

**Tetrahedron Letters Vol. 49, No. 42, 2008**

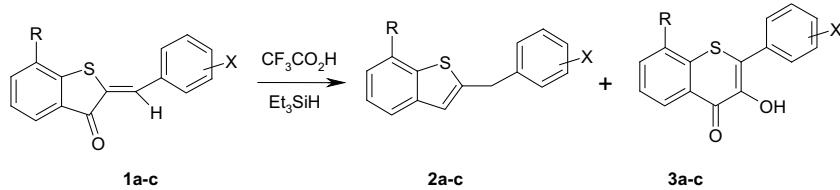
**Contents**

**COMMUNICATIONS**

**An unexpected triethylsilane-triggered rearrangement of thioaurones to thioflavanols under SPPS conditions**

Miranda Varedian, Vratislav Langer, Jonas Bergquist, Adolf Gogoll \*

pp 6033–6035



**a**, R = COOH, X = H; **b**, R = COOH, X = *p*-CH<sub>2</sub>NHBoc;  
**c**, R = CO-Ala, X = *p*-CH<sub>2</sub>NH-Val-OAc

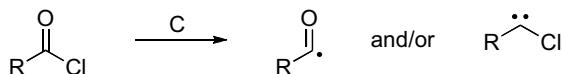
Thioaurones are converted to a mixture of thiindenes and thioflavanols when exposed to reaction conditions employed in SPPS, that is, treatment with trifluoroacetic acid in the presence of triethylsilane.



**Reactions of atomic carbon with acyl chlorides**

Daniel B. Herrick, Dasan M. Thamattoor \*, Philip B. Shevlin \*

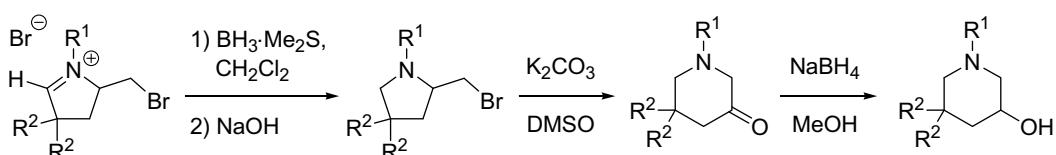
pp 6036–6038



**Reduction of 5-(bromomethyl)-1-pyrrolinium bromides to 2-(bromomethyl)pyrrolidines and their transformation into piperidin-3-ones through an unprecedented ring expansion-oxidation protocol**

Matthias D'hooghe, Jan Baele, Jan Contreras, Mark Boelens, Norbert De Kimpe \*

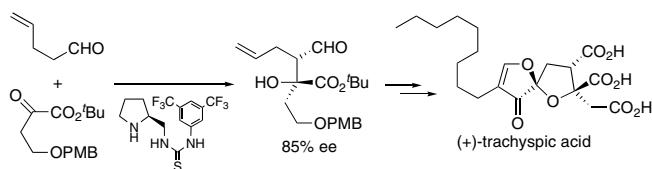
pp 6039–6042



**Asymmetric synthesis of (+)-trachyspic acid**

pp 6043–6045

Kenji Morokuma, Yuko Taira, Yumiko Uehara, Setsuya Shibahara, Keisuke Takahashi, Jun Ishihara, Susumi Hatakeyama \*

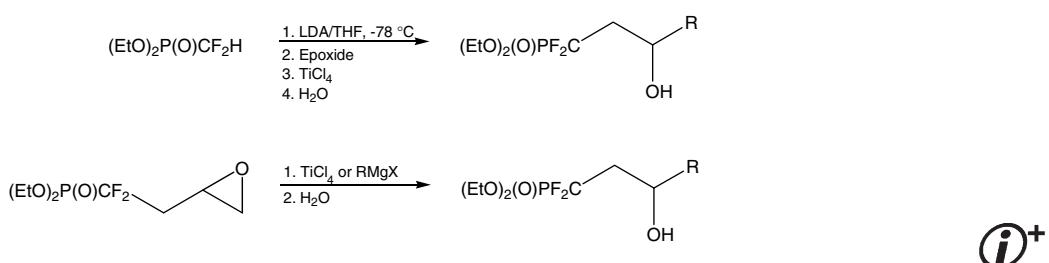


A total synthesis of (+)-trachyspic acid, a tumor cell heparanase, was accomplished starting with enantioselective organocatalytic aldol reaction of di-*tert*-butyl 4-(4-methoxybenzyl) 2-oxobutanoate with pent-4-enal.

