Organic & Biomolecular Chemistry

An international journal of synthetic, physical and biomolecular organic chemistry

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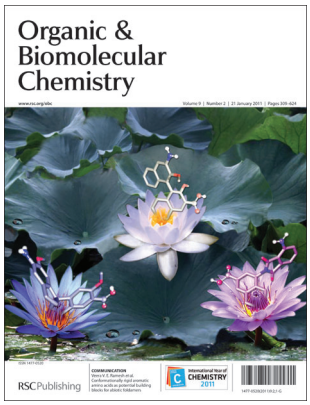
IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 9(2) 309–624 (2011)



Cover

See Gangadhar J. Sanjayan *et al.*, pp. 367–369.

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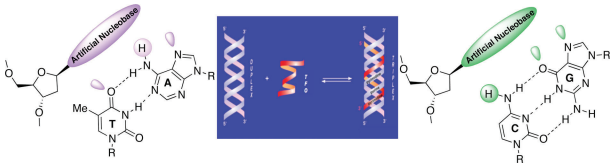
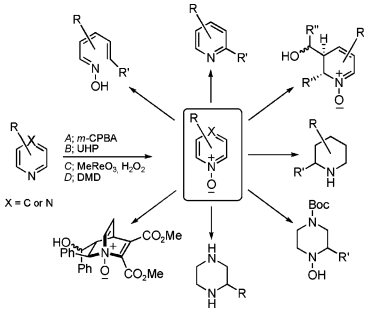
PERSPECTIVES





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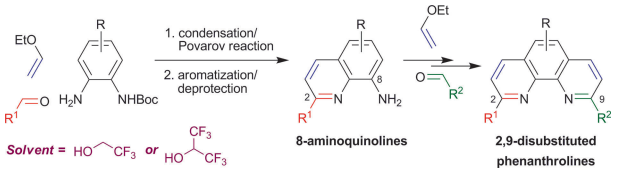
Synthesis of substituted 8-aminoquinolines and phenanthrolines through a Povarov approach

Kavita De, Julien Legros,* Benoit Crousse, Srinivasan Chandrasekaran and Danièle Bonnet-Delpon

The synthesis of 8-aminoquinolines and 1,10-phenanthrolines with substituents in α of the nitrogen atoms has been performed through an inverse-demanding aza-Diels–Alder in the fluorinated alcohols TFE or HFIP.



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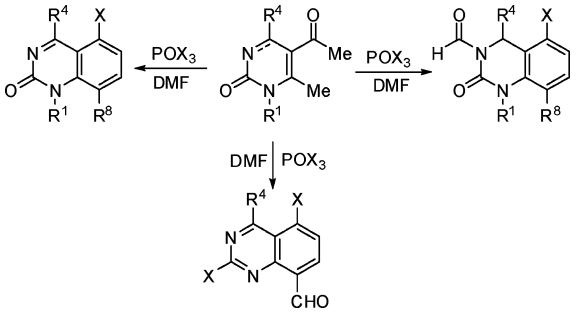
Convergent assembly of structurally diverse quinazolines

Abel Crespo, Alberto Coelho, Paula M. Diz, Franco Fernández, Hector Novoa de Armas and Eddy Sotelo*

Versatile Vilsmeier–Haack-based quinazoline synthesis.



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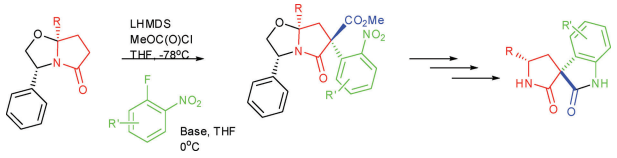
Enantioselective synthesis of spirooxoindoles *via* chiral auxiliary (bicyclic lactam) controlled S_NAr reactions

Subhabrata Sen,* Venkata R. Potti, Ramu Surakanti, Y. L. N. Murthy and Raghavaiah Pallepogu

Regio and asymmetric C-selective S_NAr reactions of chiral acylbicyclic lactams and their application towards a highly enantioselective synthesis of spirooxoindoles have been discussed.



