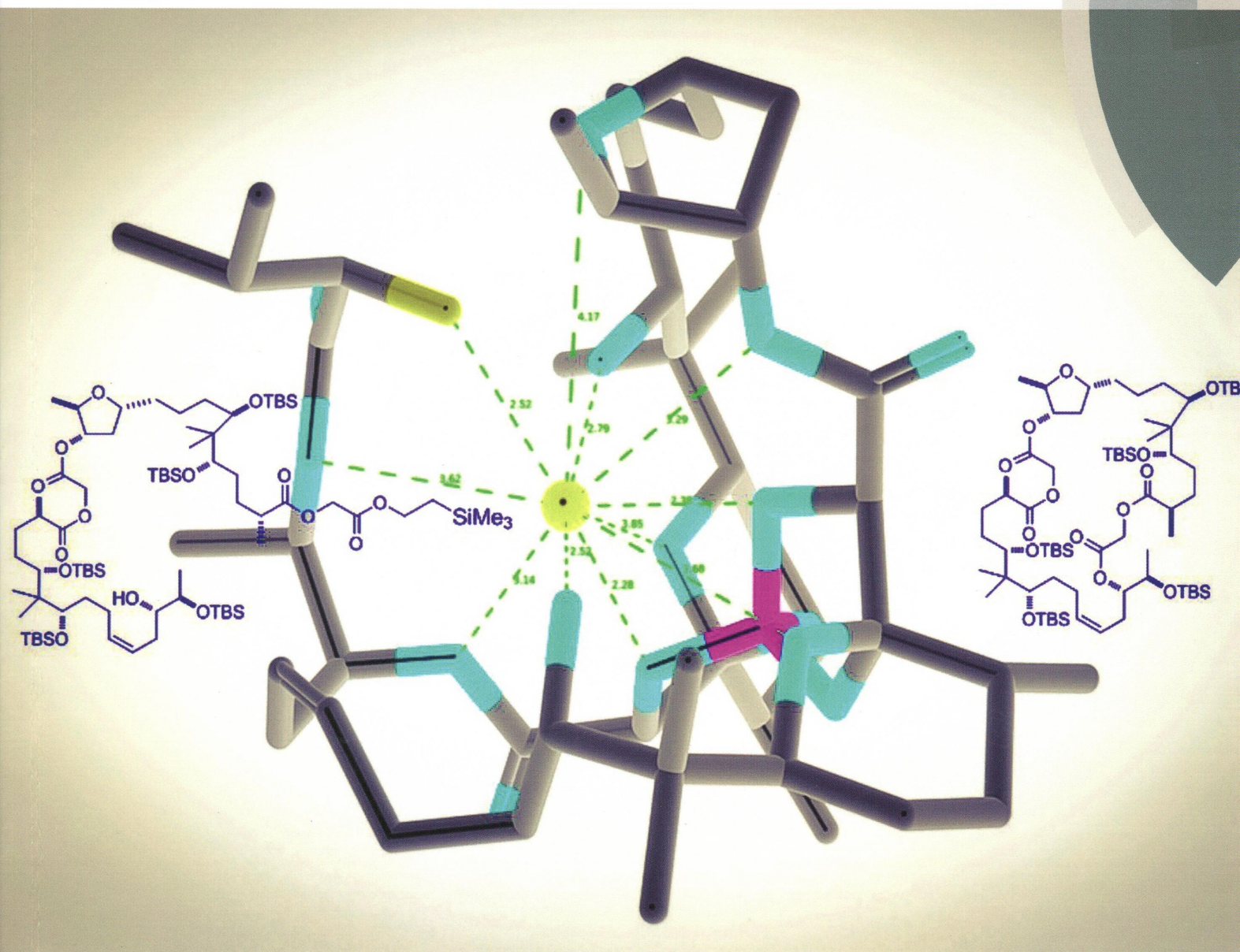


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Volume 12 | Number 45 | 7 December 2014 | Pages 9035–9280

