



Tetrahedron Vol. 65, No. 45, 2009

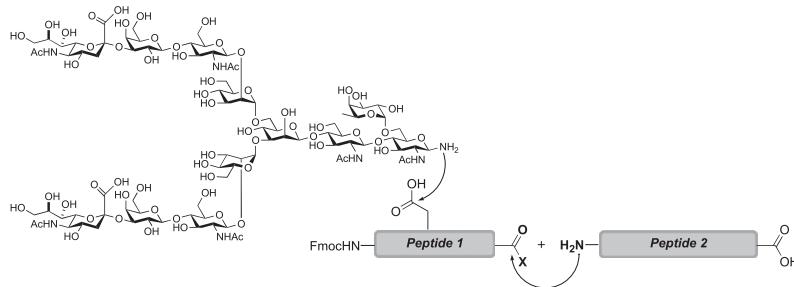
## Contents

## PERSPECTIVES

## Recent departures in the synthesis of peptides and glycopeptides

pp 9047–9065

Cindy Kan, Samuel J. Danishefsky\*

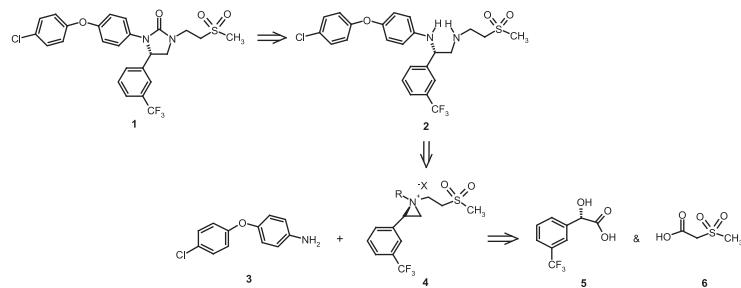


## ARTICLES

## Facile and practical synthesis of a cannabinoid-1 antagonist via regio- and stereoselective ring-opening of an aziridinium ion

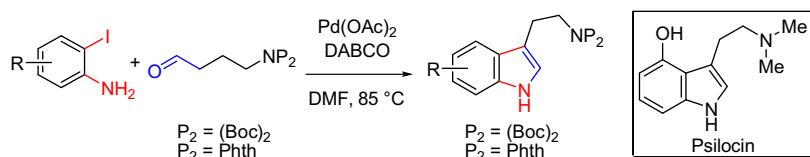
pp 9067–9074

Edwin B. Villhauer\*, Wen-Chung Shieh\*, Zhengming Du, Kevin Vargas, Lech Ciszewski, Yansong Lu, Michael Grgis, Melissa Lin, Mahavir Prashad



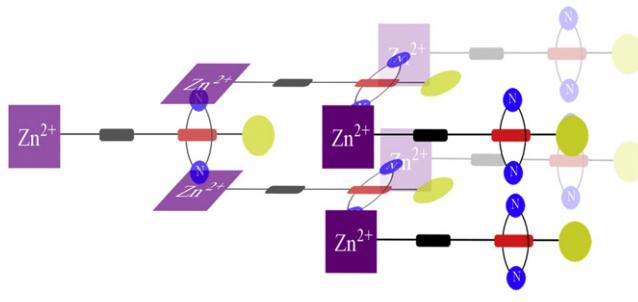
**Palladium-catalyzed synthesis of tryptamines and tryptamine homologues: synthesis of psilocin**  
Chunmei Hu, Hua Qin, Yuxin Cui\*, Yanxing Jia\*

pp 9075–9080



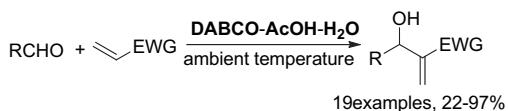
**Coordination-driven self-organization of switchable [2]rotaxane**  
Feng-Yuan Ji, Liang-Liang Zhu, Dong Zhang, Zhao-Fei Chen, He Tian\*

pp 9081–9085



**Baylis–Hillman reaction promoted by a recyclable protic-ionic-liquid solvent–catalyst system: DABCO–AcOH–H<sub>2</sub>O**  
Ying Song, Haihua Ke, Nan Wang, Limin Wang, Gang Zou\*

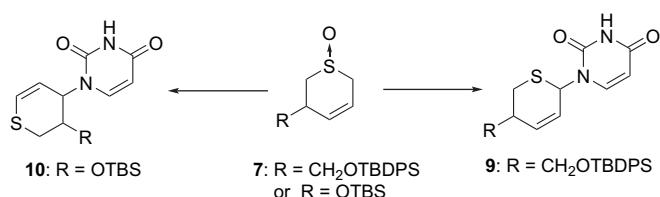
pp 9086–9090



**Synthesis of 1-(5,6-dihydro-2*H*-thiopyran-2-yl)uracil by a Pummerer-type thioglycosylation reaction: the regioselectivity of allylic substitution**

pp 9091–9102

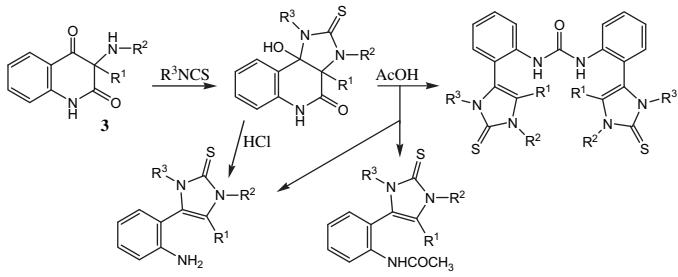
Yuichi Yoshimura\*, Yoshiko Yamazaki, Yukako Saito, Hiroki Takahata\*



**Synthesis of 2-thioxoimidazolines via reaction of 1-unsubstituted 3-aminoquinoline-2,4-diones with isothiocyanates**

pp 9103–9115

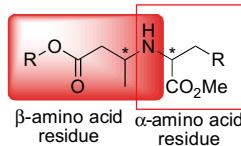
Zdenka Prucková, Antonín Klásek\*, Antonín Lyčka, Ivan Mikšík, Aleš Růžička