**TiCl<sub>4</sub> and Grignard reagent-promoted ring-opening reactions of various epoxides: synthesis of  $\gamma$ -hydroxy- $\alpha,\alpha$ -difluoromethylenephosphonates**

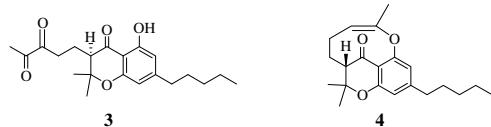
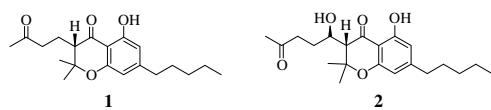
pp 6046–6049

Romana Pajkert, Alexander A. Kolomeitsev, Magdalena Milewska, Gerd-Volker Röschenthaler \*, Henryk Koroniak \*

**Structure determination and absolute configuration of cannabichromanone derivatives from high potency Cannabis sativa**

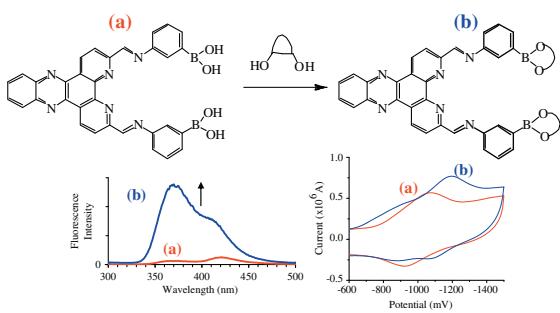
pp 6050–6053

Safwat A. Ahmed, Samir A. Ross \*, Desmond Slade, Mohamed M. Radwan, Ikhlas A. Khan, Mahmoud A. ElSohly \*

**Dual optical and electrochemical saccharide detection based on a dipyrido[3,2-a:2'3'-c]phenazine (DPPZ) ligand**

pp 6054–6057

Daniel S. Beaudoin, Sherine O. Obare \*

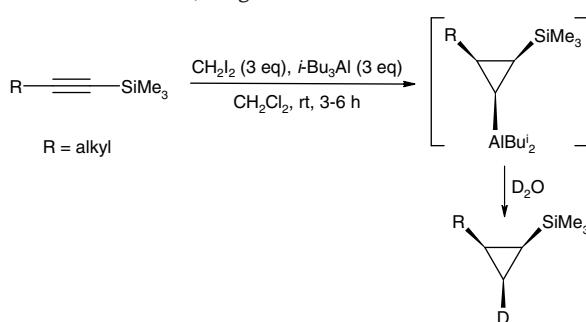


**Diastereoselective conversion of alkynyl(trimethyl)silanes into substituted cyclopropanes affected by the *i*-Bu<sub>3</sub>Al-CH<sub>2</sub>I<sub>2</sub> reagent**

pp 6058–6060

Ilfir R. Ramazanov \*, Leisan K. Dil'mukhametova, Leonard M. Khalilov, Usein M. Dzhemilev, Oleg M. Nefedov

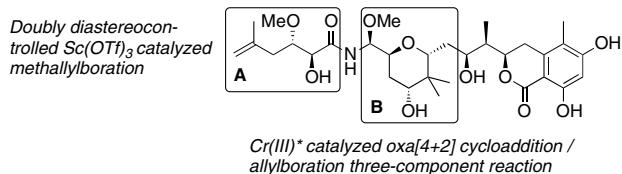
A highly convenient and diastereoselective method for the synthesis of cyclopropylaluminums has been described.



