

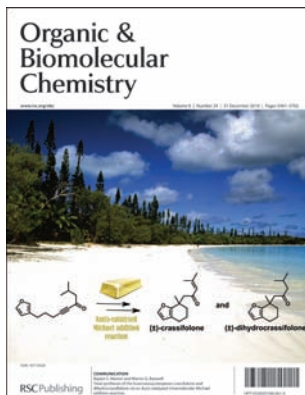
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IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 8(24) 5461–5702 (2010)



Cover

See Rajeev S. Menon and Martin G. Banwell, pp. 5483–5485.

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Inside cover

See Robert H. Cichewicz *et al.*, pp. 5486–5489.

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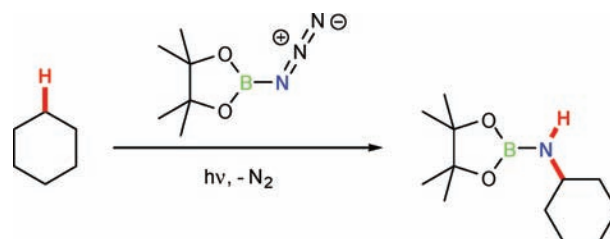
EMERGING AREA

5477

Borylnitrenes: electrophilic reactive intermediates with high reactivity towards C–H bonds

Holger F. Bettinger* and Matthias Filthaus

Photochemically generated borylnitrenes insert efficiently into C–H bonds under matrix isolation conditions, in solution, and in the gas phase.



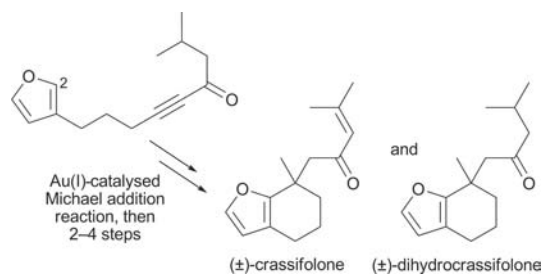
COMMUNICATIONS

5483

Total syntheses of the furanosesquiterpenes crassifolone and dihydrocrassifolone via an Au(I)-catalysed intramolecular Michael addition reaction

Rajeev S. Menon and Martin G. Banwell*

A highly efficient Au(I)-catalysed intramolecular Michael addition reaction has been used to assemble the bicyclic framework associated with the furanosesquiterpenoid natural products crassifolone and dihydrocrassifolone.



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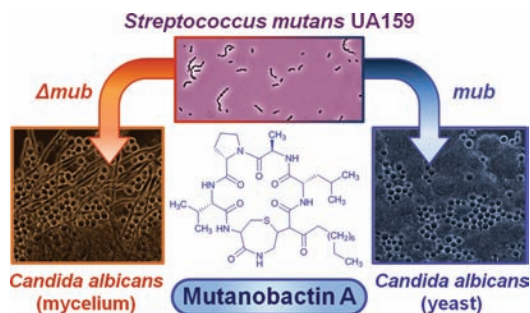
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5486

Mutanobactin A from the human oral pathogen *Streptococcus mutans* is a cross-kingdom regulator of the yeast-mycelium transition

P. Matthew Joyner, Jinman Liu, Zhijun Zhang, Justin Merritt, Fengxia Qi and Robert H. Cichewicz*

Mutanobactin A from *Streptococcus mutans* enables intracellular stress response pathways, as well as directs inter-kingdom interactions with eukaryotic microbes.



5490

Diels–Alder cycloaddition of *o*-quinonedimethides and alkylidene-5*H*-furan-2-ones: new and rapid access to lambertellol cores and arthrinone derivatives

Romain Blanc, Virginie Héran, Raphaël Rahmani, Laurent Commeiras* and Jean-Luc Parrain*

An efficient synthesis of deoxy-lambertellols was reported through a highly chemo- and diastereoselective DA cycloaddition. Such transformation with δ -substituted γ -alkylidenebutenolides, to prepare new analogues of these tricyclic spirolactones, was also studied.



