

Tetrahedron Letters Vol. 51, No. 27, 2010

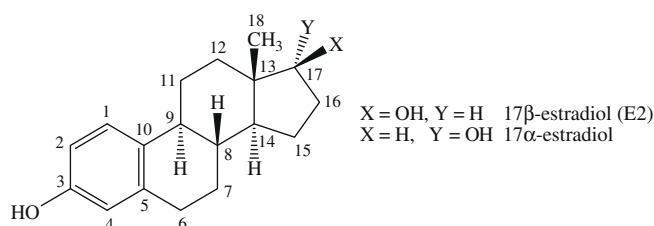
Contents

COMMUNICATIONS

The conformations of 17 β -estradiol (E2) and 17 α -estradiol as determined by solution NMR

pp 3465–3469

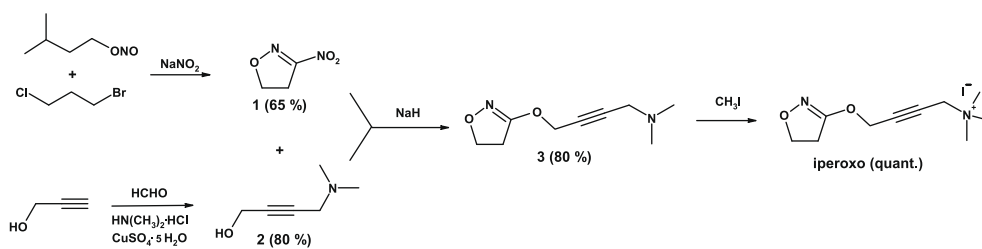
Jianxin Guo, Richard I. Duclos Jr., V. Kiran Vemuri, Alexandros Makrýannis*



Convergent, short synthesis of the muscarinic superagonist iperoxo

pp 3470–3472

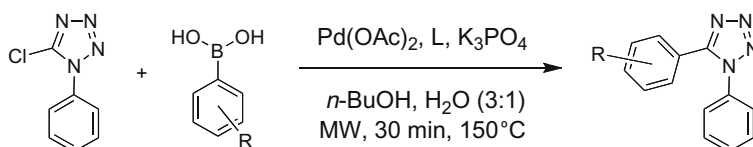
Jessica Kloeckner, Jens Schmitz, Ulrike Holzgrabe*



Synthesis of 1,5-disubstituted tetrazoles via Suzuki–Miyaura cross-coupling of 5-chloro-1-phenyltetrazole

pp 3473–3476

Qing Tang*, Ryan Gianatassio

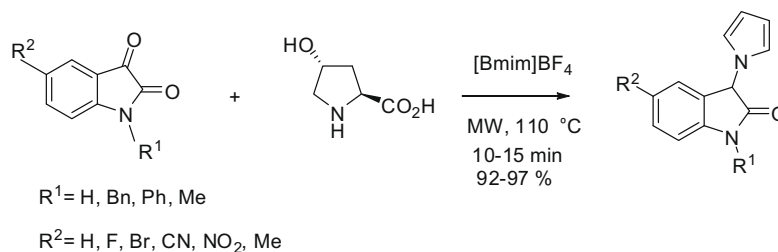


A catalyst and ligand screen for the Suzuki–Miyaura coupling of 1-phenyl-5-chlorotetrazole with a variety of aryl- and heteroaryl-boronic acids was conducted, which led to the identification of the optimal catalyst system for this transformation.