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PAPER

James D. White *et al.*

Total synthesis of macrodiolide ionophores aplasmomycin A and boromycin via double ring contraction

Organic & Biomolecular Chemistry

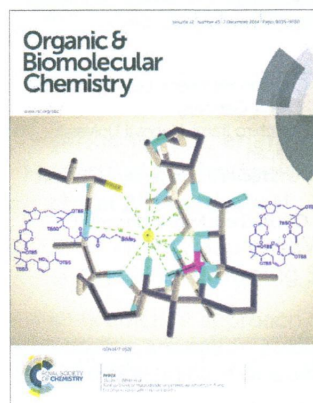
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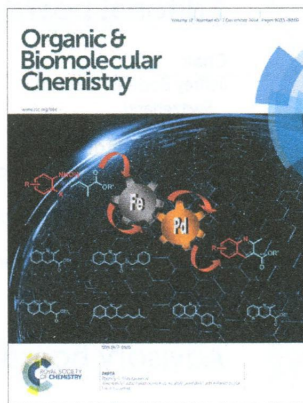
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Cover

See James D. White et al., pp. 9116–9132.

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Inside cover

See Radhey S. Srivastava et al., pp. 9133–9138.

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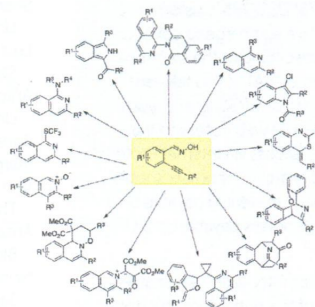
REVIEWS

9045

2-Alkynylbenzaldoxime: a versatile building block for the generation of N-heterocycles

Linman He, Hongming Nie, Guanyinsheng Qiu, Yueqiu Gao* and Jie Wu*

Recent advancement in the generation of N-heterocycles starting from 2-alkynylbenzaldoximes via tandem reactions is described based on different reaction types.

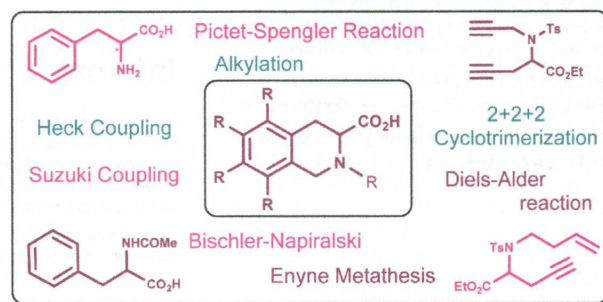


9054

Diversity-oriented synthesis of medicinally important 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Tic) derivatives and higher analogs

Sambasivarao Kotha,* Deepak Deodhar and Priti Khedkar

This review provides an account of strategies for building diverse Tic derivatives suitable for the syntheses of medicinally important molecules.



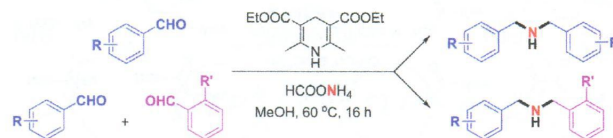
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Центральная научная библиотека
Уральского отделения
Российской академии наук (ЦНБ УрО РАН)

9092

Catalyst-free reductive amination of aromatic aldehydes with ammonium formate and Hantzsch ester

Pan-Pan Zhao, Xin-Feng Zhou, Jian-Jun Dai* and Hua-Jian Xu*

Both symmetric and asymmetric aromatic secondary amines were obtained with ammonium formate and Hantzsch ester in the developed protocol.

