

Tetrahedron Letters Vol. 50, No. 27, 2009

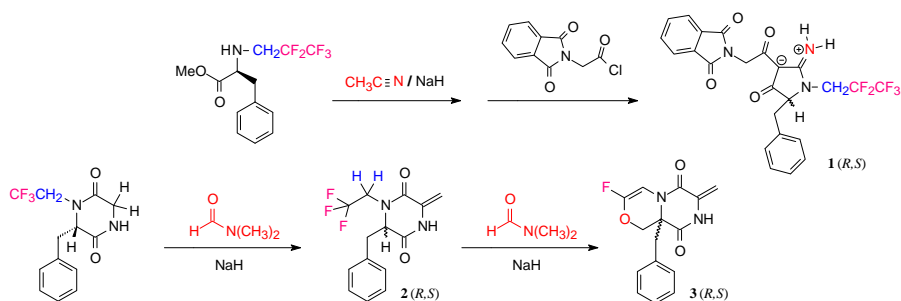
Contents

COMMUNICATIONS

Conjugation and cyclization—two strong driving forces leading to the formation of new chromophores

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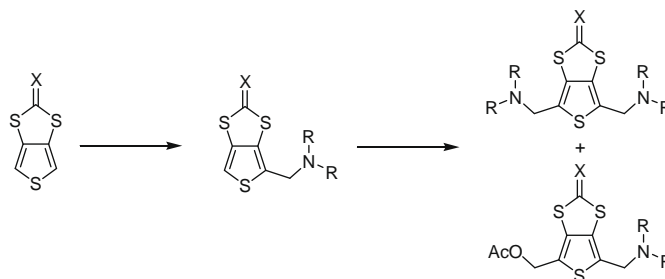
Darryl D. DesMarteau*, Changqing Lu, Don Vanderveer



Mannich reactions of annulated thiophene derivatives

pp 3746–3749

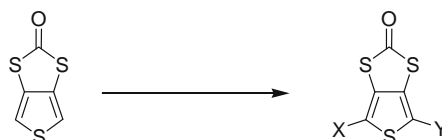
Xin Chen, Ronald L. Elsenbaumer*



Synthesis of thieno[3,4-d]-1,3-dithiol-2-one derivatives

pp 3750–3752

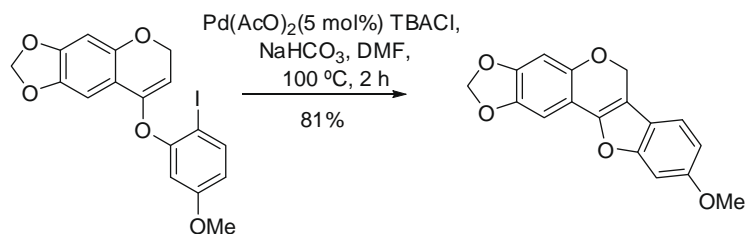
Xin Chen, Ronald L. Elsenbaumer*



Synthesis of 5-deoxypterocarpens, pterocarpens, and coumestans by intramolecular Heck reaction

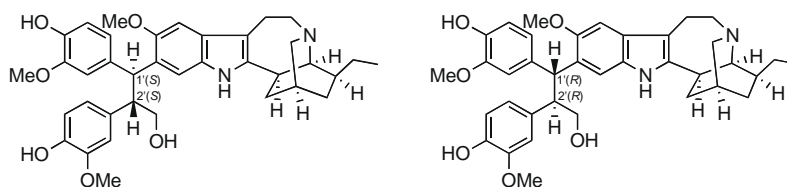
pp 3753–3755

Danilo P. Sant'Ana, Vagner D. Pinho, Marta C. L. S. Maior, Paulo R. R. Costa *


Conoliferine and isoconoliferine, structurally novel alkaloid-lignan conjugates from *Tabernaemontana corymbosa*

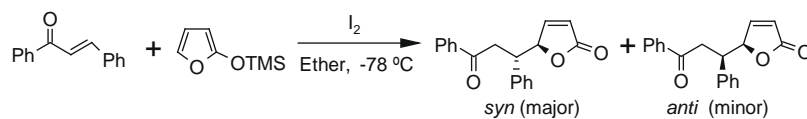
pp 3756–3759

Kuan-Hon Lim, Toh-Seok Kam *


Iodine-catalyzed 1,4-addition of 2-(trimethylsilyloxy)furan to α,β -unsaturated ketones: a facile synthesis of γ -butenolides

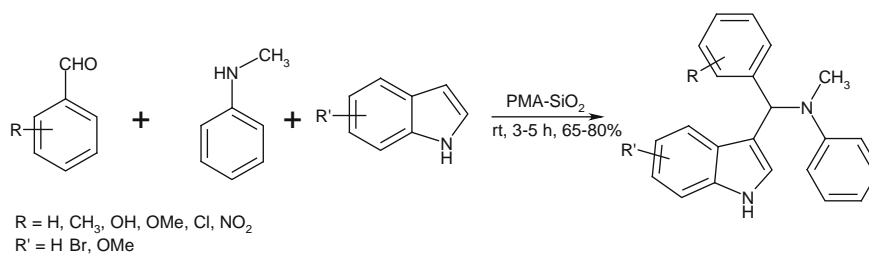
pp 3760–3762

J. S. Yadav *, B. V. Subba Reddy, G. Narasimhulu, N. Sivasankar Reddy, P. Janardhan Reddy


One-pot three-component coupling reaction: solvent-free synthesis of novel 3-substituted indoles catalyzed by PMA-SiO₂

pp 3763–3766

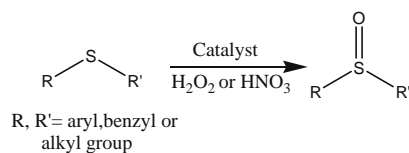
P. Srihari *, Vinay K. Singh, Dinesh C. Bhunia, J. S. Yadav



Chemoselective sulfoxidation by H₂O₂ or HNO₃ using a phosphate impregnated titania catalyst

pp 3767–3771

Saitanya K. Bharadwaj, Susanda N. Sharma, Sahid Hussain, Mihir K. Chaudhuri *

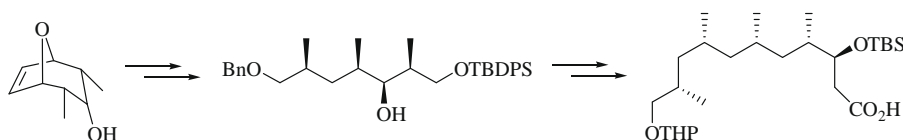


Various organic sulfides containing different oxidizable groups such as -OH, -CHO, and -CN have been chemoselectively oxidized to the corresponding sulfoxides separately by H₂O₂ or HNO₃ using a solid catalyst composed of 84.5% of TiO₂ and 15.5% of [Ti₄H₁₁(PO₄)₉]. nH₂O (n = 1–4).