A green approach for efficient synthesis of N-substituted pyrroles in ionic liquid under microwave irradiation

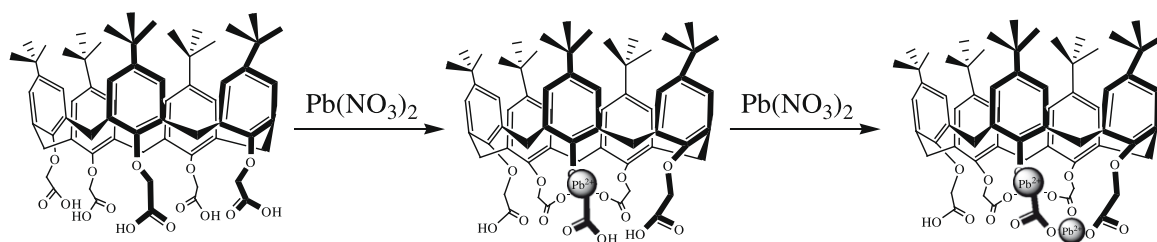
pp 3477–3480

H. M. Meshram*, B. R. V. Prasad, D. Aravind Kumar

**Allosteric effect of the first lead ion on stepwise extraction of the second lead ion with *p*-*t*-butylcalix[5]arene pentacarboxylic acid derivative**

pp 3481–3485

Birendra Babu Adhikari, Keisuke Ohto*, Manju Gurung, Hidetaka Kawakita

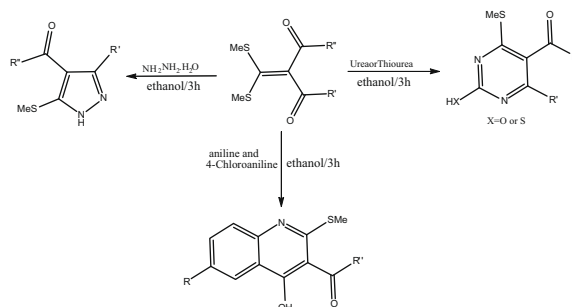


One molecule of calix[5]arene pentacarboxylic acid derivative extracts two lead ions in a stepwise manner.

**Synthesis of new polysubstituted (pyrazoles, pyrimidines and quinolines) five and six-membered heterocycles: reaction of α,α -dioxoketene dithioacetals with nucleophiles**

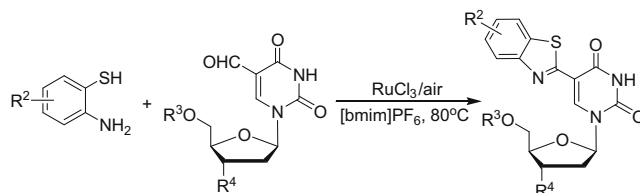
pp 3486–3492

M. A. Ebraheem*, K. M. Lokanatha Rai, N. U. Kudva, N. A. S. Bahjat

**Ru(III)-catalyzed oxidative reaction in ionic liquid: an efficient and practical route to 2-substituted benzothiazoles and their hybrids with pyrimidine nucleoside**

pp 3493–3496

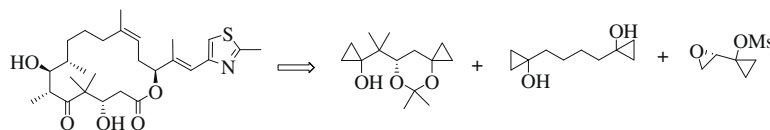
Xuesen Fan*, Yangyang Wang, Yan He, Xinying Zhang, Jianji Wang



Total synthesis of epothilone D by sixfold ring cleavage of cyclopropanol intermediates

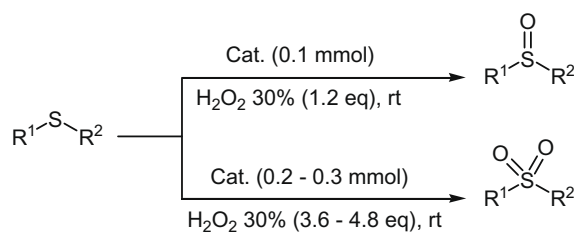
pp 3497–3500

Alaksiej L. Hurski, Oleg G. Kulinkovich*

**A highly efficient, green, rapid, and chemoselective oxidation of sulfides using hydrogen peroxide and boric acid as the catalyst under solvent-free conditions**

