

Tetrahedron Letters Vol. 51, No. 21, 2010

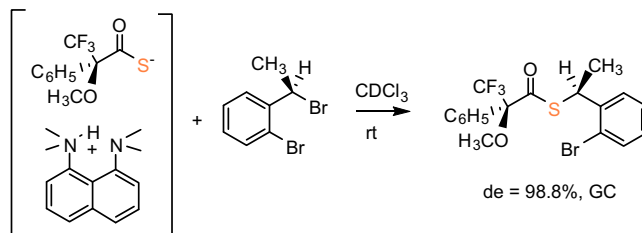
Contents

COMMUNICATIONS

Salts of Mosher's thioacid: agents for determining the enantiomer excess of S_N2 substrates

pp 2793–2796

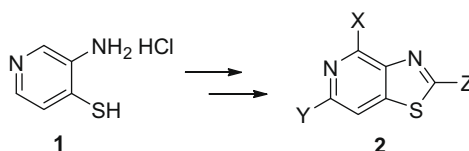
Jack E. Richman*



Novel methods to functionalize thiazolo[4,5-c]pyridines

pp 2797–2799

Vinay Girijavallabhan*, Ashok Arasappan, Frank Bennett, Yuhua Huang, F. George Njoroge, Malcolm MacCoss

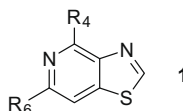


Novel substituted thiazolo[4,5-c]pyridines have been synthesized in good yields from unsubstituted thiazolo[4,5-c]pyridine.

Syntheses of 4- and 6-substituted thiazolo[4,5-c]pyridines

pp 2800–2802

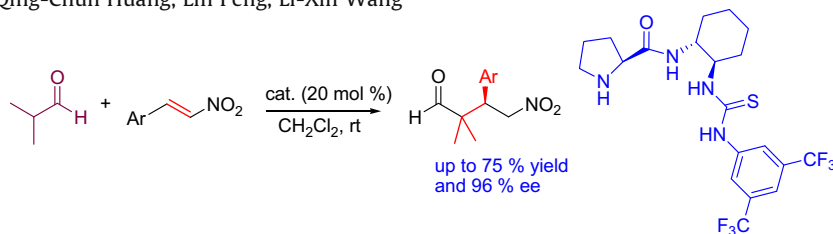
Yuhua Huang, Frank Bennett*, Vinay Girijavallabhan, Carmen Alvarez, Tze-Ming Chan, Rebecca Osterman, Mary Senior, Cecil Kwong, Namita Bansal, F. George Njoroge, Malcolm MacCoss



Highly asymmetric Michael additions of α,α -disubstituted aldehydes to β -nitroalkenes promoted by chiral pyrrolidine–thiourea bifunctional catalysts

pp 2803–2805

Jian-Fei Bai, Xiao-Ying Xu, Qing-Chun Huang, Lin Peng, Li-Xin Wang*



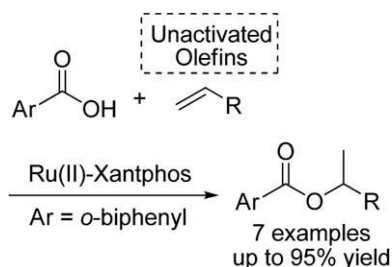
A series of secondary amine–thiourea catalysts derived from ι -proline and chiral diamine were prepared and first applied to the Michael addition of α,α -disubstituted aldehydes to *trans*- β -nitroalkenes. Moderate yields (47–75%) and excellent enantioselectivities (up to 96% ee) were obtained for a variety of aryl and heteroaryl nitroalkenes.



Addition reaction of 2-phenylbenzoic acid onto unactivated olefins catalyzed by Ru(II)–xantphos catalysis

pp 2806–2809

Yohei Oe, Tetsuo Ohta*, Yoshihiko Ito



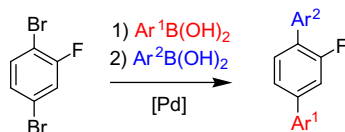
Xantphos showed high catalytic activity. Thus, Ru-catalyzed addition reaction of 2-phenylbenzoic acid with unactivated olefins using xantphos as a ligand provided the corresponding esters in good to excellent yields.