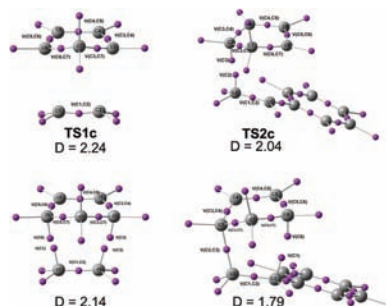
PAPERS

5495

Understanding the mechanism of non-polar Diels–Alder reactions. A comparative ELF analysis of concerted and stepwise diradical mechanisms

Luis R. Domingo,* Eduardo Chamorro and Patricia Pérez

ELF analysis for the one-step pathways of the non-polar Diels–Alder reaction between Cp and ethylene or styrene suggests that these reactions take place through *pseudo-diradical* species.

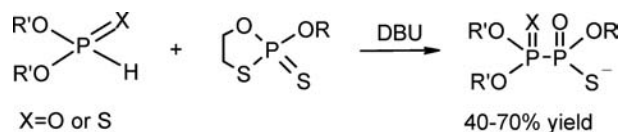


5505

Stereoselective formation of a P–P bond in the reaction of 2-alkoxy-2-thio-1,3,2-oxathiaphospholanes with *O,O*-dialkyl *H*-phosphonates and *H*-thiophosphonates

Damian Błaziak, Piotr Guga, Agata Jagiełło, Dariusz Korczyński, Anna Maciaszek, Anna Nowicka, Aleksandra Pietkiewicz and Wojciech J. Stec*

Organohypophosphates containing a P–P bond are obtained under mild conditions in a highly stereoselective reaction of 2-alkoxy-2-thio-1,3,2-oxathiaphospholanes with *O,O*-dialkyl *H*-phosphonates or *H*-thiophosphonates (R' = Me or Et).



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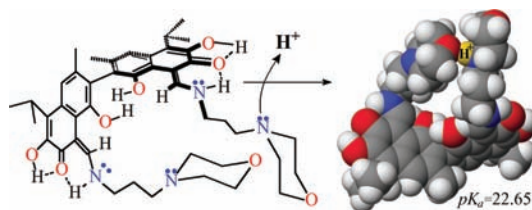
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31 January 2011

5511

The influence of protonation on molecular structure and physico-chemical properties of gossypol Schiff bases

Piotr Przybylski,* Krystian Pyta, Justyna Czupryniak, Barbara Wicher, Maria Gdaniec, Tadeusz Ossowski and Bogumił Brzezinski

Tautomeric form changes during stepwise protonation of gossypol Schiff bases were studied by ESI MS, FT-IR, ^1H NMR, X-ray, potentiometric methods and PM5 calculations.

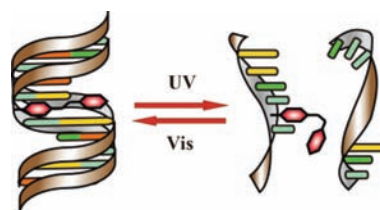


5519

Construction of photoresponsive RNA for photoswitching RNA hybridization

Hiroshi Ito, Xingguo Liang,* Hidenori Nishioka and Hiroyuki Asanuma*

Photoresponsive RNA was constructed by introducing an azobenzene and RNA/RNA hybridization was efficiently regulated by the *trans*-*cis* photoisomerization.

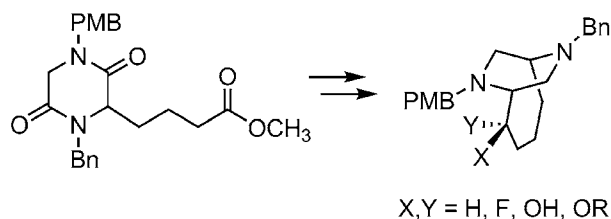


5525

Synthesis and biological evaluation of conformationally restricted σ_1 receptor ligands with 7,9-diazabicyclo[4.2.2]decane scaffold

Sunil K. Sunnam, Dirk Schepmann, Elisabeth Rack, Roland Fröhlich, Katharina Korpis, Patrick J. Bednarski and Bernhard Wünsch*

Piperazine derivatives with a four-membered bridge show a considerably different chemical and pharmacological behaviour compared with the corresponding analogues with a three-membered bridge.