**New chiral building blocks of  $\beta$ -peptoid analogs**

pp 9116–9124

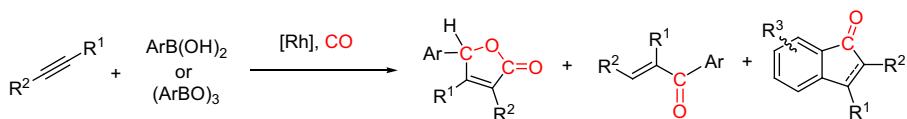
Ana Lúcia Cardoso, Susana M.M. Lopes, Ana Matos Beja, Manuela Ramos Silva, Jesús M. de los Santos, Teresa M.V.D. Pinho e Melo\*, Francisco Palacios



**Rhodium catalyzed reaction of internal alkynes with organoborons under CO atmosphere: a product tunable reaction**

pp 9125–9133

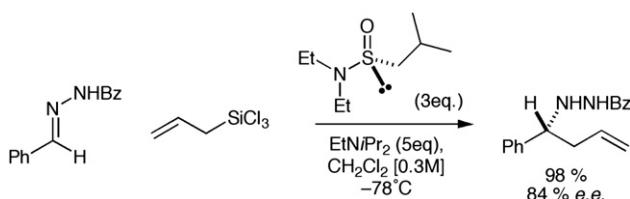
Levent Artok\*, Melih Kuş, Özge Aksin-Artok, Fatma Nurcan Dege, Fatma Yelda Özkilinç



**The sulfinyl moiety in Lewis base-promoted allylations**

pp 9134–9141

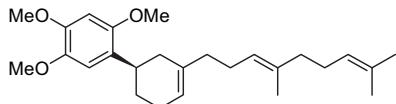
J. Robin Fulton, Lamin M. Kamara, Simon C. Morton, Gareth J. Rowlands\*



**First synthesis and absolute configuration of a  $\beta$ -farnesene-trimethoxystyrene conjugate isolated from *Pachypodanthium confine***

pp 9142–9145

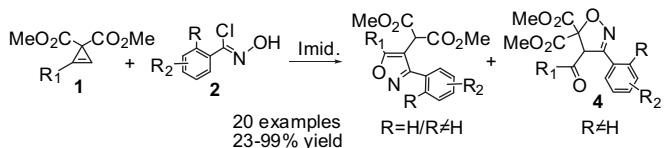
Masatsugu Koso, Takuya Tashiro, Mitsuru Sasaki, Hirosato Takikawa\*



**A highly regioselective tandem 1,3-dipolar cycloaddition of cyclopropene 1,1-diesters and nitrile oxides: synthesis of highly functionalized isoxazoles**

pp 9146–9151

Shaojin Chen, Jun Ren, Zhongwen Wang\*



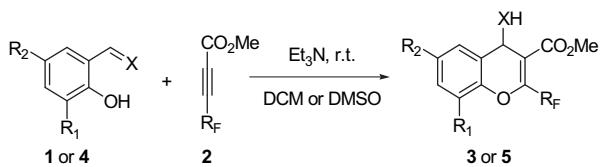
A highly regioselective tandem 1,3-dipolar cycloaddition of cyclopropene 1,1-diesters with nitrile oxides was described. This reaction supplied a new method for synthesis of isoxazole derivatives in moderate to excellent yields under mild conditions.



**A simple and convenient synthesis of 2-(perfluoroalkyl)-4H-chromenes from salicyl N-tosylimines or salicylaldehydes and methyl 2-perfluoroalkynoates**

pp 9152–9156

Lei Lu, Jiamei Wei, Jie Chen, Jiaping Zhang, Hongmei Deng, Min Shao, Hui Zhang\*, Weiguo Cao\*

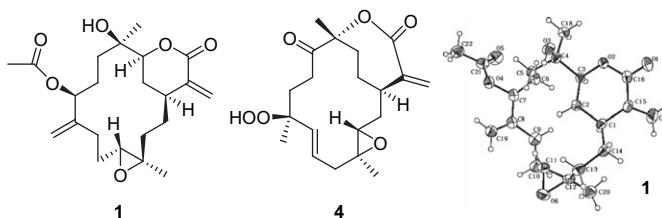


1, 3 X=NTs, 4, 5 X=O; R<sub>F</sub>=CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, n-C<sub>3</sub>F<sub>7</sub>; R<sub>1</sub>=H, Me, OMe; R<sub>2</sub>=H, Cl, NO<sub>2</sub>

**Cembrane diterpenoids from the Taiwanese soft coral *Sinularia flexibilis***

pp 9157–9164

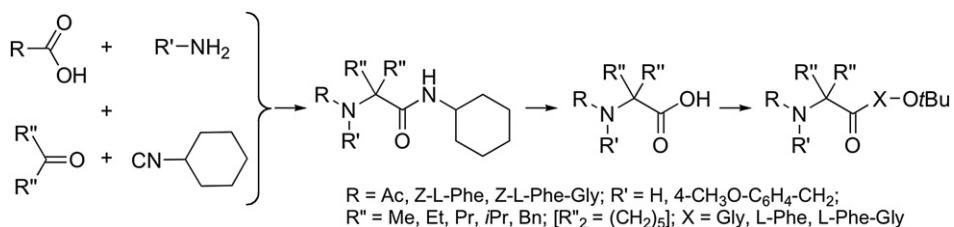
Yun-Sheng Lin, Chung-Hsiung Chen, Chia-Ching Liaw, Yu-Chen Chen, Yao-Haur Kuo, Ya-Ching Shen\*



Chemical investigation of the soft coral *Sinularia flexibilis* led to the isolation of 10 new flexilarins A–J (**1–10**). Compound **1** was confirmed by X-ray crystallographic analysis. Compound **4** showed potent cytotoxicity against Hep2 tumor cells.