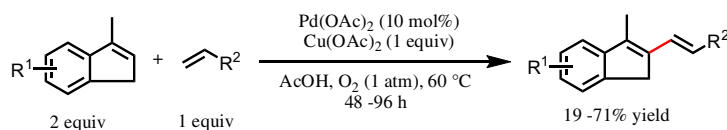
pp 3501–3503

Amin Rostami*, Jamal Akradi

R¹, R² = Ar, benzylic, linear, cyclic**Palladium-catalyzed direct cross-coupling reaction between indenenes and electron-deficient alkenes**

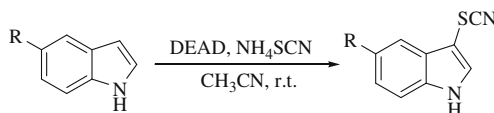
pp 3504–3507

Yun-He Xu, Wee-Jian Wang, Zhen-Kang Wen, Joshua Johnathan Hartley, Teck-Peng Loh*

**A new application for diethyl azodicarboxylate: efficient and regioselective thiocyanation of aromatic amines**

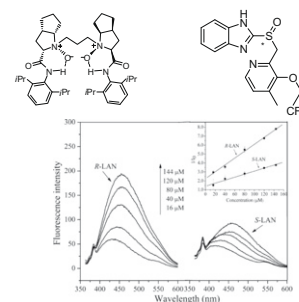
pp 3508–3510

Nasser Iranpoor*, Habib Firouzabadi*, Dariush Khalili, Rezvan Shahin



A novel fluorescent enantioselective discrimination of lansoprazole based on a chiral *N,N*-dioxide-Sc(III) complex pp 3511–3513

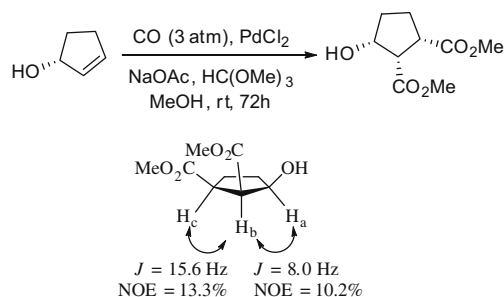
Miaosi Li, Yingzi Fu*, Xin Cui, Min Chen, Xiaohua Liu, Lili Lin, Xiaoming Feng*



A good chiral discrimination of lansoprazole (LAN) enantiomers was realized by a chiral *N,N*-dioxide-Sc(III) complex, which was based on a fluorescent method through an “off-on” process.


Palladium(II)-catalyzed dicarboxymethylation of chiral allylic alcohols: chirality transfer affording optically active diesters containing three contiguous chiral centers pp 3514–3517

Othman Hamed, Patrick M. Henry, Daniel P. Becker*

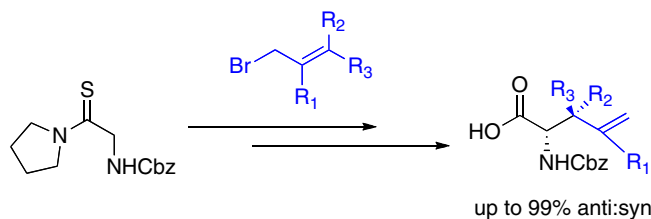


Pd-catalyzed olefin dicarbonylation of chiral allylic alcohols with chirality transfer affords the chiral alcohol diesters contiguous chiral centers, in good to excellent diastereoselectivities (78–98%).


Novel anti- β -functionalized γ,δ -unsaturated amino acids via a thio-Claisen rearrangement

pp 3518–3520

Zhihua Liu, Hongchang Qu, Xuyuan Gu, Kwang-Soo Lee, Bryan Grossman, Vlad K. Kumirov, Victor J. Hruby*

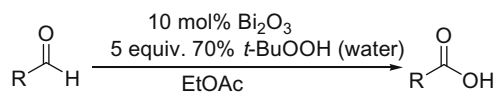


A significantly improved thio-Claisen rearrangement method was developed for preparing anti- β -functionalized γ,δ -unsaturated amino acids, which are extremely useful nonproteinogenic amino acids used in chemistry and biology research. The mild reaction condition successfully introduced base labile functional groups into the amino acids with excellent anti/syn selectivities.


