

Organic & Biomolecular Chemistry

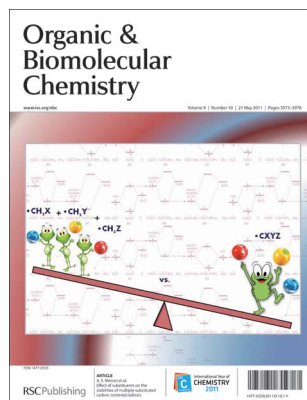
An international journal of synthetic, physical and biomolecular organic chemistry

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IN THIS ISSUE

ISSN 1477-0520 CODEN OBCRAK 9(10) 3573–3976 (2011)



Cover

See A. S. Menon *et al.*, pp. 3636–3657.

The cover image depicts how the stabilities of multiply-substituted carbon-centered radicals are compared with the stabilities of their monosubstituted components. The factors that influence the effect of substituents on such a comparison are discussed.

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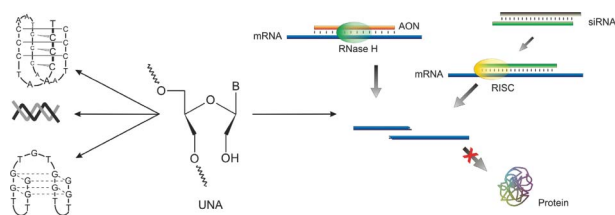
EMERGING AREA

3591

Unlocked nucleic acid – an RNA modification with broad potential

Anna Pasternak and Jesper Wengel*

Recent studies concerning UNA as an RNA mimicking nucleotide modification in oligonucleotides have revealed interesting results.



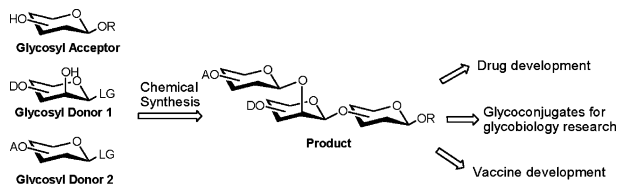
PERSPECTIVE

3598

Carbohydrate chemistry in drug discovery

M. Carmen Galan,* David Benito-Alifonso and Gregory M. Watt

This perspective aims to provide an overview of the latest advancements in carbohydrate chemistry and the role of these complex molecules in drug discovery, focusing particularly on synthetic methodologies, glycosaminoglycans, glycoprotein synthesis and vaccine development over the last few years.



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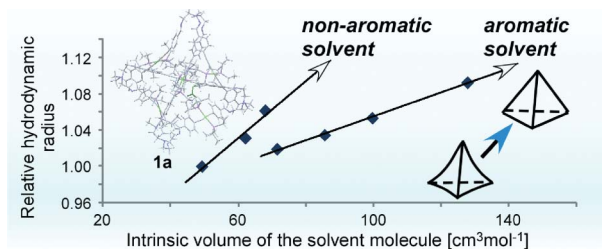
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3611

Changing the volume of a giant macrocycle: the swelling of the macrocycle with organic solvents

Aya Harano, Minako Tanaka, Takeshi Nakagaki, Masahiko Annaka, Keiko Ideta, Kenta Goto and Teruo Shinmyozu*

Three novel tetrahedral macrocycles have been synthesized. Two of the macrocycles were revealed to have the property to increase in volume in solution by complexation between the macrocycle and the solvent molecules.

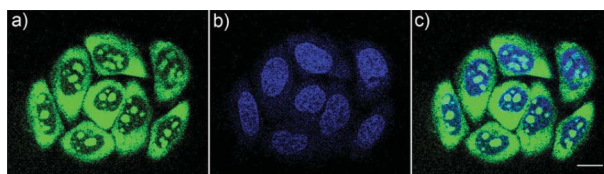


3615

A 2,7-carbazole-based dicationic salt for fluorescence detection of nucleic acids and two-photon fluorescence imaging of RNA in nucleoli and cytoplasm

Xin Liu, Yuming Sun, Yuanhong Zhang, Fang Miao, Guancong Wang, Hongshi Zhao, Xiaoqiang Yu,* Hong Liu* and Wai-Yeung Wong*

Two-photon fluorescence of 2,7-*E*-BHVC can image RNA in nucleoli and cytoplasm (green) and is compatible with DAPI (blue).

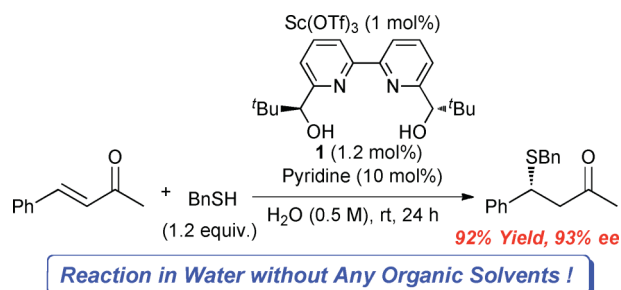


3619

Chiral Sc-catalyzed asymmetric Michael reactions of thiols with enones in water

Masaharu Ueno, Taku Kitanosono, Masaru Sakai and Shū Kobayashi*

Asymmetric Michael reactions of thiols with enones were catalyzed by a Sc(OTf)₃-chiral bipyridine complex at room temperature in water without using any organic solvents, to afford the desired sulfides in high yields with high enantioselectivities.

