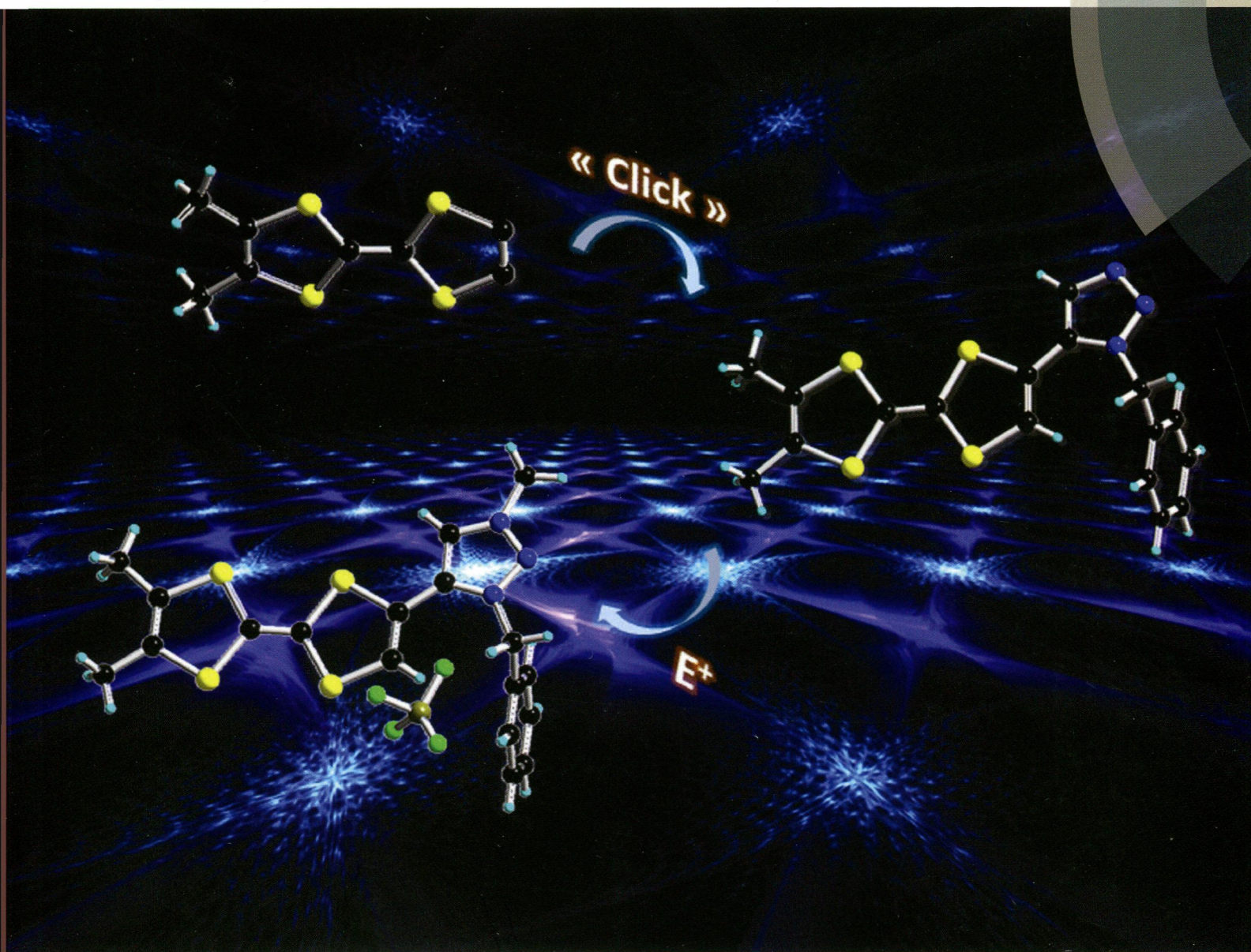


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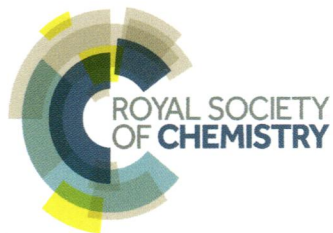
Volume 12 | Number 20 | 28 May 2014 | Pages 3139–3312

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PAPER

Thomas Biet and Narcis Avarvari
Tetrathiafulvalene mono- and bis-1,2,3-triazole precursors by click chemistry: structural diversity and reactivity

