

Organic & Biomolecular Chemistry

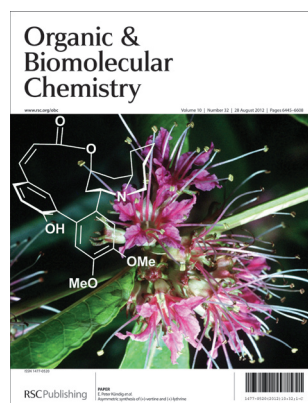
An international journal of synthetic, physical and biomolecular organic chemistry

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Cover

See E. Peter Kündig *et al.*, pp. 6473–6479.

D. verticillatus (L.) Elliott, a source of lythracea alkaloids. Image credits: Robert H. Mohlenbrock @ USDA-NRCS PLANTS Database/ USDA SCS. 1989. *Midwest wetland flora: Field office illustrated guide to plant species*. Midwest National Technical Center, Lincoln.



Inside cover

See Sheshanath V. Bhosale *et al.*, pp. 6455–6468.

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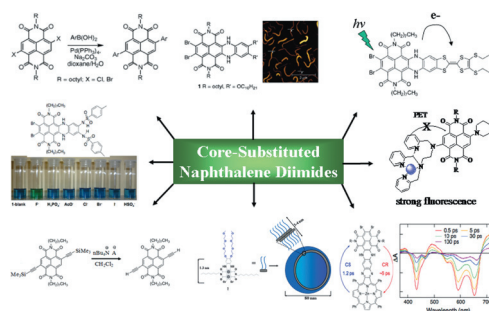
EMERGING AREA

6455

Recent progress of core-substituted naphthalenediimides: highlights from 2010

Sheshanath V. Bhosale,* Sidhanath V. Bhosale* and Suresh K. Bhargava

Core-substitution of the NDI can be seen as an opportunity to extend the planar, rigid core and could be used to prepare novel structures for applications in organic, biosupramolecular chemistry, biomedicine, materials science and organic solar cells.



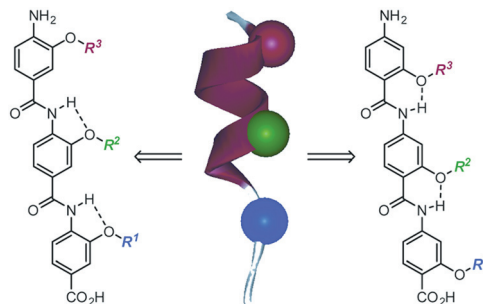
COMMUNICATION

6469

2-O-Alkylated *para*-benzamide α -helix mimetics: the role of scaffold curvature

Valeria Azzarito, Panchami Prabhakaran, Alice I. Bartlett, Natasha S. Murphy, Michaele J. Hardie, Colin A. Kilner, Thomas A. Edwards, Stuart L. Warriner and Andrew J. Wilson*

This paper compares molecular recognition properties of 2-*O*-alkylated and 3-*O*-alkylated benzamide α -helix mimetics in the context of mimetic curvature.



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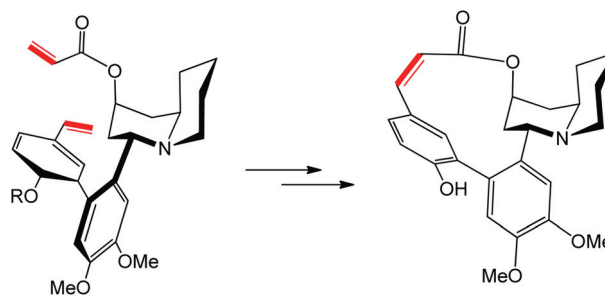
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PAPERS

6473

Asymmetric synthesis of (+)-vertine and (+)-lythrineLaëtitia Chausset-Boissarie, Roman Àrvai,
Graham R. Cumming, Laure Guénée and E. Peter Kündig*

The strain in the two diastomeric 12-membered lactone alkaloids provided a challenge to their synthesis. It was ultimately overcome via *Z*-selective alkene metathesis.

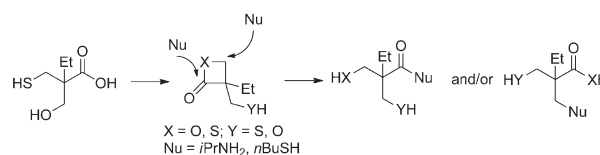


6480

Comparison of the reactivity of β -thiolactones and β -lactones toward ring-opening by thiols and amines

Amandine Noel, Bernard Delpech and David Crich*

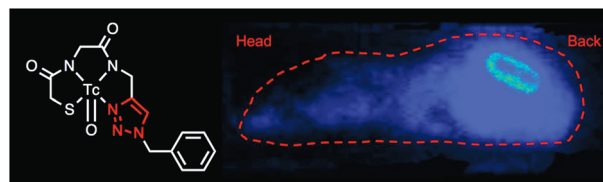
An investigation into the comparative reactivity of simple β -lactones and β -thiolactones toward a thiol and a primary amine is reported.



