

Organic & Biomolecular Chemistry

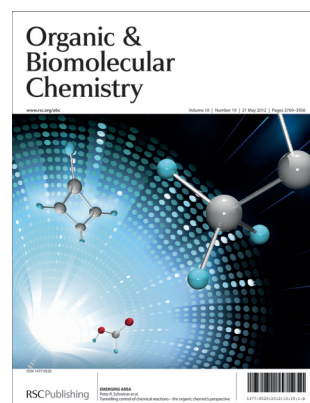
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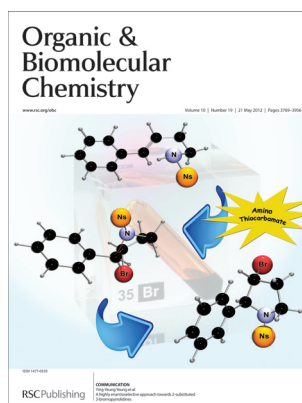
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Cover

See Peter R. Schreiner *et al.*, pp. 3781–3790.

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Inside cover

See Ying-Yeung Yeung *et al.*, pp. 3808–3811.

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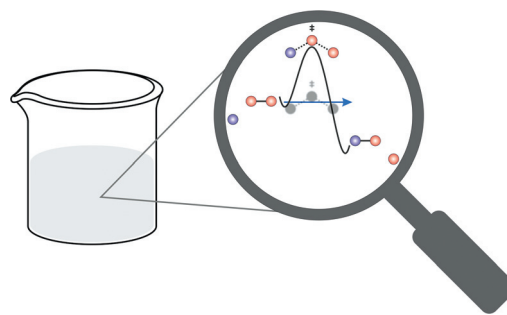
EMERGING AREA

3781

Tunnelling control of chemical reactions – the organic chemist's perspective

David Ley, Dennis Gerbig and Peter R. Schreiner*

We provide an overview of the importance of tunnelling in organic chemical reactions.



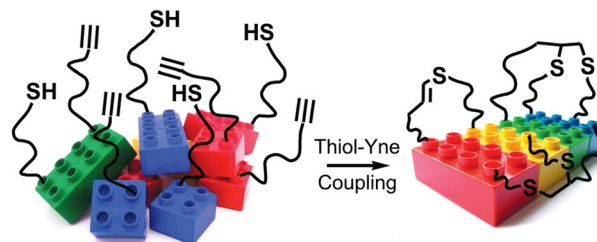
PERSPECTIVE

3791

Thiol–yne coupling: revisiting old concepts as a breakthrough for up-to-date applications

Alessandro Massi* and Daniele Nanni*

Radical thiol–yne coupling has emerged as one of the most appealing click chemistry procedures in materials chemistry and bio-conjugations/derivatisations.



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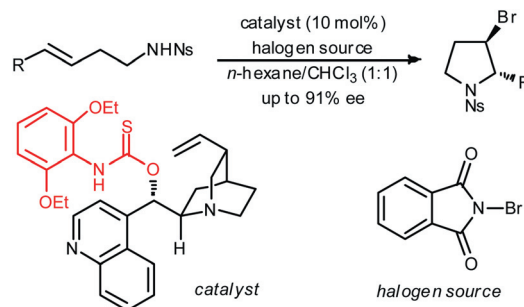
COMMUNICATIONS

3808

A highly enantioselective approach towards 2-substituted 3-bromopyrrolidines

Jie Chen, Ling Zhou and Ying-Yeung Yeung*

A facile and highly enantioselective approach towards 2-substituted 3-bromopyrrolidines has been developed.

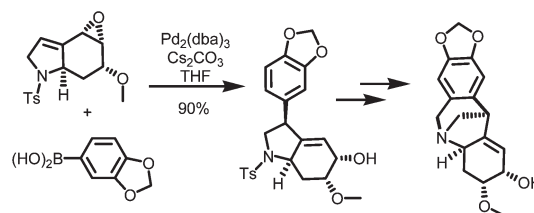


3812

Flexible synthesis of montanine-like alkaloids: revisiting the structure of montabuphine

Yifu Guan, Hongbin Zhang,* Chengxue Pan, Jia Wang, Rong Huang and Qilin Li

An efficient and stereocontrolled synthetic strategy towards the synthesis of montanine-like alkaloids was developed. Our results suggest that the structure elucidation for natural montabuphine needs further elaboration.

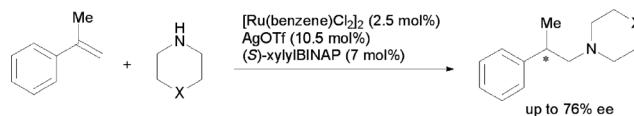


3815

Ru-catalyzed β -selective and enantioselective addition of amines to styrenes initiated by direct arene-exchange

Maiko Otsuka, Hiroya Yokoyama, Kohei Endo and Takanori Shibata*

A catalytic β -selective addition of amines to styrenes proceeded in the presence of cationic Ru complexes combined with diphosphine ligands.