Organic & Biomolecular Chemistry

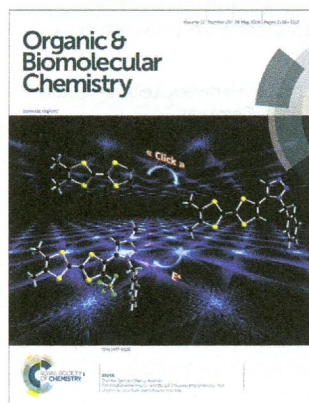
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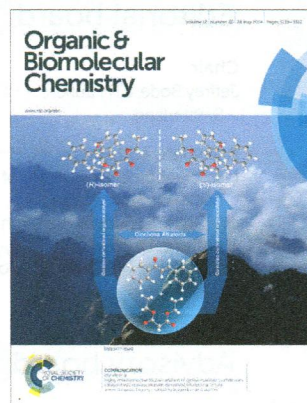
ISSN 1477-0520 CODEN OBCRAK 12(20) 3139–3312 (2014)



Cover

See Thomas Biet and Narcis Avarvari, pp. 3167–3174.

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Inside cover

See Wei He *et al.*, pp. 3163–3166.

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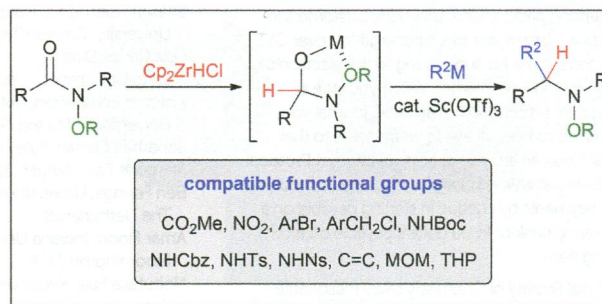
PERSPECTIVE

3147

Nucleophilic addition to *N*-alkoxyamides

Takaaki Sato* and Noritaka Chida

Amide-selective nucleophilic addition using *N*-alkoxyamides minimized extra protecting group manipulations and redox reactions, resulting in the concise total synthesis of gephyrotoxin.



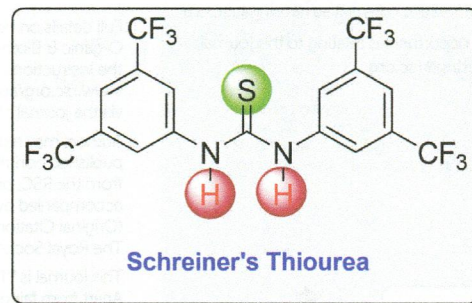
REVIEW

3151

N,N'-Bis[3,5-bis(trifluoromethyl)phenyl]thiourea: a privileged motif for catalyst development

Zhiguo Zhang,* Zongbi Bao and Huabin Xing

This review summarizes the key developments of *N,N'*-bis[3,5-bis(trifluoromethyl)phenyl]thiourea (*Schreiner's thiourea*)-mediated reactions with the aim to further expand the applications of (thio)urea-based catalysts.

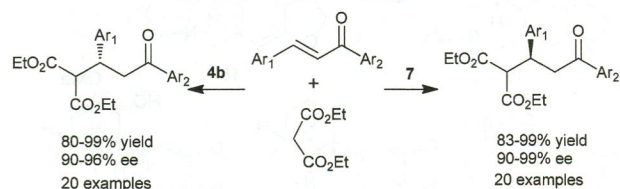


3163

Highly enantioselective Michael addition of diethyl malonate to chalcones catalyzed by *cinchona* alkaloids-derived bifunctional tertiary amine-thioureas bearing multiple hydrogen-bonding donors

Yulong Liu, Xie Wang, Xiaoyun Wang and Wei He*

Both enantiomers of diethyl 2-(3-oxo-1,3-arylpropyl)-malonate are easily prepared by a highly enantioselective Michael addition of diethyl malonate with chalcones catalyzed by *cinchona* alkaloids-derived organocatalysts.



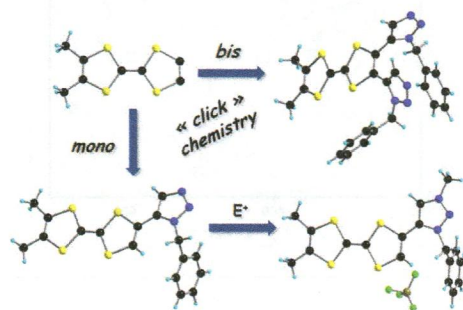
PAPERS

3167

Tetrathiafulvalene mono- and bis-1,2,3-triazole precursors by click chemistry: structural diversity and reactivity

Thomas Biet and Narcis Avarvari*

The ruthenium-catalyzed alkyne-azide cycloaddition reaction allowed the synthesis of electroactive TTF-mono and -bis(1,2,3-triazoles) which were structurally characterized and investigated in protonation and alkylation reactions.