**Straightforward, racemization-free synthesis of peptides with fairly to very bulky di- and trisubstituted glycines**  
Filipa C.S.C. Pinto, Sílvia M.M.A. Pereira-Lima, Hernâni L.S. Maia\*

pp 9165–9179

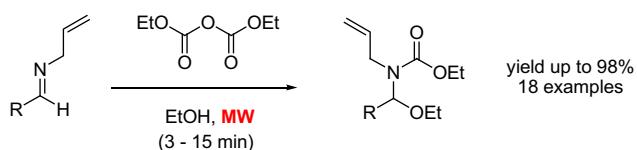


Tri and pentapeptides incorporating a central  $\alpha,\alpha$ -dialkylglycine or  $N,\alpha,\alpha$ -trialkylglycine residue by oxazolone, DCC/HOBt or HBTU couplings of the peptide acids obtained by total or partial acidolysis of Ugi-Passerini adducts.

**Microwave-assisted synthesis of  $\alpha$ -ethoxycarbamates**

pp 9180–9187

Alexandre Lumbroso, Floris Chevallier, Isabelle Beaudet, Jean-Paul Quintard, Thierry Besson\*, Erwan Le Grogne\*

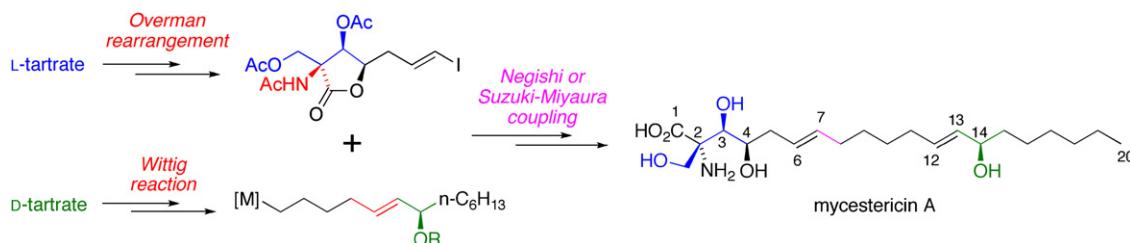


An efficient and reproducible synthesis of various  $\alpha$ -ethoxycarbamates is described via a microwave heating mode.

**Total synthesis of mycestericin A and its 14-epimer**

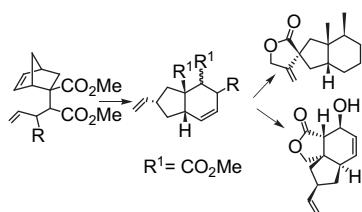
pp 9188–9201

Hiroyoshi Yamanaka, Kazuya Sato, Hideyuki Sato, Masatoshi Iida, Takeshi Oishi, Noritaka Chida\*



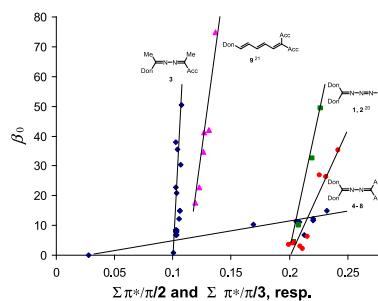
**A direct route to angularly substituted hydrindanes. Formal synthesis of bakkenolide-A and synthesis of an advanced intermediate to umbellalact**  
Soumitra Maity, Subrata Ghosh\*

pp 9202–9210



**Hyperpolarizability of donor–acceptor azines subject to push–pull character and steric hindrance**  
Erich Kleinpeter\*, Bistra A. Stamboliyska

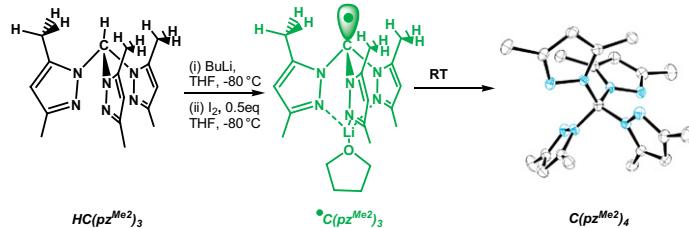
pp 9211–9217



**Towards the functionalization of the methine carbon of a sterically hindered tris(pyrazolyl)methane: is a radical pathway envisageable? Synthesis and structure of tetrakis(3,5-dimethylpyrazolyl)methane**

pp 9218–9223

Laurent Benisvy, Riccardo Wanke, Maxim L. Kuznetsov, M. Fátima C. Guedes da Silva, Armando J.L. Pombeiro\*

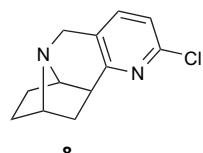
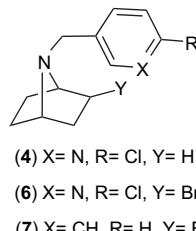
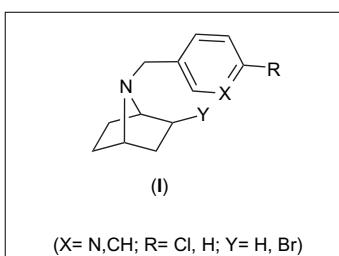


Iodine oxidation, at  $-80\text{ }^\circ\text{C}$ , of the stable carbanion  $\text{C}(\text{pz}^{\text{Me}2})_3$  yields the green C-centred radical  $\text{C}(\text{pz}^{\text{Me}2})_3$  which, upon warming to room temperature, yields the unprecedented tetrakis(3,5-dimethylpyrazolyl)methane,  $\text{C}(\text{pz}^{\text{Me}2})_4$ , which is stabilized by intramolecular C–H $\cdots$  $\pi$  interactions.

**N-Arylmethyl-7-azabicyclo[2.2.1]heptane derivatives: synthesis and reaction mechanisms**

pp 9224–9232

Elena Gómez, José Marco-Contelles\*, Elena Soriano\*, María L. Jimeno



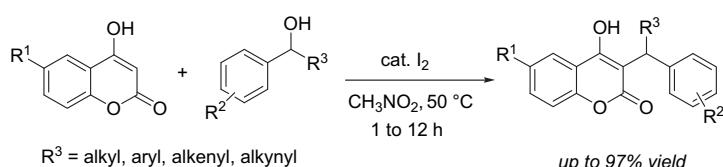
In this manuscript we have reported two new methods for the synthesis of epibatidine analogues bearing the *N*-arylalkyl and the *N*-aryl-7-azabicyclo[2.2.1]heptane skeleton. Furthermore, DFT studies have been carried out on the reaction mechanisms to account for the results.



