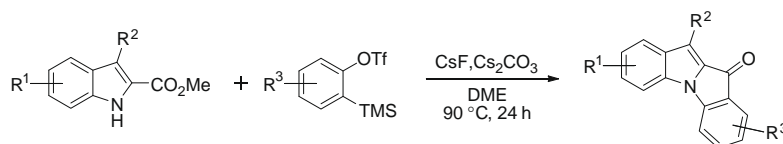


Tetrahedron Letters Vol. 50, No. 28, 2009

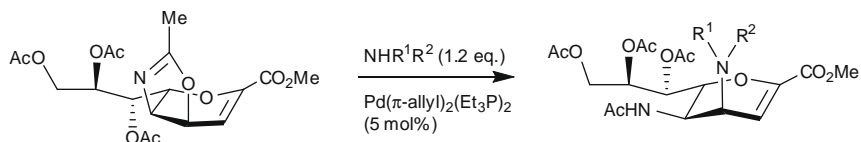
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COMMUNICATIONS

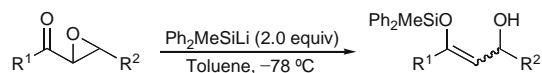
- Rapid synthesis of the indole-indolone scaffold via [3+2] annulation of arynes by methyl indole-2-carboxylates** pp 4003–4008
 Donald C. Rogness, Richard C. Larock *



- Palladium-catalysed allylic amination for the direct synthesis of *epi*-4-alkylamino-*N*-acetylneuraminic acid derivatives** pp 4009–4011
 Ricardo Resende, Christian Glover, Andrew G. Watts *



- Preparation of proximal β-hydroxy silyl enol ethers from α,β-epoxyketones using silyllithium reagents** pp 4012–4014
 Heather K. Baker, Aaron M. Hartel *



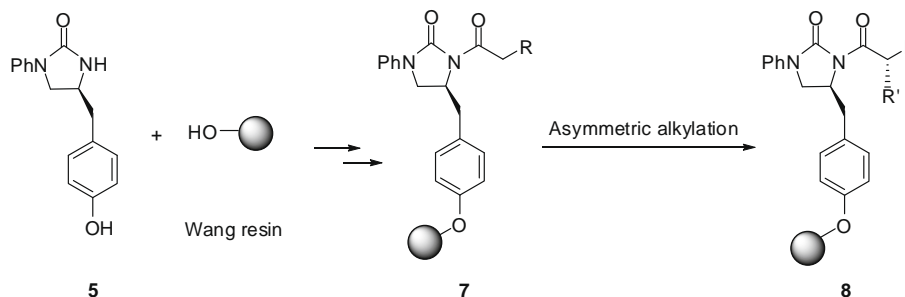
Proximal β-hydroxy silyl enol ethers are prepared with high *E* stereoselectivity from the reaction of α,β-epoxyketones with silyllithium reagents. The reaction proceeds via Brook rearrangement driven by opening of the adjacent epoxide.



Solid phase asymmetric alkylation reactions using 2-imidazolidinone chiral auxiliary