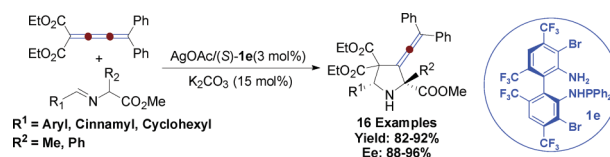


3622

Asymmetric construction of 3-vinylidene-pyrrolidine derivatives containing allene moiety via Ag(I)/TF-BiphamPhos-catalyzed 1,3-dipolar cycloaddition of azomethine ylides with diethyl 2-(3,3-diphenylpropa-1,2-dienylidene) malonate

Zhi-Yong Xue, Xin Fang and Chun-Jiang Wang*

Catalytic asymmetric 1,3-dipolar cycloaddition of various azomethine ylides with diethyl 2-(3,3-diphenylpropa-1,2-dienylidene)malonate has been developed successfully with good to excellent enantioselectivity.



3625

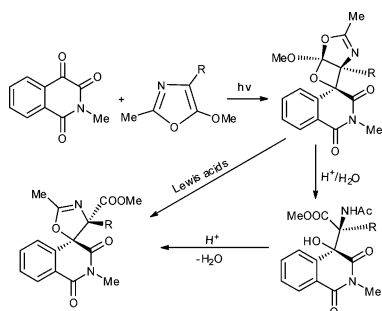


Asymmetric aza-Henry reaction of chiral fluoroalkyl α,β -unsaturated *N*-*tert*-butanesulfinyl ketoimines: an efficient approach to enantiopure fluoroalkylated α,β -diamines and α,β -diamino acids

Fan Zhang, Zhen-Jiang Liu and Jin-Tao Liu*

The asymmetric aza-Henry reaction of chiral fluoroalkyl α,β -unsaturated *N*-*tert*-butanesulfinyl ketoimines was proved to be an efficient approach to enantiopure fluoroalkylated α,β -diamines and α,β -diamino acids.

3629

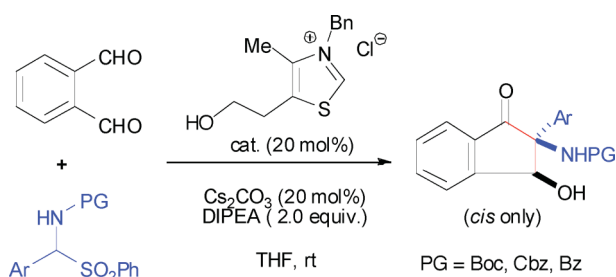


Facile synthesis of spiroisoquinolines based on photocycloaddition of isoquinoline-1,3,4-trione with oxazoles

Chengmei Huang, Haitao Yu, Zhengrui Miao, Jie Zhou, Shuai Wang, Hoong-Kun Fun, Jianhua Xu and Yan Zhang*

Photocycloadducts of isoquinoline-1,3,4-trione with 5-methoxyoxazoles can be converted into novel spiroisoquinolineoxazoline derivatives through acid catalyzed sequential reactions.

3632



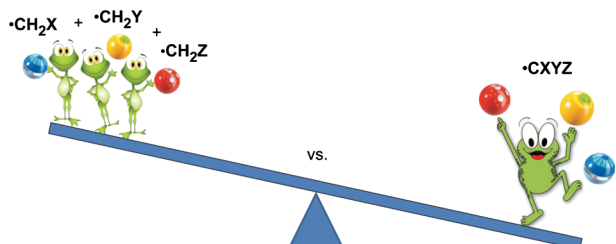
N-Heterocyclic carbene-catalyzed [4 + 1] annulation of phthalaldehyde and imines

Fang-gang Sun and Song Ye*

N-Heterocyclic carbene-catalyzed [4 + 1] annulation of phthalaldehyde and imines was developed for the diastereoselective synthesis of *cis*-2-amino-3-hydroxyindanones.

PAPERS

3578



Effect of substituents on the stabilities of multiply-substituted carbon-centered radicals

Ambili S. Menon, David J. Henry, Thomas Bally and Leo Radom*

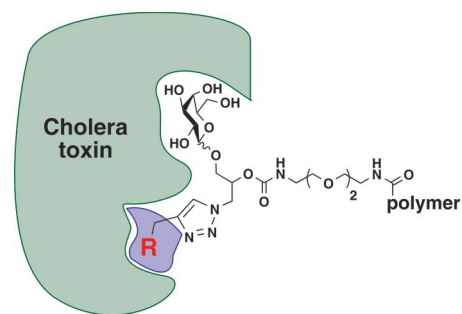
What are the factors that influence the effect of substituents on the stability of multiply substituted carbon-centered radicals? This question is addressed with the help of a variety of existing and new thermochemical quantities.

3658

Multifunctional multivalency: a focused library of polymeric cholera toxin antagonists

Huu-Anh Tran, Pavel I. Kitov, Eugenia Paszkiewicz, Joanna M. Sadowska and David R. Bundle*

Polymer-based heterobifunctional ligands that contain pendant groups prearranged into heterodimers were used to probe the active site and surrounding area of cholera toxin. Structural pre-organization of the pendant ligands resulted in nanomolar inhibitors of cholera toxin.

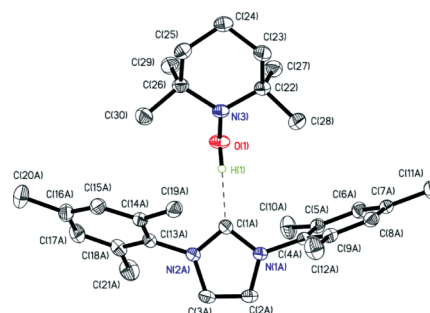


3672

Anhydrous TEMPO-H: reactions of a good hydrogen atom donor with low-valent carbon centres

Nick A. Giffin, Miller Makramalla, Arthur D. Hendsbee, Katherine N. Robertson, Cody Sherren, Cory C. Pye, Jason D. Masuda* and Jason A. C. Clyburne*

A novel synthesis for anhydrous 1-hydroxy-2,2,6,6-tetramethylpiperidine (TEMPO-H) and its reactivity with several low-valent carbon centres are described (IMes...TEMPO-H shown here).