Formal total synthesis of borrelidin: synthesis of C1–C11 fragment via desymmetrization strategy

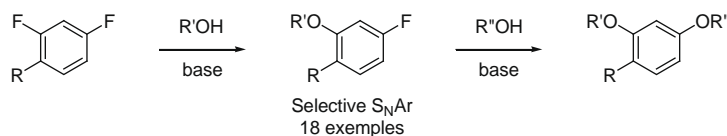
pp 3772–3775

J. S. Yadav *, Padmavani Bezawada, Venugopal Chenna

**Regioselective S_NAr reactions of substituted difluorobenzene derivatives: practical synthesis of fluoroaryl ethers and substituted resorcinols**

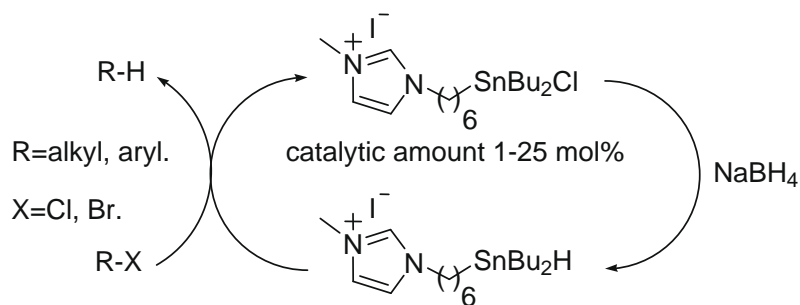
pp 3776–3779

Stéphane G. Ouellet *, Anna Bernardi, Remy Angelaud, Paul D. O'Shea

**Organotin reagents supported on ionic liquid: highly efficient catalytic free radical reduction of alkyl halides**

pp 3780–3782

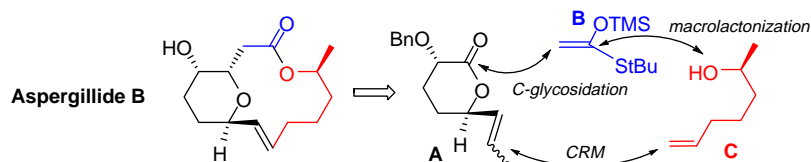
Phuoc Dien Pham, Stéphanie Legoupy *



Stereoselective synthesis of the cytotoxic macrolide aspergillide B

pp 3783–3785

Santiago Díaz-Oltra, César A. Angulo-Pachón, María N. Kneeteman, Juan Murga, Miguel Carda *, J. Alberto Marco *

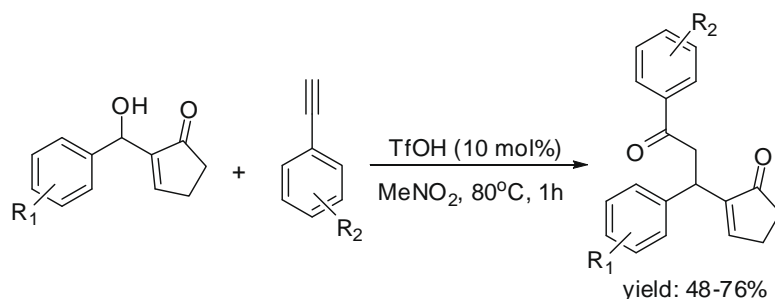


A stereoselective synthesis of the cytotoxic macrolide aspergillide B has been performed. The three key fragments **A**, **B** and **C** were connected with the aid of a cross metathesis (CRM), a Mukaiyama-type C-glycosidation and a macrolactonization.

TfOH-catalyzed allylation of alkynes with cyclic Baylis–Hillman alcohols

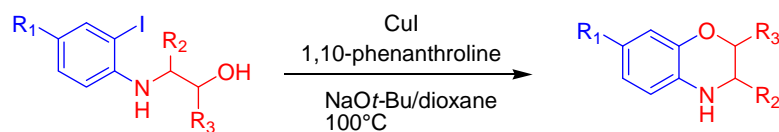
pp 3786–3789

Chen Wu, Li Liu *, Dong Wang, Yong-Jun Chen *

**Efficient synthesis of 2,3-dihydro-1,4-benzoxazines via intramolecular copper-catalyzed O-arylation**

pp 3790–3793

Zhangqin Liu, Yuanwei Chen *

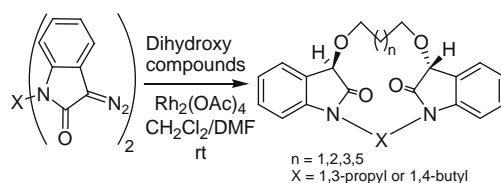


A highly efficient synthetic approach to 2,3-dihydro-1,4-benzoxazines is described. The method involves a mild intramolecular copper-catalyzed O-arylation of β aminoalcohol, which works well without N-protection of β aminoalcohol.

Double O–H insertion reactions of cyclic rhodium carbenoids: diastereoselective synthesis of macrocyclic oxindoles

pp 3794–3797

Sengodagounder Muthusamy *, Pandurangan Srinivasan

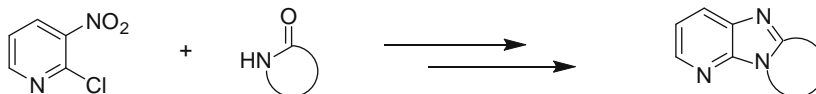


This facile double O–H insertion reaction protocol was successfully developed and applied to synthesize several C_2 -symmetric macrocycles having oxindole units incorporated with complete diastereoselectivity.