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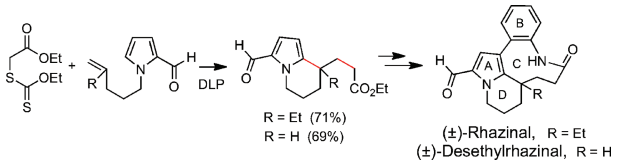
Synthesis of (±)-desethylrhazinal using a tandem radical addition-cyclization process

Ehecatl Paleo, Yazmin M. Osornio and Luis D. Miranda*

The indolizidine ring system present in (±)-rhazinal, was assembled using a xanthate-based sequential intermolecular radical addition-cyclization process. The novel (±)-desethylrhazinal was prepared in seven steps in approximately 12% overall yield from 2-formylpyrrole, using this strategy.



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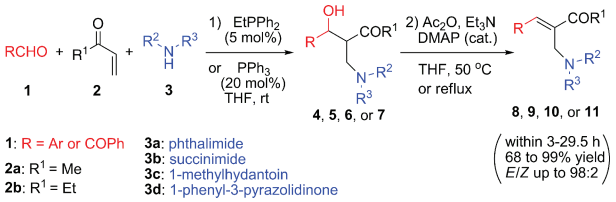
Organocatalytic tandem three-component reaction of aldehyde, alkyl vinyl ketone, and amide: one-pot syntheses of highly functional alkenes

De-Wei Wang, Siang-en Syu, Yi-Ting Hung, Pei-yi Chen, Chia-Jui Lee, Ko-Wei Chen, Yu-Jhang Chen and Wenwei Lin*

One-pot syntheses of highly functionalized α,β-unsaturated ketones starting from aldehydes, alkyl vinyl ketones and amides have been realized.



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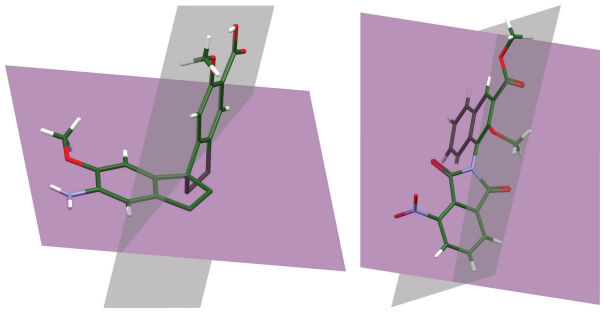
Conformationally rigid aromatic amino acids as potential building blocks for abiotic foldamers

Veera V. E. Ramesh, Arup Roy, Kuruppanthara N. Vijayadas, Amol M. Kendhale, Panchami Prabhakaran, Rajesh Gonnade, Vedavati G. Puranik and Gangadhar J. Sanjayan*

This communication describes conformationally restricted aromatic amino acid building blocks, wherein the carboxyl and amino groups project in two dimensions (planes).



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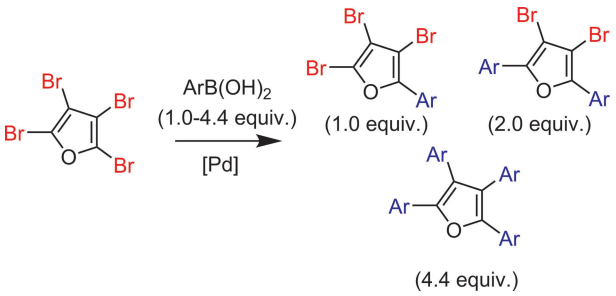
Site-selective Suzuki–Miyaura cross-coupling reactions of 2,3,4,5-tetrabromofuran

Munawar Hussain, Rasheed Ahmad Khera, Nguyen Thai Hung and Peter Langer*

Arylated furans are prepared by site-selective Suzuki–Miyaura reactions of tetrabromofuran.