One-pot synthesis of fluorinated terphenyls by site-selective Suzuki–Miyaura reactions of 1,4-dibromo-2-fluorobenzene

pp 2810–2812

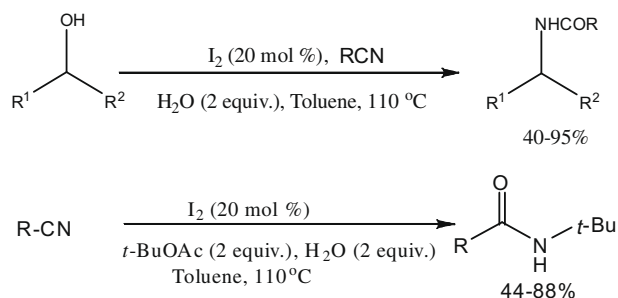
Muhammad Sharif, Muhammad Zeeshan, Sebastian Reimann, Alexander Villinger, Peter Langer*



Iodine-catalyzed one-pot synthesis of amides from nitriles via Ritter reaction

pp 2813–2819

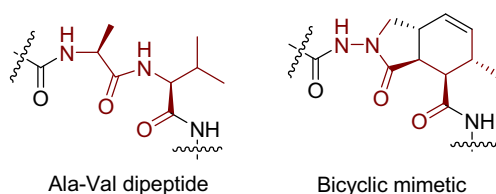
Palani Theerthagiri, Appaswami Lalitha*, Pirama Nayagam Arunachalam



Expedient synthesis of novel bicyclic peptidomimetic scaffolds

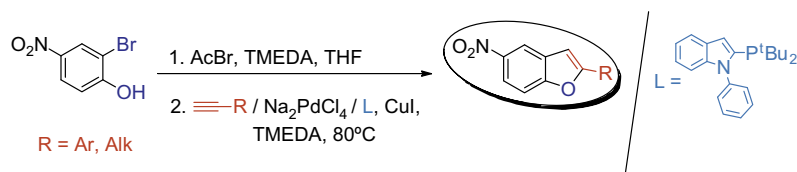
pp 2820–2823

Mitchell Huot, Nicolas Moitessier*

**Efficient synthesis of 5-nitro-benzo[b]furans via 2-bromo-4-nitro-phenyl acetates**

pp 2824–2827

Antonella Bochicchio, Lucia Chiummiento*, Maria Funicello, Maria Teresa Lopardo, Paolo Lupattelli

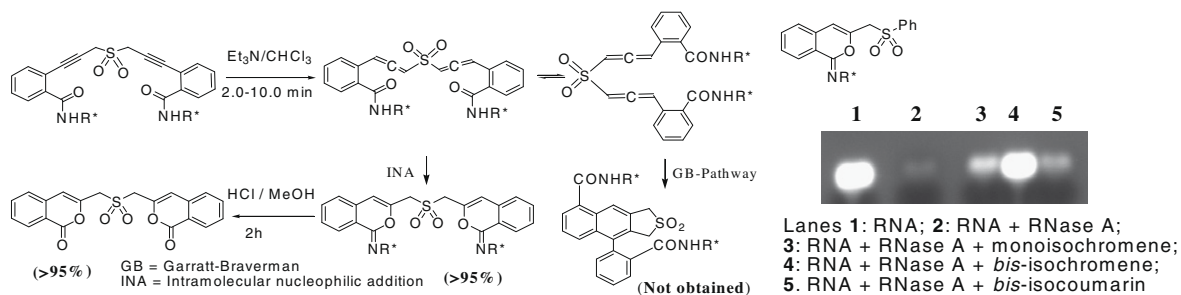


High efficiency was achieved by one-pot acetylation/Sonogashira cross-coupling/cyclization reactions of 4-NO₂-2-bromo-phenols and terminal acetylenes in the preparation of 5-nitro-2-substituted-benzo[b]furans.