Rapid synthesis of imidazo[4,5-*b*]pyridine containing polycyclics by means of palladium-catalyzed amidation of 2-chloro-3-nitropyridine

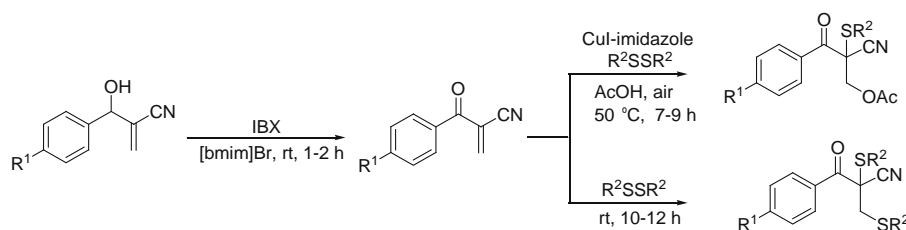
pp 3798–3800

Christophe Salomé, Martine Schmitt*, Jean-Jacques Bourguignon

**The first one-pot oxidative 1,2-acetoxysulfenylation and 1,2-disulfenylation of Baylis–Hillman alcohols in an ionic liquid**

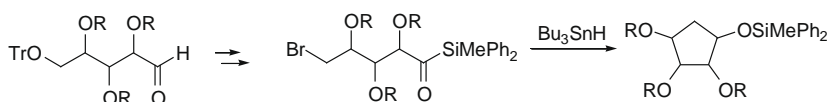
pp 3801–3804

Lal Dhar S. Yadav*, Chhama Awasthi

**The synthesis of carbohydrate-derived acylsilanes and their intramolecular free radical cyclizations with the formation of polyoxygenated cyclopentanes**

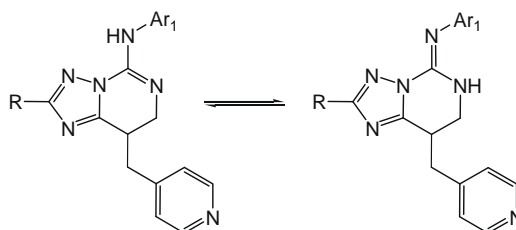
pp 3805–3808

Che-Chien Chang, Yu-Hsien Kuo, Yeun-Min Tsai*

**Design and chemical synthesis of [1,2,4]triazolo[1,5-*c*]pyrimidin-5-yl amines, a novel class of VEGFR-2 kinase inhibitors**

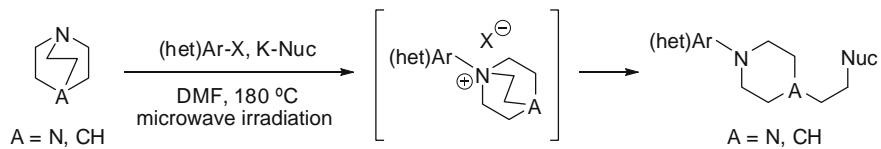
pp 3809–3812

Alexander S. Kiselyov*, Eugene L. Piatnitski Chekler, Natalia B. Chernisheva, Lev K. Salamandra, Victor V. Semenov

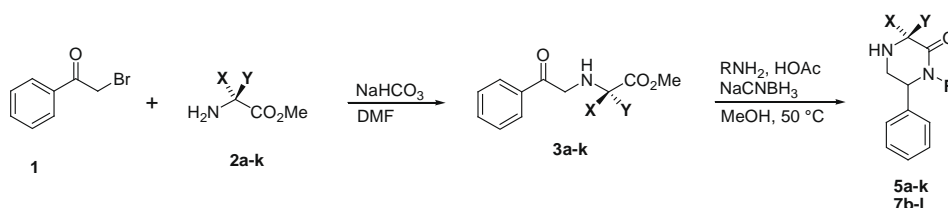


Efficient microwave-assisted three-component one-pot preparation of 1-aryl-4-(2-acetoxyethyl)piperazines and 1-aryl-4-(2-acetoxyethyl)piperidines

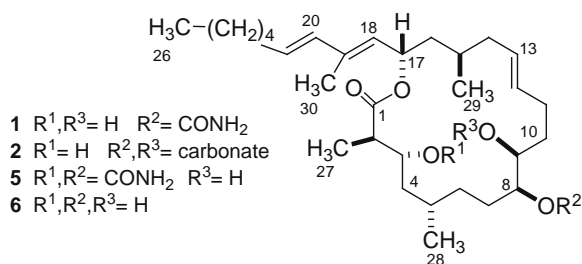
pp 3813–3816

S. Gabrielle Gladstone, William G. Earley ^{*}, Jared K. Acker, Gregory S. Martin ^{*}
Convenient synthesis of 1,3-substituted-6-phenylpiperazin-2-ones

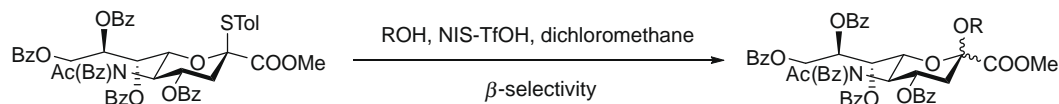
pp 3817–3819

Steven N. Gallicchio ^{*}, Ian M. Bell
Tularins A, B, and C; structures and absolute configurations

pp 3820–3822

Ashgan Bishara, Amira Rudi, Israel Goldberg, Maurice Aknin, Yoel Kashman ^{*}
Sialylation reactions with *N,N*-acetyl, benzoyl-*O*-perbenzoyl-protected sialyl donor

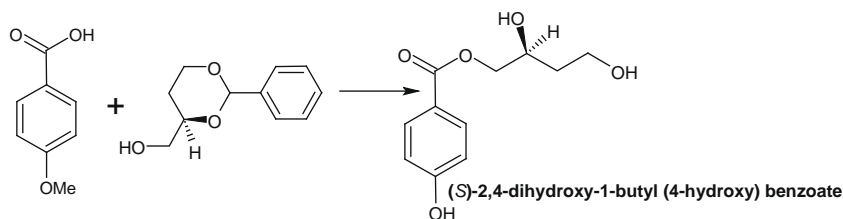
pp 3823–3826

Yue Wang, Xin-Shan Ye ^{*}

The total synthesis of (S)-2,4-dihydroxy-1-butyl (4-hydroxyl) benzoate

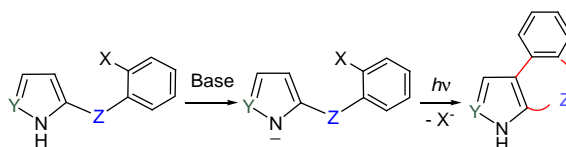
pp 3827–3828

Joel Seagren, Atanas Radkov, Samuel David *

**Synthesis of novel fused azaheterocycles by photostimulated intramolecular $S_{RN}1$ reactions with nitrogen nucleophiles**

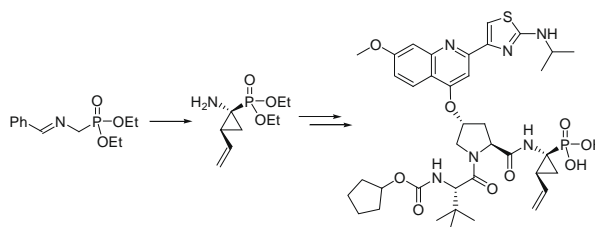
pp 3829–3832

Victoria A. Vaillard, María E. Budén, Sandra E. Martín *, Roberto A. Rossi *

**Synthesis and resolution of diethyl (1S,2S)-1-amino-2-vinylcyclopropane-1-phosphonate for HCV NS3 protease inhibitors**

pp 3833–3835

Hyung-Jung Pyun *, Kleem Chaudhary, John R. Somoza, X. Christopher Sheng, Choung U. Kim

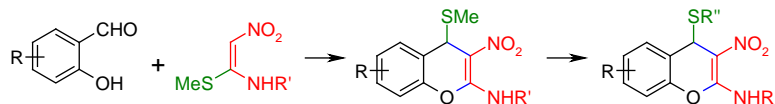


The synthesis and resolution of a phosphonate analog of (1R,2S)-1-amino-2-vinylcyclopropane-1-carboxylic acid are described. This fragment was then used in the synthesis of a potent tripeptide HCV NS3 protease inhibitor. X-ray crystal structure of the enzyme–inhibitor complex confirmed the absolute stereochemistry.