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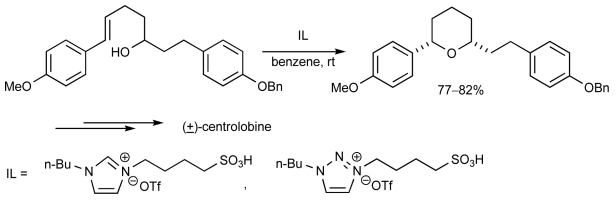
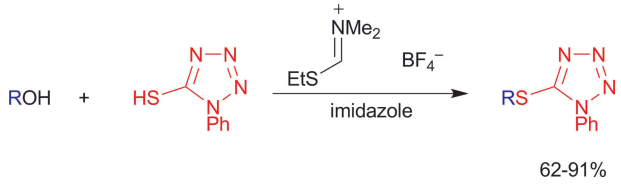
Intramolecular hydroalkoxylation in Brønsted acidic ionic liquids and its application to the synthesis of (±)-centrolobine

Yunkyung Jeong, Do-Young Kim, Yunsil Choi and Jae-Sang Ryu*

We developed a Brønsted acidic IL-mediated intramolecular hydroalkoxylation method to tetrahydropyrans and tetrahydrofuran, which was applied to the synthesis of (±)-centrolobine.

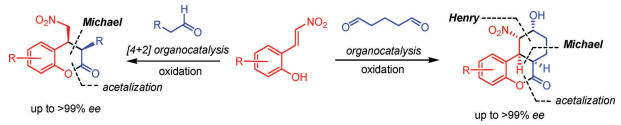




A direct and efficient preparation of 1-phenyltetrazol-5-yl sulfides from alcohols

Adam R. Ellwood and Michael J. Porter*

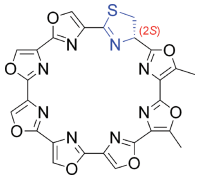
Direct conversion of alcohols to tetrazolyl sulfides can be achieved by treatment with the appropriate thiol and an alkylated thioamide salt.



Enantioselective organocatalytic domino Michael–acetalization–Henry reactions of 2-hydroxynitrostyrene and aldehyde for the synthesis of tetrahydro-6*H*-benzo[*c*]chromenones

Bor-Cherng Hong,* Prakash Kotame and Ju-Hsiou Liao

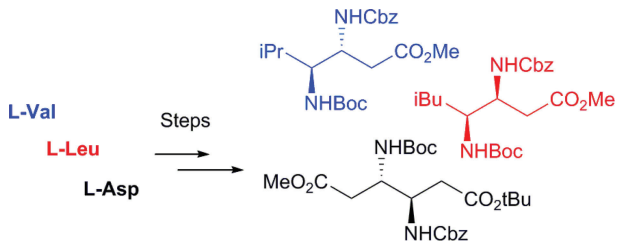
Highly enantioselective organocatalytic domino Michael–acetalization–Henry reactions of 2-hydroxynitrostyrenes and aldehydes for the synthesis of tetrahydro-6*H*-benzo[*c*]chromenones.



(*S*)-Stereoisomer of telomestatin as a potent G-quadruplex binder and telomerase inhibitor

Takayuki Doi,* Kazuaki Shibata, Masahito Yoshida, Motoki Takagi, Masayuki Tera, Kazuo Nagasawa, Kazuo Shin-ya and Takashi Takahashi*

The (*S*)-stereoisomer of telomestatin was synthesized by overcoming rare epimerization at the C2 position in the macrolactamization; as compared to the natural (*R*)-telomestatin, the (*S*)-isomer exhibited four-fold potent inhibitory activity against telomerase and stronger binding ability as a G-quadruplex binder.



Access to β,γ-diamino acids. Application to the synthesis of 3-deoxyaminostatine

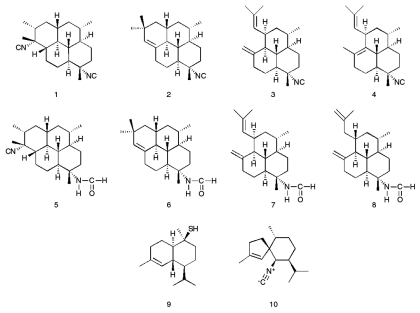
Francelin Bouillère, Régis Guillot, Cyrille Kouklovsky* and Valérie Alezra*

A general and stereodivergent synthesis of orthogonally protected β,γ-diamino acids by hydrolysis of 3-aminopyrrolidones is described

400

Anti-malarial, anti-algal, anti-tubercular, anti-bacterial, anti-photosynthetic, and anti-fouling activity of diterpene and diterpene isonitriles from the tropical marine sponge *Cymbastela hooperi*

Anthony D. Wright,* Adam McCluskey, Mark J. Robertson, Kylie A. MacGregor, Christopher P. Gordon and Jana Guenther



Compounds **1-10** were evaluated in a series of bioassays including; anti-fouling, anti-algal, anti-photosynthetic, anti-bacterial (Gram +ve and –ve), antifungal, and anti-tubercular.