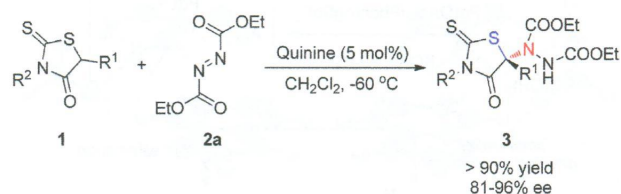


9097

Organocatalytic enantioselective α -amination of 5-substituted rhodanines: an efficient approach to chiral *N,S*-acetals

Huanrui Zhang, Baomin Wang,* Longchen Cui, Ying Li, Jingping Qu and Yuming Song

A highly efficient approach to chiral *N,S*-acetals by asymmetric amination of 5-substituted rhodanines catalyzed by quinine or quinidine is developed.

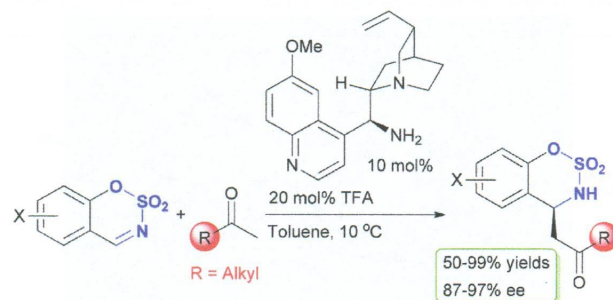


9101

A highly enantioselective and regioselective organocatalytic direct Mannich reaction of methyl alkyl ketones with cyclic imines benzo[e][1,2,3]oxathiazine 2,2-dioxides

You-Qing Wang,* Xiao-Yu Cui, Yuan-Yuan Ren and Yongna Zhang*

A specific regioselective direct Mannich reaction of methyl alkyl ketones with cyclic imines benzo[e][1,2,3]oxathiazine 2,2-dioxides is realized with 87–97% ee.

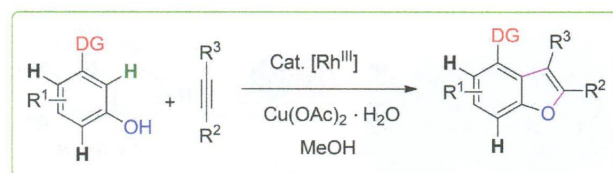


9105

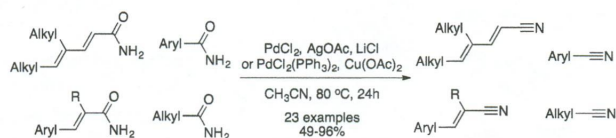
Rh^{III}-catalyzed dual directing group assisted sterically hindered C–H bond activation: a unique route to *meta* and *ortho* substituted benzofurans

Chien-Hung Yeh, Wei-Chen Chen, Parthasarathy Gandeepan, Ya-Chun Hong, Cheng-Hung Shih and Chien-Hong Cheng*

A new strategy for the synthesis of highly substituted benzofurans from *meta*-substituted hydroxybenzenes and alkynes *via* a rhodium(III)-catalyzed activation of a sterically hindered C–H bond is demonstrated.



9109

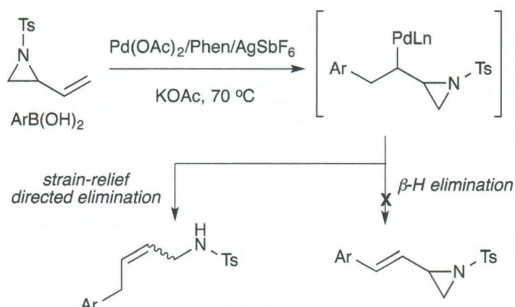


Synthesis of nitriles *via* palladium-catalyzed water shuffling from amides to acetonitrile

Wandi Zhang, Christopher W. Haskins, Yang Yang and Mingji Dai*

Palladium-catalyzed synthesis of nitriles from amides has been described.