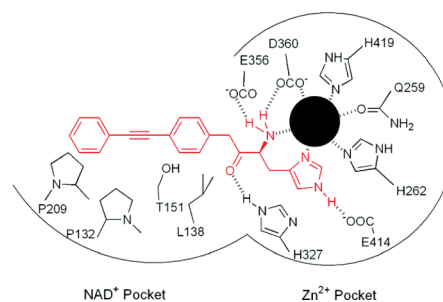


3681

Anti-virulence Strategy against *Brucella suis*: Synthesis, Biological Evaluation and Molecular Modeling of Selective Histidinol Dehydrogenase Inhibitors

Marie-Rose Abdo, Pascale Joseph, Jérémie Mortier, François Turtaut, Jean-Louis Montero, Bernard Masereel, Stephan Köhler* and Jean-Yves Winum*

HDH inhibitor **7a** ($IC_{50} = 3nM$) impairs growth of *B. suis* *in vitro* in minimal medium, and in the macrophage host cell.

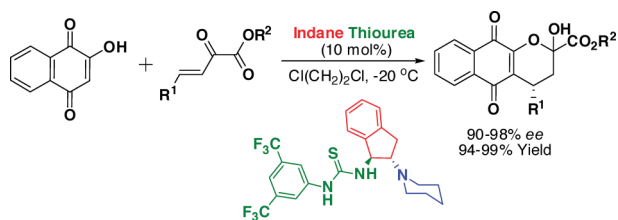


3691

Enantioselective organocatalytic Michael-hemiketalization catalyzed by a *trans*-bifunctional indane thiourea catalyst

Yaojun Gao, Qiao Ren, Swee-Meng Ang and Jian Wang*

A novel *trans*-indane thiourea catalyzed enantioselective Michael addition reaction is described. This process provides an efficient route to the enantioselective synthesis of naphthoquinones.



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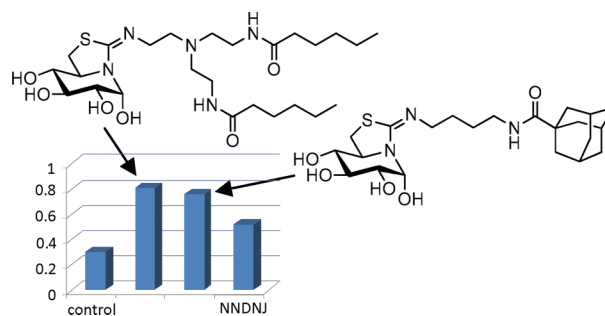
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3698

Bicyclic (*galacto*)nojirimycin analogues as glycosidase inhibitors: Effect of structural modifications in their pharmacological chaperone potential towards β -glucocerebrosidase

Matilde Aguilar-Moncayo, M. Isabel García-Moreno, Ana Trapero, Meritxell Egido-Gabás, Amadeu Llebaria, José M. García Fernández* and Carmen Ortiz Mellet*

Recovery of GlcCerase activity after heating at 48 °C for 20 min in the absence (control) or presence of 50 μ M of chaperone.

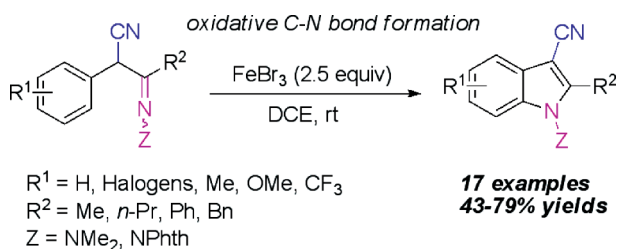


3714

Oxidative aromatic C–N bond formation: convenient synthesis of *N*-amino-3-nitrile-indoles *via* FeBr₃-mediated intramolecular cyclization

Zisheng Zheng, Lina Tang, Yanfeng Fan, Xiuxiang Qi, Yunfei Du* and Daisy Zhang-Negrerie*

A variety of functionalized *N*-amino-3-nitrile-indole derivatives are obtained *via* an intramolecular hetero-cyclization of 2-aryl-3-substituted hydrazono-alkylnitriles using FeBr₃ as a single electron oxidant.

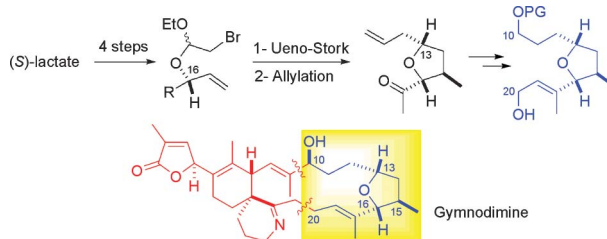


3726

Synthesis of the gymnodimine tetrahydrofuran core through a Ueno–Stork radical cyclization

Sylvestre Toumieux, Redouane Beniazza, Valérie Desvergnès, Rómulo Araújo, Jordi Molgó and Yannick Landais*

Elaboration of the C10–C20 skeleton of gymnodimine, incorporating a tetrahydrofuran fragment, has been performed through a stereocontrolled Ueno–Stork radical cyclization.