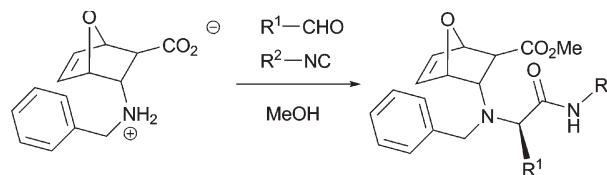
PAPERS

3819

Development of a stereoselective Ugi reaction starting from an oxanorbornene β -amino acid derivative

Luca Banfi, Andrea Basso,* Cinzia Chiappe,* Fabio De Moliner, Renata Riva and Lorenzo Sonaglia

We have synthesised a novel oxanorbornene β -amino acid derivative and employed it in a stereoselective Ugi reaction, with the aim of generating a new class of pluripotent substrates to be employed in diversity oriented synthesis.



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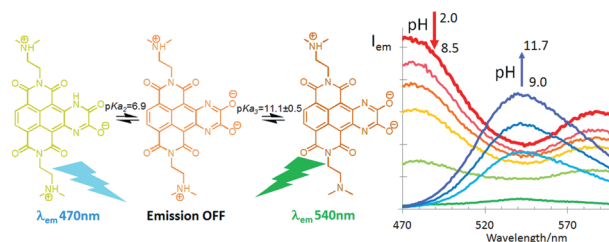
PAPERS

3830

Water soluble extended naphthalene diimides as pH fluorescent sensors and G-quadruplex ligands

Filippo Doria, Matteo Nadai, Giovanna Sattin, Luca Pasotti, Sara N. Richter* and Mauro Freccero*

Extended naphthalene diimides (NDIs) fused to 1,4-dihydropyrazine-2,3-dione containing two solubilizing arms have been synthesized. Fluorescence spectra and G-quadruplex (G-4) binding were both remarkably affected by pH.

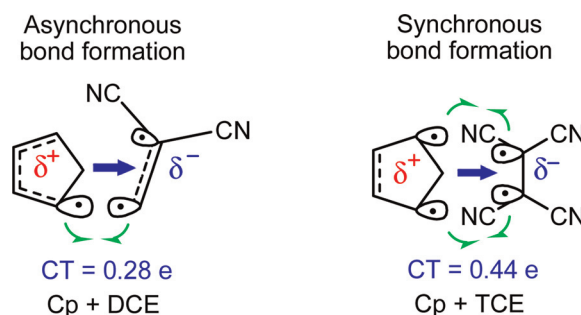


3841

Origin of the synchronicity in bond formation in polar Diels–Alder reactions: an ELF analysis of the reaction between cyclopentadiene and tetracyanoethylene

Luis R. Domingo,* Patricia Pérez and Jose A. Sáez

The synchronicity in bond formation is controlled by the symmetric distribution of the electron-density excess reached in the electrophile *via* the charge transfer process.

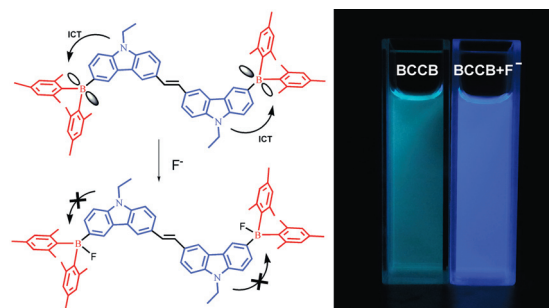


3852

A boron-containing carbazole dimer: synthesis, photophysical properties and sensing properties

He-ping Shi,* Jian-xin Dai, Lei Xu, Li-wen Shi, Li Fang, Shao-min Shuang and Chuan Dong*

A novel boron-containing carbazole dimer was investigated as a highly sensitive ratiometric fluorescence sensor with remarkable colour changes.

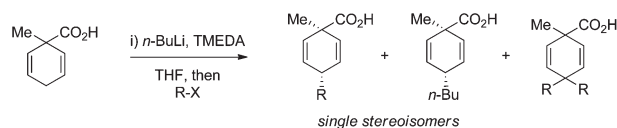


3859

Diastereoselective alkylation reactions of 1-methylcyclohexa-2,5-diene-1-carboxylic acid

Nicholas J. Bennett, Mark C. Elliott,* Natalie L. Hewitt, Benson M. Kariuki, Clare A. Morton, Steven A. Raw and Simone Tomasi

The diastereoselective alkylation of 1-methylcyclohexa-2,5-diene-1-carboxylic acid is described. Depending on the nature of the electrophile, products of exchange with BuLi and double-alkylation are also observed.



