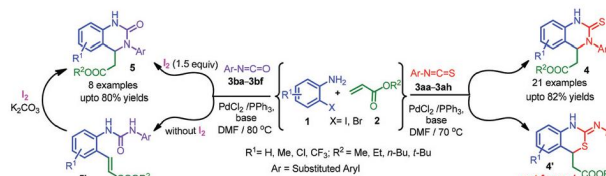


1521

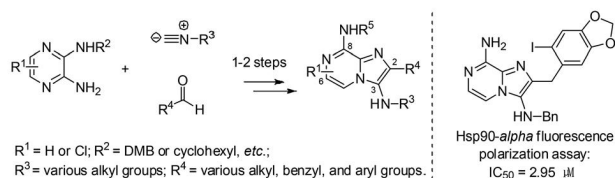
Pd-catalyzed Heck-conjoined amidation and concomitant chemoselective Michael-addition: an efficient tandem approach to highly functionalized tetrahydroquinazolines from *o*-haloanilines

R. K. Saunthwal, M. Patel, A. K. Danodia and A. K. Verma\*

Efficient palladium-catalyzed tandem approach for the synthesis of highly functionalized tetrahydroquinazolines from *o*-haloanilines with acrylates and isothiocyanates/isocyanates via Heck-conjoined amidation/thioamidation and concomitant chemoselective Michael-addition is described.



1531

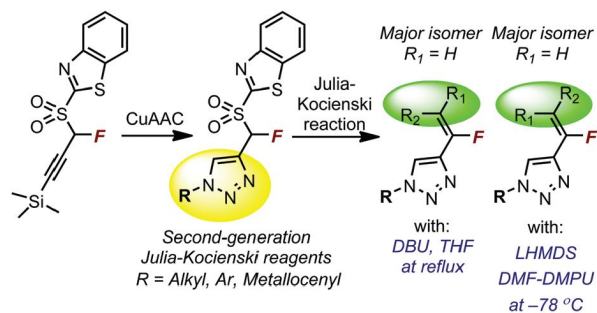


### Multi-substituted 8-aminoimidazo[1,2-a]pyrazines by Groebke–Blackburn–Bienaymé reaction and their Hsp90 inhibitory activity

Jing Ren, Min Yang, Hongchun Liu, Danyan Cao, Danqi Chen, Jian Li, Le Tang, Jianhua He, Yue-Lei Chen,\* Meiyu Geng, Bing Xiong\* and Jingkang Shen\*

Various 3,8-diaminoimidazo[1,2-a]pyrazines were efficiently prepared by MCR and some products showed moderate Hsp90 inhibitory activity.

1536

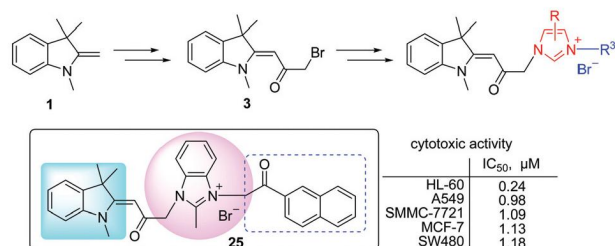


### E- or Z-Selective synthesis of 4-fluorovinyl-1,2,3-triazoles with fluorinated second-generation Julia–Kocienski reagents

Rakesh Kumar, Govindra Singh, Louis J. Todaro, Lijia Yang and Barbara Zajc\*

Second-generation Julia–Kocienski reagents from CuAAC reactions of  $\alpha$ -fluoropropargyl benzothiazole sulfone with azides, react with aldehydes and ketones to give *N*-substituted 4-(1-fluorovinyl)triazoles. Reactions of aldehydes can be tuned towards *E* or *Z*-alkenes selectively.

1550

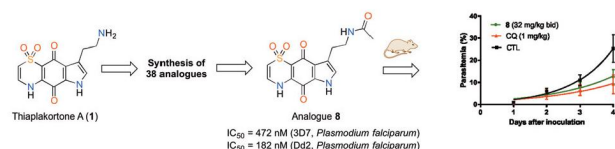


### Synthesis and antitumor activity of novel 2-substituted indoline imidazolium salt derivatives

Xiao-Liang Xu, Chun-Lei Yu, Wen Chen, Ying-Chao Li, Li-Juan Yang, Yan Li,\* Hong-Bin Zhang\* and Xiao-Dong Yang\*

A series of novel 2-substituted indoline imidazolium salt derivatives were synthesized and their antitumor structure–activity relationship studies were reported.

1558



### Synthesis and antimalarial evaluation of amide and urea derivatives based on the thiaplakortone A natural product scaffold

B. D. Schwartz, T. S. Skinner-Adams, K. T. Andrews, M. J. Coster, M. D. Edstein, D. MacKenzie, S. A. Charman, M. Koltun, S. Blundell, A. Campbell, R. H. Pouwer, R. J. Quinn, K. D. Beattie, P. C. Healy and R. A. Davis\*

A series of amide and urea analogues based on the thiaplakortone A natural product scaffold were synthesised and screened for *in vitro* antimalarial activity.

1571

## Consecutive three-component synthesis of (hetero)arylated propargyl amides by chemoenzymatic aminolysis–Sonogashira coupling sequence

Sidra Hassan, Anja Ullrich and Thomas J. J. Müller\*

(Hetero)arylated propargyl amides are efficiently prepared by consecutive chemoenzymatic three-component synthesis based upon lipase catalyzed aminolysis followed by Sonogashira coupling.