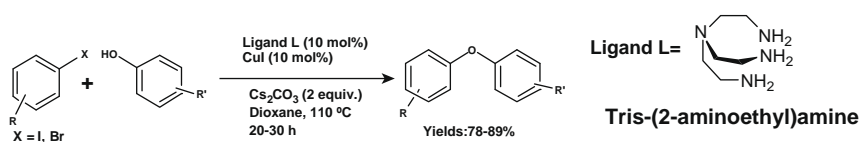
pp 4015–4018

Quynh Pham Bao Nguyen, Jae Nyoung Kim, Taek Hyeon Kim *

**Tris-(2-aminoethyl) amine as a novel and efficient tripod ligand for a copper(I)-catalyzed C–O coupling reaction**

pp 4019–4021

Nivrutti R. Jogdand, Bapurao B. Shingate, Murlidhar S. Shingare *

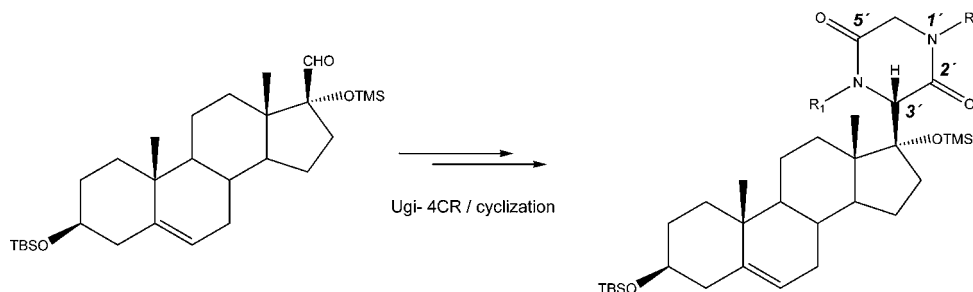


A novel copper(I)-catalyzed Ullmann diaryl ether synthesis using efficient, commercially available and inexpensive N-tridentate donor ligand tris-(2-aminoethyl) amine is described.

Highly stereoselective synthesis of steroidal 2,5-diketopiperazines based on isocyanide chemistry

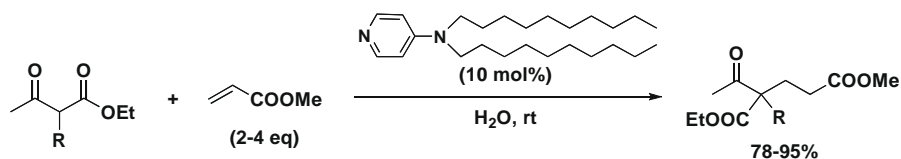
pp 4022–4024

Andrea C. Bruttomesso *, Javier Eiras, Javier A. Ramírez, Lydia R. Galagovsky

**Development of new DMAP-related organocatalysts for use in the Michael addition reaction of β -ketoesters in water**

pp 4025–4029

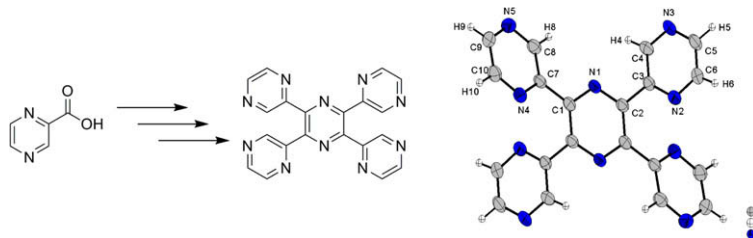
Kyungmin Ko, Keiji Nakano, Shigeru Watanabe, Yoshiyasu Ichikawa, Hiyoshizo Kotsuki *



2,3,5,6-Tetra(pyrazin-2-yl)pyrazine: a novel bis-bidentate, bis-tridentate chelator

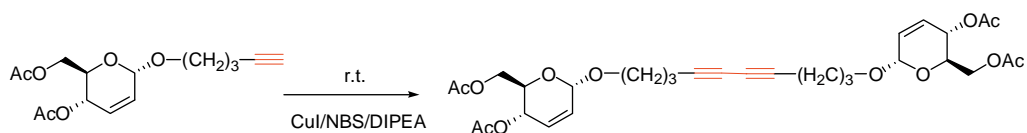
pp 4030–4032

Carolina B. P. Ligiero, Lorenzo C. Visentin, Rosana Giacomini, Carlos A. L. Filgueiras, Paulo C. M. L. Miranda *

