

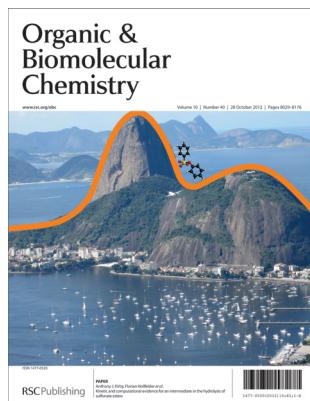
Organic & Biomolecular Chemistry

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IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 10(40) 8029–8176 (2012)

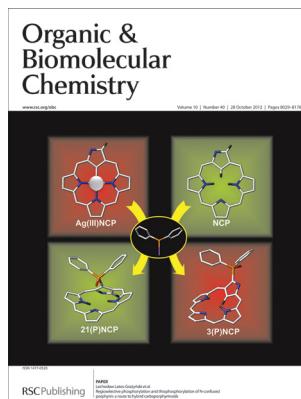


Cover

See Anthony J. Kirby,
 Florian Hollfelder *et al.*,
 pp. 8095–8101.

The Pão de Açúcar of Rio de Janeiro resembles the free energy landscape for sulfonate hydrolysis. The similarities end here: access to the peak is by cable car and the chance of getting back to the start is better than 50 : 50!

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Inside cover

See Lechosław Łatos-Grażyński
et al., pp. 8064–8075.

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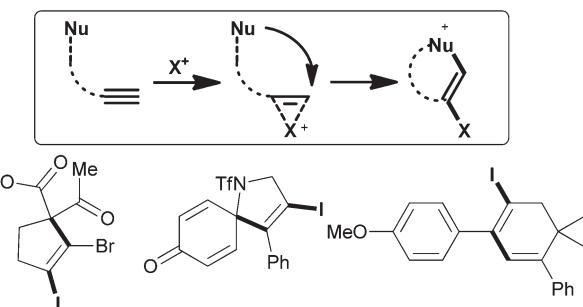
EMERGING AREA

8041

Metal-free reactions of alkynes *via* electrophilic iodocarbocyclizations

Adeline Palisse and Stefan F. Kirsch*

Carbon–carbon bond-forming iodocyclizations allowing for the metal-free reaction of alkynes with malonates, arenes, or olefins are highlighted in this brief overview.



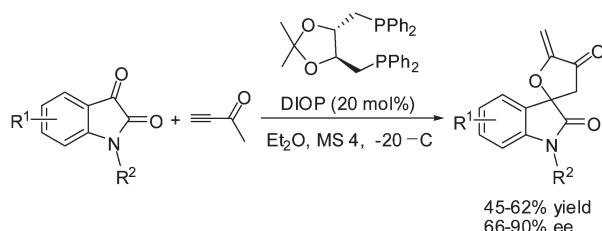
COMMUNICATIONS

8048

Asymmetric [3 + 2] annulation of N-protected isatins with but-3-yn-2-one catalyzed by DIOP: facile creation of enantioenriched spiro[furan-2,3'-indoline]-2',4(5H)-dione

Zhong Lian and Min Shi*

DIOP catalyzed highly enantioselective [3 + 2] annulation of N-protected isatins with but-3-yn-2-one has been disclosed, allowing the synthesis of enantioenriched spiro[furan-2,3'-indoline]-2',4(5H)-dione in moderate to good yields along with good to high enantioselectivities under mild conditions.



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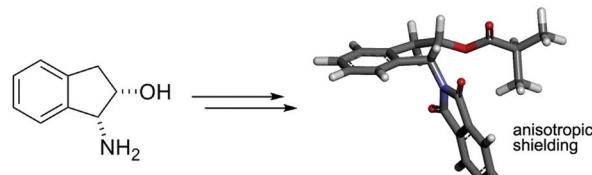
COMMUNICATIONS

8051

Aminoindanol-based chiral derivatizing agents for the determination of the absolute configuration of carboxylic acids

Minjung Park, Seon-mi Kim and Kihang Choi*

New derivatizing agents with simple and modular structures have been prepared from aminoindanol for the absolute configuration assignment of carboxylic acids.