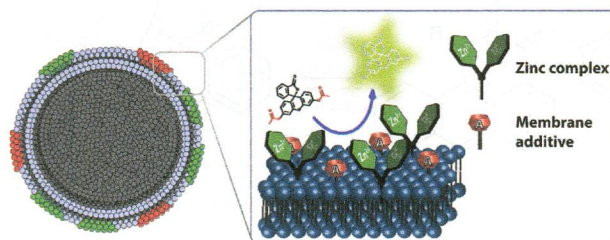


3175

Cooperative hydrolysis of aryl esters on functionalized membrane surfaces and in micellar solutions

M. Poznik and B. König

Catalytic hydrolysis of peptides, proteins, phosphates or carboxylate esters in nature is catalysed by enzymes, which are efficient, fast and selective.



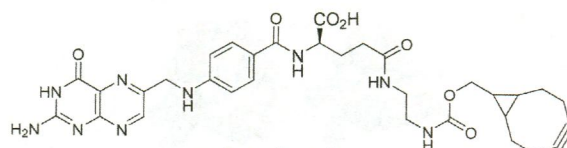
3181

"Click and go": simple and fast folic acid conjugation

Alexandre F. Trindade,* Raquel F. M. Frade, Ermelinda M. S. Maçõas, Cátia Graça, Catarina A. B. Rodrigues, José M. G. Martinho and Carlos A. M. Afonso*

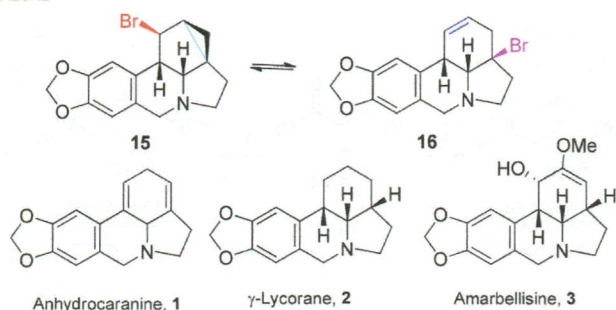
A novel approach for conjugation of folic acid is presented allowing for its quantitative conjugation with several types of molecules (fluorescent probes) and materials (polymers and silica) based on strain-promoted alkyne-azide cycloaddition, without the need for expensive chromatographic purification.

New "clickable" folic acid conjugate



- no chromatography
- over 95 % purity
- quantitative conjugation into polymers, fluorescent probes and silica

3191

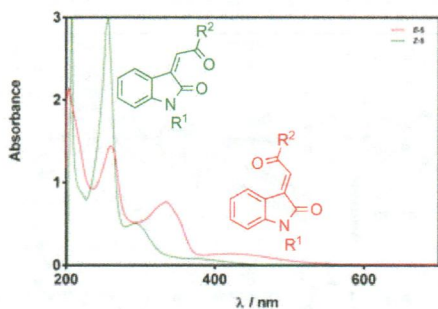


Total synthesis of lycorine-type alkaloids by cyclopropyl ring-opening rearrangement

Dandan Liu, Long Ai, Fan Li, Annan Zhao, Jingbo Chen, Hongbin Zhang and Jianping Liu*

Anhydrocaranine, γ -lycorane and putative amarbellisine were synthesized based on a series of reactions including a novel cyclopropyl ring-opening rearrangement.

3201

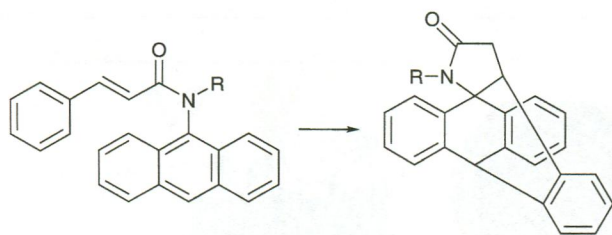


Studies on the stereochemical assignment of 3-acylidene 2-oxindoles

Steven J. Edeson, Julong Jiang, Stephen Swanson, Panayiotis A. Procopiou, Harry Adams, Anthony J. H. M. Meijer* and Joseph P. A. Harrity*

UV-Vis spectroscopy offers a convenient and reliable method for alkene stereochemical assignment of 3-acylidene 2-oxindoles.