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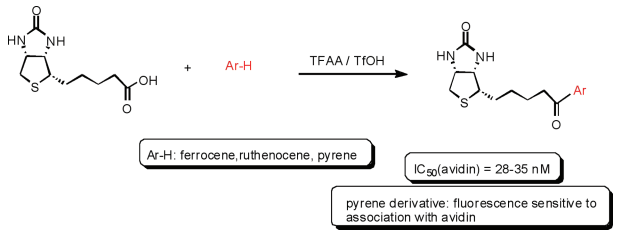
Biotin as acylating agent in the Friedel–Crafts reaction. Avidin affinity of biotinyl derivatives of ferrocene, ruthenocene and pyrene and fluorescence properties of 1-biotinylpyrene

Damian Plażuk, Janusz Zakrzewski* and Michèle Salmain*



Friedel–Crafts reaction of (D)-biotin with electron-rich arenes affords biotinylarenes in moderate yields.

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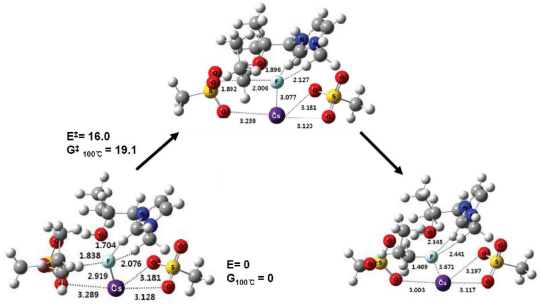
S_N2 Fluorination reactions in ionic liquids: a mechanistic study towards solvent engineering

Young-Ho Oh, Hyeong Bin Jang, Suk Im, Myoung Jong Song, So-Yeon Kim, Sung-Woo Park, Dae Yoon Chi,* Choong Eui Song* and Sungyul Lee*



Ionic liquid is demonstrated to be a tailor-made solvent system for specific chemical reactions.

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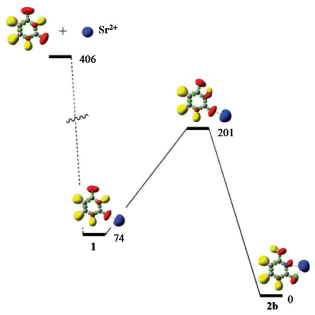
Effect of Sr^{2+} association on the tautomerization processes of uracil and its dithio- and diseleno-derivatives

Ane Eizaguirre, Otilia Mó, Manuel Yáñez* and Russell J. Boyd



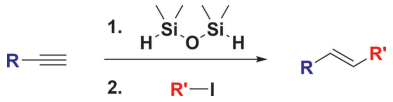
The structures and relative stabilities of the complexes formed by uracil and its thio- and seleno-derivatives with the Sr^{2+} cation, in the gas phase, have been analyzed by means of G96LYP density functional theory (DFT) calculations.

504

Vinyldisiloxanes: their synthesis, cross coupling and applications

Hannah F. Sore, Christine M. Boehner, Luca Laraia, Patrizia Logoteta, Cora Prestinari, Matthew Scott, Katharine Williams, Warren R. J. D. Galloway and David R. Spring*

A convenient synthesis of *trans*-alkenes has been developed, which utilises vinyldisiloxanes as an atom efficient organometallic coupling partner.



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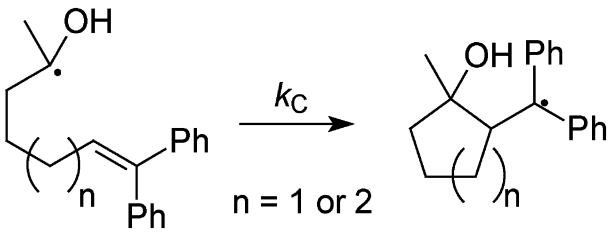
Rate constants for cyclizations of α-hydroxy radical clocks

Christopher B. DeZutter, John H. Horner and Martin Newcomb*

Rate constants for 5-*exo* and 6-*exo* cyclizations of α-hydroxy radicals were measured.