Synthesis and RNase A inhibition study of C₂-symmetric bis-isochromenyl sulfones

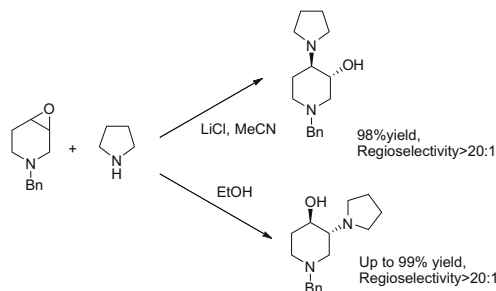
pp 2828–2831

Tapobrata Mitra, Sansa Dutta, Amit Basak*

**Remarkable switch of regioselectivity in epoxide ring opening of 3-benzyl-7-oxa-3-azabicyclo[4.1.0]heptane with amines: practical synthesis of *trans*-4-amino-3-hydroxypiperidines and *trans*-3-amino-4-hydroxypiperidines**

pp 2832–2834

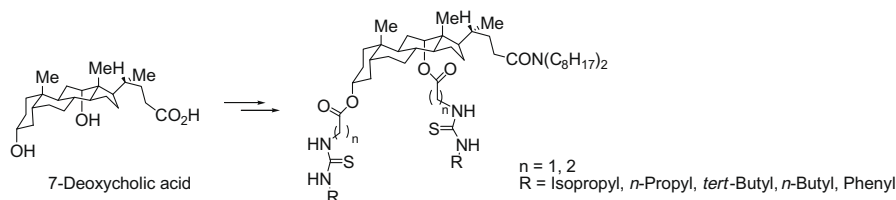
Osamu Tokuda, Toshiaki Aikawa, Tetsuya Ikemoto*, Isao Kurimoto



Syntheses and evaluation of 7-deoxycholic amide-based tweezer-type copper(II) ion-selective ionophores

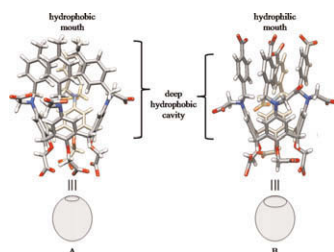
pp 2835–2839

In Sook Cho, Hery Han, Jun Ho Shim, Jae Seon Lee, Jae Ho Shin, Geun Sig Cha, Byeong Hyo Kim*

**Water-soluble aminocalix[4]arene receptors with hydrophobic and hydrophilic mouths**

pp 2840–2845

Satish Balasaheb Nimse, Van-Thuan Nguyen, Junghoon Kim, Hyung-Sup Kim, Keum-Soo Song, Woon-Young Eoum, Chan-Yong Jung, Van-Thao Ta, Sudhakara Reddy Seelam, Taisun Kim*



Water-soluble aminocalix[4]arene hosts, the one with hydrophilic function and the other with hydrophobic function on the top of the deep hydrophobic cavity representing hydrophobic mouth and hydrophilic mouth, respectively.

**The design of efficient and selective routes to a key 1,4-cis-substituted cyclohexylamide intermediate**

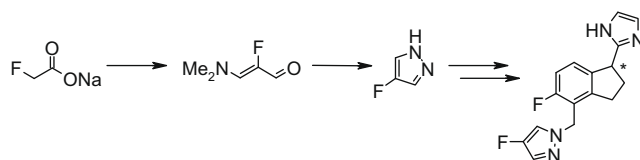
pp 2846–2848

Christopher Barfoot, Gerald Brooks, David T. Davies, John Elder, Ilaria Giordano, Alan Hennessy*, Graham Jones, Roger Markwell, Michael McGuire, Timothy Miles, Neil Pearson, Grant Spoor, Ravinder Sudini, Hengxu Wei, Jeffery Wood

Five different synthetic routes were developed to address and ultimately overcome selectivity and yield issues to access the synthetically challenging subunit **1**. This was needed in bulk supplies for an anti-bacterial medicinal chemistry programme.**An improved synthesis of a novel α_{1A} partial agonist including a new two-step synthesis of 4-fluoropyrazole**

pp 2849–2851

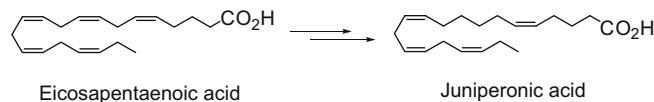
Katherine England*, Helen Mason, Rachel Osborne, Lee Roberts