**A mild copper-mediated Glaser-type coupling reaction under the novel CuI/NBS/DIPEA promoting system**

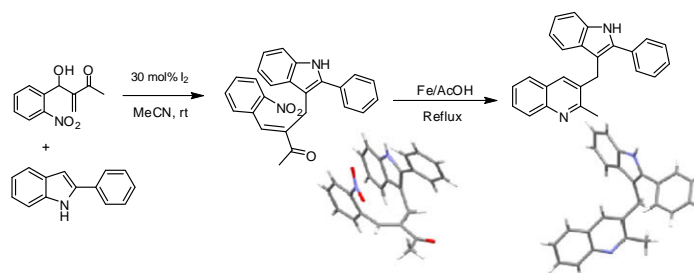
pp 4033–4036

Lingjun Li, Jiuxia Wang, Guisheng Zhang *, Qingfeng Liu

**Novel synthesis of indolylquinoline derivatives via the C-alkylation of Baylis–Hillman adducts**

pp 4037–4041

Chintakunta Ramesh, Veerababurao Kavala, B. Rama Raju, Chun-Wei Kuo, Ching-Fa Yao *

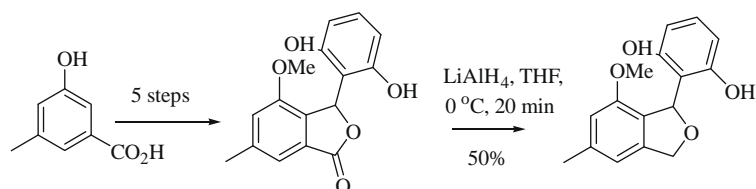


A new and simple method for the C-alkylation of indoles by various Baylis–Hillman adducts and the one-pot reductive cyclization of C-alkylated indole derivatives generated from 2-nitro-Baylis–Hillman adduct to form indolylquinoline derivatives is described.

A synthetic route to 1,3-dihydroisobenzofuran natural products: the synthesis of methyl ethers of pestacin

pp 4042–4045

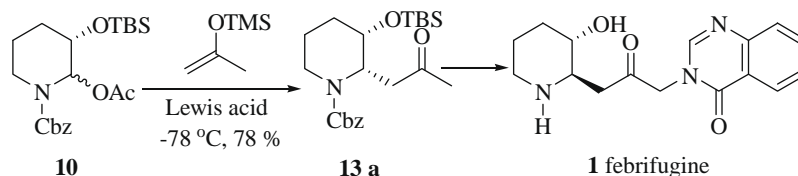
Raju Karmakar, Pallab Pahari, Dipakranjan Mal *



BF₃·Et₂O catalyzed diastereoselective nucleophilic reactions of 3-silyloxypiperidine *N,O*-acetal with silyl enol ether and application to the asymmetric synthesis of (+)-febrifugine

pp 4046–4049

Ru-Cheng Liu, Wei Huang, Jing-Yi Ma, Bang-Guo Wei*, Guo-Qiang Lin*

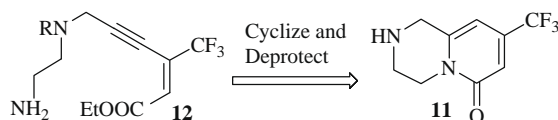


The asymmetric BF₃·Et₂O-catalyzed nucleophilic reactions of 3-silyloxypiperidine *N,O*-acetal **10** with silyl enol ethers derived from ketones are described. (+)-Febrifugine **1**, an antimalarial alkaloid, was successfully synthesized based on this nucleophilic substitution.

Concise preparation of 8-trifluoromethyltetrahydro-6*H*-pyrido [1,2-*a*] pyrazine-6-one

pp 4050–4053

Shankaran Kothandaraman*, Deodialsingh Guiadeen, Gabor Butora, George Doss, Sander G. Mills, Malcolm MacCoss, Lihu Yang

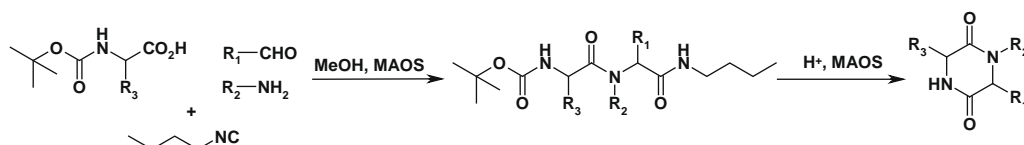


A cascade cyclization approach to afford pyrazine-one (**11**) from ynoate (**12**) is discussed.