Nitroketene acetal chemistry: efficient synthesis of 2-amino-3-nitro-4H-chromenes

pp 3836–3839

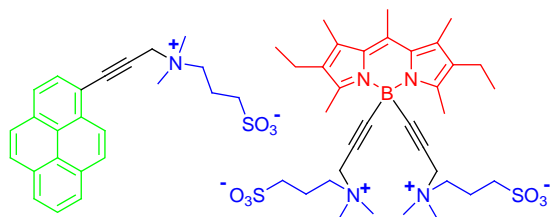
H. Surya Prakash Rao *, K. Geetha



New insights into the solubilization of Bodipy dyes

pp 3840–3844

Song-Lin Niu, Gilles Ulrich*, Pascal Retailleau, Jack Harrowfield, Raymond Ziessel*

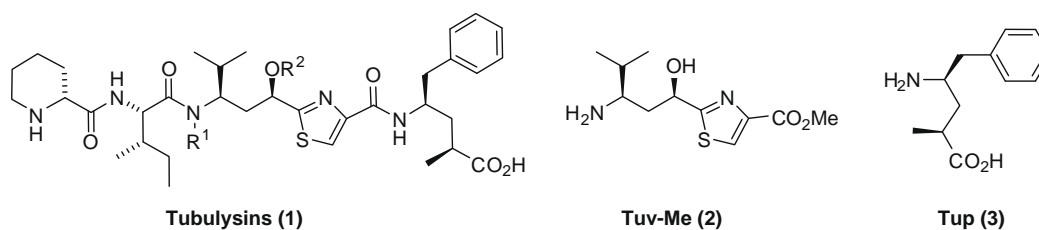


Water-soluble pyrene and boron dipyrromethene dyes have been engineered and their fluorescence properties investigated.

Stereoselective synthesis of tubuvaline methyl ester and tubuphenylalanine, components of tubulysins, tubulin polymerization inhibitors

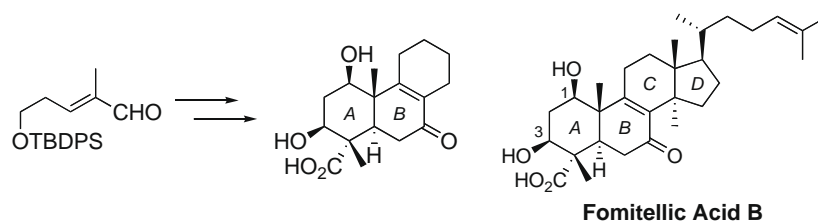
pp 3845–3848

Taku Shibue, Toshihiro Hirai, Iwao Okamoto, Nobuyoshi Morita, Hyuma Masu, Isao Azumaya, Osamu Tamura*

**Synthetic study of fomitelic acids: construction of the AB ring moiety**

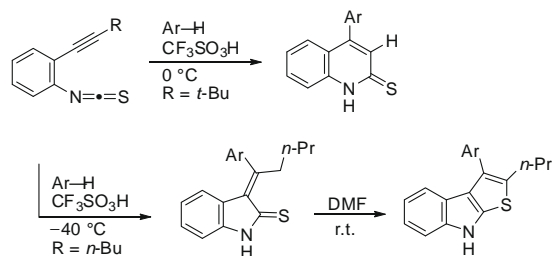
pp 3849–3852

Makoto Yamaoka, Yuichi Fukatsu, Atsuo Nakazaki, Susumu Kobayashi*

**TfOH-promoted transformation from 2-alkynylphenyl isothiocyanates to quinoline-2-thiones or indoles**

pp 3853–3856

Takashi Otani*, Shinichi Kunimatsu, Taku Takahashi, Hiroshi Nihei, Takao Saito*

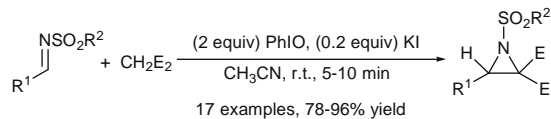


A variety of 4-arylquinoline-2-thiones and 3-arylthieno[2,3-b]indoles were synthesized in high yields via TfOH-promoted tandem Friedel–Crafts alkylation–cyclization reactions of 2-alkynylphenyl isothiocyanates.

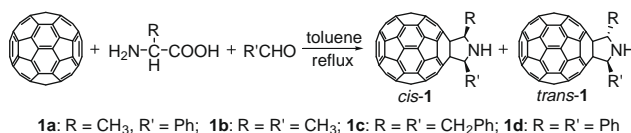


Facile iodine(III)-induced oxidative cycloaddition of *N*-sulfonyl imines with methylene compounds under neutral conditions

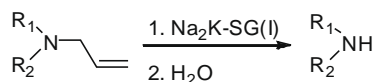
pp 3857–3859

Renhua Fan ^{*}, Linfei Wang, Yang Ye, Jin Zhang***N*-Unsubstituted fulleropyrrolidine derivatives: reinvestigation, structural reassignment and new insight**

pp 3860–3863

Guan-Wu Wang ^{*}, Zhi-Guo Tian**Reductive amine deallyl- and debenzylation with alkali metal in Silica Gel (M-SG)**

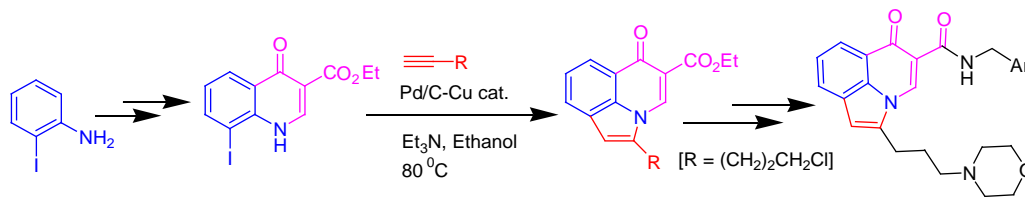
pp 3864–3866

Partha Nandi, James L. Dye, James E. Jackson ^{*}

Alkali metals in silica gel (the M-SG materials) are effective reagents for reductive deallylation, debenzylation, debenzhydrylation, and detrylation of amines. As such, these reagents provide a convenient alternative to traditional metal ammonia solutions for this class of deprotections.