 Bi_2O_3 -catalyzed oxidation of aldehydes with *t*-BuOOH

pp 3521–3523

Payal Malik, Debashis Chakraborty*



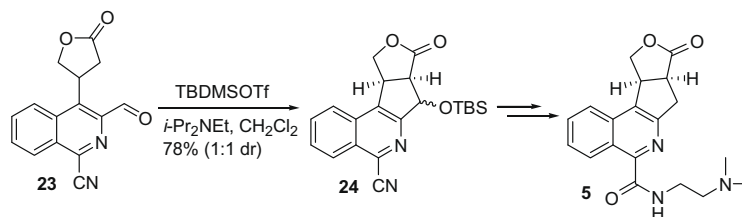
A cheap and efficient method for the oxidation of a variety of aromatic, aliphatic and conjugated aldehydes using 70% *t*-BuOOH as oxidant in the presence of catalytic amounts of Bi_2O_3 is described.



Synthesis of a proposed 1,3,4-trisubstituted isoquinoline

pp 3524–3527

Hanbiao Yang*, Eric Sjogren

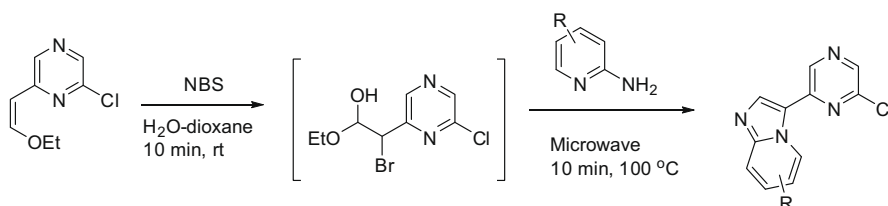


The total synthesis of a proposed 1,3,4-trisubstituted isoquinoline **5** with an unprecedented 6–6–5–5 tetracyclic ring system is described. One of the key steps is an intramolecular aldol reaction with a combination of a Lewis acid (TBDMSTf) and a mild base (*i*-Pr₂NEt) to construct the central cyclopentane ring.

The synthesis of 3-pyrazinyl-imidazo[1,2-*a*]pyridines from a vinyl ether

pp 3528–3530

Michael Raymond Collins*, Qinhua Huang, Martha A. Ornelas, Stephanie A. Scales

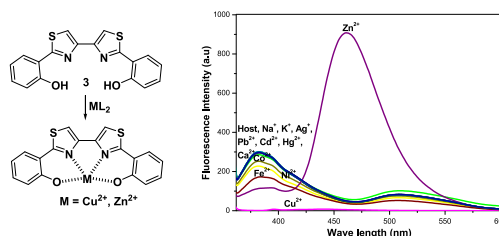


A new method has been developed for the synthesis of 3-pyrazinyl-imidazo[1,2-*a*]pyridines. 2-Chloro-6-[(*Z*)-2-ethoxyethenyl]pyrazine was treated with *N*-bromosuccinimide in dioxane–water to generate the 2-bromo-2-(6-chloropyrazin-2-yl)-1-ethoxyethanol intermediate. In a subsequent one-pot step, optional treatment with various 2-aminopyridines provided the cyclized 3-pyrazinyl-imidazo[1,2-*a*]pyridines in 31–76% yields.