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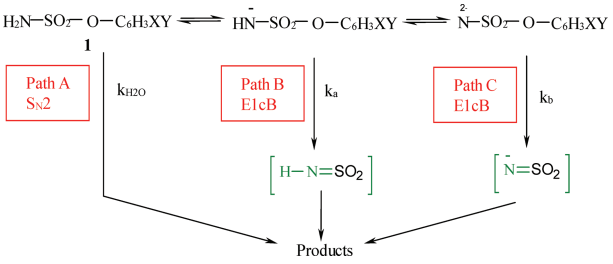
Mechanisms of hydrolysis of phenyl- and benzyl 4-nitrophenyl-sulfamate esters

William J. Spillane,* Sergio Thea, Giorgio Cevasco, Michael J. Hynes, Cheryl J. A. McCaw and Neil P. Maguire

Hydrolysis of a series of phenylsulfamate esters **1** in water has shown that they react by associative $S_N2(S)$ and dissociative E1cB mechanisms (involving N-sulfonylamines) depending on the reaction conditions. A series of benzyl arylsulfamate esters, $C_6H_5CH_2NHSO_2OAr$ react *via* an E1cB mechanism.



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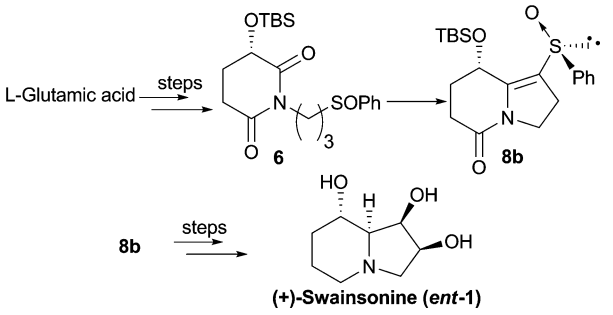
Asymmetric total synthesis of (+)-swainsonine

Soontorn Chooprayoon, Chutima Kuhakarn, Patoomratana Tuchinda, Vichai Reutrakul and Manat Pohmakotr*

A concise asymmetric synthesis of (+)-swainsonine (*ent*-**1**) is described. The method features installation of the indolizidine ring *via* an intramolecular cyclisation of α-sulfinyl carbanion as a key step.



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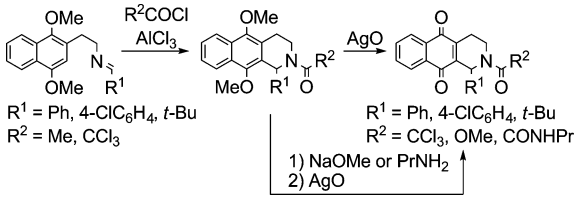
Synthesis of 1-substituted 1,2,3,4-tetrahydrobenz[*g*]isoquinoline-5,10-diones

Ekaterina Shinkevich, Jurgen Deblander, Sandra Matthijs, Jan Jacobs, Norbert De Kimpe and Kourosch Abbaspour Tehrani*

A new class of N-heterocyclic quinones has been prepared through an activated Pictet–Spengler reaction of the corresponding imines of 2-(1,4-dimethoxynaphth-2-yl)ethylamine.



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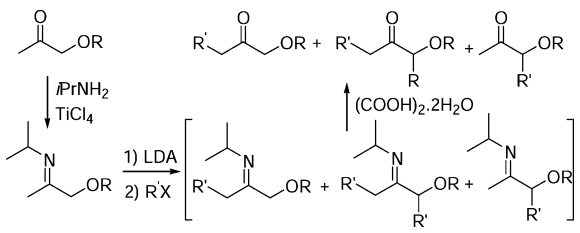
New general synthesis of α-alkoxyketones *via* α′-alkylation, α-alkylation and α,α′-dialkylation of α-alkoxyketimines

Filip Colpaert, Sven Mangelinckx, Maria Teresa Rocchetti and Norbert De Kimpe*

α-Methoxy- and α-ethoxyketones, as important intermediates in organic synthesis and flavor compounds in food chemistry, were synthesized by alkylation of *N*-(1-alkoxy-2-propylidene)isopropylamines, followed by hydrolysis of the afforded α′-alkylated, α-alkylated and α,α′-dialkylated ketimines.