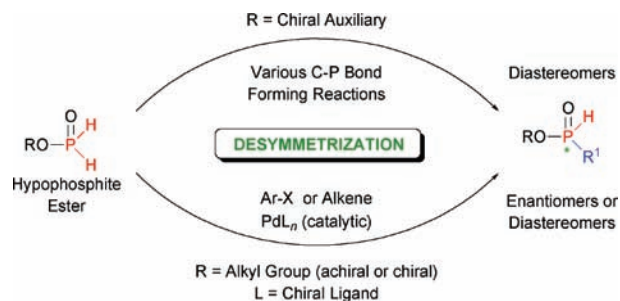


5541

Strategies for the asymmetric synthesis of *H*-phosphinate esters

Karla Bravo-Altamirano, Laëticia Coudray, Eric L. Deal and Jean-Luc Montchamp*

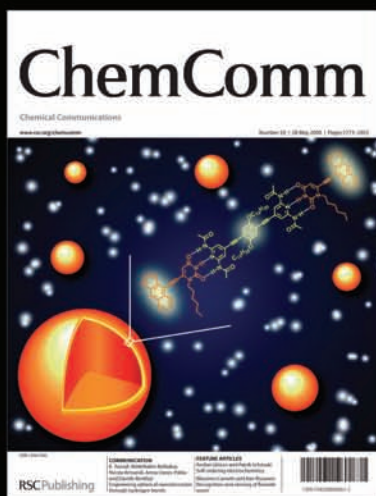
Strategies are explored for the desymmetrization of hypophosphite esters through a variety of reactions, using either a chiral catalyst or a chiral auxiliary.



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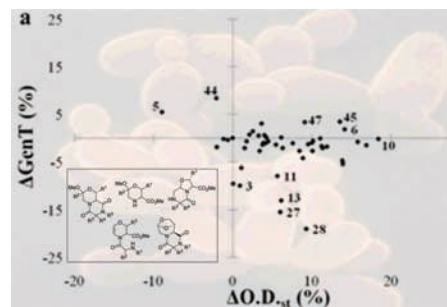
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5552

Chemical genetics approach to identify new small molecule modulators of cell growth by phenotypic screening of *Saccharomyces cerevisiae* strains with a library of morpholine-derived compounds

Andrea Trabocchi,* Irene Stefanini, Manfredi Morvillo, Leonardo Ciofi, Duccio Cavalieri* and Antonio Guarna

The screening of yeast deletants strains with a pool of morpholine-derived compounds towards cell growth rate identified two small molecules able to produce phenotypic effects on yeast cells.

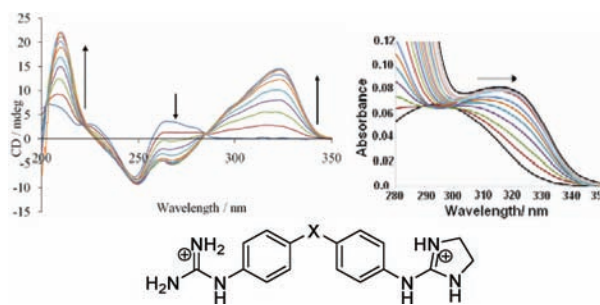


5558

Understanding the DNA binding of novel non-symmetrical guanidinium/2-aminoimidazolium derivatives

Padraic S. Nagle, Susan J. Quinn, John M. Kelly, Daniel H. O'Donovan, Amir R. Khan, Fernando Rodriguez, Binh Nguyen, W. David Wilson and Isabel Rozas*

The DNA binding of asymmetric guanidinium/2-aminoimidazolium has been explored using different biophysical techniques such as SPR, UV-titrations, CD, LD and ITC.

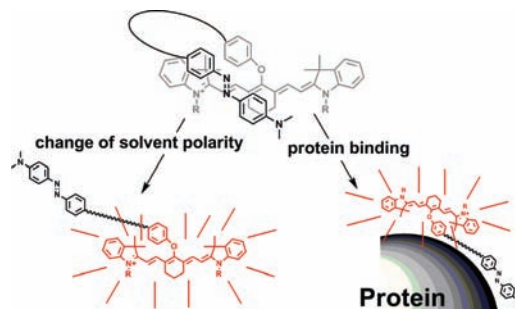


5568

Modulation of intramolecular heterodimer-induced fluorescence quenching of tricarbocyanine dye for the development of fluorescent sensor

Tomoya Hirano,* Jun Akiyama, Shuichi Mori and Hiroyuki Kagechika*

To modulate the fluorescence of sensors, fluorescence quenching by intramolecular heterodimer formation was applied. The conjugated compounds of tricarbocyanine and dabcyI showed fluorescence enhancement in response to change of solvent polarity or protein binding.