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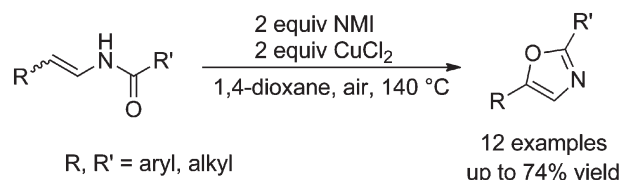
PAPERS

3866

Copper(II)-mediated oxidative cyclization of enamides to oxazoles

Alison E. Wendlandt and Shannon S. Stahl*

A copper-mediated oxidative cyclization of (*E*)- or (*Z*)-enamides provides efficient access to 2,5-disubstituted oxazoles in moderate to good yields.

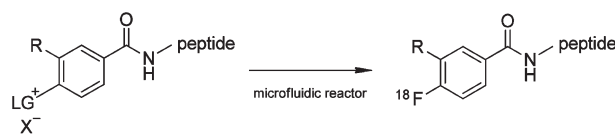


3871

Single-step radiofluorination of peptides using continuous flow microreactor

Svetlana V. Selivanova, Linjing Mu, Johanna Ungersboeck, Timo Stellfeld, Simon M. Ametamey,* Roger Schibli and Wolfgang Wadsak*

Direct ^{18}F radiolabelling of peptides was successfully accomplished in a continuous flow microreactor.

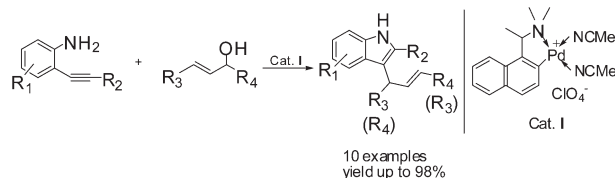


3875

Domino cyclization–alkylation protocol for the synthesis of 2,3-functionalized indoles from *o*-alkynylanilines and allylic alcohols

Chang Xu, Vinod K. Murugan and Sumod A. Pullarkat*

A practical and efficient protocol for the one-pot synthesis of 2,3-substituted indoles was developed *via* a Pd(II)-catalyzed domino cyclization–alkylation reaction involving 2-alkynylanilines and allylic alcohols.

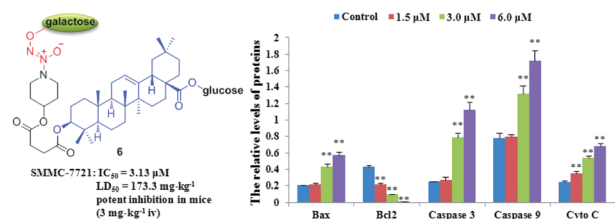


3882

Glycosylated diazeniumdiolate-based oleanolic acid derivatives: synthesis, *in vitro* and *in vivo* biological evaluation as anti-human hepatocellular carcinoma agents

Zhangjian Huang, Junjie Fu, Ling Liu, Yijun Sun, Yisheng Lai, Hui Ji, Edward E. Knaus, Jide Tian and Yihua Zhang*

Compound **6** shows selective anti-HCC activity *in vitro*, and low acute toxicity and high inhibition of HCC tumor growth in mice.



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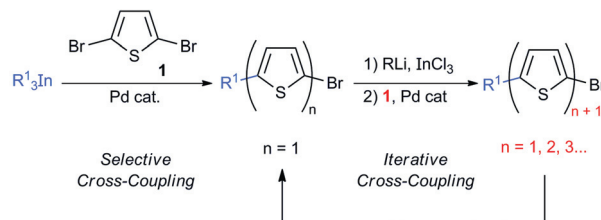
PAPERS

3892

Synthesis of functionalized thiophenes and oligothiophenes by selective and iterative cross-coupling reactions using indium organometallics

M. Montserrat Martínez, Miguel Peña-López, José Pérez Sestelo* and Luis A. Sarandeses*

Unsymmetrical 2,5-disubstituted thiophenes and α -oligothiophenes were synthesized by selective, sequential and iterative palladium-catalyzed cross-coupling reactions using indium organometallics.

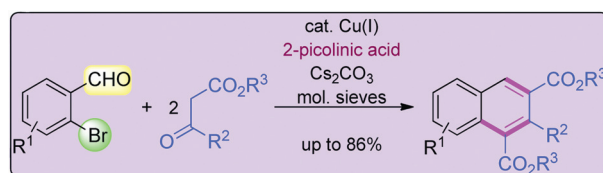


3899

Cu(I)-catalyzed annulation for the synthesis of substituted naphthalenes using *o*-bromobenzaldehydes and β -ketoesters as substrates

Chandi C. Malakar, Kavitha Sudheendran, Hans-Georg Imrich, Sabine Mika and Uwe Beifuss*

A new annulation based on a domino Knoevenagel condensation/*C*-arylation/1,2-addition/carboxylic acid cleavage between *o*-bromobenzaldehydes and β -ketoesters provides access to substituted naphthalenes.