Synthesis of juniperonic acid

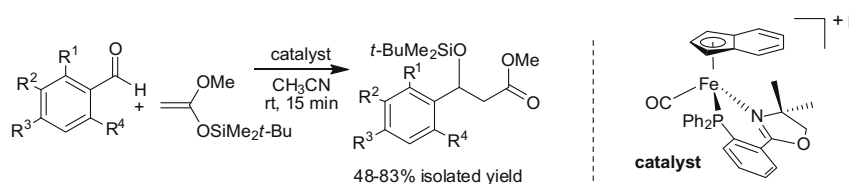
pp 2852–2854

Anders Vik*, Trond Vidar Hansen, Anne Kristin Holmeide, Lars Skattebøl

**New indenyl phosphinoxazoline complexes of iron and their catalytic activity in the Mukaiyama aldol reaction**

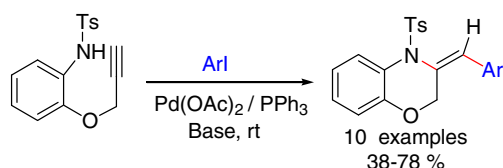
pp 2855–2858

Matthew Lenze, Sergey L. Sedinkin, Nigam P. Rath, Eike B. Bauer*

**Totally regio- and stereoselective synthesis of (*E*)-3-arylidene-3,4-dihydro-2*H*-1,4-benzoxazines under palladium catalyst**

pp 2859–2861

Chinmay Chowdhury*, Kaushik Brahma, Sanjukta Mukherjee, Anup Kumar Sasmal

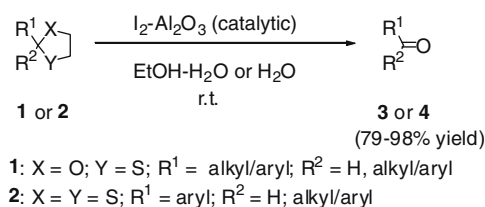


A new, one-pot palladium catalyzed reaction has been developed for the general synthesis of (*E*)-3-arylidene-3,4-dihydro-2*H*-1,4-benzoxazines at room temperature. The reaction procedure tolerates various functional groups. The method is characterized by regio- and stereoselectivity, operational simplicity, mild reaction conditions, and short reaction time.

**Iodine–alumina as an efficient and useful catalyst for the regeneration of carbonyl functionality from the corresponding 1,3-oxathiolanes and 1,3-dithiolanes in aqueous system**

pp 2862–2864

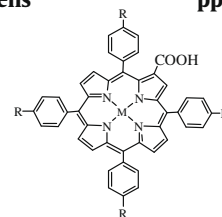
Md. Rumum Rohman, Mantu Rajbangshi, Badaker M. Laloo, Priti R. Sahu, Bekington Myrboh*



One-pot synthesis of β -carboxy tetra aryl porphyrins: potential applications to dye-sensitized solar cells