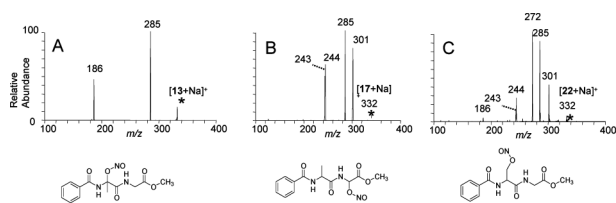


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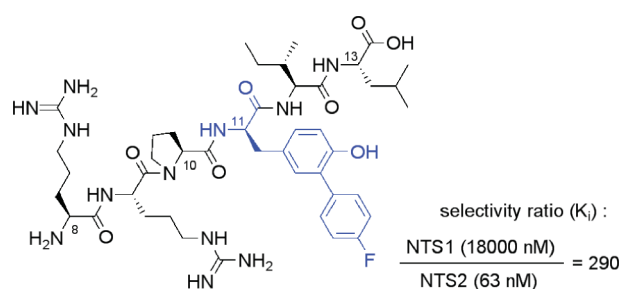
Gas-phase ion-molecule reactions using regioselectively generated radical cations to model oxidative damage and probe radical sites in peptides

Christopher K. Barlow, Adam Wright, Christopher J. Easton and Richard A. J. O'Hair*

Isomeric radicals of the model peptide Bz-Ala-Gly-OMe can be distinguished *via* gas phase ion-molecule reactions with O₂ and NO₂[•]. The latter reagent acts as a radical trap to give nitrate esters, whose structures can be distinguished *via* collision induced dissociation



3746

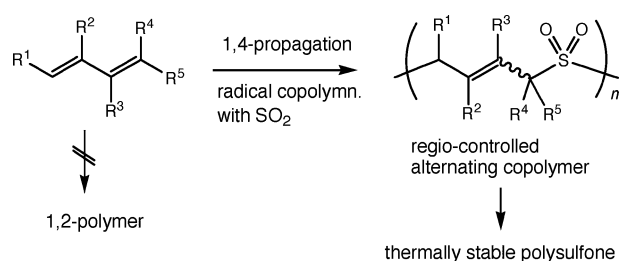


Radical arylation of tyrosine and its application in the synthesis of a highly selective neurotensin receptor 2 ligand

Gerald Pratsch, Johannes F. Unfried, Jürgen Einsiedel, Manuel Plomer, Harald Hübner, Peter Gmeiner and Markus R. Heinrich*

Replacement of (*S*)-tyrosine by a novel peptide building block led to a high subtype selectivity for the human NTS2 receptor.

3753

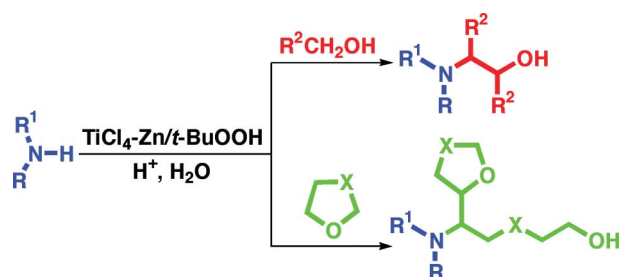


Highly-controlled regiospecific free-radical copolymerization of 1,3-diene monomers with sulfur dioxide

Naruki Tanaka, Eriko Sato and Akikazu Matsumoto*

The free-radical copolymerization of alkyl-substituted 1,3-butadienes with sulfur dioxide produced poly(diene sulfone)s consisting of a highly alternating and 1,4-regiospecific repeating structure.

3759

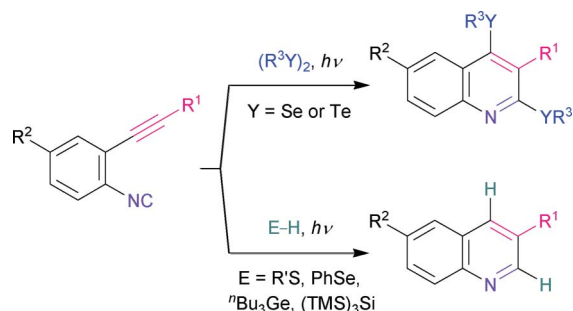


New domino radical synthesis of aminoalcohols promoted by TiCl₄-Zn/*t*-BuOOH system: selective hydroxyalkylation of amines in alcohol or in cyclic ether cosolvents

Simona Prosperini, Nadia Pastori, Alessandra Ghilardi, Angelo Clerici* and Carlo Punta*

One-pot multicomponent domino radical synthesis of aminoalcohols under mild conditions.

3768



Photochemical intramolecular cyclization of *o*-alkynylaryl isocyanides with organic dichalcogenides leading to 2,4-bis(chalcogenated) quinolines

Takenori Mitamura, Kimiyo Iwata, Akihiro Nomoto and Akiya Ogawa*

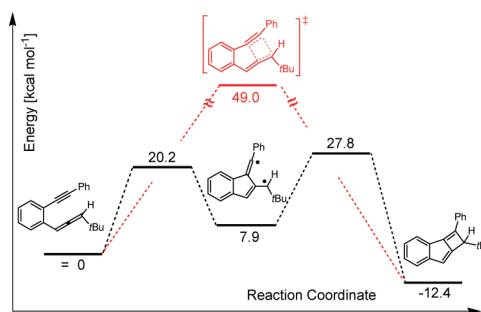
The photochemical cyclization of *o*-alkynylaryl isocyanides with (RSe)₂, (RTe)₂, RSH, RSeH, R₃GeH, and TTMSS provides a useful tool to access quinoline derivatives.