**Molecular iodine-catalyzed C3-alkylation of 4-hydroxycoumarins with secondary benzyl alcohols**

pp 9233–9237

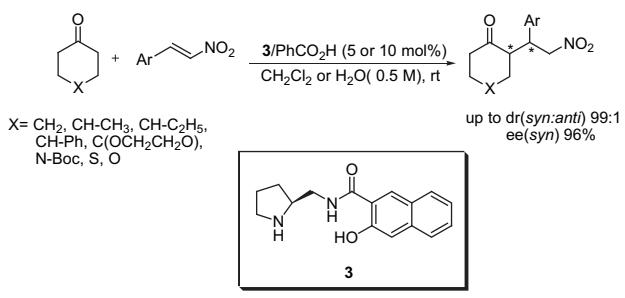
Xufeng Lin\*, Xixiang Dai, Zhenjun Mao, Yanguang Wang



**Highly enantioselective desymmetrization of meso- and prochiral cyclic ketones via organocatalytic Michael reaction**

pp 9238–9243

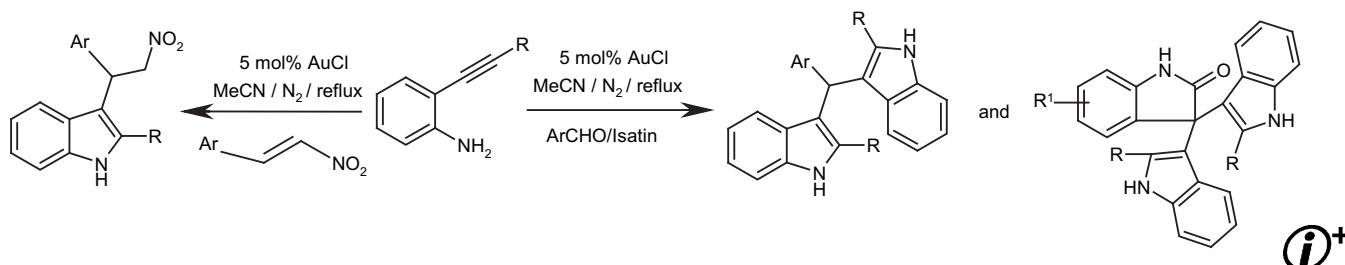
Jia-Rong Chen, Yuan-Yuan Lai, Hai-Hua Lu, Xu-Fan Wang, Wen-Jing Xiao\*



**Efficient synthesis of 3-substituted indoles through a domino gold(I) chloride catalyzed cycloisomerization/C3-functionalization of 2-(alkynyl)anilines**

pp 9244–9255

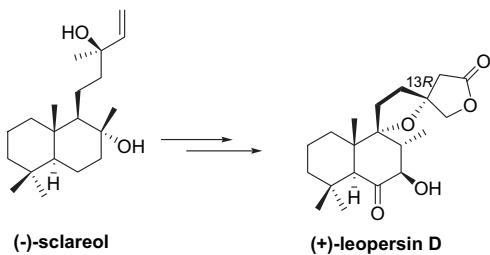
C. Praveen, K. Karthikeyan, P.T. Perumal\*



**Synthesis of (+)-leopersin D**

pp 9256–9263

I.S. Marcos\*, L. Castañeda, P. Basabe, D. Díez, J.G. Urones

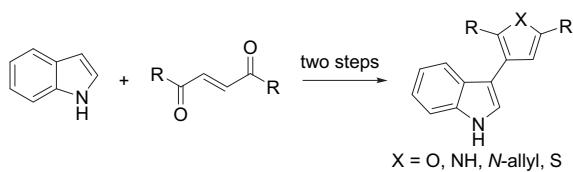


The spirolactanolide (+)-leopersin D has been synthesized from (-)-sclareol. The absolute configuration of the natural product has been established.

**Indirect regioselective heteroarylation of indoles through a Friedel–Crafts reaction with (E)-1,4-diaryl-2-but-en-1,4-diones**

pp 9264–9270

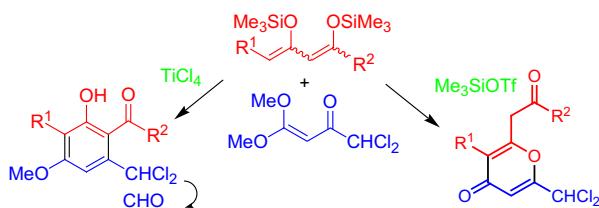
Gonzalo Blay, Isabel Fernández, Alicia Monleón, José R. Pedro\*, Carlos Vila



**Synthesis of dichloromethyl-substituted salicylates and pyran-4-ones by cyclocondensation of 1,3-bis(silyloxy)-1,3-butadienes with 1,1-dimethoxy-4,4-dichlorobut-1-en-3-one: control of the C,C- and C,O-regioselectivity by the choice of Lewis acid**

pp 9271–9279

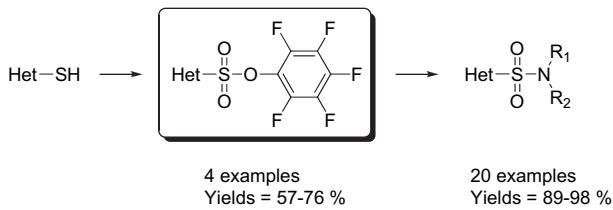
Vahuni Karapetyan, Satenik Mkrtchyan, Gagik Ghazaryan, Alexander Villinger, Christine Fischer, Peter Langer\*



**Heterocyclic pentafluorophenyl sulfonate esters as shelf stable alternatives to sulfonyl chlorides**

pp 9280–9284

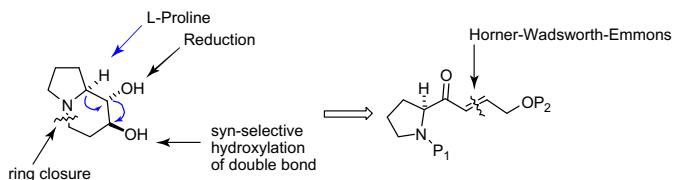
Jan Bornholdt, Karianne Wilhelms Fjære, Jakob Felding, Jesper Langgaard Kristensen\*