pp 2865–2867

P. Silviya Reeta, Jaipal Kandhadi, Giribabu Lingamallu*



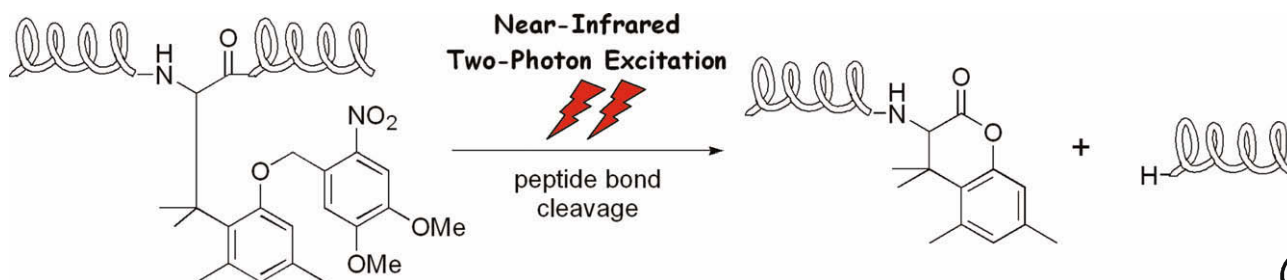
R = H and M = 2H, **1**; R = H and M = Zn(II), **Zn1**
 R = -CH₃ and M = 2H, **2**; R = -CH₃ and M = Zn(II), **Zn2**
 R = -OCH₃ and M = 2H, **3**; R = -OCH₃ and M = Zn(II), **Zn3**
 R = -*tert*-butyl and M = 2H, **4**; R = -*tert*-butyl and M = Zn(II), **Zn4**

A facile one-pot mild reaction condition for the conversion of 2-formyl-5,10,15,20-tetra aryl-substituted porphyrins and their zinc derivatives to the corresponding 2-carboxy-5,10,15,20-tetra aryl-substituted porphyrins was achieved for the first time by using hydroxylamine hydrochloride and phthalic anhydride.

**Development and photo-responsive peptide bond cleavage reaction of two-photon near-infrared excitation-responsive peptide**

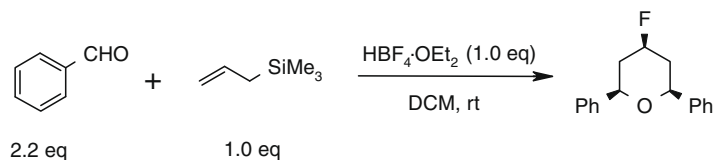
pp 2868–2871

Akira Shigenaga*, Jun Yamamoto, Yoshitake Sumikawa, Toshiaki Furuta, Akira Otaka*

**HBF₄·OEt₂ as a versatile reagent for the Hosomi–Sakurai allylation and Prins cyclization: one-pot synthesis of symmetrical 4-fluorotetrahydropyrans**

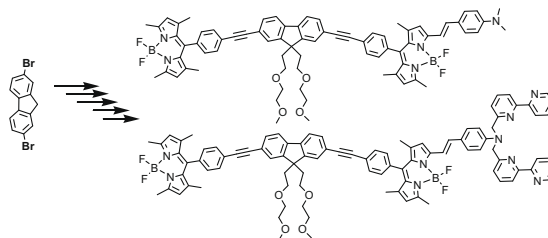
pp 2872–2874

J. S. Yadav*, B. V. Subba Reddy, B. Anusha, U. V. Subba Reddy, V. V. Bhadra Reddy

**Design, synthesis and redox properties of a fluorene platform linking two different Bodipy dyes**

pp 2875–2879

Thomas Bura, Raymond Ziessel*

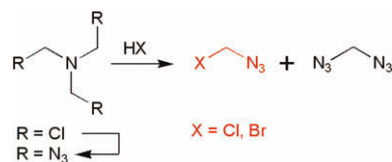


Mixed Bodipy dyes appended to a soluble fluorene platform have been prepared, one Bodipy was constructed with a bis-bipyridine complexation pocket.

Synthesis of azidochloromethane and azidobromomethane

pp 2880–2882

Klaus Banert*, Young-Hyuk Joo, Tobias Rüffer, Bernhard Walfort, Heinrich Lang

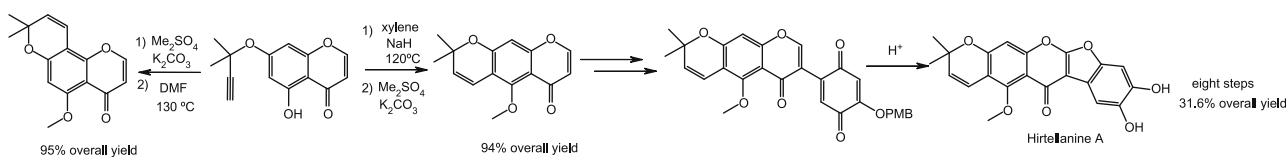


Azidochloromethane, which could not be prepared from dihalomethanes, was synthesized as shown and isolated as a colorless liquid.