6484

Synthesis and biological evaluation of a new triazole–oxotechnetium complexOlivier Martinage, Loïc Le Clainche, Bertrand Czarny and
Christophe Dugave*

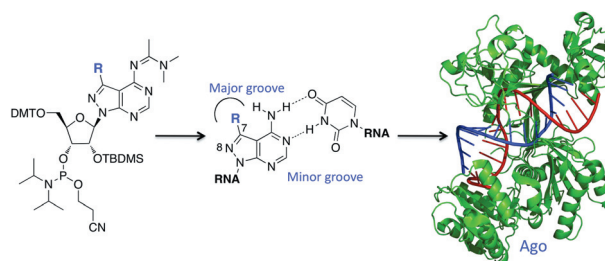
A new TcO^{3+} -based tracer obtained via a 'Click-Chemistry' approach exhibits interesting properties *in vitro* and *in vivo* for molecular imaging.



6491

7-Substituted 8-aza-7-deazaadenosines for modification of the siRNA major grooveJosé M. Ibarra-Soza, Alexi A. Morris, Prasanna Jayalath,
Hayden Peacock, Wayne E. Conrad, Michael B. Donald,
Mark J. Kurth and Peter A. Beal*

We describe the synthesis of new 7-substituted 8-aza-7-deazaadenosine ribonucleoside phosphoramidites and their use in generating major groove-modified duplex RNAs. Analogs were identified with large 7-position substituents that maintain adenosine pairing specificity and are well-tolerated at specific positions in an siRNA guide strand.



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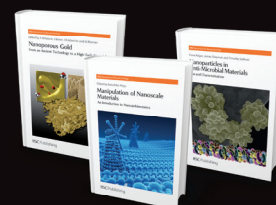
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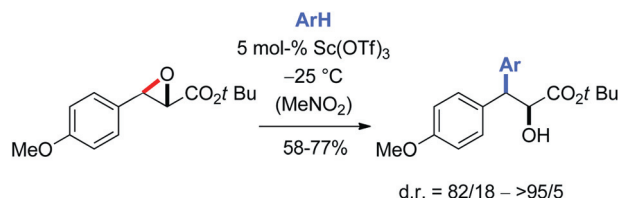
PAPERS

6498

Sc(OTf)₃-catalyzed diastereoselective Friedel–Crafts reactions of arenes and hetarenes with 3-phenylglycidates

David Wilcke and Thorsten Bach*

The title compounds were employed successfully as electrophiles in Sc(OTf)₃-catalyzed Friedel–Crafts reactions with various arenes and hetarenes yielding the respective products in an S_N1-type pathway with good to excellent facial diastereoselectivity.

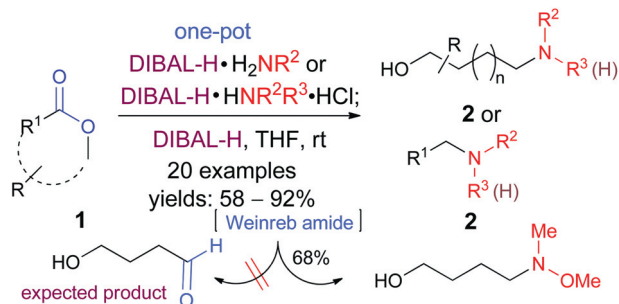


6504

Reductive hydroxyalkylation/alkylation of amines with lactones/esters

Yu-Huang Wang, Jian-Liang Ye, Ai-E Wang and Pei-Qiang Huang*

The direct intermolecular reductive hydroxyalkylation or alkylation of amines using lactones or esters as the hydroxyalkylating/alkylating reagents was developed.

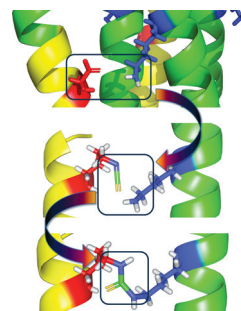


6512

A multi-functional peptide as an HIV-1 entry inhibitor based on self-concentration, recognition, and covalent attachment

Lei Zhao, Pei Tong, Yong-Xiang Chen, Zhi-Wen Hu, Kun Wang, Yu-Ning Zhang, De-Sheng Zhao, Li-Feng Cai, Ke-Liang Liu, Yu-Fen Zhao and Yan-Mei Li*

A multi-functional peptide inhibitor for anti-HIV derived from the CHR of gp41 has been designed; it bears a cholesterol group at the C-terminal and an isothiocyanate group at the side chain of Asp⁶³².