**Pd/C–Cu in coupling-cyclization process: a general synthesis of 2-substituted 6-oxopyrrolo[3,2,1-*ij*]quinoline derivatives**

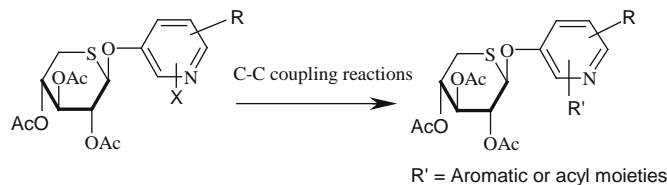
pp 3867–3871

Mohosin Layek, Vikas Gajare, Dipak Kalita, Aminul Islam, K. Mukkanti, Manojit Pal ^{*}

Palladium-catalyzed C–C coupling: efficient preparation of new 5-thio- β -D-xylopyranosides as oral venous antithrombotic drugs

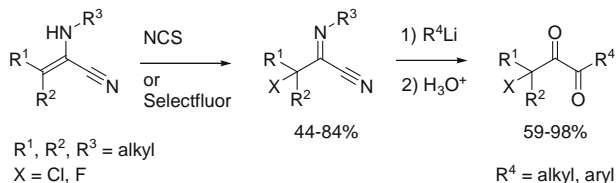
pp 3872–3876

M. Bondoux, L. Mignon, K. Ou, P. Renaut, D. Thomas, V. Barberousse *

Suzuki and Stille coupling reactions were first used to prepare derivatives of pyridinyl 5-thio- β -D-xylopyranosides.
Regiospecific synthesis of α -chloro- and α -fluoro-1,2-diones

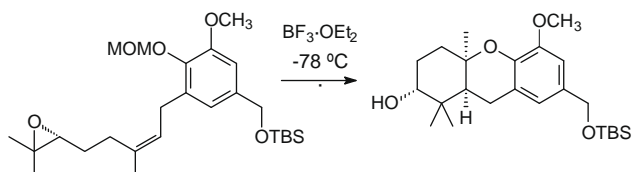
pp 3877–3880

Riccardo Surmont, Bart De Corte, Norbert De Kimpe *


Synthesis of the cis-fused hexahydroxanthene system via cationic cascade cyclization

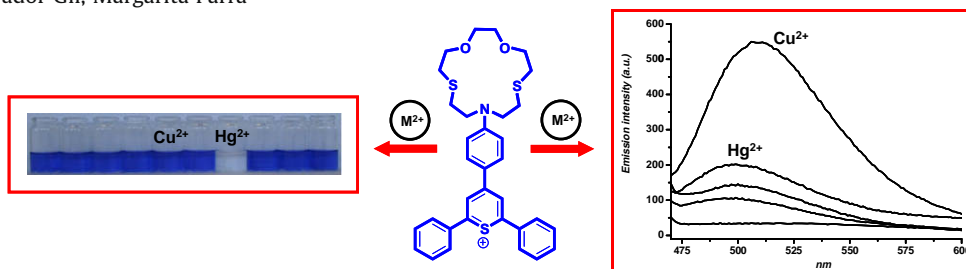
pp 3881–3884

Jeffrey D. Neighbors, Joseph J. Topczewski, Dale C. Swenson, David F. Wiemer *


Hg²⁺ and Cu²⁺ selective detection using a dual channel receptor based on thiopyrylium scaffoldings

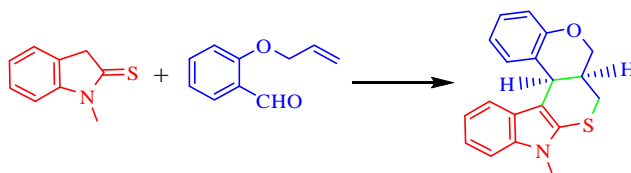
pp 3885–3888

Tatiana Ábalos, Diego Jiménez, Ramón Martínez-Mañez *, Jose Vicente Ros-Lis, Santiago Royo, Félix Sancenón *, Juan Soto, Ana M. Costero, Salvador Gil, Margarita Parra

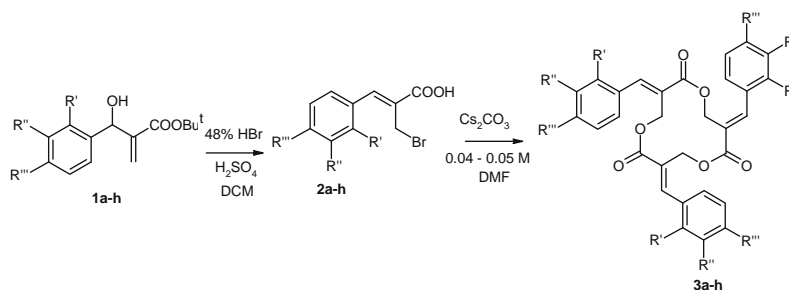
2,4,6-Triphenylthiopyrylium functionalized with an aza-oxa-thia macrocycle is able to selectively recognize Hg²⁺ cation by a color change and Cu²⁺ cation by a remarkable significant emission enhancement.

Domino-Knoevenagel-hetero-Diels–Alder reactions: an efficient one-step synthesis of indole-annulated thiopyranobenzopyran derivatives

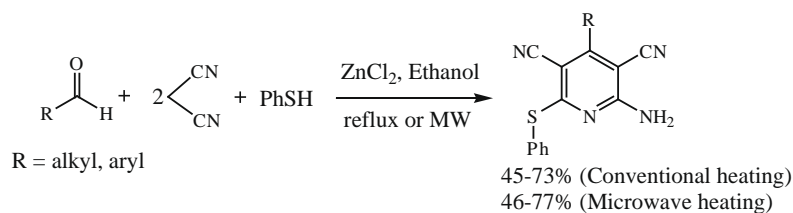
pp 3889–3891

K. C. Majumdar ^{*}, Abu Taher, Krishanu Ray**Synthesis and cyclo-oligomerization of 2-(bromomethyl)-3-aryl-2-propenoic acid derivatives**