9113



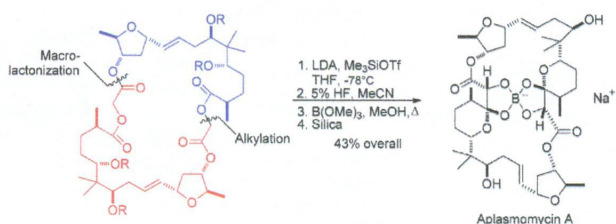
Unusual (*Z*)-selective palladium(II)-catalysed addition of aryl boronic acids to vinylaziridines

JieXiang Yin, Theresa Mekelburg and Christopher Hyland*

The palladium(II)-catalysed addition of arylboronic acids to vinylaziridines has been developed. This reaction proceeds *via* an insertion/ring-opening process to provide (*E*)-allylsulfonamides. This stereoselectivity is complimentary to existing methods that typically proceed *via* an S_N2' mechanism to yield (*Z*)-allylic systems.

PAPERS

9116

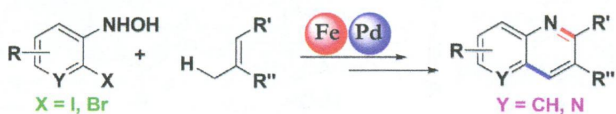


Total synthesis of macrodiolide ionophores aplasmomycin A and boromycin *via* double ring contraction

Mitchell A. Avery, Satish C. Choudhry, Om Prakash Dhingra, Brian D. Gray, Myung-chol Kang, Shen-chun Kuo, Thalathani R. Vedananda, James D. White* and Alan J. Whittle

Aplasmomycin A was synthesized by double ring contraction of a 34-membered dilactone; boromycin was synthesized using the same strategy.

9133



Synthesis of substituted quinolines *via* allylic amination and intramolecular Heck-coupling

Siva Murru, Brandon McGough and Radhey S. Srivastava*

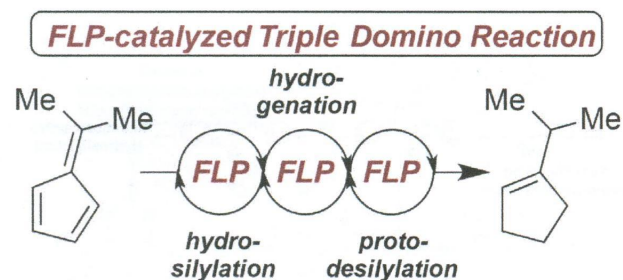
New catalytic approach to access substituted quinolines and naphthyridines *via* allylic C–H amination followed by intramolecular Heck-coupling and aerobic dehydrogenation.

9139

Frustrated Lewis pair catalyzed hydrosilylation and hydrosilane mediated hydrogenation of fulvenes

Sergej Tamke, Constantin-G. Daniliuc and Jan Paradies*

The hydrosilane assisted FLP-catalyzed hydrogenation of pentafulvenes is reported.

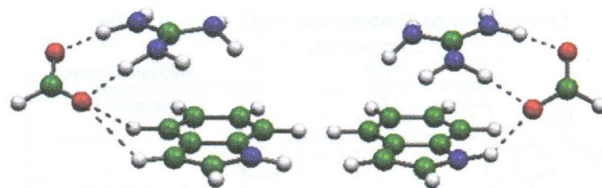


9145

A theoretical study of ternary indole–cation–anion complexes

Jorge A. Carrazana-García,* Enrique M. Cabaleiro-Lago, Alba Campo-Cacharrón and Jesús Rodríguez-Otero

The simultaneous interactions of an anion and a cation with a π system were investigated by MP2 and M06-2X theoretical calculations.



9157

Discovery and synthesis of a novel series of potent, selective inhibitors of the PI3K α : 2-alkyl-chromeno[4,3-c]pyrazol-4(2H)-one derivatives

Yong Yin, Xun Wu, Hong-Wei Han, Shao Sha, She-Feng Wang, Fang Qiao, Ai-Min Lu, Peng-Cheng Lv* and Hai-Liang Zhu*

A series of novel 2-alkyl-chromeno[4,3-c]pyrazol-4(2H)-one derivatives were synthesized and evaluated for their biological activities. Compound **4l** exhibited the most potent and selective activity for PI3K α .