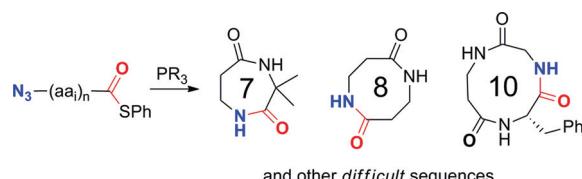


8055

A convenient synthesis of difficult medium-sized cyclic peptides by Staudinger mediated ring-closure

Khanh Ha, Jean-Christophe M. Monbaliu, Byron C. Williams, Girinath G. Pillai, Charles E. Ocampo, Matthias Zeller, Christian V. Stevens and Alan R. Katritzky*

The preparation of 7- and 8-membered cyclic di- and 10-membered cyclic tripeptides is effected by a Staudinger mediated ring-closure.

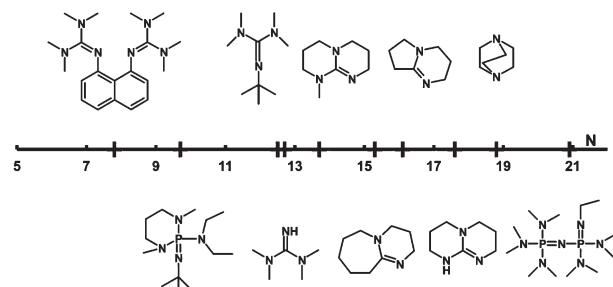


8059

Kinetics screening of the *N*-alkylation of organic superbases using a continuous flow microfluidic device: basicity versus nucleophilicity

Azarmidokht Gholamipour-Shirazi and Christian Rolando*

Using a microreactor set-up we determined the methylation rates of a group of 11 organic superbases in DMF allowing establishing a nucleophilicity N scale. For most bases basicity and nucleophilicity are inversely correlated.



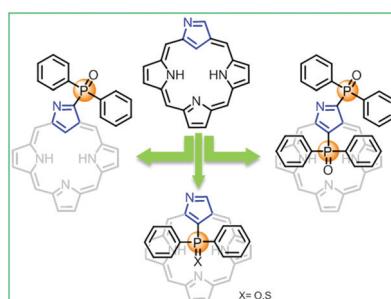
PAPERS

8064

Regioselective phosphorylation and thiophosphorylation of N-confused porphyrin: a route to hybrid carbaporphyrinoids

Norbert Grzegorzek, Lechosław Łatos-Grażyński* and Ludmiła Szterenberg

N-confused porphyrin undergoes controlled regioselective phosphorylations at the inner, outer or both carbon atoms of the inverted pyrrole ring.



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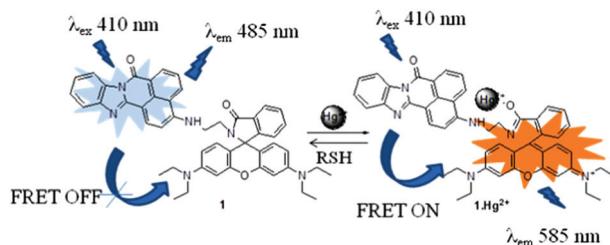
PAPERS

8076

FRET-based ratiometric detection of Hg^{2+} and biothiols using naphthalimide–rhodamine dyads

Vijay Luxami,* Meenakshi Verma, Richa Rani, Kamaldeep Paul and Subodh Kumar

A new naphthalimide–rhodamine-based dyad **1** exhibits selective fluorescence resonance energy transfer (FRET) from naphthalimide to the rhodamine moiety in the presence of Hg^{2+} ions and FRET reversal in the presence of biothiol selectively.

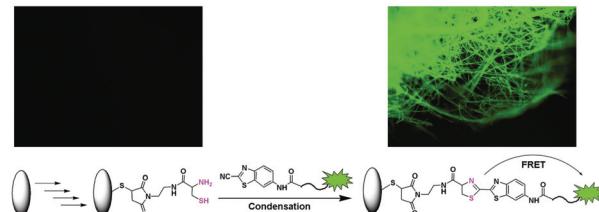


8082

New method for effectively and quantitatively labeling cysteine residues on chicken eggshell membrane

Xiaojing Wang, Qian Li, Yue Yuan, Bin Mei, Rui Huang, Ying Tian, Jing Sun, Chunyan Cao, Guangming Lu and Gaolin Liang*

A new method for effectively labeling cysteine residues on chicken eggshell membrane was developed.