**Polyhydroxylated indolizidine alkaloids—synthesis of dideoxycastanospermine**

pp 9285–9290

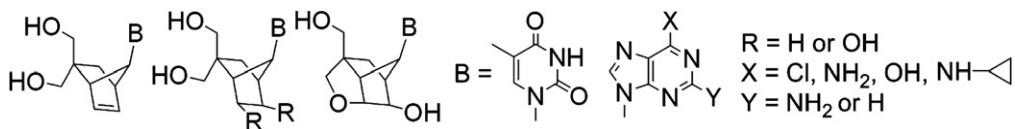
Ari M.P. Koskinen\*, Oili A. Kallatsa, Maija Nissinen



**Norbornane as the novel pseudoglycone moiety in nucleosides**

pp 9291–9299

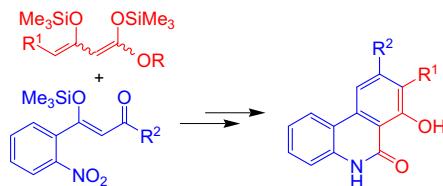
Michal Šála\*, Hubert Hřebabecký, Martin Dračínský, Milena Masojídková, Armando M. De Palma, Johan Neyts, Antonín Holý



**Regioselective synthesis of amino- and nitroarenes based on [3+3] cyclocondensations of 1,3-bis(silyloxy)-1,3-butadienes**

pp 9300–9315

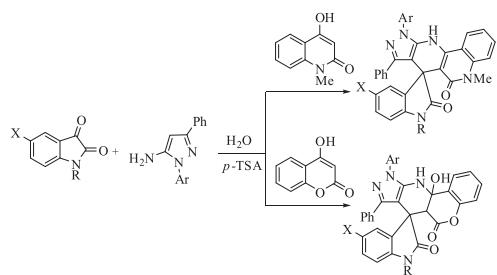
Abdolmajid Riahi, Mohanad Shkoor, Olumide Fatunsin, Mirza A. Yawer, Ibrar Hussain, Christine Fischer, Peter Langer\*



**Synthesis of spiro[benzopyrazolonaphthyridine-indoline]-diones and spiro[chromeno-pyrazolopyridine-indoline]-diones by one-pot, three-component methods in water**

pp 9316–9321

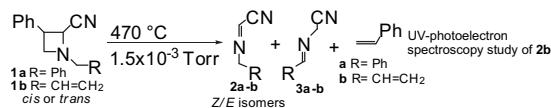
Somayeh Ahadi, Ramin Ghahremanzadeh, Peiman Mirzaei, Ayoob Bazgir\*



**Flash vacuum thermolysis generation and a UV-photoelectron spectroscopy study of the N-substituted iminoacetonitriles**

pp 9322–9327

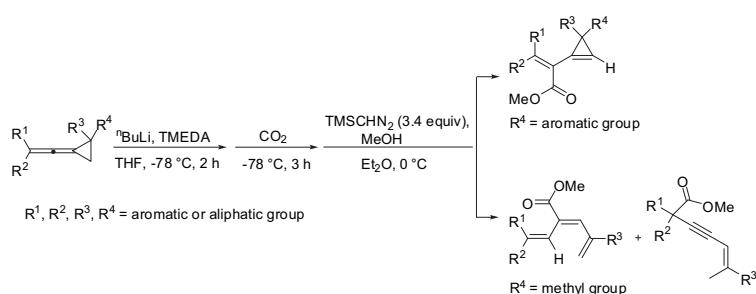
Anna Chrostowska\*, Alain Dargelos, Alain Graciaa, Saïd Khayar, Stanisław Leśniak\*, Ryszard B. Nazarski, Thi Xuan Mai Nguyen, Małgorzata Maciejczyk, Michał Rachwalski



**Butyl lithium (<sup>n</sup>BuLi)-mediated carboxylation of vinylidenecyclopropanes with CO<sub>2</sub>**

pp 9328–9335

Bei-Li Lu, Jian-Mei Lu, Min Shi\*

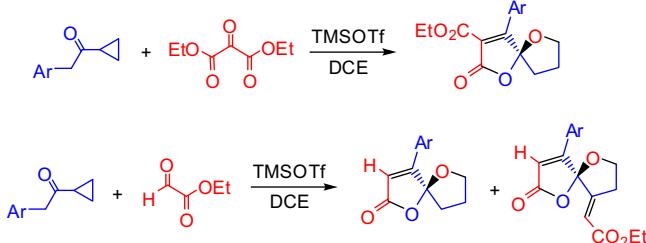


<sup>n</sup>BuLi-mediated carboxylation of vinylidenecyclopropanes with CO<sub>2</sub> followed by the further transformation was realized to give the corresponding adducts in moderate to good yields.

**Lewis acid-mediated reactions of 1-cyclopropyl-2-arylethanone derivatives with diethyl 2-oxomalonate and ethyl 2-oxoacetate**

pp 9336–9343

Xiang-Ying Tang, Min Shi\*



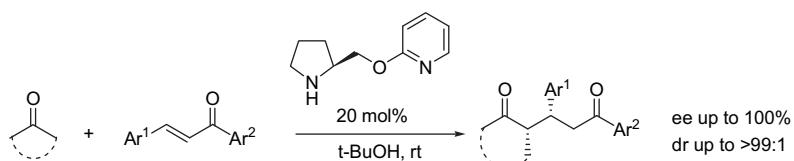
Lewis acid-mediated reactions of 1-cyclopropyl-2-arylethanone derivatives with diethyl 2-oxomalonate and ethyl 2-oxoacetate have been investigated and the corresponding functionalized 1,6-dioxa-spiro[4.4]non-3-en-2-one derivatives were formed in moderate to excellent yields via a tandem reaction process.