3211

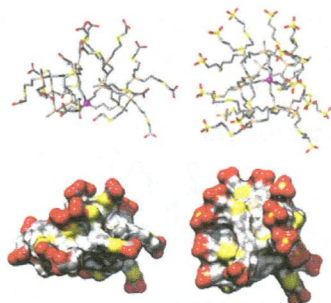


Cyclisation reactions of *N*-cinnamoyl-9-aminoanthracenes

Frank D. King,* Abil Aliev, Stephen Caddick and Derek Tocher

N-Cinnamoyl-9-aminoanthracenes cyclise with PPA or triflic acid to form novel 2-azahexacyclo-[10.6.6.0^{1,5}.0^{6,11}.0^{13,18}.0^{19,24}]tetracosahexa(11),7,9,13,15,17,19(24),20,22-nonaen-3-ones.

3222



Synthesis of new anionic carbosilane dendrimers via thiol-ene chemistry and their antiviral behaviour

Marta Galán, Javier Sánchez Rodríguez, José Luis Jiménez, Miguel Rellosó, Marek Maly, F. Javier de la Mata,* M. A. Muñoz-Fernández* and Rafael Gómez*

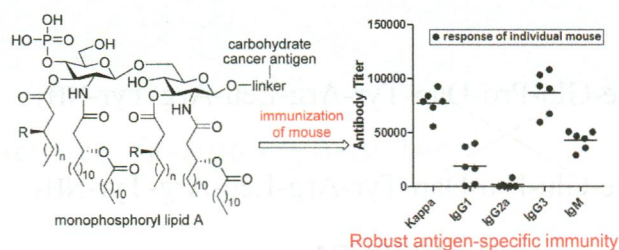
Thiol-ene chemistry, enable the identification of anionic carbosilane dendrimers as readily available, good and safe candidates for vaginal microbicides against HIV.

3238

Synthesis and evaluation of monophosphoryl lipid A derivatives as fully synthetic self-adjuncting glycoconjugate cancer vaccine carriers

Zhifang Zhou, Mohabul Mondal, Guochao Liao and Zhongwu Guo*

Monophosphoryl lipid A derivatives were used as both carrier molecules and built-in adjuvants to create fully synthetic self-adjuncting glycoconjugate cancer vaccines.

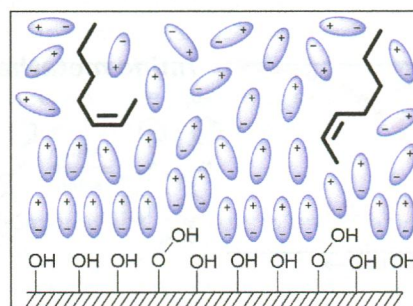


3246

Inverse solvent effects in the heterogeneous and homogeneous epoxidation of *cis*-2-heptene with [2-percarboxyethyl]-functionalized silica and *meta*-chloroperbenzoic acid

Rossella Mello, Jeymy T. Sarmiento-Monsalve, Diana Vargas-Oviedo, Rafael Acerete, María Elena González-Núñez* and Gregorio Asensio

The organized solvent layer on the solid surface determines the reaction rate in the heterogeneous epoxidation of *cis*-2-heptene.

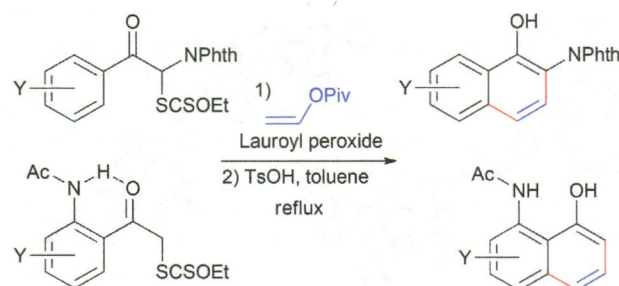


3251

Convergent routes to substituted naphthylamides

Ngoc Diem My Tran and Samir Z. Zard*

Substituted 1- and 2-naphthylamides can be obtained by the radical addition–cyclisation of amido-containing phenacyl xanthates to vinyl pivalate followed by acid catalysed aromatisation.