3906

Electrochemical oxidation of amides of type $Ph_2CHCONHAr$

Tatiana Golub and James Y. Becker*

Anodic oxidation of $Ph_2CHCONHAr$ undergoes various types of bond-cleavage, depending on the substituent. Products: benzophenone, acetamides, aziridinone and aniline derivatives.

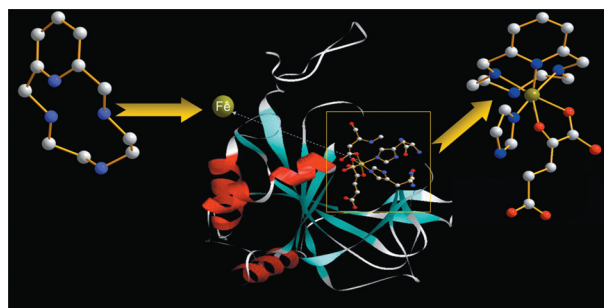


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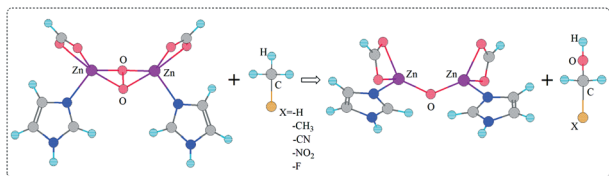
Evidence for inhibition of HIF-1 α prolyl hydroxylase 3 activity by four biologically active tetraazamacrocycles

Jing Cao, Zhirong Geng, Xiaoyan Ma, Jinghan Wen, Yuxin Yin and Zhilin Wang*

The specific tetraazamacrocycle interacts with iron of PHD3 active site and alters enzyme conformation to inhibit the hydroxylation activity.



3924

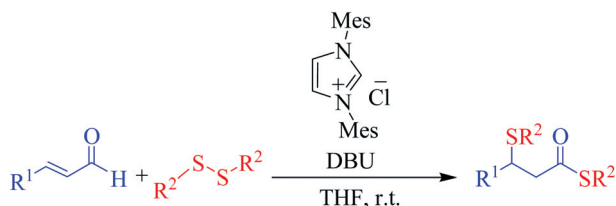


Hydroxylation mechanism of methane and its derivatives over designed methane monooxygenase model with peroxo dizinc core

Cai-Qin Li, Hua-Qing Yang,* Jian Xu and Chang-Wei Hu

The theoretically designed methane monooxygenase with peroxo dizinc core showed promise for the hydroxylation of methane and its derivatives.

3932

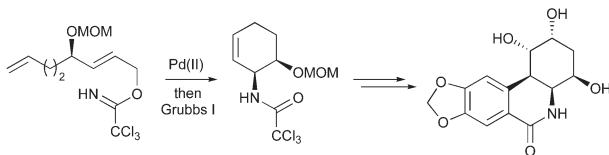


Unprecedented dithiolation of enals *via* their NHC-catalysed umpolung reaction with organic disulfides

Santosh Singh and Lal Dhar S. Yadav*

A novel one-pot NHC-catalysed dithiolation of enals with organic disulfides to afford β -aryl-/alkylsulfanyl thioesters under mild conditions is reported.

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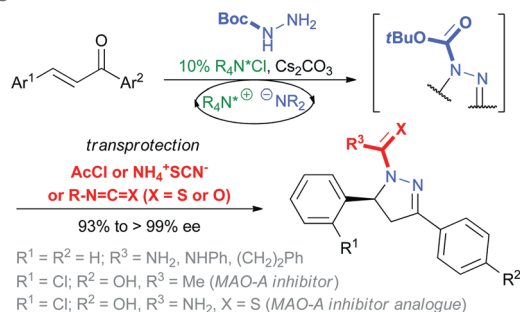


Stereoselective synthesis of functionalised carbocyclic amides: construction of the *syn*-(4a*S*,10b*S*)-phenanthridone skeleton

Sajjad Ahmad, Michael D. Swift, Louis J. Farrugia, Hans Martin Senn and Andrew Sutherland*

A one-pot tandem process has been utilised for the synthesis of the *syn*-(4a*S*,10b*S*)-phenanthridone skeleton, a precursor for new analogues of 7-deoxypancratistatin.

3946



Enantioselective synthesis of bio-relevant 3,5-diaryl pyrazolines

Olivier Mahé, Isabelle Dez, Vincent Levacher and Jean-François Brière*

Asymmetric construction of bio-relevant pyrazolines through a phase transfer organocatalytic addition of *N*-Boc hydrazine to chalcones and a transprotection sequence.