**Pyrrolidine-pyridine base catalysts for the enantioselective Michael addition of ketones to chalcones**

pp 9344–9349

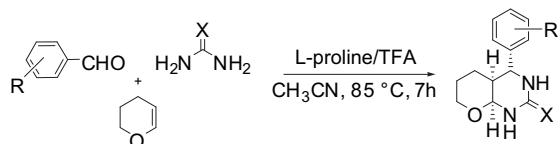
Da-Zhen Xu, Sen Shi, Yingjun Liu, Yongmei Wang\*



**L-Proline catalyzed multicomponent reaction of 3,4-dihydro-(2*H*)-pyran, urea/thiourea, and aldehydes: diastereoselective synthesis of hexahydropyranopyrimidinones (thiones)**

pp 9350–9356

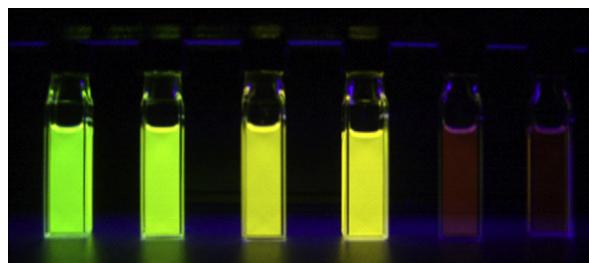
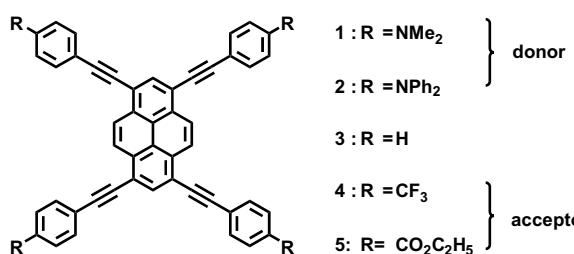
Jyoti Pandey, Namrata Anand, Rama P. Tripathi\*



**Photophysical properties of 1,3,6,8-tetrakis(arylethynyl)pyrenes with donor or acceptor substituents: their fluorescence solvatochromism and lightfastness**

pp 9357–9361

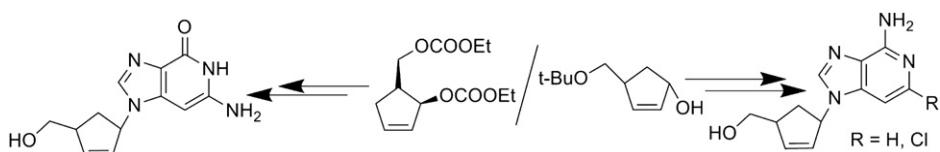
Kazuhide Fujimoto\*, Hisao Shimizu, Masaru Furusyo, Seiji Akiyama, Mio Ishida, Utako Furukawa, Toshiaki Yokoo, Masahiko Inouye\*



**Regioselective synthesis of 3-deazacarbovir and its 3-deaza-adenosine analogues**

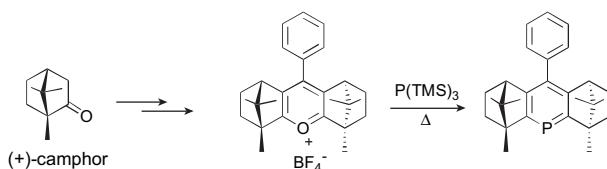
Ashok K. Jha, Ashoke Sharon, Ramu Rondla, Chung K. Chu\*

pp 9362–9367

**Synthesis of the first C<sub>2</sub>-asymmetric phosphinine and its pyrylium precursor**

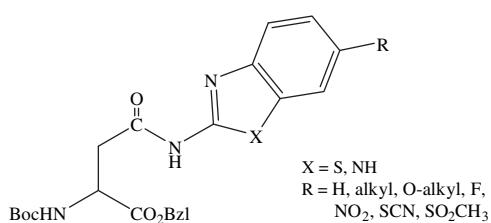
Jason R. Bell, Andreas Franken, Charles M. Garner\*

pp 9368–9372

**Unnatural benz-X-azolyl asparagine derivatives as novel fluorescent amino acids: synthesis and photophysical characterization**

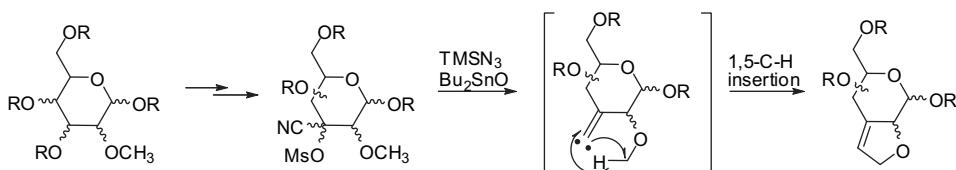
Cátia I.C. Esteves, Ana M.F. Silva, M. Manuela M. Raposo, Susana P.G. Costa\*

pp 9373–9377

**Highly functionalized, enantiomerically pure furo[x,y-c]pyrans via alkylidenecarbenes derived from sugar templates: synthesis and mechanism study via computational chemistry**

Albert Nguyen Van Nhien\*, Romaric Cordonnier, Marie-Delphine Le Bas, Sébastien Delacroix, Elena Soriano, José Marco-Contelles, Denis Postel\*