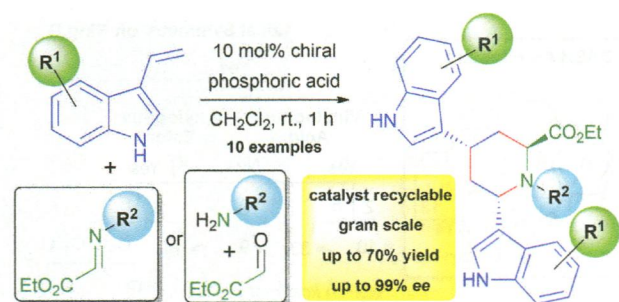


3265

Asymmetric organocatalytic synthesis of 4,6-bis(1*H*-indole-3-yl)-piperidine-2 carboxylates

Sabilla Zhong, Martin Nieger, Angela Bihlmeier, Min Shi* and Stefan Bräse*

An asymmetric synthesis of novel bisindole-piperidine-amino acid hybrids is reported, leading to products with good yields and excellent ees.



3271

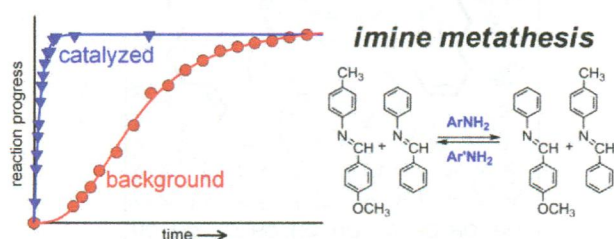


Synthetic routes to the Neuropeptide Y Y₁ receptor antagonist 1229U91 and related analogues for SAR studies and cell-based imaging

Simon J. Mountford, Mengjie Liu, Lei Zhang, Marleen Groenen, Herbert Herzog, Nicholas D. Holliday and Philip E. Thompson*

The potent Y₁ receptor antagonist, 1229U91 has an unusual cyclic dimer structure. We have developed three new routes to the synthesis of analogues. Such variants, including fluorescent conjugates, show potent Y₁ antagonism.

3282

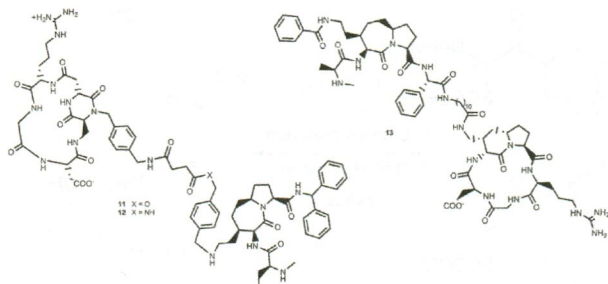


Effective catalysis of imine metathesis by means of fast transiminations between aromatic–aromatic or aromatic–aliphatic amines

Maria Ciaccia, Silvia Pilati, Roberta Cacciapaglia, Luigi Mandolini and Stefano Di Stefano*

Transiminations involving aromatic or aliphatic amines were found to be fast enough to be effectively employed in the catalysis of imine metathesis.

3288

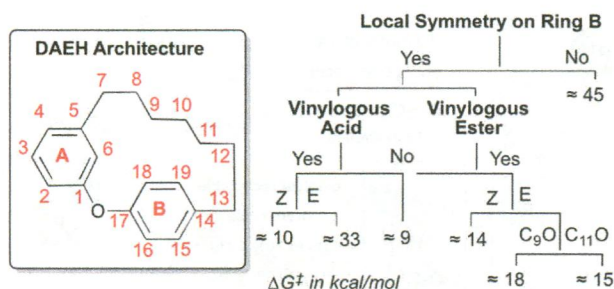


Synthesis and biological evaluation of dual action *cyclo*-RGD/SMAC mimetic conjugates targeting $\alpha_v\beta_3/\alpha_v\beta_5$ integrins and IAP proteins

M. Mingozzi, L. Manzoni, D. Arosio, A. Dal Corso, M. Manzotti, F. Innamorati, L. Pignataro, D. Lecis, D. Delia, P. Seneci* and C. Gennari*

Dual action *cyclo*-RGD/SMAC mimetic conjugates endowed with *in vitro* activity against anti-apoptotic IAPs and pro-angiogenic integrins are reported.

3303



The nature of persistent conformational chirality, racemization mechanisms, and predictions in diarylether heptanoid cyclophane natural products

Ommidala Pattawong, M. Quamar Salih, Nicholas T. Rosson, Christopher M. Beaudry* and Paul Ha-Yeon Cheong*

Restricted rotations of chemical bonds can lead to the presence of persistent conformational chirality in molecules lacking stereocenters.