3776

The thermal C²–C⁶/[2 + 2] cyclisation of enyne-allenes: Reversible diradical formation

Mehmet Emin Cinar, Chandrasekhar Vavilala, Jian Fan and Michael Schmittel*

Experimental evidence and computational results suggest that the thermal C²–C⁶/[2 + 2] cyclisation of enyne-allenes follows a stepwise mechanism involving the reversible formation of the C²–C⁶ diradical.

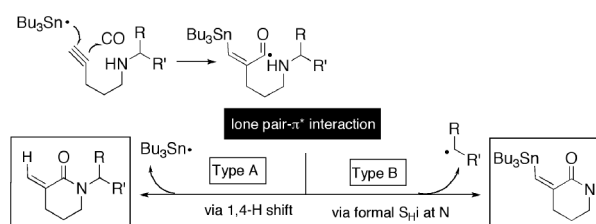


3780

Radical carbonylation of ω-alkynylamines leading to α-methylene lactams. Synthetic scope and the mechanistic insights

Ilhyong Ryu,* Takahide Fukuyama, Mami Tojino, Yoshitaka Uenoyama, Yuka Yonamine, Nozomi Terasoma and Hiroshi Matsubara*

Efficient methods for the synthesis of α-methylene lactams were developed based on radical carbonylation and cyclization of ω-alkynylamines.

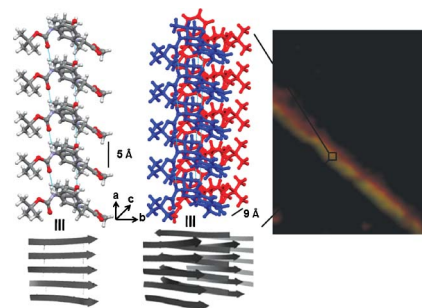


3787

An amyloid-like fibril-forming supramolecular cross-β-structure of a model peptide: a crystallographic insight

Sibaprasad Maity, Pankaj Kumar and Debasish Haldar*

Construction of supramolecular cross-β-structure of an amyloid-like fibril-forming model peptide is presented along with X-ray crystallography study.

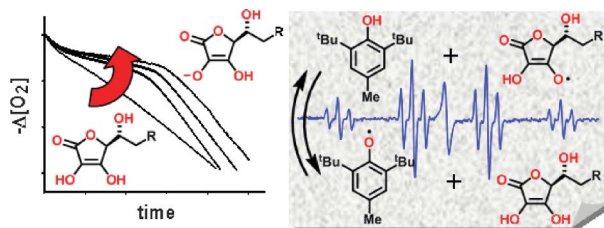


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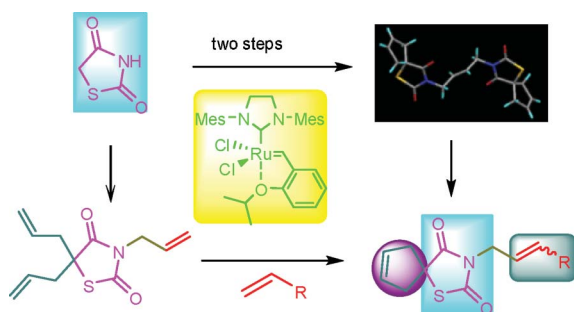
Kinetic and thermodynamic aspects of the chain-breaking antioxidant activity of ascorbic acid derivatives in non-aqueous media

Riccardo Amorati, Gian Franco Pedulli and Luca Valgimigli*

Vitamin C lipid-soluble derivatives react with peroxy radicals at close to diffusion-controlled rate in the dissociated form which has a BDE(OH) lower by 10 kcal mol⁻¹ than the neutral form.



3801

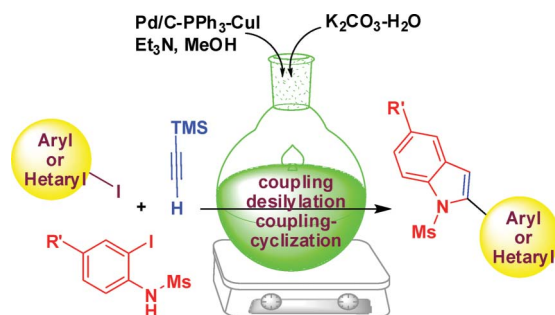


Synthesis of spirocyclic thiazolidinediones using ring-closing metathesis and one-pot sequential ring-closing/cross metathesis

Kalyan Dhara, Sushovan Paladhi, Ganesh Chandra Midya and Jyotirmayee Dash*

A selective ring-closing and cross metathesis method is devised to access novel spirocyclic thiazolidinedione derivatives under mild conditions.

3808

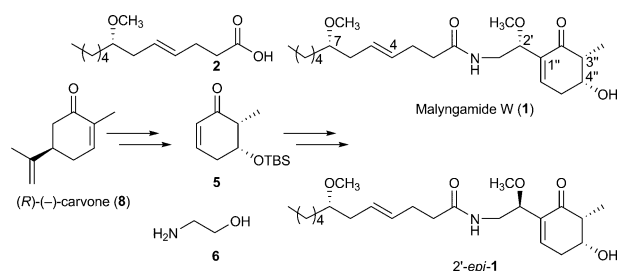


Sequential coupling/desilylation-coupling/cyclization in a single pot under Pd/C-Cu catalysis: Synthesis of 2-(hetero)aryl indoles

R. Mohan Rao, Upendar Reddy CH, Alinakhi, Naveen Mulakayala, Mallika Alvala, M. K. Arunasree, Rajamohan R. Poondra, Javed Iqbal* and Manojit Pal*

A range of 2-(hetero)aryl indoles were synthesized by conducting four steps in a single pot under Pd/C-Cu catalysis.