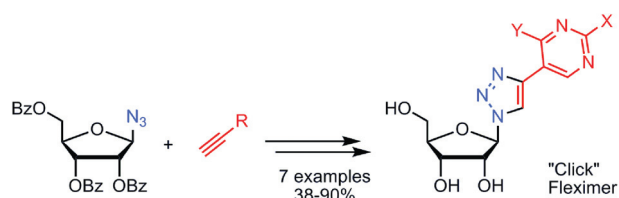


6521

Click fleximers: a modular approach to purine base-expanded ribonucleoside analogues

André H. St. Amant, Leslie A. Bean, J. Peter Guthrie and Robert H. E. Hudson*

Nucleoside analogues incorporating 4-(5-pyrimidinyl)-1,2,3-triazole aglycons as expanded purine nucleobase mimics have been synthesized by use of the copper-catalyzed azide–alkyne Huisgen cycloaddition between a ribosyl azide and 5-alkynylpyrimidines. Computational studies are presented that predict the favoured conformation of these new analogues.



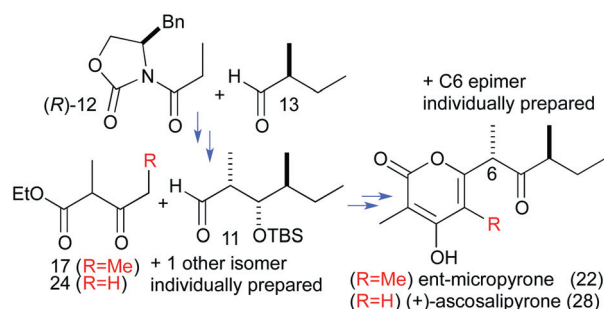
PAPERS

6547

Total synthesis and structural elucidation of *ent*-micropyrone and (+)-ascosalipyrene

Claire Gregg and Michael V. Perkins*

The total synthesis of two possible isomers each of *ent*-micropyrone (**22**) and (+)-ascosalipyrene (**28**) established their absolute and relative configuration.

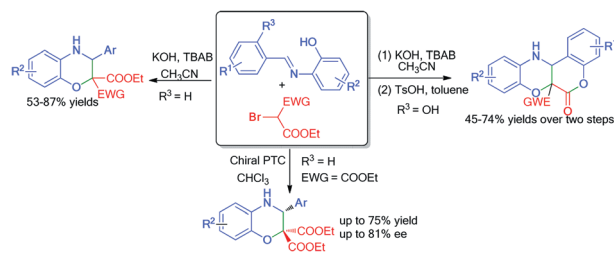


6554

Construction of functionalized 2,3-dihydro-1,4-benzoxazines *via* [5 + 1] annulations of 2-halo-1,3-dicarbonyl compounds with imines

Ya-Ru Zhang, Jian-Wu Xie,* Xu-Jiao Huang and Wei-Dong Zhu*

A series of functionalized 2,3-dihydro-1,4-benzoxazines were obtained in moderate to excellent yields *via* domino [5 + 1] annulations under mild conditions.

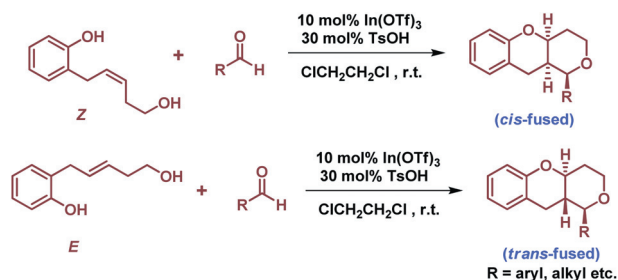


6562

The stereoselective synthesis of *cis*-/*trans*-fused hexahydropyrano[4,3-*b*]chromenes *via* Prins cyclization trapping by a tethered nucleophile

B. V. Subba Reddy,* Sayed Jalal, Prashant Borkar, J. S. Yadav, P. P. Reddy, A. C. Kunwar and B. Sridhar

A novel intramolecular Prins cyclization of (*Z*) and (*E*)-2-(5-hydroxypent-2-enyl)phenol with various aldehydes has been achieved using 10 mol% In(OTf)₃ and 30 mol% TsOH to produce the corresponding *cis* and *trans*-fused hexahydropyrano[4,3-*b*]chromene derivatives exclusively in good yields.