**Synthetic studies toward the pyran core and the amide side chain of psymberin**

pp 6061–6064

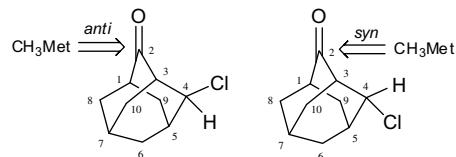
Hugo Lachance, Olivier Marion, Dennis G. Hall \*



**Substituent stereochemistry effects on diastereoselective methylation reaction of 4-chloroadamantan-2-ones**

pp 6065–6067

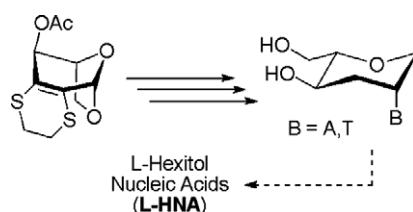
Luciano Barboni, Antonello Filippi \*, Caterina Fraschetti, Sandra Giuli, Mauro Marcolini, Enrico Marcantoni \*



**De novo approach to L-anhydrohexitol nucleosides as building blocks for the synthesis of L-hexitol nucleic acids (L-HNA)**

pp 6068–6070

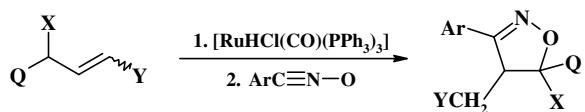
Daniele D'Alonzo, Annalisa Guaragna \*, Arthur Van Aerschot, Piet Herdewijn, Giovanni Palumbo



**Convenient synthesis of isoxazolines via tandem isomerization of allyl compounds to vinylic derivatives and 1,3-dipolar cycloaddition of nitrile oxides to the vinylic compounds**

pp 6071–6074

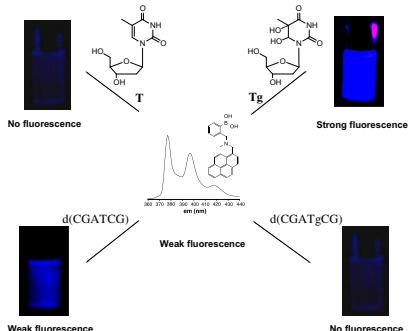
Stanisław Krompiec \*, Piotr Bujak, Wojciech Szczepankiewicz

Q = RO, RS, R<sub>2</sub>N and others (R = alkyl and aryl); X, Y = H, R, RO; Ar = 2,6-dichlorophenyl, 2,4,6-trimethylphenyl, 2,4,6-trimethoxyphenyl, 4-chlorophenyl.

**Boronic acid-based fluorescent receptors for selective recognition of thymine glycol**

pp 6075–6078

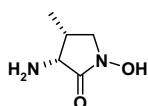
Delphine Luvino, Didier Gasparutto, Sandra Reynaud, Michael Smietana \*, Jean-Jacques Vasseur \*



**A short and efficient synthesis of the NMDA glycine site antagonist: (3*R*,4*R*)-3-amino-1-hydroxy-4-methyl pyrrolidin-2-one (**L-687,414**)**

pp 6079–6080

Emmanuel Pinard \*, Serge Burner, Philippe Cueni, François Montavon, Daniel Zimmerli

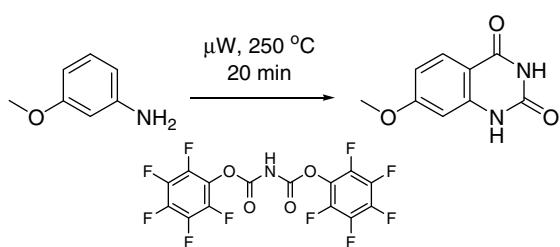


L-687,414

**A novel strategy for the synthesis of uracil derivatives using bis(pentafluorophenyl)imidodicarbonate**

pp 6081–6083

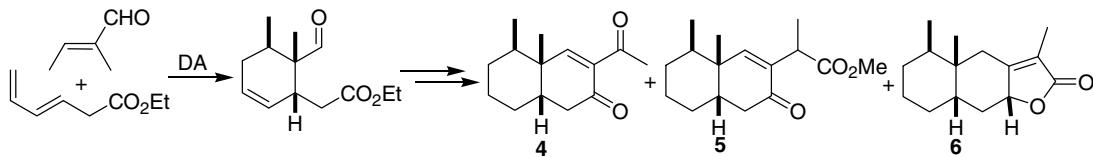
Stephanie M. Chichetti, Sean P. Ahearn, Alexey Rivkin \*