3817

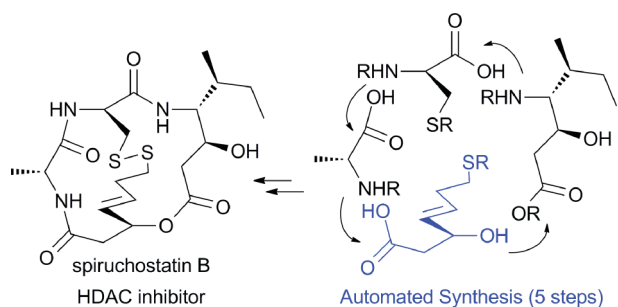


Total synthesis and absolute configuration of malyngamide W

Xian-Liang Qi, Jun-Tao Zhang, Jian-Peng Feng and Xiao-Ping Cao*

A concise enantioselective synthesis of malyngamide W (1) and its 2'-epimer was described, and the absolute configuration of 1 was thus confirmed.

3825



Total synthesis of spiruchostatin B aided by an automated synthesizer

Shinichiro Fuse, Kumiko Okada, Yusuke Iijima, Asami Munakata, Kazuhiro Machida, Takashi Takahashi,* Motoki Takagi, Kazuo Shin-ya and Takayuki Doi*

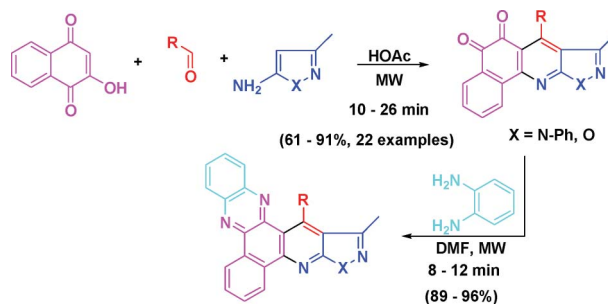
The total synthesis of a natural product HDAC inhibitor, spiruchostatin B, was successfully achieved with the aid of an automated synthesizer.

3834

A new rapid multicomponent domino reaction for the formation of functionalized benzo[*h*]pyrazolo[3,4-*b*]quinolines

Bo Jiang, Ge Zhang, Ning Ma, Feng Shi, Shu-Jiang Tu,*
Parminder Kaur and Guigen Li*

New multicomponent domino reaction for rapid and regioselective synthesis of highly functionalized benzo[*h*]pyrazolo[3,4-*b*]quinolines has been established to give up to 91% of yield.

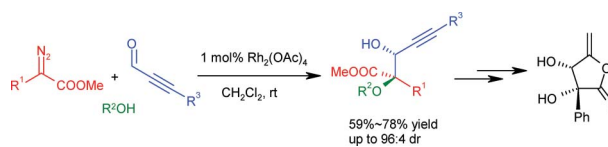


3839

Diastereoselective three-component reactions of aryldiazoacetates with alcohols/water and alkynals: application to substituted enolactones

Xingchun Han, Liqing Jiang, Min Tang and Wenhao Hu*

Three-component reactions of aryldiazoacetates with alcohols/water and alkynals afford β -alkynyl α,β -dihydroxy acid esters in good yield with high diastereoselectivity.

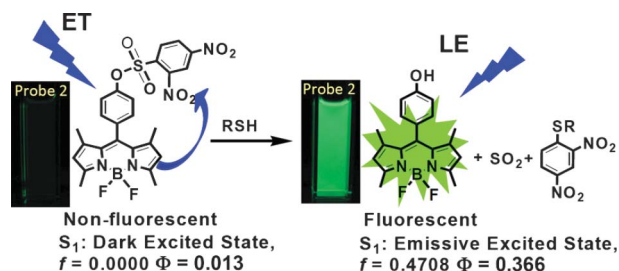


3844

Highly selective fluorescent OFF–ON thiol probes based on dyads of BODIPY and potent intramolecular electron sink 2,4-dinitrobenzenesulfonyl subunits

Huimin Guo,* Yingying Jing, Xiaolin Yuan, Shaomin Ji,
Jianzhang Zhao,* Xiaohuan Li and Yanyan Kan

OFF–ON fluorescent thiol probes were designed by tuning the S_1 state of BODIPY with 2,4-dinitrobenzenesulfonyl, a more potent electron acceptor than maleimide.

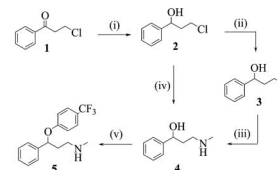
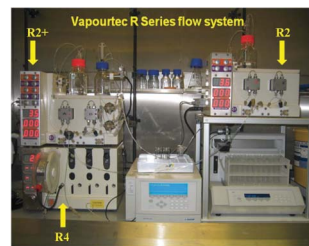


3854

Preparation of fluoxetine by multiple flow processing steps

Batoul Ahmed-Omer and Adam J. Sanderson*

Efficient multiple step syntheses of (\pm) fluoxetine using flow technology as an alternative to conventional synthetic methods.



Synthesis of (\pm)-fluoxetine in flow: (i) 8H3-THF, r.t., 5 min (77%); (ii) NaI, toluene: water, 100 °C, 20 min (43%); (iii) MeNH2 (aq), tetrahydrofuran (THF), 80 °C, 10 min (89%); (iv) MeNH2 (aq), acetonitrile (MeCN), 140 °C, 10 min (95%); (v) DIAD, *P*Bu₃, *p*-hydroxybenzotrifluoride, DCM, dimethylacetamide, 70 °C, 5 min (86%).