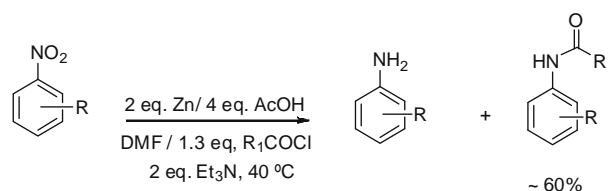
pp 3892–3896

Yusuf Zulykama, Paramasivan T. Perumal ^{*}**Novel ZnCl₂-catalyzed one-pot multicomponent synthesis of 2-amino-3,5-dicarbonitrile-6-thio-pyridines**

pp 3897–3900

Madabhushi Sridhar ^{*}, Beeram C. Ramanaiah, Chinthala Narsaiah, Bellam Mahesh, Mudam Kumaraswamy, Kishore K. R. Mallu, Vishnu M. Ankathi, Pamulaparty Shanthan Rao**Reductive amidation of nitroarenes: a practical approach for the amidation of natural products**

pp 3901–3904

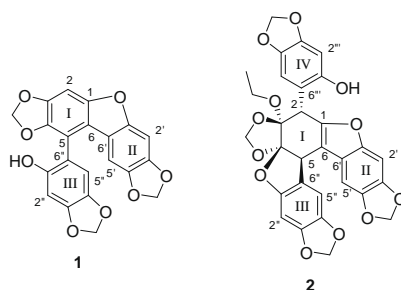
Amir E. Wahba, Jiangnan Peng, Mark T. Hamann ^{*}

A simple and practical approach for the one-pot conversion of nitroarenes into amide derivatives using zinc and acetic acid as a reducing agent, and acyl chloride and triethylamine as the acylating agent is described with an application to manzamine alkaloids.



Structures of cytotoxic products from Fe-catalyzed oxidation of sesamol in ethanol

pp 3905–3908

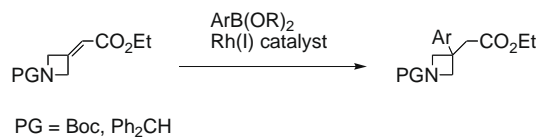
Toshiya Masuda ^{*}, Aya Fujimoto, Yasuo Oyama, Tomomi Maekawa, Yoshiaki Sone

Two cytotoxic oxidation products of sesamol, a potent antioxidant in sesame, was isolated. Their structures were determined to be a new sesamol trimer and tetramer.


The synthesis of 3-aryl-3-azetidinyl acetic acid esters by rhodium(I)-catalysed conjugate addition of organoboron reagents

pp 3909–3911

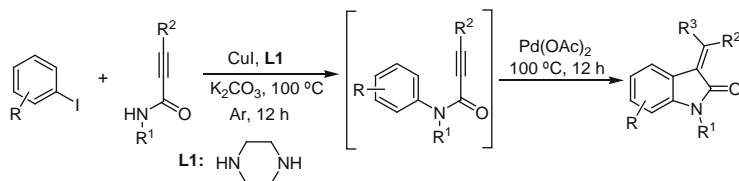
Philip N. Collier



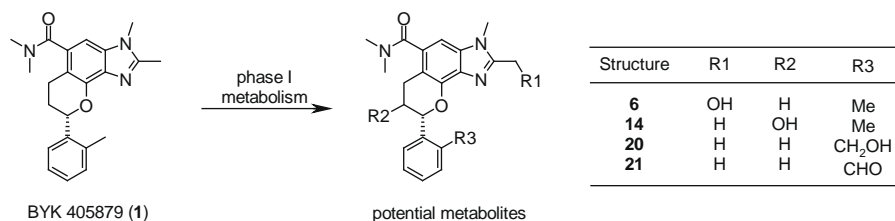
A practical route to 3-aryl-3-azetidinyl acetic acid esters is developed. The key step involves the rhodium(I)-catalysed conjugate addition of an organoboron reagent to an α,β -unsaturated alkene. Elaboration of one conjugate addition product to give a novel spiroazetidine ring system is also described.

Selective synthesis of 3-methyleneindolin-2-ones by one-pot multicatalytic processes

pp 3912–3916

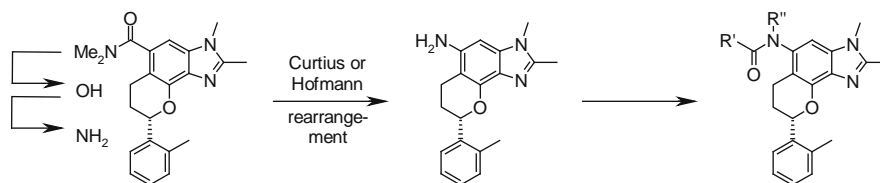
Ren-jie Song, Yu Liu, Rong-jiang Li, Jin-Heng Li ^{*}
Synthesis and pharmacological evaluation of potential metabolites of the potassium-competitive acid blocker BYK 405879

pp 3917–3919

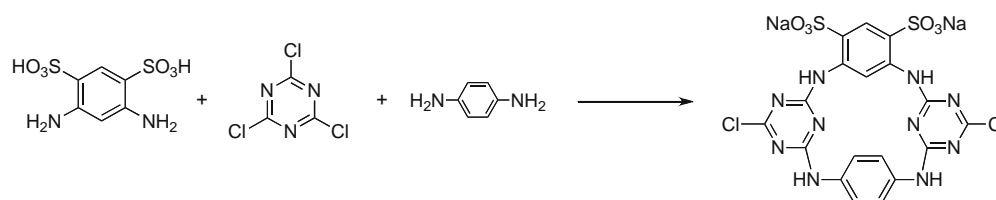
Andreas Marc Palmer ^{*}, Gabriela Münch, Burkhard Grobbel, Wolfgang Kromer

Synthesis and pharmacological evaluation of 5-carboxamide-substituted tetrahydrochromeno[7,8-d]imidazoles

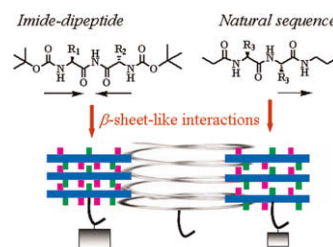
pp 3920–3922

Andreas Marc Palmer ^{*}, Gabriela Münch, Christian Scheufler, Wolfgang Kromer**Formation of water-soluble sulfonated azacalix[4]arenes from cyanuric chloride**

pp 3923–3925

Jonathan Clayden ^{*}, Stephen J. M. Rowbottom, Michael G. Hutchings, Warren J. Ebenezer**The imide-dipeptides that show strong and stable β -sheet-like interactions compared with natural sequence**

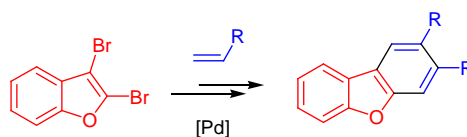
pp 3926–3928

Damei Ke, Chuanlang Zhan ^{*}, Xiao Li, Yaobing Wang, Alexander D. Q. Li, Jiannian Yao ^{*}

Solution behavior of two imide-dipeptides containing non-natural sequences shows that both of them have different H-bonding patterns from natural sequence in chloroform and possess strong and stable β -sheet-like interactions compared with the natural sequence.