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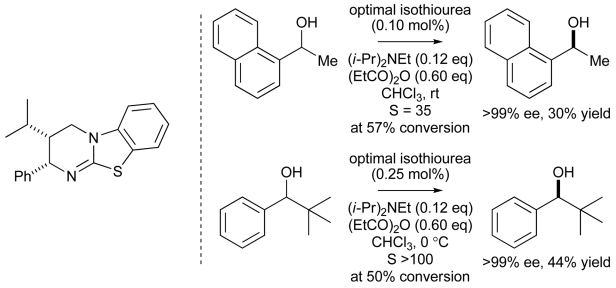
Structure-enantioselectivity effects in 3,4-dihydropyrimido[2,1-*b*]-benzothiazole-based isothioureas as enantioselective acylation catalysts

D. Belmessieri, C. Joannesse, P. A. Woods, C. MacGregor, C. Jones, C. D. Campbell, C. P. Johnston, N. Duguet, C. Concellón, R. A. Bragg and A. D. Smith*

Screening of a range of 3,4-dihydropyrimido[2,1-b]benzothiazole-based acylation catalysts reveals that (2*S*,3*R*)-2-phenyl-3-isopropyl substitution proved optimal. Low catalyst loadings (0.10-0.25 mol%) of this optimal isothiourea can be used to generate enantiopure alcohols (>99% ee) in good yields.

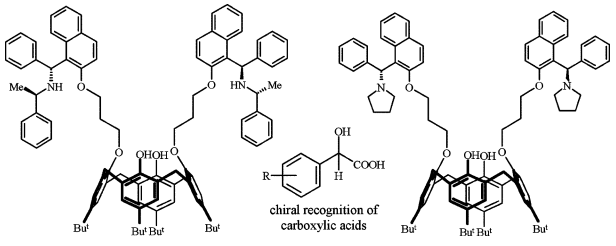


571

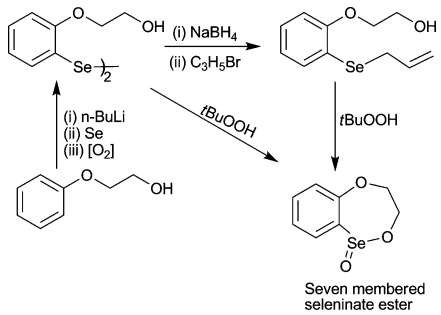
Synthesis of chiral calix[4]arenes bearing aminonaphthol moieties and their use in the enantiomeric recognition of carboxylic acids

Mustafa Durmaz, Mustafa Yilmaz and Abdulkadir Sirit*

Two armed chiral calix[4]arenes functionalized at the lower rim with chiral aminonaphthol units have been prepared and the enantioselective recognition of these receptors with various carboxylic acids has been studied by ^{1}H NMR and UV/Vis spectroscopy. The receptors exhibited different chiral recognition abilities towards the enantiomers of racemic materials and formed 2 : 1 or 1 : 1 complexes between host and guest.



581

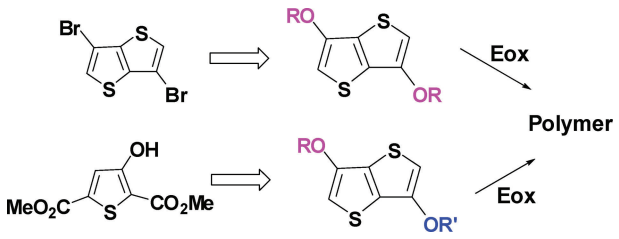


2-Phenoxyethanol derived diselenide and related compounds; synthesis of a seven-membered seleninate ester

Santosh K. Tripathi, Sagar Sharma, Harkesh B. Singh* and Ray J. Butcher

Synthesis of a seven-membered cyclic seleninate ester is described; the ester exhibits good GPx-like activity in the coupled reductase assay.

588

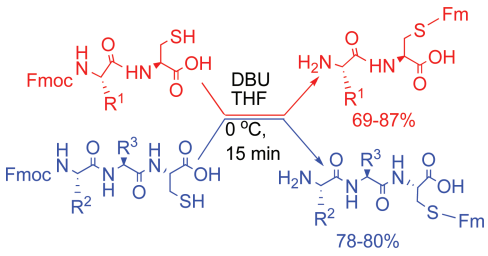


Efficient synthesis of 3,6-dialkoxythieno[3,2-*b*]thiophenes as precursors of electrogenerated conjugated polymers

Noémie Hergué, Pierre Frère* and Jean Roncali

Symmetrical and unsymmetrical 3,6-dialkoxythieno[3,2-*b*]thiophenes have been prepared by different approaches and used as precursors of electrogenerated polymers.