Dual-signaling fluorescent chemosensor based on bisthiazole derivatives

pp 3531–3535

Aasif Helal, Sang Hoon Lee, Sung Hong Kim, Hong-Seok Kim*

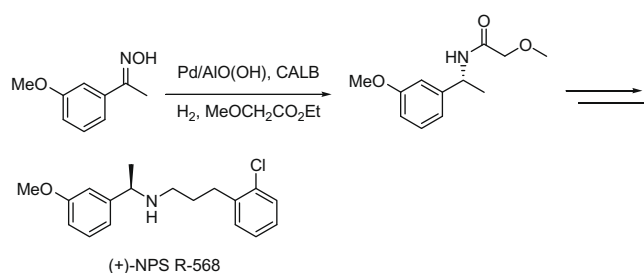


A new bisthiazole chemosensor (**3**) with phenolic substituents at the position 2 of the thiazole rings was prepared. The chemosensor **3** acts as a potential dual-function fluorescence chemosensor with Cu²⁺ and Zn²⁺ ions causing complete quenching and ratiometric change of fluorescence, respectively. The mechanism of fluorescence was based on the cation-induced inhibition of excited-state intramolecular proton transfer (ESIPT).

**Chemoenzymatic synthesis of the calcimimetics (+)-NPS R-568 via asymmetric reductive acylation of ketoxime intermediate**

pp 3536–3537

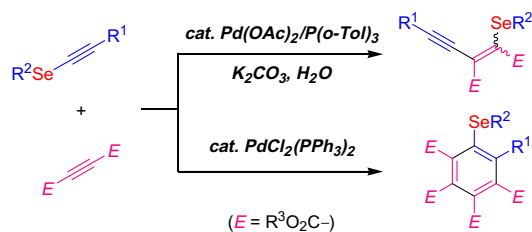
Kiwon Han, Yunwoong Kim, Jaiwook Park*, Mahn-Joo Kim*



Palladium-catalyzed alkynylselenation of acetylenedicarboxylates leading to enyne selenides and application to synthesis of multisubstituted aryl selenides

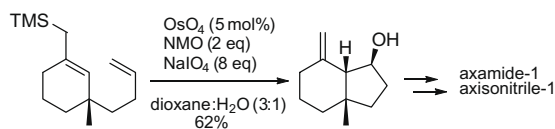
pp 3538–3541

Takenori Mitamura, Akiya Ogawa*


Efficient formal synthesis of (±)-axamide-1 and (±)-axisonitrile-1 via an intramolecular Hosomi–Sakurai reaction

pp 3542–3544

Kazunori Takahashi, Kentaro Takeda, Toshio Honda*

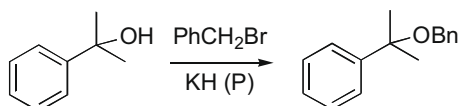


Efficient synthetic route to (±)-axamide-1 and (±)-axisonitrile-1 was established via an intramolecular Hosomi–Sakurai reaction of the corresponding allylsilane derivative, in very short steps.

Potassium hydride in paraffin: a useful base for Williamson ether synthesis

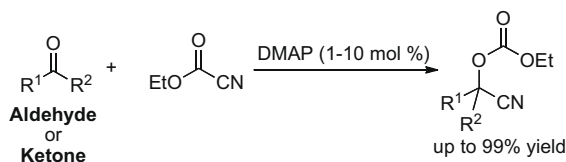
pp 3545–3546

Haihong Huang, Christopher G. Nelson, Douglass F. Taber*


DMAP-catalyzed cyanation of aldehydes and ketones with ethyl cyanoformate

pp 3547–3549

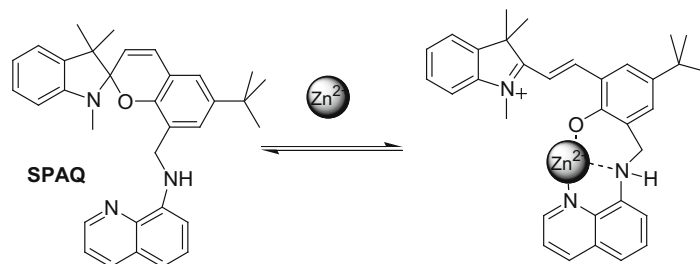
Shohei Aoki, Shunsuke Kotani*, Masaharu Sugiura, Makoto Nakajima