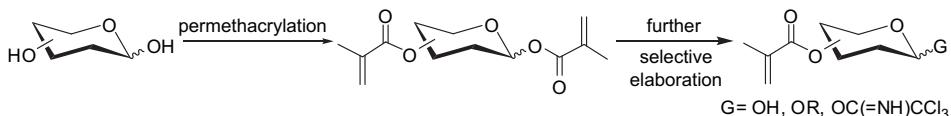
pp 9378–9394



**Permethylated carbohydrates: synthesis and reactivity in glycosidation reaction**

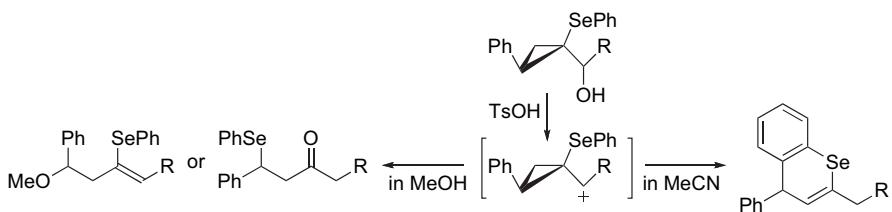
Christelle Zandanel, Charles Mioskowski, Rachid Baati\*, Alain Wagner

pp 9395–9402

**Reaction behavior of cyclopropylmethyl cations derived 1-phenylselenocyclopropylmethanols with acids**

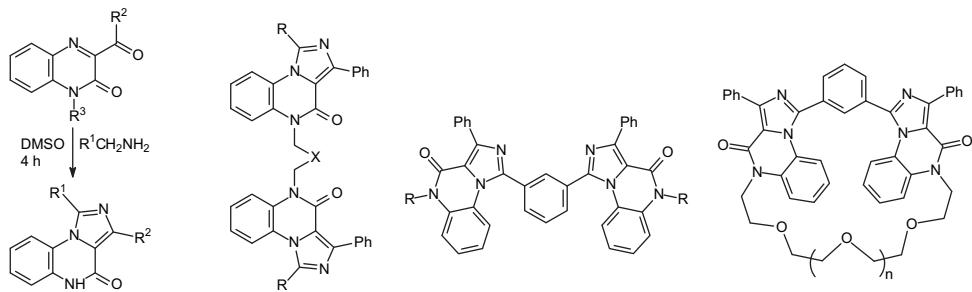
Mitsunori Honda\*, Toshiaki Nishizawa, Yuko Nishii, Shuhei Fujinami, Masahito Segi

pp 9403–9411

**An efficient method for the synthesis of imidazo[1,5-*a*]quinoxalines from 3-acylquinoxalinones and benzylamines via a novel imidazoannulation**

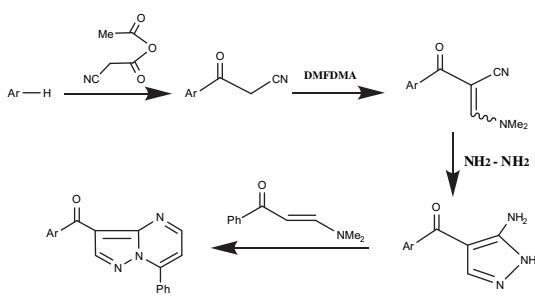
Vakhid A. Mamedov\*, Aleksey A. Kalinin, Alsu A. Balandina, Il'dar Kh. Rizvanov, Shamil K. Latypov

pp 9412–9420

**Studies with enaminones and enaminonitriles: synthesis of 3-aryl and 3-heteroaryl-pyrazolo-[1,5-*a*]pyrimidines**

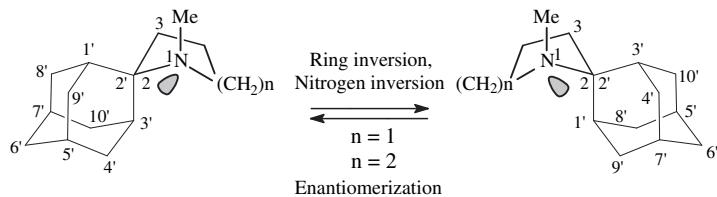
Khaled D. Khalil, Hamad M. Al-Matar\*, Doa'a M. Al-Dorri, Mohamed H. Elnagdi

pp 9421–9427



**The effect of spiroadamantane substitution on the conformational preferences of N-Me pyrrolidine and N-Me piperidine: a description based on dynamic NMR spectroscopy and ab initio correlated calculations**  
Antonios Kolocouris

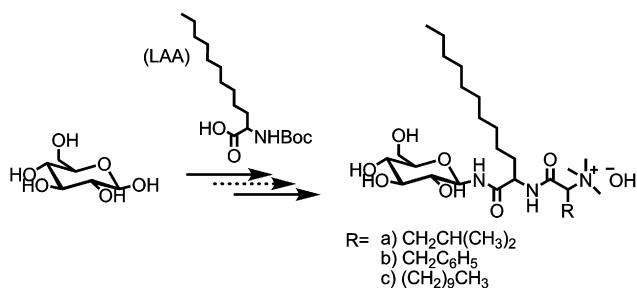
pp 9428–9435



**Design and synthesis of a series of novel, cationic liposaccharide derivatives as potential penetration enhancers for oral drug delivery**

pp 9436–9442

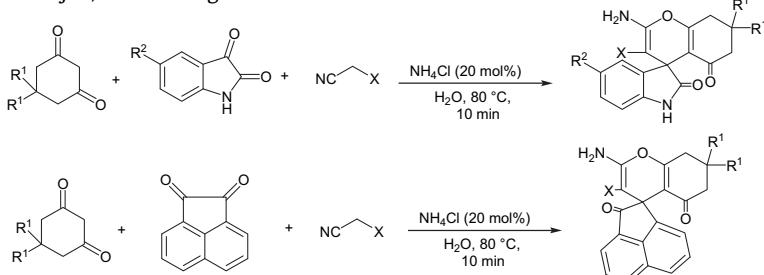
Adel S. Abdelrahim, Zыта M. Ziora, Julie A. Bergeon, Anne R. Moss, Istvan Toth\*



**Ammonium salt catalyzed multicomponent transformation: simple route to functionalized spirochromenes and spiroacridines**

pp 9443–9447

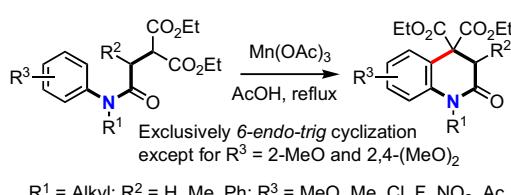
Minoo Dabiri\*, Mahboobeh Bahramnejad, Mostafa Baghbanzadeh