**A new route to eremophilanes: synthesis of ( $\pm$ )-eremophilenolide, ( $\pm$ )-eremophiledinone, and ( $\pm$ )-deoxyeremopetasidione**

pp 6084–6086

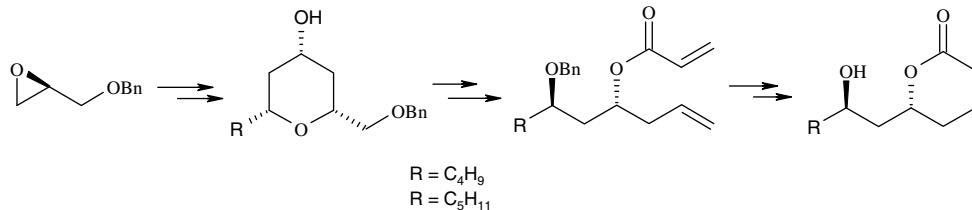
P. Srinivas, D. Srinivasa Reddy, K. Shiva Kumar, P. K. Dubey, Javed Iqbal, Parthasarathi Das \*



**Prins and RCM protocols for the synthesis of the pheromones of the giant white butterfly *Idea leuconoe***

pp 6087–6089

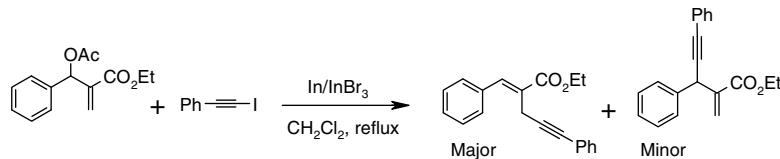
Gowravaram Sabitha \*, Narjis Fatima, E. Venkata Reddy, J. S. Yadav



**Indium-mediated alkynylation of Baylis–Hillman acetates: a novel route to 1,4-enynes**

pp 6090–6094

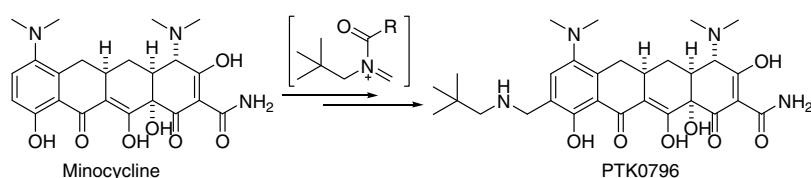
Jhillu S. Yadav \*, Basi V. Subba Reddy, Nagendra Nath Yadav, Ashutosh Pratap Singh, Madavi Choudhary, Ajit C. Kunwar



**Synthesis development of an aminomethylcycline antibiotic via an electronically tuned acyliminium Friedel–Crafts reaction**

pp 6095–6100

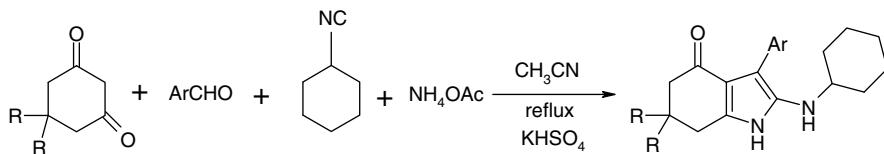
John Y. L. Chung \*, Frederick W. Hartner, Raymond J. Cvetovich



**A novel and facile synthesis of 2-(cyclohexylamino)-6,7-dihydro-3-aryl-1*H*-indole-4(5*H*)-ones via a one-pot multi-component reaction**

pp 6101–6103

Majid M. Heravi \*, Bita Baghernejad, Hossein A. Oskooie, Rahim. Hekmatshoar

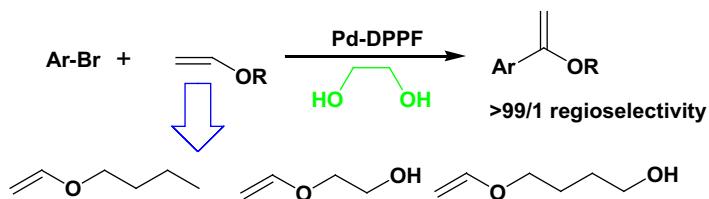


A highly efficient procedure for the synthesis of 2-(cyclohexylamino)-6,7-dihydro-3-aryl-1*H*-indole-4(5*H*)-ones via a one-pot multi-component reaction of cyclohexylisocyanide, an aldehyde, a 1,3-dicarbonyl compound, and ammonium acetate using a catalytic amount of  $\text{KHSO}_4$  in good yields is described.