A simple, cheap alternative to 'designer convertible isonitriles' expedited with microwaves

pp 4054–4057

Christopher Hulme*, Shashi Chappeta, Justin Dietrich

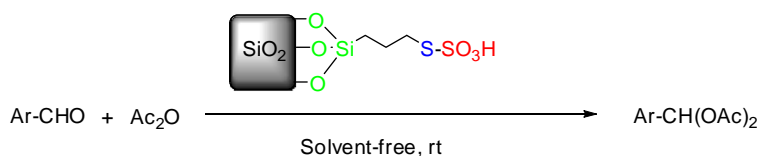


This Letter reports on the novel use of *n*-butylisocyanide as a cheaper, more atom economical alternative to currently reported 'designer convertible isonitriles' in UDC (Ugi/DeProtect/Cyclize) methodology. Transformations to diketopiperazines and 1,4-benzodiazepine-2,5-diones are facilitated by microwave irradiation and proceed in excellent yield.

Silica-bonded *S*-sulfonic acid as a recyclable catalyst for chemoselective synthesis of 1,1-diacetates

pp 4058–4062

Khodabakhsh Niknam*, Dariush Saberi, Maryam Nouri Sefat

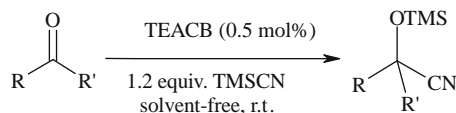


A simple and efficient procedure for the preparation of silica-bonded *S*-sulfonic acid (**SBSSA**) is described. This solid acid is employed as a catalyst for the synthesis of 1,1-diacetates under solvent-free conditions.

An expeditious synthesis of cyanohydrin trimethylsilyl ethers using tetraethylammonium 2-(carbamoyl)benzoate as a bifunctional organocatalyst

pp 4063–4066

Mohammad G. Dekamin^{*}, Solmaz Sagheb-Asl, M. Reza Naimi-Jamal

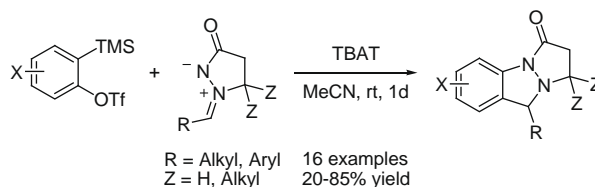


Tetraethylammonium 2-(carbamoyl)benzoate (TEACB) was found to be an effective bifunctional organocatalyst for rapid and clean cyanosilylation of carbonyl compounds under solvent-free conditions.

1,3-Dipolar cycloaddition of arynes with azomethine imines: synthesis of 1,2-dihydropyrazolo[1,2-a]indazol-3(9H)-ones

pp 4067–4070

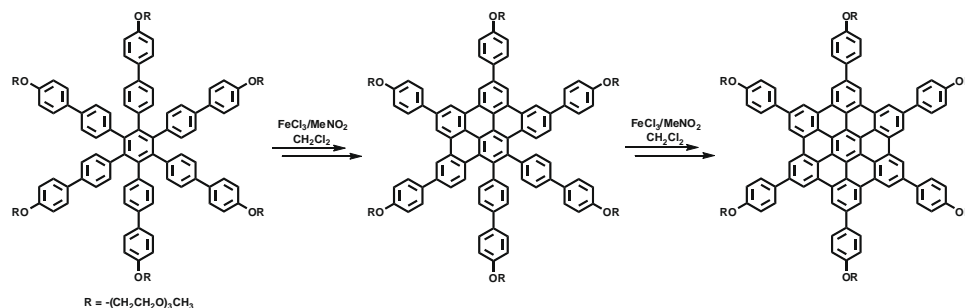
Feng Shi, Raffaella Mancuso, Richard C. Larock^{*}