**Manganese(III)-mediated facile synthesis of 3,4-dihydro-2(1*H*)-quinolinones: selectivity of the 6-*endo* and 5-exo cyclization**

pp 9448–9459

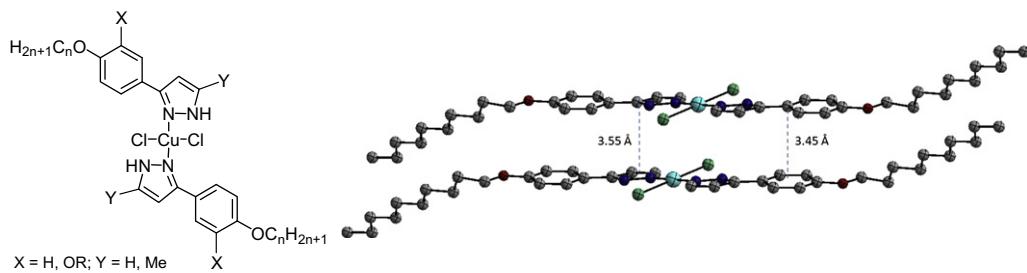
Takuma Tsubasaki, Hiroshi Nishino\*



**Calamitic metallomesogens derived from unsymmetric pyrazoles**

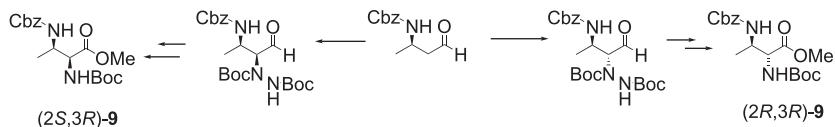
pp 9460–9467

Min-Chou Chen, Shih-Chieh Lee, Chia-Chung Ho, Tarng-Shiang Hu, Gene-Hsiang Lee, Chung K. Lai\*

**Reagent-controlled diastereoselective synthesis of (2S,3R)- and (2R,3R)-2,3-diaminobutanoic acid derivatives using proline-catalyzed  $\alpha$ -hydrazination reaction**

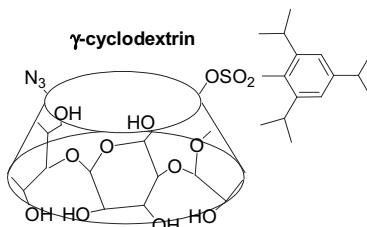
pp 9468–9473

Kazuishi Makino, Sayaka Kubota, Sousuke Hara, Masaru Sakaguchi, Akinari Hamajima, Yasumasa Hamada\*

 **$\gamma$ -Cyclodextrins possessing an azido group and a triisopropylbenzenesulfonyl group as useful synthetic and authentic intermediates for unsymmetrically functionalized derivatives**

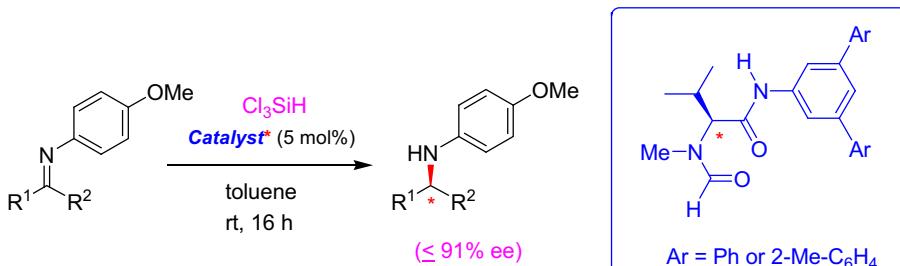
pp 9474–9480

Yoshihide Himeno, Atsushi Miyagawa, Masao Kawai, Hatsuo Yamamura\*

**New organocatalysts for the asymmetric reduction of imines with trichlorosilane**

pp 9481–9486

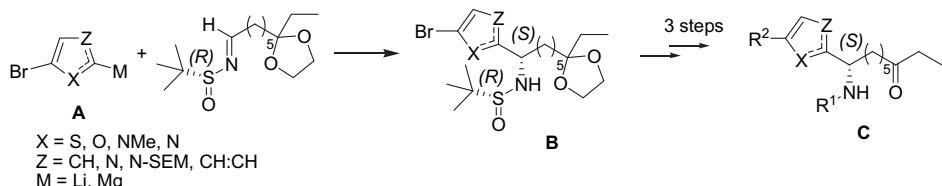
Andrei V. Malkov\*, Kvetoslava Vranková, Ralph C. Sigerson, Sigitas Stončius, Pavel Kočovský\*



**A general approach to homochiral  $\alpha$ -amino substituted bromo-heteroaromatics suitable for two-dimensional rapid analogue synthesis**

pp 9487–9493

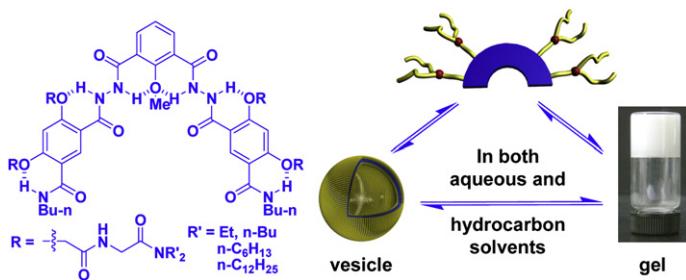
Carsten Schultz-Fademrecht, Olaf Kinzel\*, István E. Markó, Tomas Pospisil, Silvia Pesci, Michael Rowley, Philip Jones



**Hydrogen bonded aromatic hydrazide foldamers for the self-assembly of vesicles and gels**

pp 9494–9504

Li-Yan You, Gui-Tao Wang, Xi-Kui Jiang, Zhan-Ting Li\*



**OTHER CONTENT**

**Corrigendum**

p 9505

\*Corresponding author

(i+) Supplementary data available via ScienceDirect

**COVER**

The cover image shows the glycoprotein hormone erythropoietin set against a backdrop of red blood cells. Oligosaccharide and peptide building blocks are assembled through chemical synthesis to provide advanced glycopolyptide structures for our studies towards the synthesis of homogeneous erythropoietin.

The cover figure was designed by Tony J. Riley of MSKCC.

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