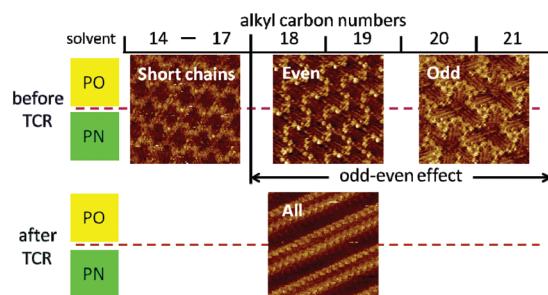


8087

Effects of alkyl chain length, solvent and tandem Claisen rearrangement on two-dimensional structures of noncyclic isobut enyl compounds: scanning tunnelling microscopic study

Yoshihiro Kikkawa,* Kazuhiro Omori, Mayuko Takahashi, Masatoshi Kanesato and Kazuhisa Hiratani*

Two-dimensional structures of isobut enyl compounds in a specific alkyl chain length range showed odd–even effects at the solid–liquid interface and the odd–even effect was quenched to give the same linear structures by a tandem Claisen rearrangement.

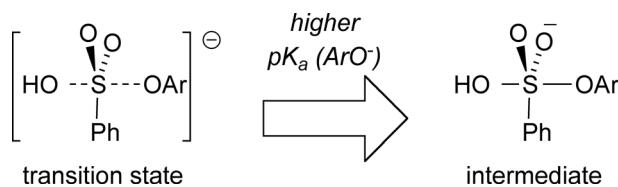


8095

Kinetic and computational evidence for an intermediate in the hydrolysis of sulfonate esters

Ann C. Babtie, Marcelo F. Lima, Anthony J. Kirby* and Florian Hollfelder*

Alkaline hydrolysis of aryl benzenesulfonate esters proceeds via a pentavalent intermediate for poorer leaving groups.





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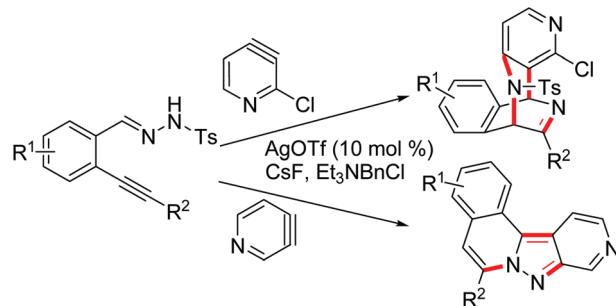
PAPERS

8102

Silver triflate-catalyzed tandem reaction of *N'*-(2-alkynylbenzylidene)hydrazide with pyridyne

Lingyong Jiang, Xingxin Yu, Bing Fang* and Jie Wu*

A silver triflate-catalyzed tandem reaction of *N'*-(2-alkynylbenzylidene)hydrazide with pyridyne is presented. Different outcomes are obtained, depending on the pyrydines utilized in the transformation.

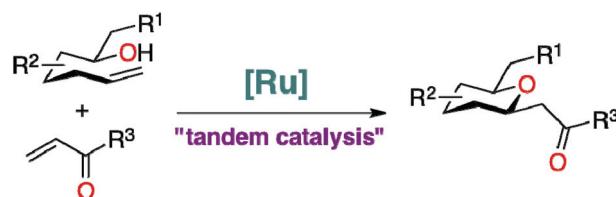


8108

Tandem catalysis in domino olefin cross-metathesis/intramolecular oxa-conjugate cyclization: concise synthesis of 2,6-cis-substituted tetrahydropyran derivatives

Haruhiko Fuwa,* Takuma Noguchi, Kenkichi Noto and Makoto Sasaki

The scope and mechanistic investigations of the domino olefin cross-metathesis/intramolecular oxa-conjugate cyclization are reported.