**Palladium-catalyzed regiocontrolled internal heteroarylation of electron-rich olefins with heteroaryl halides**

pp 6104–6107

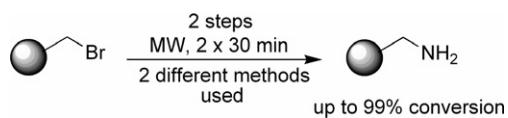
Dan Xu, Zhihua Liu, Weijun Tang, Lijin Xu \*, Zeynab Hyder, Jianliang Xiao \*



**Rapid microwave-assisted preparation of amino-functionalized polymers**

pp 6108–6110

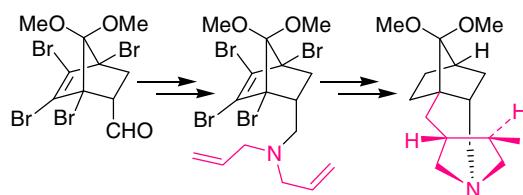
Natalie Ljungdahl \*, Laura Martikainen, Nina Kann \*



**A stereoselective  $\text{C}^{7\text{n}}\text{C}^{5\text{x}}$  free-radical cascade route to optically pure and potentially useful tetracyclic amines**

pp 6111–6114

Faiz Ahmed Khan \*, Sarasij K. Upadhyay

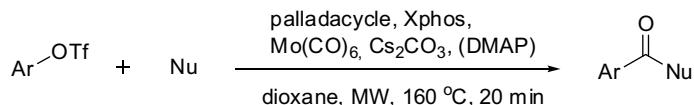


Racemic and optically pure nucleophilic amines have been synthesized utilizing  $\text{C}^{7\text{n}}\text{C}^{5\text{x}}$  free-radical cascade reaction using a bis-allyl amine starting material. Bis-allyl amide under similar radical reaction condition resulted in  $\text{C}^{7\text{n}}$  cyclized product.

**Microwave-promoted aminocarbonylation of aryl triflates using Mo(CO)<sub>6</sub> as a solid CO source**

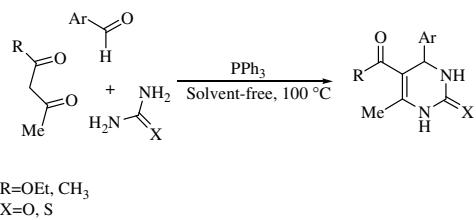
pp 6115–6118

Luke R. Odell, Jonas Sävmarker, Mats Larhed \*

**A one-pot Biginelli synthesis of 3,4-dihydropyrimidin-2-(1*H*)-ones/thiones catalyzed by triphenylphosphine as Lewis base**

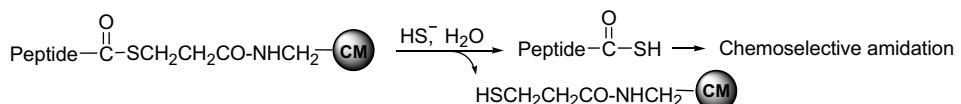
pp 6119–6121

Abdelmadjid Debaché \*, Mouna Amimour, Ali Belfaitah, Salah Rhouati, Bertrand Carboni