596

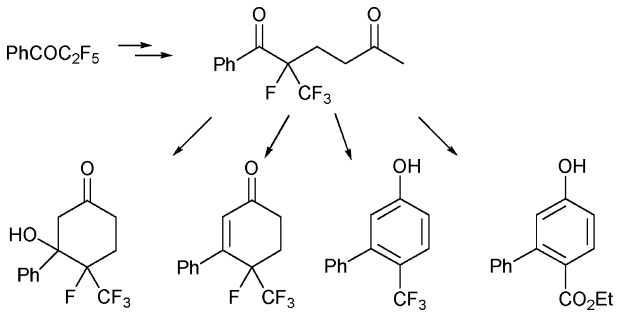


DBU-Catalyzed transprotection of *N*-Fmoc-cysteine di- and tripeptides into *S*-Fm-cysteine di- and tripeptides

Alan R. Katritzky,* Nader E. Abo-Dya, Abdelmotaal Abdelmajeid, Srinivasa R. Tala, M. S. Amine and Said A. El-Feky

N-Fmoc-Cysteine di- and tripeptides possessing a free sulfhydryl (SH) group are efficiently transprotected by DBU in dry THF to afford the corresponding *S*-Fm-cysteine di- and tripeptides bearing a free amino group. These *S*-Fm-cysteine di- and tripeptides were used for the syntheses of tri-, tetra-, and pentapeptides.

600



Synthesis of trifluoromethyl cyclohexyl, cyclohexenyl and aryl compounds *via* stepwise Robinson annulation

Fabien Massicot, Alex Mor Iriarte, Thierry Brigaud, Aurélien Lebrun and Charles Portella*

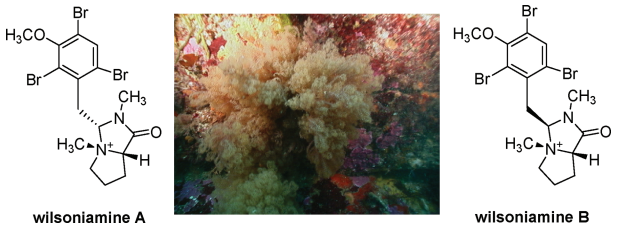
Unprecedented fluorinated cyclohexane and aromatic derivatives were achieved from pentafluoropropiophenone *via* its tetrafluoroenol silyl ether and a stepwise Robinson annulation.

604

Wilsoniamines A and B: novel alkaloids from the temperate Australian bryozoan, *Amathia wilsoni*

Anthony R. Carroll,* Sandra Duffy, Melissa Sykes and Vicky M. Avery

Two novel bicyclic anti-malarial alkaloids, wilsoniamines A and B, were isolated from the Australian bryozoan, *Amathia wilsoni*.

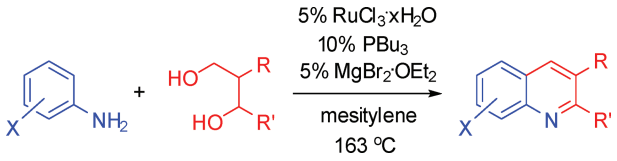


610

Ruthenium-catalysed synthesis of 2- and 3-substituted quinolines from anilines and 1,3-diols

Rune Nygaard Monrad and Robert Madsen*

Anilines are condensed with 1,3-diols to give quinolines with water and hydrogen gas as the only stoichiometric byproducts. The heterocyclisation works most efficiently for 1- and 2-substituted diols to afford 2- and 3-substituted quinolines, respectively.



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O,*O*-Dimethylthiophosphonosulfenyl bromide-silver triflate: a new powerful promoter system for the preactivation of thioglycosides

Peng Peng and Xin-Shan Ye*

O,*O*-Dimethylthiophosphonosulfenyl bromide (DMTPSB) in combination with silver triflate was developed as a new and efficient promoter for activating various thioglycosides in pre-activation glycosylation.