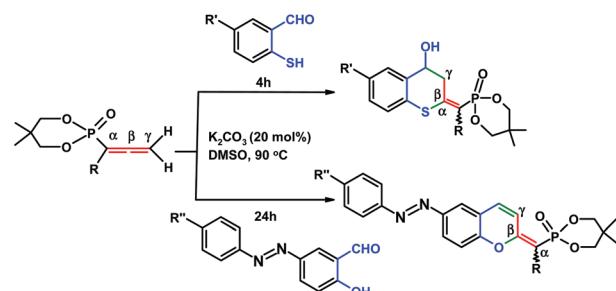


8113

Base catalysed synthesis of thiochromans and azo-linked chromenes using allenylphosphonates

M. Phani Pavan, M. Nagarjuna Reddy, N. N. Bhuvan Kumar and K. C. Kumara Swamy*

Under base catalysed reactions, an efficient route to synthesise thiochromans and azo-linked chromenes, that are bright red pigments, from allenylphosphonates is reported.

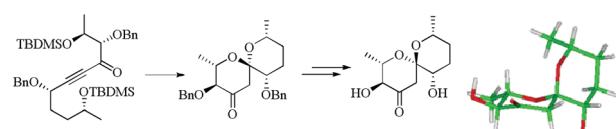


8119

Stereoselective total synthesis of dinemasone A by double intramolecular hetero-Michael addition (DIHMA)

Gangavaram V. M. Sharma,* Gourishetty Srikanth* and Pothula Purushotham Reddy

Stereoselective total synthesis of dinemasone A by a double intramolecular hetero-Michael addition (DIHMA) strategy.



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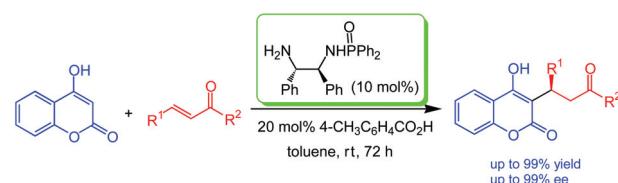
PAPERS

8125

Highly enantioselective synthesis of Warfarin and its analogs catalysed by primary amine–phosphinamide bifunctional catalysts

Juan Dong and Da-Ming Du*

An efficient enantioselective Michael addition of 4-hydroxycoumarin to α,β -unsaturated ketones catalysed by primary amine–phosphinamide bifunctional catalysts afforded Warfarin and its analogs in moderate to excellent yields (up to 99%) and good to excellent enantioselectivities (up to 99% ee).

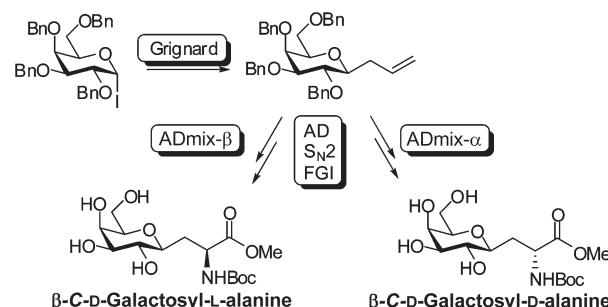


8132

Synthesis of β -C-galactosyl D- and L-alanines

V. Narasimharao Thota, Jacquelyn Gervay-Hague and Suvarn S. Kulkarni*

Synthesis of β -C-D-galactosyl D- and L-alanines is carried out via a highly stereoselective Grignard reaction of glycosyl iodides, Sharpless dihydroxylation and S_N2 displacement of the corresponding mesylate or tosylate. Alternatively, attempted triflation of the intermediate alcohols triggers a stereoselective debenzylative cyclization leading to interesting bicyclic *trans*-fused compounds.