A FRET fluorescent chemosensor SPAQ for Zn²⁺ based on a dyad bearing spiroopyran and 8-aminoquinoline unit

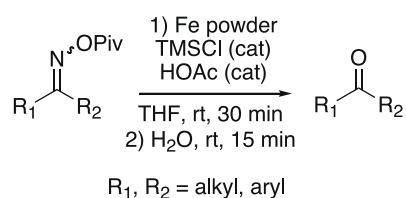
pp 3550–3554

Jian-Fa Zhu, Han Yuan, Wing-Hong Chan*, Albert W. M. Lee

A FRET fluorescent chemosensor **SPAQ** was designed and synthesized for ratiometric detection of Zn²⁺ with high selectivity and sensitivity.**An expedient reductive method for conversion of ketoximes to the corresponding carbonyl compounds**

pp 3555–3557

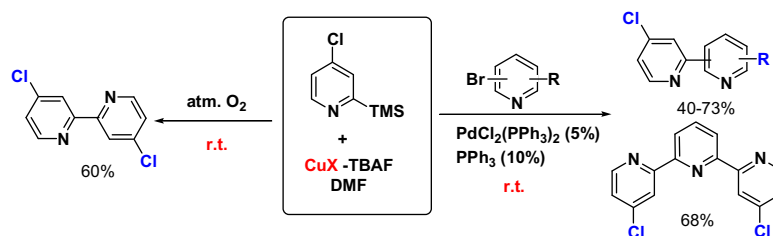
Max M. Majireck, Jason A. Witek, Steven M. Weinreb*



A wide array of readily prepared pivalates of ketoximes can be converted to their corresponding ketones in good yields by treatment with iron powder in THF containing catalytic amounts of both trimethylsilyl chloride and glacial acetic acid at room temperature for 30 min, followed by a brief aqueous workup.

Functional polypyridine ligands from copper-mediated room temperature coupling of 4-chloro-2-trimethylsilylpyridine pp 3558–3560

Frédéric Louërat, Philippe C. Gros*

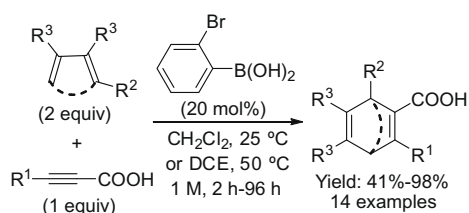


An efficient room temperature copper-mediated coupling of chloropyridyltrimethylsilane for synthesis of functional polypyridines.

**Mild and efficient boronic acid catalysis of Diels–Alder cycloadditions to 2-alkynoic acids**

pp 3561–3564

Hongchao Zheng, Dennis G. Hall*

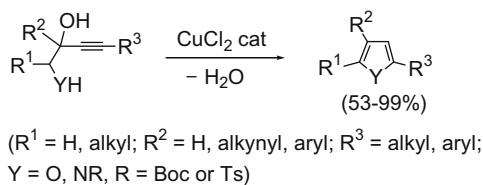


A new methodology based on boronic acid catalysis of Diels–Alder cycloadditions of 2-alkynoic acids was developed.

A simple and convenient synthesis of substituted furans and pyrroles by CuCl₂-catalyzed heterocyclodehydration of 3-yne-1,2-diols and *N*-Boc- or *N*-tosyl-1-amino-3-yn-2-ols

pp 3565–3567

Bartolo Gabriele*, Pierluigi Plastina, Mabel V. Vetere, Lucia Veltri, Raffaella Mancuso, Giuseppe Salerno