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Registration deadline 3 June 2011
www.ISMSC2011.org

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Challenges in Chemical Biology (ISACS5)

26 - 29 July 2011, Manchester, UK
Poster abstracts by 27 May 2011
Registration deadline 24 June 2011
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Ionic Liquids (Faraday Discussion 154)

22 - 24 August 2011, Belfast, UK
Poster abstracts by 17 June 2011
Registration deadline 15 July 2011
www.rsc.org/FD154

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2 - 5 September 2011, Beijing, China
Poster abstracts by 8 July 2011
Registration deadline 5 August 2011
www.rsc.org/ISACS6

Artificial Photosynthesis (Faraday Discussion 155)

5 - 7 September 2011, Edinburgh, UK
Poster abstracts by 1 July 2011
Registration deadline 5 August 2011
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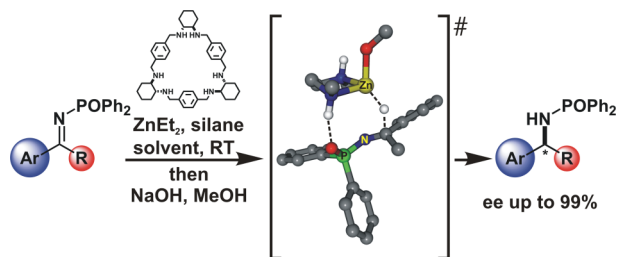
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3863

Convenient, enantioselective hydrosilylation of imines in protic media catalyzed by a Zn-trianglamine complex

Jadwiga Gajewy, Jacek Gawronski and Marcin Kwit*

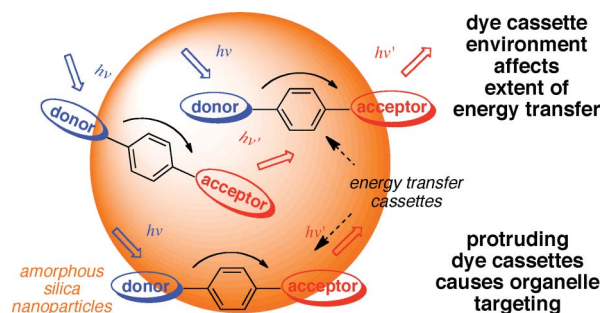
Zn-trianglamine-catalyzed hydrosilylation of activated imines provides amines with high enantiomeric excess.



3871

Energy transfer cassettes in silica nanoparticles target intracellular organelles

Jiney Jose, Aurore Loudet, Yuichiro Ueno, Liangxing Wu, Hsiang-Yun Chen, Dong Hee Son, Rola Barhouni, Robert Burghardt and Kevin Burgess*

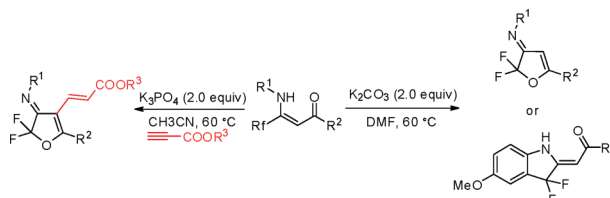


3878

A cascade process for the synthesis of gem-difluoromethylene compounds

Zixian Chen, Jiangtao Zhu, Haibo Xie, Shan Li, Yongming Wu* and Yuefa Gong*

An efficient cascade halophilic attack/cyclization process for the synthesis of 2,2-difluoro-2,3-dihydrofuran products from β -fluoroalkyl- β -enaminoketones is described.

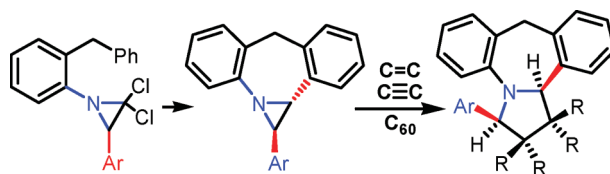


3886

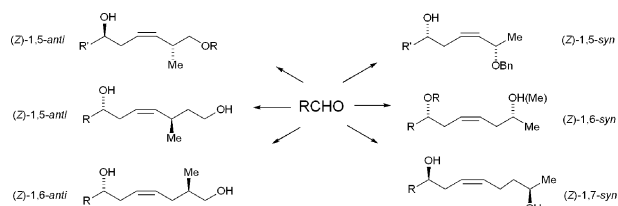
An efficient approach to azirino and pyrrolo-fused dibenzazepines. Conformations of substituted dibenzo[*c,f*]pyrrolo[1,2-*a*]azepines

Alexander F. Khlebnikov,* Mikhail S. Novikov, Maria V. Golovkina, Petr P. Petrovskii, Alexander S. Konev, Dmitry S. Yufit and Helen Stoeckli-Evans

Stereoselective cycloaddition of azepinium ylides, generated by heating *trans*-1*H*-azirino[1,2-*a*]dibenzo[*c,f*]azepines to C=C, C \equiv C dipolarophiles and fullerene C₆₀, leads to dibenzo[*c,f*]pyrrolo[1,2-*a*]azepines.



3896

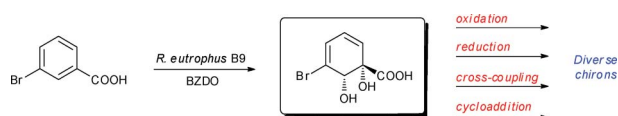


Effective 1,5-, 1,6- and 1,7-remote stereocontrol in reactions of alkoxy- and hydroxy-substituted allylstannanes with aldehydes

John S. Carey, Somhairle MacCormick, Steven J. Stanway, Aphiwat Teerawutgulrag and Eric J. Thomas*

Allyl tin trihalides derived from alkoxy- and hydroxy-alk-2-enylstannanes react with aldehydes with useful levels of 1,5-, 1,6- and 1,7-stereocontrol.