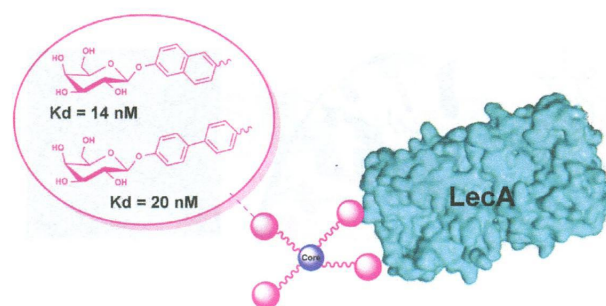


9166

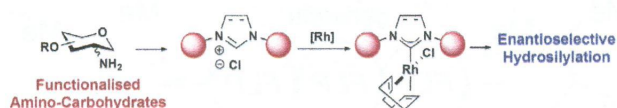
The influence of the aromatic aglycon of galactoclusters on the binding of LecA: a case study with *O*-phenyl, *S*-phenyl, *O*-benzyl, *S*-benzyl, *O*-biphenyl and *O*-naphthyl aglycons

Francesca Casoni, Lucie Dupin, Gérard Vergoten, Albert Meyer, Caroline Ligeour, Thomas Géhin, Olivier Vidal, Eliane Souteyrand, Jean-Jacques Vasseur, Yann Chevolut* and François Morvan*

Mannose-centered galactoclusters exhibiting naphthyl or biphenyl aglycons displayed high affinity for LecA.



9180

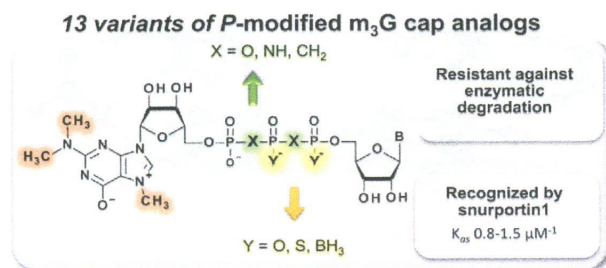


Carbohydrate-based N-heterocyclic carbenes for enantioselective catalysis

Alexander S. Henderson, John F. Bower* and M. Carmen Galan*

Versatile syntheses of C₂-linked and C₂-symmetric carbohydrate-based NHC-HCl's from functionalised amino-carbohydrate derivatives are reported. The corresponding Rh complexes were evaluated in asymmetric hydrosilylation of ketones.

9184

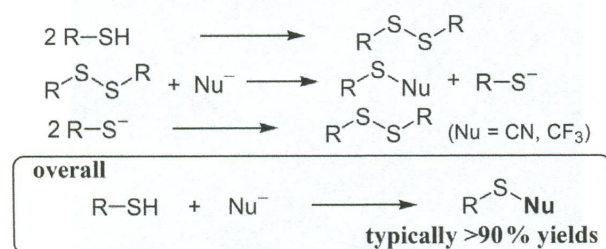


Towards novel efficient and stable nuclear import signals: synthesis and properties of trimethylguanosine cap analogs modified within the 5',5'-triphosphate bridge

Malgorzata Zytek, Joanna Kowalska, Maciej Lukaszewicz, Blazej A. Wojtczak, Joanna Zuberek, Aleksandra Ferenc-Mrozek, Edward Darzynkiewicz, Anna Niedzwiecka and Jacek Jemielity*

A study of methylenebisphosphonate, imidodiphosphate, phosphorothioate and boranophosphate TMG cap analogs.

9200

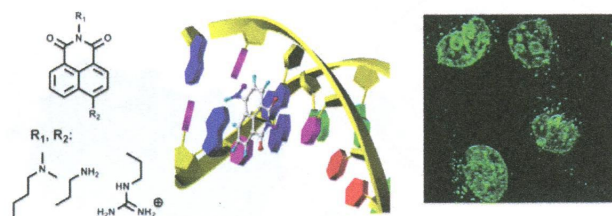


Oxidative nucleophilic strategy for synthesis of thiocyanates and trifluoromethyl sulfides from thiols

Kazuya Yamaguchi, Konomi Sakagami, Yumi Miyamoto, Xiongjie Jin and Noritaka Mizuno*

In the presence of a 2 × 2 manganese oxide-based octahedral molecular sieve (OMS-2) and potassium fluoride (KF), various thiocyanates and trifluoromethyl sulfides could be synthesized from thiols in almost quantitative yields.