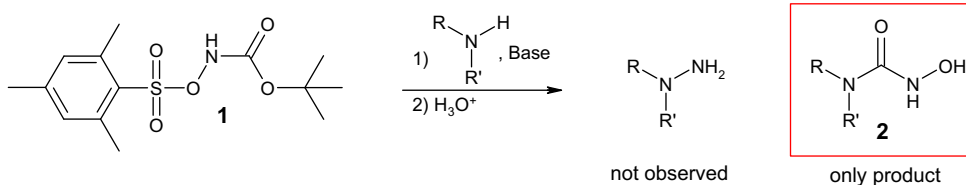


A simple and convenient synthesis of substituted furans and pyrroles, by ligand-free CuCl₂-catalyzed heterocyclodehydration of 3-yne-1,2-diols and *N*-Boc- or *N*-tosyl-1-amino-3-yn-2-ols, respectively, is reported. Reactions are carried out in MeOH at 80–100 °C for 1–24 h and afford the corresponding heterocyclic derivatives in 53–99% yields.

Synthesis of substituted *N*-hydroxyureas via the in situ generation of *t*-butoxy isocyanate

pp 3568–3570

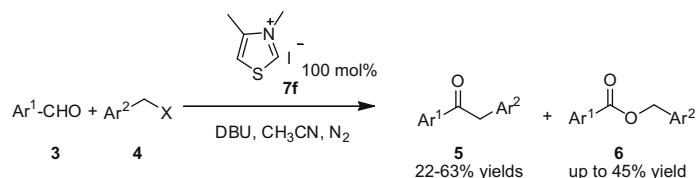
Josef G. Krause, Brian D. Leskiw, Michelle L. Emery, Megan E. McGahan, Mary P. McCourt, Ronny Priefer*



The NHCs-mediated cross-coupling of aromatic aldehydes with benzyl halides: synthesis of α -aryl ketones

pp 3571–3574

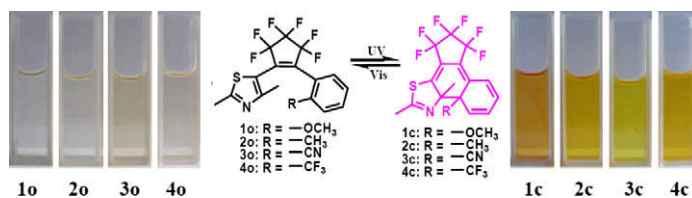
Lu Lin, Yi Li, Wenting Du*, Wei-Ping Deng*



Photochromism of new diarylethenes bearing both thiazole and benzene moieties

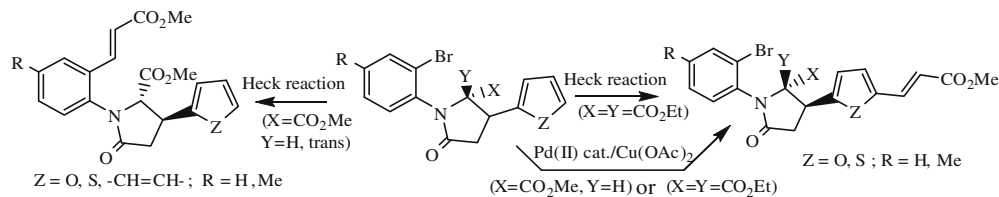
pp 3575–3579

Shouzhi Pu*, Hui Li, Gang Liu, Weijun Liu



Catalyst- and steric-controlled alkenylation via chemoselective C–H activation and C–Br activation in Heck reaction of methyl 1-(2-bromoaryl)-3-(2-furyl/thienyl)-5-oxopyrrolidine-2-carboxylates and diethyl 1-(2-bromoaryl)-3-(2-furyl/thienyl)-5-oxopyrrolidine-2,2-dicarboxylate derivatives

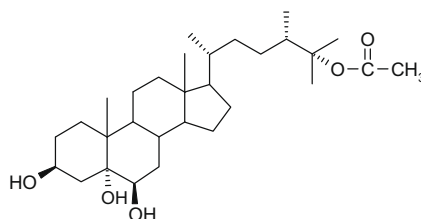
Prasanta Patra, Jayanta K. Ray, Gandhi K. Kar*