3920

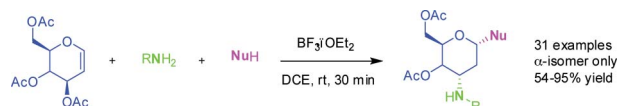


Expanding the chiral pool: oxidation of *meta*-bromobenzoic acid by *R. eutrophus* B9 allows access to new reaction manifolds

Julia A. Griffen, Amélie M. Le Coz, Gabriele Kociok-Köhn, Monika Ali Khan, Alan J. W. Stewart and Simon E. Lewis*

Metabolism of *meta*-bromobenzoic acid by *R. eutrophus* B9 affords an enantiopure halodiene-diol which is a versatile chiron for organic synthesis. The presence of the halogen leads to reactivity that is distinct to that observed for the non-halogenated analogue and also serves as a synthetic handle for further functionalisation.

3929

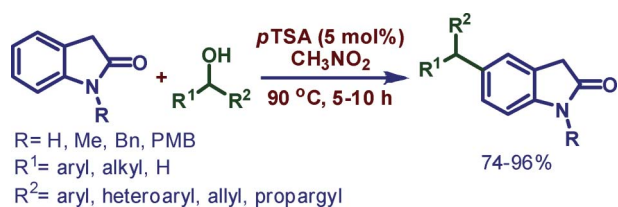


Ready access to 3-amino-2,3-dideoxysugars via regio- and stereo-selective tandem hydroamination-glycosylation of glycals

Feiqing Ding, Ronny William, Siming Wang, Bala Kishan Gorityala and Xue-Wei Liu*

A highly stereoselective BF₃·OEt₂-promoted tandem hydroamination-glycosylation on a glycal scaffold has been developed to form 3-amino-2,3-dideoxysugars in a one-pot procedure.

3940



A novel acid-catalyzed C5-alkylation of oxindoles using alcohols

Chada Raji Reddy,* Erukonda Jithender, Gaddam Krishna, Gunreddy Venkat Reddy and Bharatam Jagadeesh

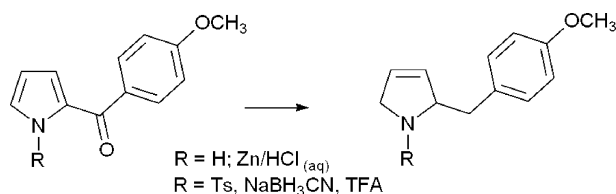
Unprecedented C5-alkylation of oxindoles using alcohols as alkylating agents under acid catalysis is described. The reactions of various benzylic, allylic and propargylic alcohols are studied to obtain the corresponding 5-substituted oxindoles in good yields.

3948

Chemoselective reduction of 2-acyl-*N*-sulfonylpyrroles: Synthesis of 3-pyrrolines and 2-alkylpyrroles

Hai Tao You, Andrew C. Grosse, James K. Howard, Christopher J. T. Hyland, Jeremy Just, Peter P. Molesworth and Jason A. Smith*

The reduction of 2-acylpyrroles has been achieved to yield 3-pyrrolines which can be exploited in the synthesis of pyrrolidine natural products.

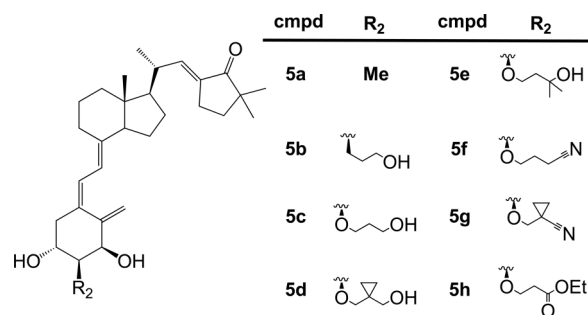


3954

Synthesis of C-2 substituted vitamin D derivatives having ringed side chains and their biological evaluation, especially biological effect on bone by modification at the C-2 position

Hiroshi Saitoh,* Takayuki Chida, Kenichiro Takagi, Kyohei Horie, Yoshiyuki Sawai, Yuko Nakamura, Yoshifumi Harada, Kazuya Takenouchi and Atsushi Kittaka

In order to obtain vitamin D derivatives, which have strong activity for enhancing bone growth, we designed vitamin D derivatives with various substitutions at the C-2 position.

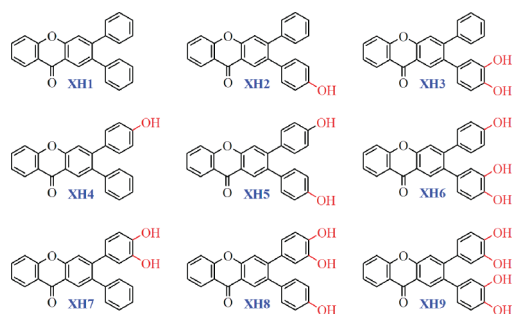


3965

Structure–activity relationships in hydroxy-2,3-diarylxanthone antioxidants. Fast kinetics spectroscopy as a tool to evaluate the potential for antioxidant activity in biological systems

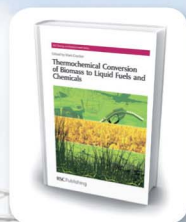
Clementina M. M. Santos, Artur M. S. Silva, Paulo Filipe, René Santus, Larry K. Patterson, Jean-Claude Mazière, José A. S. Cavaleiro and Patrice Morlière*

Polyhydroxylated 2,3-diarylxanthenes effectively scavenge oxy-radicals in micelles and protect LDL and keratinocytes against oxidants.



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