9207



Effects of side chains on DNA binding, cell permeability, nuclear localization and cytotoxicity of 4-aminonaphthalimides

Jin Zhou, Ang Chang, Linlin Wang, Ying Liu, Xiangjun Liu and Dihua Shangguan*

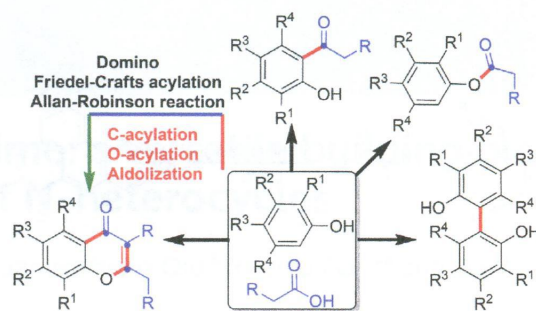
The guanidinoethyl group increases DNA binding, and decreases the cell permeability and cytotoxicity; the dimethylaminopropyl group enhances the cell permeability and cytotoxicity.

9216

Lewis acid promoted construction of chromen-4-one and isoflavone scaffolds *via* regio- and chemoselective domino Friedel–Crafts acylation/Allan–Robinson reaction

Tanmoy Chanda, Sushobhan Chowdhury, Suvajit Koley, Namrata Anand and Maya Shankar Singh*

An efficient one-pot synthesis of chromen-4-ones and isoflavones is achieved directly from phenols *via* the regio- and chemoselective domino Friedel–Crafts acylation/Allan–Robinson reaction.

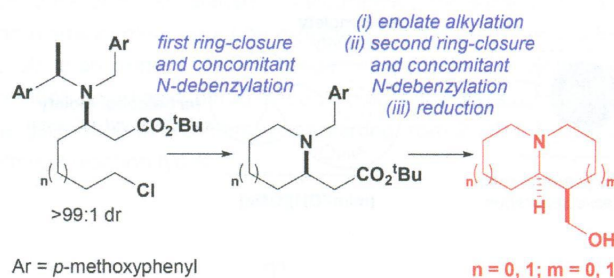


9223

The asymmetric syntheses of pyrrolizidines, indolizidines and quinolizidines *via* two sequential tandem ring-closure/*N*-debenzylation processes

Stephen G. Davies,* Ai M. Fletcher, Emma M. Foster, Ian T. T. Houlsby, Paul M. Roberts, Thomas M. Schofield and James E. Thomson

Asymmetric syntheses of (–)-lupinine ($n = m = 1$), (+)-isoretronecanol ($n = m = 0$), (+)-5-*epi*-tashiromine ($n = 0, m = 1$) and the azabicyclic core within stellettamides A–C ($n = 1, m = 0$) were achieved in 8 steps or fewer.

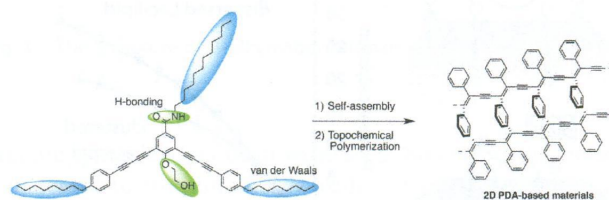


9236

Synthesis, gelation and topochemical polymerization of *meta*-linked oligophenylenebutadiynylene derivatives

Isabelle Levesque, Simon Rondeau-Gagné, Jules Roméo Néabo and Jean-François Morin*

Rational design of *meta*-linked oligophenylenebutadiynylene (OPBD) derivatives was conducted in order to gain insight into their gelation properties and reactivity toward topochemical polymerization to yield polydiacetylenes (PDAs).