New polyhydroxy sterols from the marine sponge *Callispongia fibrosa* (Ridley & Dendly)

pp 3583–3586

Thota S. Prakasa Rao, Nittala S. Sarma*, Y. L. N. Murthy, Venkata S. S. N. Kantamreddi, Colin W. Wright, P. S. Parameswaran

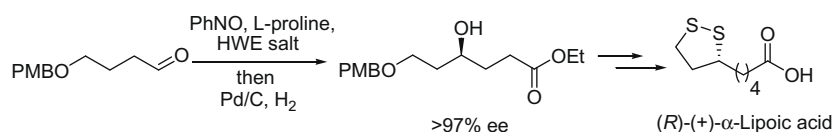


Four new polyhydroxylated sterols and two known sterols are isolated from marine sponge *Callispongia fibrosa* collected from the Gulf of Mannar, western Bay of Bengal (India). The sterols contain 3 β ,6 β -dihydroxy system and 25-O-acetate as common features. The hydroxylation pattern is without a precedent in sponge sterols although known in coral sterols. The major steroid **4a** showed antimalarial activity against *Plasmodium falciparum* on the chloroquine-resistant strain better than on the chloroquine-sensitive strain.



Enantioselective synthesis of (R)-(+)- α -lipoic acid via proline-catalyzed sequential α -aminoxylation and HWE olefination of aldehyde

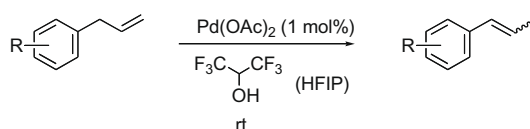
Sharad P. Panchgalle, Ganesh F. Jogdand, Subhash P. Chavan, Uttam R. Kalkote*



Efficient double bond migration of allylbenzenes catalyzed by Pd(OAc)₂–HFIP system with unique substituent effect

pp 3590–3592

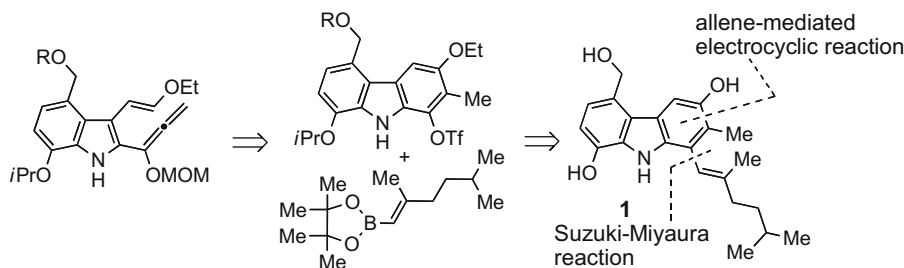
Nagatoshi Nishiwaki*, Ryuichiro Kamimura, Kimihiro Shono, Toshihiko Kawakami, Katsuhisa Nakayama, Kohei Nishino, Takayuki Nakayama, Keisuke Takahashi, Aki Nakamura, Takahiro Hosokawa*



A novel total synthesis of the bioactive poly-substituted carbazole alkaloid carbazomadurin A

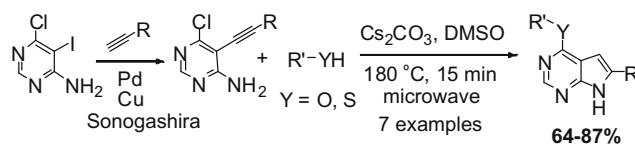
pp 3593–3596

Yuhzo Hieda, Tominari Choshi*, Sayuri Kishida, Haruto Fujioka, Satoshi Hibino*

**Efficient formation of 4,6-disubstituted pyrrolo[2,3-d]pyrimidines: a novel route to TWS119, a glycogen synthase kinase-3 β inhibitor**

pp 3597–3598

Anand Mayasundari, Naoaki Fujii*



*Corresponding author

Supplementary data available via ScienceDirect

COVER

The cover figure shows the structure fragments of epothilone D which were created by cleavage of activated three-carbon ring of the indicated cyclopropanol intermediates.

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