**Solid-phase synthesis of peptide thioacids through hydrothiolysis of resin-bound peptide thioesters**

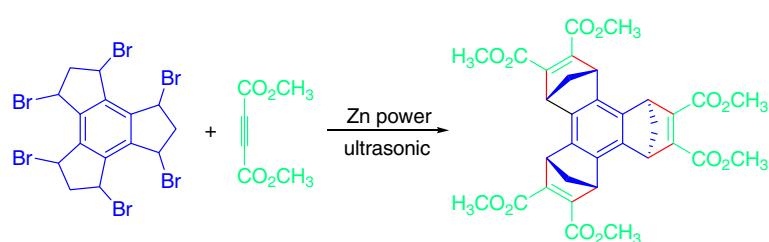
pp 6122–6125

Xiaohong Zhang, Xiao-Wei Lu, Chuan-Fa Liu \*

**A Diels–Alder approach to *trans*-trisbicyclo[2.2.1]heptabenzeno derivative**

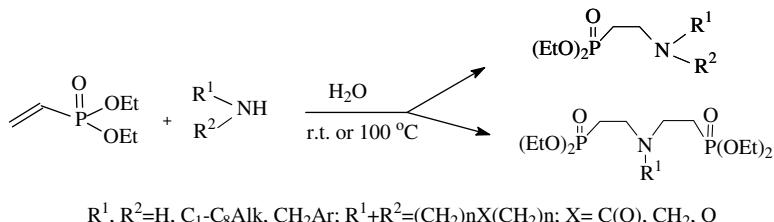
pp 6126–6128

Zhong-Qiang Gao, Jun-Fa Wei \*, Xian-Ying Shi, Jun Yu



**Efficient synthesis of racemic  $\beta$ -aminophosphonates via aza-Michael reaction in water**  
Ekaterina V. Matveeva, Pavel V. Petrovskii, Irina L. Odinets \*

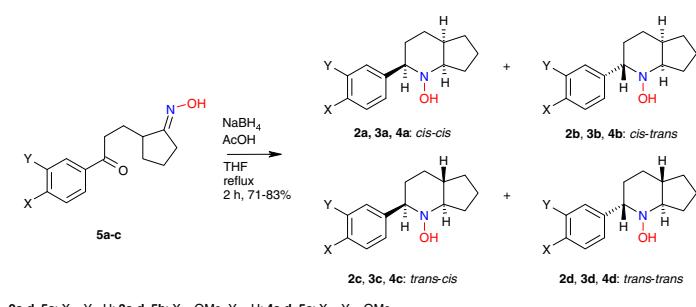
pp 6129–6133



Remarkable rate acceleration is reported for the aza-Michael reaction of diethyl vinylphosphonate with amines in water to yield  $\beta$ -aminophosphonates in quantitative yields.

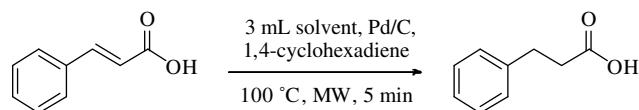
**Synthesis and stereochemistry of 2-arylperhydrocyclopenta[b]pyridin-1-ols, 8-azaestrone fragments**  
H. Surya Prakash Rao \*, Shaik Rafi

pp 6134–6136



**1,4-Cyclohexadiene with Pd/C as a rapid, safe transfer hydrogenation system with microwave heating**  
John F. Quinn \*, Dana A. Razzano, Kathryn C. Golden, Brian T. Gregg

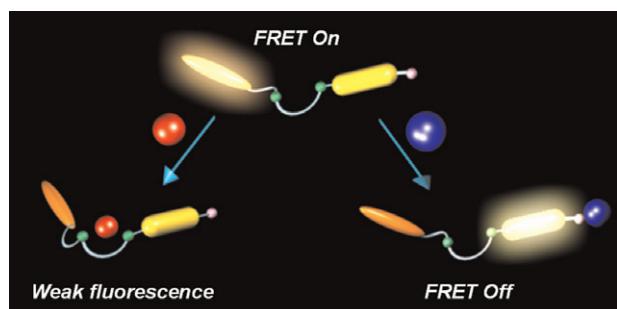
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**Metal ion-induced FRET modulation in a bifluorophore system**

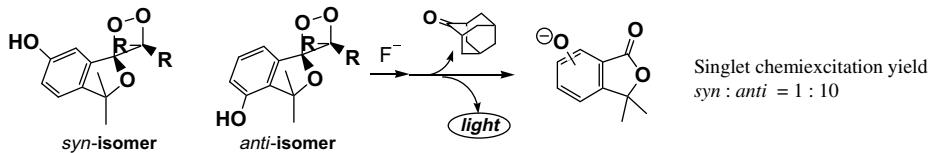
pp 6141–6144

Su Yeon Lee, Hyun Jung Kim, Jia-Sheng Wu, Kwanghyun No \*, Jong Seung Kim \*



**Marked difference in singlet-chemiexcitation efficiency between *syn-anti* isomers of spiro[1,2-dioxetane-3,1'-dihydroisobenzofuran] for intramolecular charge-transfer-induced decomposition**