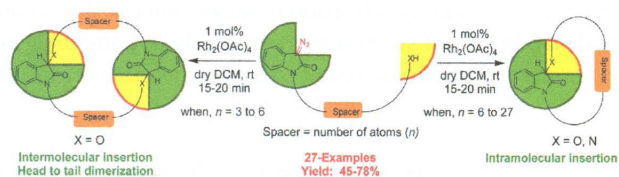


9243

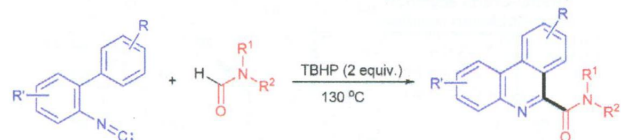
Rhodium(II) catalyzed synthesis of macrocycles incorporating oxindole *via* O–H/N–H insertion reactions

Sengodagounder Muthusamy* and Thangaraju Karikalan

Synthesis of 10- to 29-membered oxaza-macrocycles *via* intramolecular O–H/N–H insertion reactions catalyzed by a rhodium(II) acetate dimer is demonstrated. Synthesis of symmetric macrocycles *via* head to tail dimerization reactions is also delineated.



9257

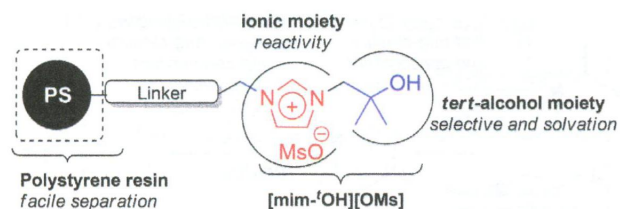


TBHP-promoted sequential carboxamidation and aromatisation of aryl isonitriles with formamides

Xiaomei Feng, Hui Zhu, Lei Wang, Yan Jiang, Jiang Cheng and Jin-Tao Yu*

The *tert*-butyl hydroperoxide (TBHP)-promoted sequential carboxamidation and aromatisation of isonitriles with formamides was developed. This reaction involved the addition of formamide radicals to isonitriles and sequential C–C bond formation by intramolecular aromatic cyclisation.

9264

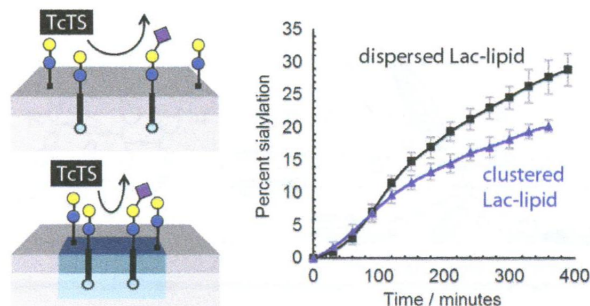


One molecule of ionic liquid and *tert*-alcohol on a polystyrene-support as catalysts for efficient nucleophilic substitution including fluorination

Sandip S. Shinde* and Sunil N. Patil

The *tert*-alcohol and ionic liquid solvents in one molecule [mim-⁺OH][OMs] was immobilized on polystyrene and reported to be a highly efficient catalyst in aliphatic nucleophilic substitution using alkali metal salts.

9272



Sialylation of lactosyl lipids in membrane microdomains by *T. cruzi* trans-sialidase

Gavin T. Noble, Faye L. Craven, Maria Dolores Segarra-Maset, Juana Elizabeth Reyes Martinez, Robert Šardžik, Sabine L. Flitsch* and Simon J. Webb*

Soluble *T. cruzi* trans-sialidase transformed a synthetic lactosyl glycolipid in microdomains more slowly than the same substrate dispersed across the bilayer surface, producing phospholipid vesicles with a Neu5Ac(α2-3)Gal(β1-4)Glc "glycocalyx".