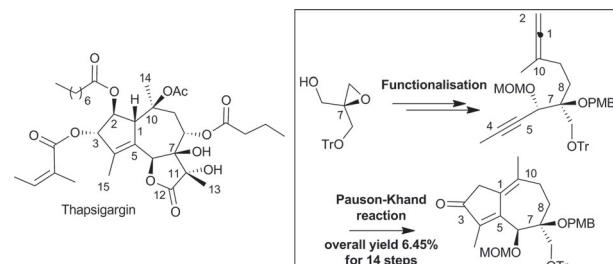


8140

Asymmetric synthesis of a highly functionalized enantioenriched system close to thapsigargin framework

Aurélien Tap, Morgan Jouanneau, Gilles Galvani, Geoffroy Sorin, Marie-Isabelle Lannou, Jean-Pierre Férezou and Janick Ardisson*

A straightforward approach to a highly functionalized enantioenriched bicyclo[5.3.0]decadienone system close to the thapsigargin framework has been achieved.

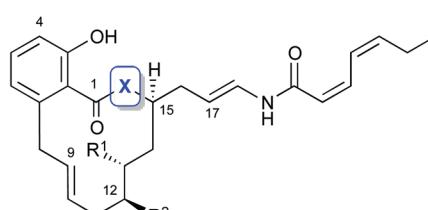


8147

Synthesis and biological evaluation of a potent salicylihalamide A lactam analogue

Dan Balan, Christopher J. Burns, Nicholas G. Fisk, Helmut Hügel,* David C. S. Huang, David Segal, Charlotte White, Jörg Wagler and Mark A. Rizzacasa*

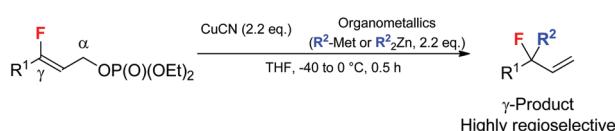
The first synthesis of a lactam analogue **3** of salicylihalamide A (**1**) is reported. *Aza*-salicylihalamide analogue **3** demonstrated potent activity (IC_{50} range: 166–506 nM) against several human leukaemia cell lines.



1 X = O; R¹ = OH; R² = Me; Salicylihalamide A
3 X = NH; R¹ = R² = H; *aza*-Salicylihalamide A analogue
 IC_{50} (HL-60 Leukemia) 116 nM

PAPERS

8154

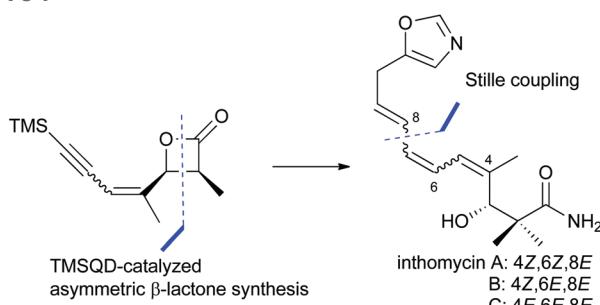


A new entry to the construction of a quaternary carbon center having a fluorine atom – S_N2' reaction of γ -fluoroallylic alcohol derivatives with various cyanocuprates

Tsutomo Konno,* Atsushi Ikemoto and Takashi Ishihara

γ -Fluoroallylic phosphates underwent a smooth S_N2' reaction of various lower-ordered organocuprates to give the corresponding adducts in a highly regioselective manner. This system could be successfully extended to the chiral version, the enantiomerically pure substance being obtained in high yield.

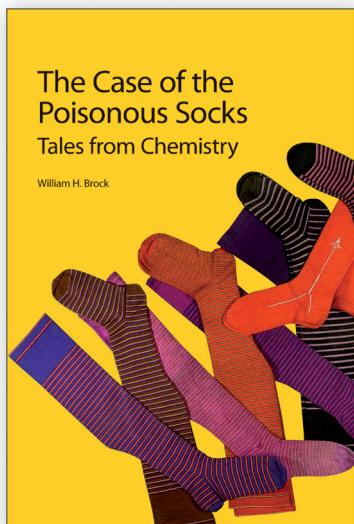
8164



Organocatalytic asymmetric syntheses of intomycins A, B and C

Madoka Yoshino, Kohei Eto, Keisuke Takahashi, Jun Ishihara and Susumi Hatakeyama*

Asymmetric syntheses of intomycins A, B and C were achieved via a TMSQD-catalyzed asymmetric β -lactone synthesis and a Stille coupling.



The Case of the Poisonous Socks

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William H. Brock

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