Total synthesis of Hirtellanine A

pp 2883–2887

Shu-Yan Zheng, Zheng-Wu Shen*

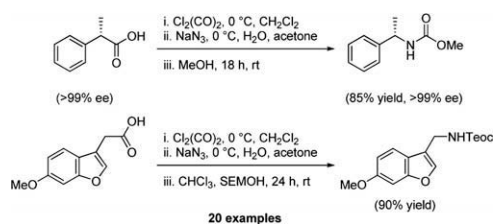


The first total synthesis of hirtellanine A was achieved in a high overall yield via the regioselective Claisen rearrangement as the key reaction.

**Facile preparation of protected benzylic and heteroarylmethyl amines via room temperature Curtius rearrangement**

pp 2888–2891

Matthew L. Leathen, Emily A. Peterson*

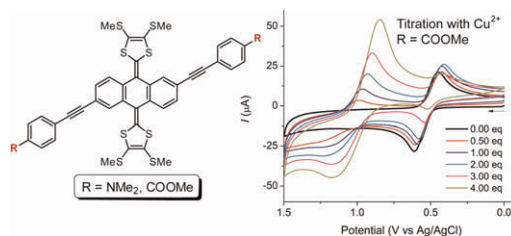


A step-wise, room temperature method for the Curtius rearrangement is described that proceeds in the absence of additives to provide benzylic and heteroarylmethyl amines.

**TTFAQ-cored D/A ensembles: synthesis, electronic properties, and redox responses to transition metal ions**

pp 2892–2895

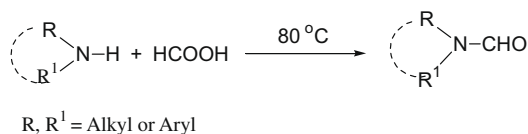
Min Shao, Yuming Zhao*

Two anthraquinone-type π -extended tetrathiafulvalene (TTFAQ)-cored D/A triads were synthesized and characterized by UV-vis absorption and cyclic voltammetric analyses. Electronic substituent effects were unraveled by making a comparison with analogous TTFAQ derivatives previously reported. Electrochemical titrations of the two TTFAQ D/A triads with selected transition metal cations (Ag^+ and Cu^{2+}) were examined by cyclic voltammetry, and the results suggest potential application of such TTFAQ derivatives as electrochemical sensors for transition metal ions.

Formylation without catalyst and solvent at 80 °C

pp 2896–2899

Matiur Rahman, Dhiman Kundu, Alakananda Hajra*, Adinath Majee*

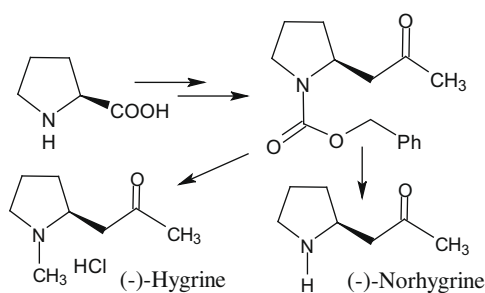


A simple and efficient protocol for N-formylation of aliphatic and heterocyclic amines has been described with formic acid in the absence of catalyst and solvent.

Syntheses of (-)-hygrine and (-)-norhygrine via Wacker oxidation

pp 2900–2902

Mahesh S. Majik, Santosh G. Tilve*

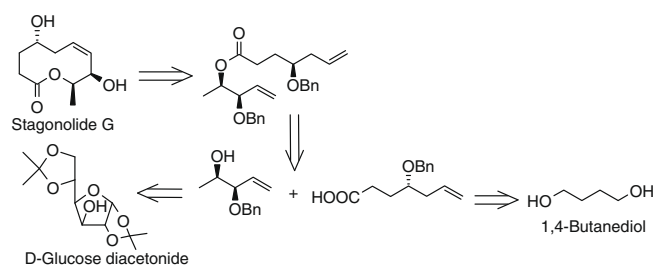


Hygrine is an important biosynthetic intermediate for tropane alkaloids. A synthesis of (-)-hygrine starting from L-proline is described. The acetyl side chain of hygrine was fashioned through the Wittig reaction followed by regioselective Wacker oxidation. In addition, this Letter provides the first synthesis of (-)-norhygrine.