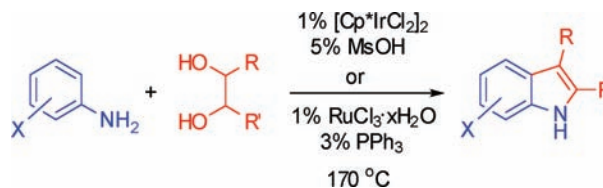


5576

Iridium- and ruthenium-catalysed synthesis of 2,3-disubstituted indoles from anilines and vicinal diols

Matyas Tursky, Linda L. R. Lorentz-Petersen, Lasse B. Olsen and Robert Madsen*

Anilines are condensed with 1,2-diols to give 2,3-disubstituted indoles with water and hydrogen gas as the only stoichiometric byproducts. The heterocyclisation is achieved under neat conditions with either an iridium or a ruthenium catalyst. For unsymmetric diols excellent regioselectivity is obtained for the indole isomer with a large substituent in the 2-position.





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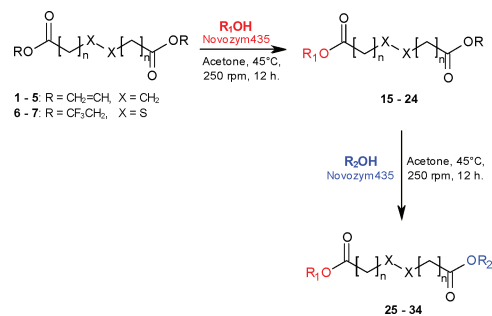
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5583

Exploiting enzymatic regioselectivity: a facile methodology for the synthesis of polyhydroxylated hybrid compounds

Pietro Magrone, Francesco Cavallo, Walter Panzeri, Daniele Passarella and Sergio Riva*

A general two-step access to polyhydroxylated conjugated compounds based on enzymatic regioselective acylations is described.

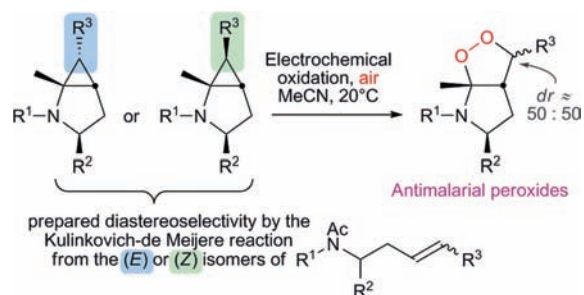


5591

Aminocyclopropanes as precursors of endoperoxides with antimalarial activity

Claire Madelaine, Olivier Buriez,* Benoît Crousse, Isabelle Florent, Philippe Grellier, Pascal Retailleau and Yvan Six*

Several bicyclic aminocyclopropanes were synthesised diastereoselectively using the Kulinkovich–de Meijere reaction, and then converted into novel moderately active antimalarial α -amino endoperoxides.

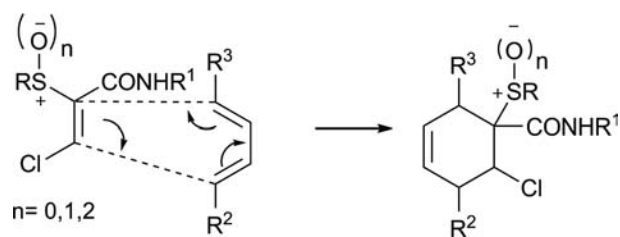


5602

The influence of reaction conditions on the Diels–Alder cycloadditions of 2-thio-3-chloroacrylamides; investigation of thermal, catalytic and microwave conditions

Marie Kissane, Denis Lynch, Jay Chopra, Simon E. Lawrence and Anita R. Maguire*

The Diels–Alder cycloadditions of cyclopentadiene and 2,3-dimethyl-1,3-butadiene to a range of 2-thio-3-chloroacrylamides under thermal, catalytic and microwave conditions is described.