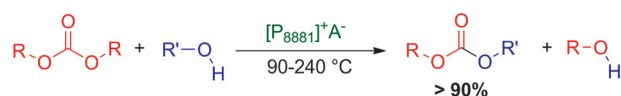


6569

Carbonate, acetate and phenolate phosphonium salts as catalysts in transesterification reactions for the synthesis of non-symmetric dialkyl carbonates

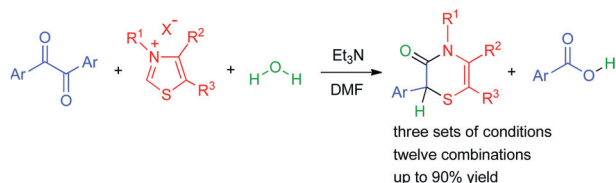
Maurizio Selva,* Marco Noè, Alvise Perosa and Marina Gottardo

Carbonate, acetate and phenolate phosphonium salts are excellent organocatalysts for the transesterification of dimethyl and diethyl carbonate with primary and secondary alcohols, including benzyl alcohol, cyclopentanol, cyclohexanol, and the rather sterically hindered menthol.



R = Me, Et
R'OH = benzyl alcohol, cyclopentanol, cyclohexanol, and menthol
[P₈₈₈₁]⁺ = Trioctylmethylphosphonium
A⁻ = HOCO₂⁻, CH₃OCO₂⁻, CH₃CO₂⁻, PhO⁻

6579

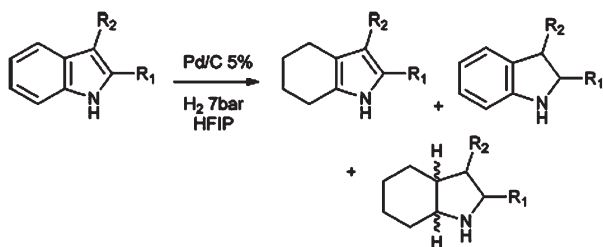


Unexpected reactivity of diaryl α -diketones with thiazolium carbenes: discovery of a novel multicomponent reaction for the facile synthesis of 1,4-thiazin-3-ones

Valerio Bertolasi, Olga Bortolini, Adelaide Donvito, Giancarlo Fantin,* Marco Fogagnolo, Pier Paolo Giovannini, Alessandro Massi* and Salvatore Pacifico

Diaryl α -diketones react with (benzo)thiazolium carbenes and water to give 1,4-thiazin-3-one derivatives through thiazolium ring expansion.

6587

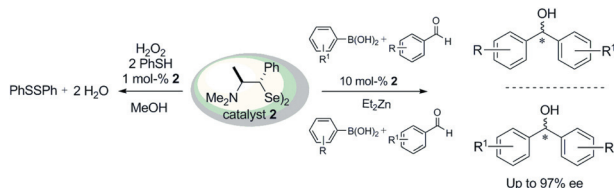


Hexafluoroisopropanol: a powerful solvent for the hydrogenation of indole derivatives. Selective access to tetrahydroindoles or *cis*-fused octahydroindoles

Damien Clarisse, Bernard Fenet and Fabienne Fache*

Pd/C in hexafluoroisopropanol was used for the selective hydrogenation of substituted indoles leading to indolines, tetrahydroindoles or octahydroindoles depending on the nature of the substituents.

6595

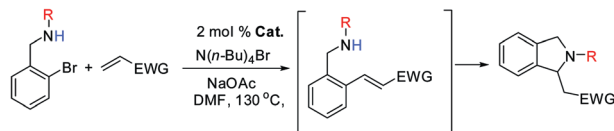


Ephedrine-based diselenide: a promiscuous catalyst suitable to mimic the enzyme glutathione peroxidase (GPx) and to promote enantioselective C–C coupling reactions

Letiére C. Soares, Eduardo E. Alberto, Ricardo S. Schwab, Paulo S. Taube, Vanessa Nascimento, Oscar E. D. Rodrigues and Antonio L. Braga*

Ephedrine-based diselenide: a promiscuous catalyst with great potential to mimic the enzyme (GPx) and suitable to promote metal-catalyzed asymmetric addition of organozinc to aldehydes.

6600



A tandem Heck–aza-Michael addition protocol for the one-pot synthesis of isoindolines from unprotected amines

Ke Chen and Sumod A. Pullarkat*

A palladacycle-catalyzed Heck-intramolecular aza-Michael reaction has been developed for the synthesis of 1-substituted isoindolines in high yields.