**First stereoselective total synthesis of stagonolide G**

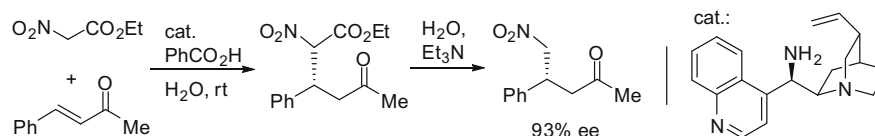
pp 2903–2905

P. Srihari*, B. Kumaraswamy, Dinesh C. Bhunia, J. S. Yadav*

**Enantioselective organocatalytic conjugate addition of α -nitroacetate to α,β -unsaturated ketones in water**

pp 2906–2908

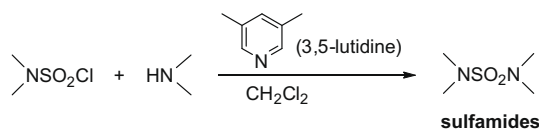
Hyoung Wook Moon, Dae Young Kim*



An efficient synthesis of sulfamides

pp 2909–2913

Chuangxing Guo*, Liming Dong, Susan Kephart, Xinjun Hou

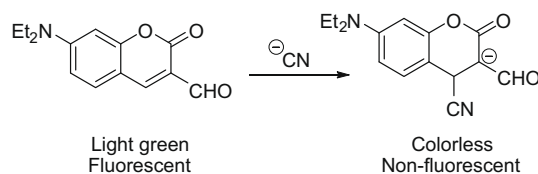


A mild and efficient method for sulfamide synthesis was developed during our research efforts toward discovery of novel FKBP-12 inhibitors.

**Coumarinyl aldehyde as a Michael acceptor type of colorimetric and fluorescent probe for cyanide in water**

pp 2914–2916

Gun-Joong Kim, Hae-Jo Kim*

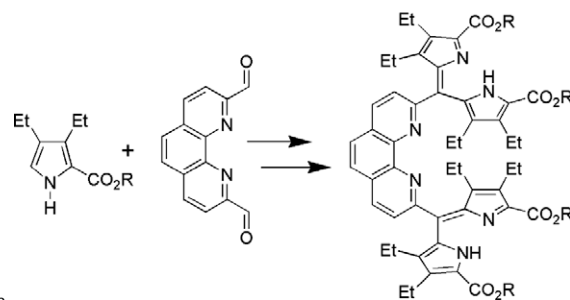


A coumarinyl aldehyde shows a selective fluorescence response to cyanide through a Michael addition to the doubly activated coumarin in water.

**Phenanthroline dipyrrromethene conjugates: potential building blocks for the construction of novel supramolecular architectures**

pp 2917–2919

Antonio Garrido Montalban*, Antonio J. Herrera, Jes Johanssen

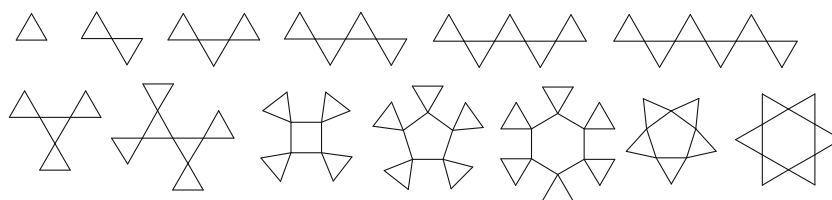


The synthesis of novel angular building blocks based on dipyrrromethenes and the 1,10-phenanthroline nucleus is reported.