Efficient synthesis of functionalized dibenzofurans by domino 'twofold Heck/6 π -electrocyclization' reactions of 2,3-di- and 2,3,5-tribromobenzofuran

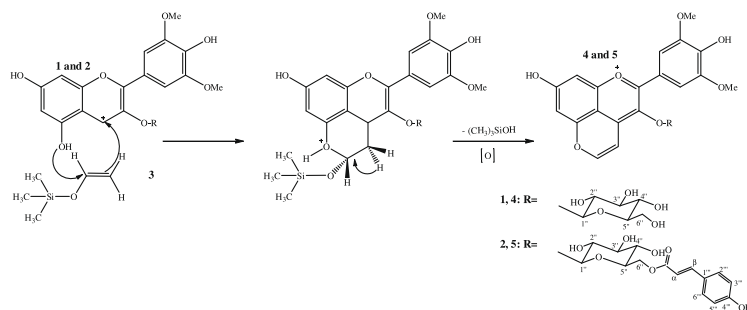
pp 3929–3932

Munawar Hussain, Nguyen Thai Hung, Peter Langer ^{*}

A novel synthetic pathway to vitisin B compounds

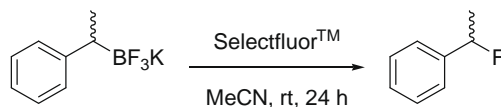
pp 3933–3935

Joana Oliveira, Victor de Freitas, Nuno Mateus *

**Metal-free electrophilic fluorination of alkyl trifluoroborates and boronic acids**

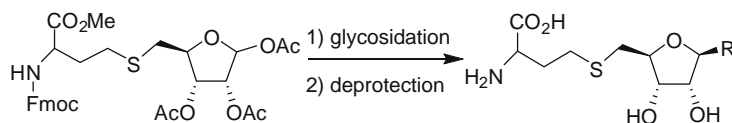
pp 3936–3938

Clément Cazorla, Estelle Méta, Bruno Andrioletti, Marc Lemaire *

**An efficient synthesis of base-substituted analogues of S-adenosyl-DL-homocysteine**

pp 3939–3941

David B. Llewellyn, Amal Wahhab *

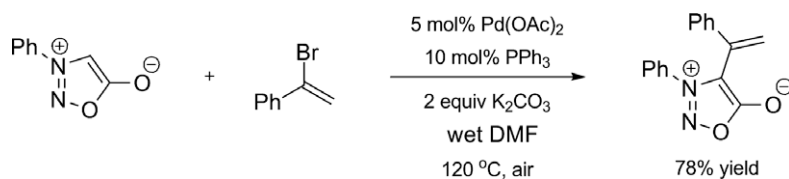


An efficient method for the preparation of base-substituted *S*-adenosyl-DL-homocysteine analogues as well as of 2-chloro-*N*⁶-alkylated *S*-adenosyl-DL-homocysteine analogues is described. The method uses a convergent strategy that employs a common intermediate late in the overall synthesis and allows small libraries of SAH analogues to be prepared in a relatively short period of time.

**Palladium-catalysed direct alkenylation of sydnone**

pp 3942–3944

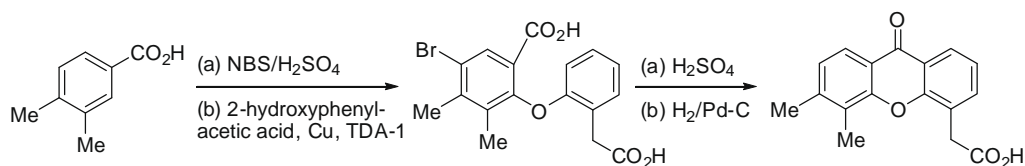
Arantxa Rodriguez, Rebecca V. Fennessy, Wesley J. Moran *



A new short synthesis of 5,6-dimethylxanthenone-4-acetic acid (ASA404, DMXAA)

pp 3945–3947

Shangjin Yang*, William A. Denny

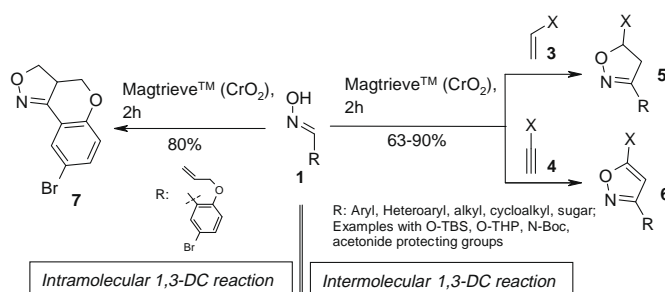


A new four-step synthesis (>50% overall yield) of the drug ASA404 via 2,5-dibromo-3,4-dimethylbenzoic acid is described.

Efficient synthesis of isoxazoles and isoxazolines from aldoximes using Magtrieve™ (CrO₂)

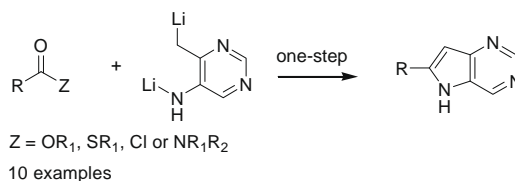
pp 3948–3951

Sandeep Bhosale, Santosh Kurhade, Uppuleti Viplava Prasad, Venkata P. Palle, Debnath Bhuniya*

**One-step construction of 2-substituted-4,6-diazaindoles from carboxylic acid derivatives**

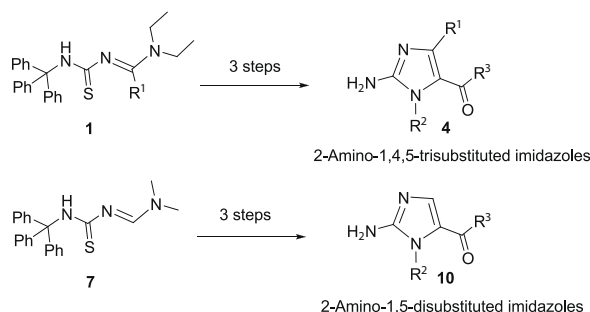
pp 3952–3954

Jinhua J. Song*, Zhulin Tan, Jonathan T. Reeves, Daniel R. Fandrick, Heewon Lee, Nathan K. Yee, Chris H. Senanayake