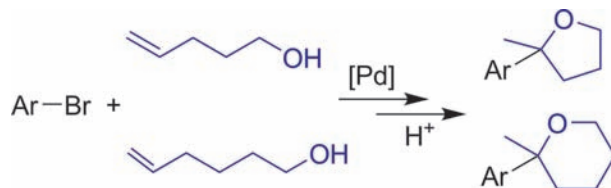


5614

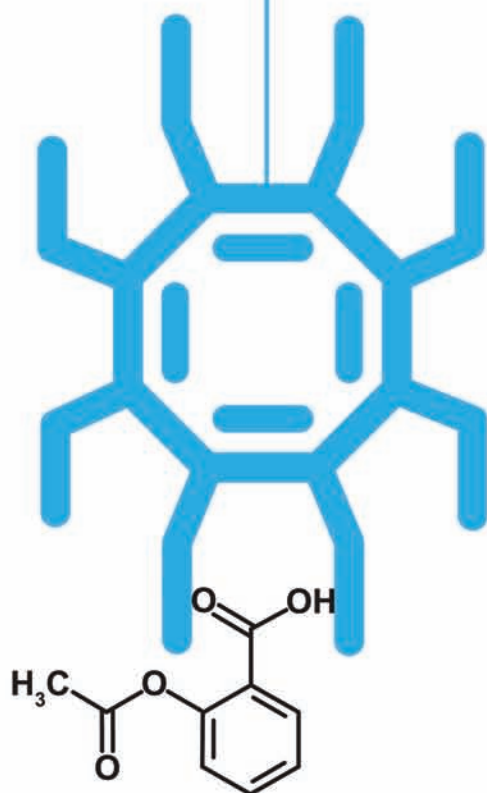
Synthesis of oxygen heterocycles by regioselective Heck reaction

Matthew McConville, Jiwu Ruan, John Blacker and Jianliang Xiao*

Regioselective Heck arylation of unsaturated alcohols followed by acid-mediated cyclisation affords 2,2-disubstituted tetrahydrofurans and tetrahydropyrans in a convenient one-pot fashion.



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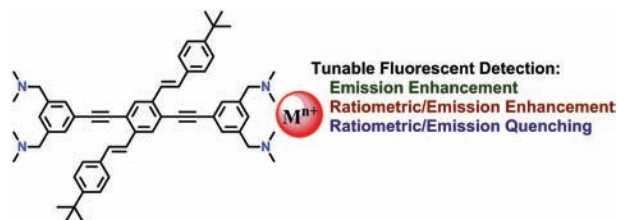
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5620

Metal ion detection by luminescent 1,3-bis(dimethylaminomethyl) phenyl receptor-modified chromophores and cruciforms

Anshuman Mangalum, Robert J. Gilliard Jr., Jessica M. Hanley, Austa Marie Parker and Rhett C. Smith*

Both emission enhancement (turn-on) and ratiometric fluorescence detection of Cu^{2+} and Zn^{2+} ions have been achieved in THF.

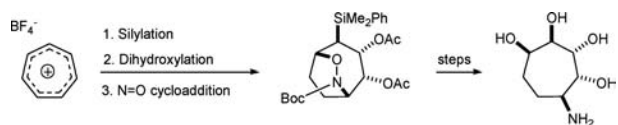


5628

Desymmetrization of 7-dimethylphenylsilylcycloheptatriene. Towards the synthesis of new aminocycloheptitols

Emeline Girard, Valérie Desvergnès, Céline Tarnus and Yannick Landais*

A straightforward access to aminoheptitols by desymmetrization of 7-dimethylphenylsilylcycloheptatriene through consecutive dihydroxylation and acyl-nitroso cycloaddition was developed.

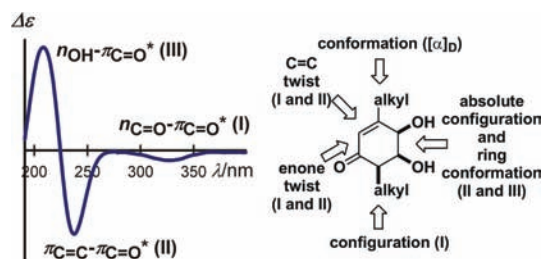


5635

Circular dichroism, optical rotation and absolute configuration of 2-cyclohexenone-*cis*-diol type phenol metabolites: redefining the role of substituents and 2-cyclohexenone conformation in electronic circular dichroism spectra

Marcin Kwit,* Jacek Gawronski, Derek R. Boyd,* Narain D. Sharma and Magdalena Kaik

New model for prediction of optical activity (ECD and OR) of chiral 2-cyclohexenones is presented.