Strain in [n]triangulanes

pp 2920–2923

Igor Novak

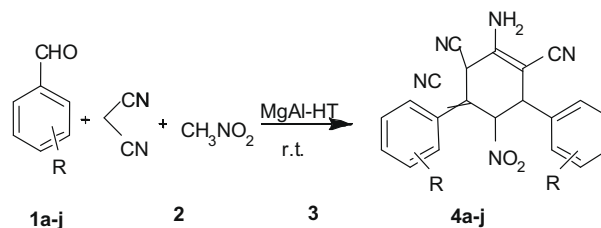


Strain in [n]triangulanes

One-pot synthesis of 2-amino-5-nitro-4,6-diarylcyclohex-1-ene-1,3,3-tricarbo-nitriles by condensation of aldehyde, malononitrile, and nitromethane in the presence of Mg–Al HT under solvent-free condition

pp 2924–2927

Siddheshwar W. Kshirsagar, Nitin R. Patil, Shriniwas D. Samant*

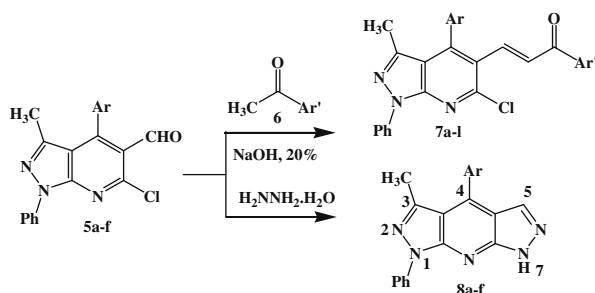
Where R = Cl, Br, NO₂, OH, OMe, Me, CN

A multicomponent one-pot reaction of aromatic aldehyde, malononitrile, and nitromethane is carried out in the presence of HTs (different Mg/Al ratios) and other solid bases, where 2-amino-5-nitro-4,6-diphenylcyclohex-1-ene-1,3,3-tricarbo-nitriles are obtained. HT with Mg–Al = 5 is the best catalyst for the reaction. The reaction gives best results under solvent-free condition. The catalyst can be easily separated and is recyclable. Other parameters were optimized.

Preparation of 6-chloropyrazolo[3,4-*b*]pyridine-5-carbaldehydes by Vilsmeier–Haack reaction and its use in the synthesis of heterocyclic chalcones and dipyrazolopyridines

pp 2928–2930

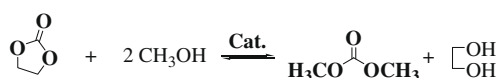
Jairo Quiroga*, Yurina Diaz, Braulio Insuasty, Rodrigo Abonia, Manuel Nogueras*, Justo Cobo



Dimethyl carbonate synthesis catalyzed by DABCO-derived basic ionic liquids via transesterification of ethylene carbonate with methanol

pp 2931–2934

Zhen-Zhen Yang, Liang-Nian He*, Xiao-Yong Dou, Sébastien Chanfreau

[C_{n+1}DABCO]⁺A⁻

n = 3, 7

A⁻ = Br⁻, Cl⁻, HO⁻, BF₄⁻, PF₆⁻, Tf₂N⁻

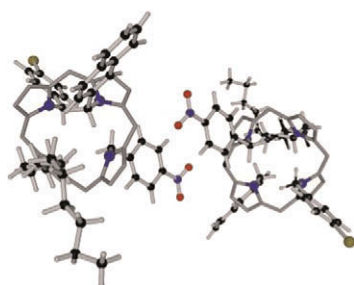
[C₄DABCO]OH proved to be a recyclable catalyst for dimethyl carbonate synthesis from ethylene carbonate and methanol. Thus 81% yield together with 90% conversion was obtained under mild reaction conditions.




Stable pseudotetrahedral supermolecules based on an oxoporphyrinogen

pp 2935–2938

Jan Labuta, Jonathan P. Hill*, Mark R. J. Elsegood, Katsuhiko Ariga



*Corresponding author

 Supplementary data available via ScienceDirect

COVER

The cover image shows the atom-economical addition of carboxylic acid onto olefins catalyzed by Ru-Xantphos catalysis. Olefins can be converted into alcohols via the present catalytic reaction and hydrolysis in one-pot with quantitative recovery of starting carboxylic acid.

Tetrahedron Letters **2010**, 51, 2806–2809.

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