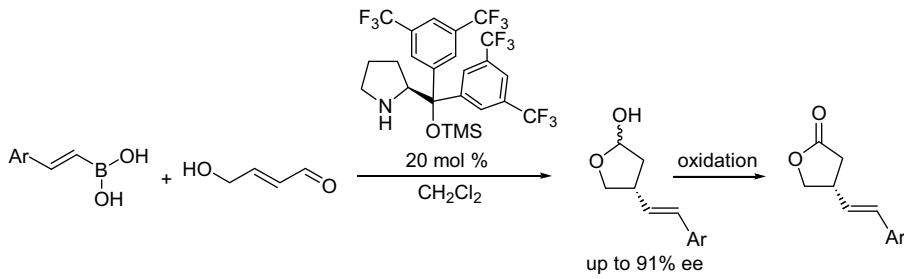
pp 6145–6147

Masakatsu Matsumoto <sup>\*</sup>, Yuka Takamido, Kana Nomura, Tamaki Shiono, Nobuko Watanabe, Hisako K. Ijuin

**Organocatalytic asymmetric 1,4-addition of organoboronic acids to  $\gamma$ -hydroxy  $\alpha,\beta$ -unsaturated aldehyde: facile synthesis of chiral  $\beta$ -substituted  $\gamma$ -lactones**

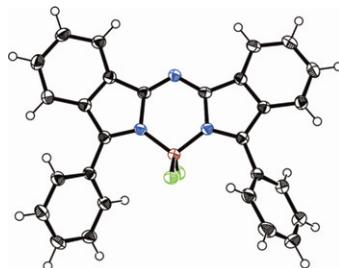
pp 6148–6151

Sung-Gon Kim



**Synthesis of *N,N*-difluoroboryl complexes of 3,3'-diarylazadiisoindolylmethenes**

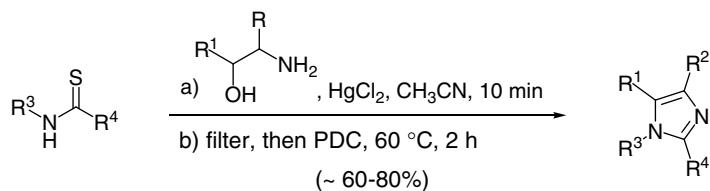
pp 6152–6154

Valentina F. Donyagina, Soji Shimizu, Nagao Kobayashi <sup>\*</sup>, Evgeny A. Lukyanets <sup>\*</sup>

*N,N*-Difluoroboryl complexes of 3,3'-diarylazadiisoindolylmethenes were synthesized by the reaction of  $\text{BF}_3\text{-OEt}_2$  and 3,3'-diarylazadiisoindolylmethenes, which were easily prepared from a reaction between phthalonitrile and aryl Grignard reagents. These novel dyes exhibit strong absorption in the visible region and intense fluorescence in the vis/NIR region. Their synthesis, characterization, and optical properties are reported in this Letter.

**Synthesis of tri- and tetrasubstituted imidazoles**

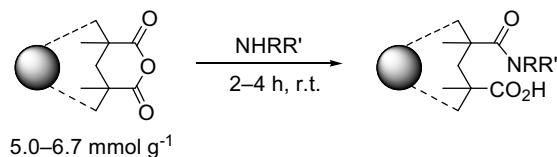
pp 6155–6159

Daniel V. Paone <sup>\*</sup>, Anthony W. Shaw

**A macroporous polymer-supported cyclic anhydride for efficient sequestration of amines**

pp 6160–6162

Monica Sanna, Peter D. White, Weng C. Chan \*



\*Corresponding author

Supplementary data available via ScienceDirect

**COVER**

An asymmetric total synthesis of (+)-trachyspic acid, a tumor cell heparanase inhibitor, has been accomplished via organocatalytic aldol reaction of a  $\alpha$ -keto ester with an aldehyde to construct the alkyl citrate core and Nozaki-Hiyama-Kishi coupling to install the long chain moiety.

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