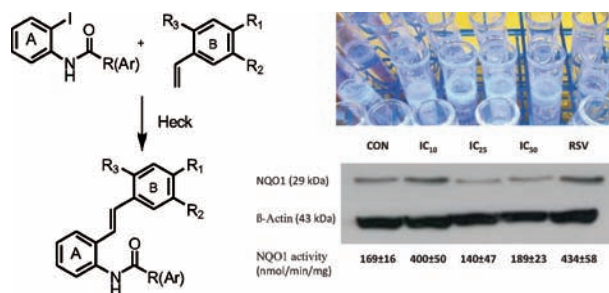


5646

Challenges associated with the synthesis of unusual *o*-carboxamido stilbenes by the Heck protocol: Intriguing substituent effects, their toxicological and chemopreventive implications

Chin Hui Kee, Azhar Ariffin, Khalijah Awang, Koichi Takeya, Hiroshi Morita, Salmaan Inayat Hussain, Kok Meng Chan, Pauline J. Wood, Michael D. Threadgill, Chuan Gee Lim, SeikWeng Ng, Jean Frédéric F. Weber and Noel F. Thomas*

Unprecedented *o*-carboxamido stilbenes were synthesized and evaluated for biological activity in HT29, HepG2, Jurkat, P388 cell lines and NQO1.



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5661

Synthesis and structure of azole-fused indeno[2,1-*c*]quinolines and their anti-mycobacterial properties

R. S. Upadhyaya, P. D. Shinde, A. Y. Sayyed, S. A. Kadam, A. N. Bawane, A. Poddar, O. Plashkevych, A. Földesi and J. Chattopadhyaya*

Design, synthesis and anti-mycobacterial activity of fused tetrazole-, triazole- and dihydroimidazole-indeno[2,1-*c*]quinolines with detailed spectroscopic analysis of the ring closure reaction involving the C2 substituent and quinoline nitrogen.

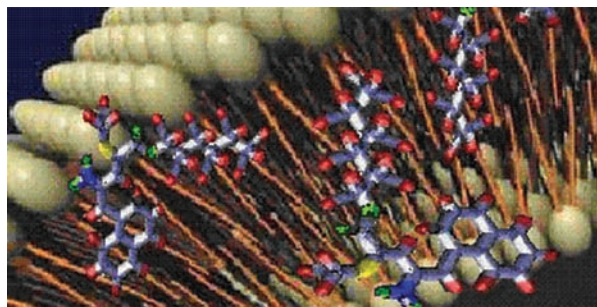


5674

Strategies for improving the water solubility of new antitumour nitronaphthylbutadiene derivatives

Antonella Fontana,* Maurizio Viale, Susanna Guernelli, Carla Gasbarri, Egon Rizzato, Massimo Maccagno, Giovanni Petrillo, Cinzia Aiello, Silvano Ferrini and Domenico Spinelli*

The antitumour activity of hexyl and methyl esters was comparable and fully preserved, or in some cases also enhanced, when entrapped into liposomal carriers.

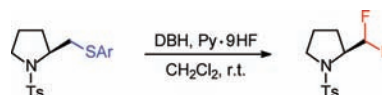


5682

Oxidative desulfurization–fluorination of thioethers. Application for the synthesis of fluorinated nitrogen containing building blocks

Verena Hugenberg, Roland Fröhlich and Günter Haufe*

The stepwise introduction of fluorine substituents by succeeding fluoro-Pummerer rearrangement(s) and desulfurization–fluorination reaction leads to proline-based useful fluoromethylated *N*-heterocycles.



5692

Cobalt-catalyzed intramolecular C–N and C–O cross-coupling reactions: synthesis of benzimidazoles and benzoxazoles

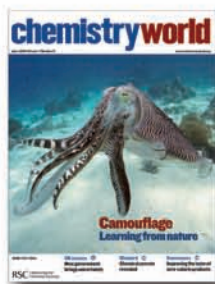
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The synthesis of substituted benzimidazoles and benzoxazoles is described from benzamides and benzamides using cobalt(II)-1,10-phenanthroline by intramolecular cyclization at moderate temperature.



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