**A convenient synthesis of di- and trisubstituted 2-aminoimidazoles from 1-amidino-3-trityl-thioureas**

pp 3955–3958

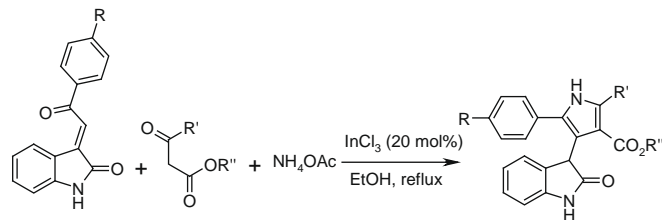
Jitendra C. Kaila, Arshi B. Baraiya, Amit N. Pandya, Hitesh B. Jalani, Kamala K. Vasu*, V. Sudarsanam



InCl₃-catalyzed efficient one-pot synthesis of 2-pyrrolo-3'-yloxindoles

pp 3959–3962

Gnanamani Shanthi, Paramasivan T. Perumal *

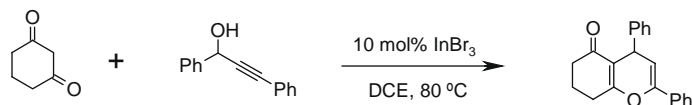


An InCl₃-catalyzed one-pot synthesis of 2-pyrrolo-3'-yloxindoles was achieved via three-component reaction of 3-phenacylideneoxindole, β-keto ester, and ammonium acetate at reflux by a sequential Michael addition followed by Paal–Knorr condensation.

**InBr₃-catalyzed annulations of cyclic 1,3-diketones with aryl propargyl alcohols: a novel synthesis of 2,4-diaryldihydropyrans**

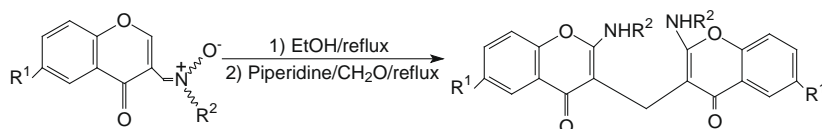
pp 3963–3965

J. S. Yadav *, B. V. Subba Reddy, K. V. Raghavendra Rao, R. Narender

**A one-pot synthesis of 3,3'-methylenebis(2-arylamino-4H-chromen-4-one) from C-(4-oxo-4H-1-benzopyran-3-yl)-N-arylnitron**

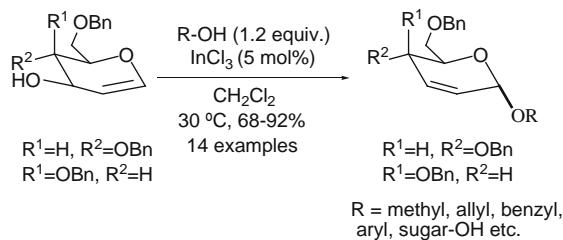
pp 3966–3969

Sourav Maiti, Suman Kalyan Panja, Chandrakanta Bandyopadhyay *

**Direct Ferrier rearrangement on unactivated glycals catalyzed by indium(III) chloride**

pp 3970–3973

Paramathevar Nagaraj, Namakkal G. Ramesh *



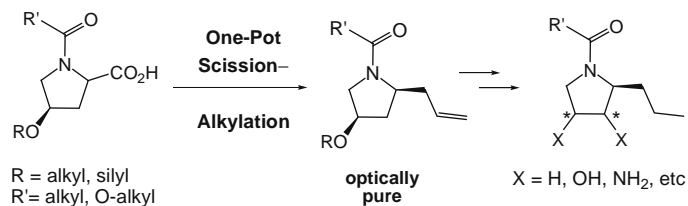
InCl₃-catalyzed direct allylic substitution of the hydroxyl group at C-3 position of glycals affording the corresponding 2,3-unsaturated glycosides in high yields is reported.



Enantiopure alkaloid analogues and iminosugars from proline derivatives: stereocontrol in sequential processes

pp 3974–3977

Alicia Boto*, Dácil Hernández, Rosendo Hernández*

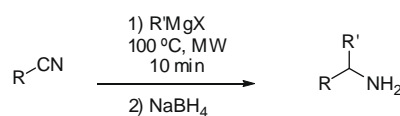


In a few steps, enantiopure alkaloid analogues and iminosugars were obtained from inexpensive hydroxyproline derivatives. As postulated by Woerpel, 2,4-*cis*-pyrrolidines were formed in high de due to stereoelectronic effects.

Rapid, one-pot synthesis of α,α -disubstituted primary amines by the addition of Grignard reagents to nitriles under microwave heating conditions

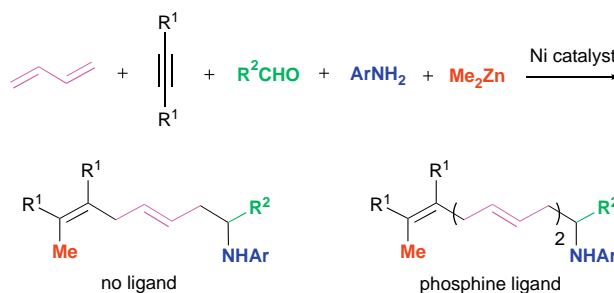
pp 3978–3981

Brian T. Gregg*, Kathryn C. Golden, John F. Quinn, Hong-Jun Wang, Wei Zhang, Ruifang Wang, Francis Wekesa, Dmytro O. Tymoshenko

**Nickel-catalyzed multi-component coupling reaction of aldimine, alkyne, and dimethylzinc via dimerization of butadiene**

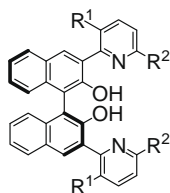
pp 3982–3984

Masanari Kimura*, Mariko Togawa, Yasushi Tatsuyama, Kimiko Matsufuji

**An efficient synthesis of 3,3'-dipyridyl BINOL ligands**

pp 3985–3987


Anna Goldys, Christopher S. P. McErlean*



Microwave-assisted Suzuki cross-coupling between 2,2'-bis(methoxymethyl)-3,3'-bis(potassium trifluoroboronato) BINOL and a series of 2-bromo- or 2-chloropyridines provides efficient access to 3,3'-dipyridyl BINOL ligands.



*Corresponding author

 Supplementary data available via ScienceDirect

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