Semi-fused hexaphenyl hexa-*peri*-hexabenzocoronene: a novel fluorophore from an intramolecular Scholl reaction

pp 4071–4077

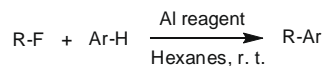
Yunyi Lu, Jeffrey S. Moore^{*}



C–F Activation of hydrofluorocarbons (HFCs) mediated by aluminum reagents

pp 4078–4080

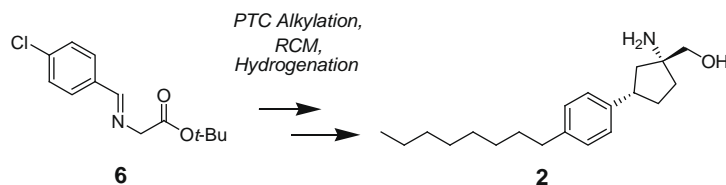
Majeid Ali, Le-Ping Liu, Gerald B. Hammond, Bo Xu^{*}



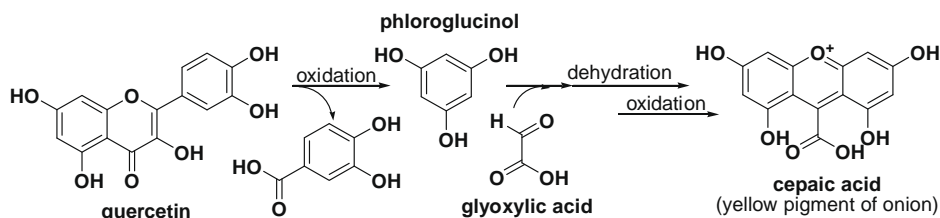
In the presence of various aluminum reagents, the difluoromethylene group (CF₂) in selected hydrofluorocarbons (HFCs) undergoes a Friedel–Crafts type reaction with aromatic compounds in satisfactory yields.

A stereoselective and scalable synthesis of a conformationally constrained S1P₁ agonist

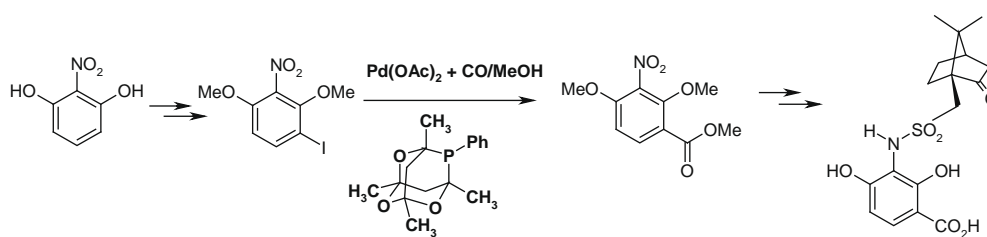
pp 4081–4083

Shannon R. Fix-Stenzel, Martin E. Hayes ^{*}, Xiaolei Zhang, Grier A. Wallace, Pintipa Grongsaard, Lisa M. Schaffter, Steven M. Hannick, Thaddeus S. Franczyk, Robert H. Stoffel, Kevin P. Cusack**Cepaic acid, a novel yellow xanthylum pigment from the dried outer scales of the yellow onion *Allium cepa***

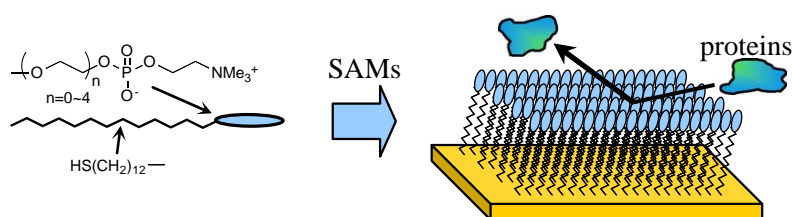
pp 4084–4086

Yusai Ito ^{*}, Naoki Sugimoto, Takumi Akiyama, Takeshi Yamazaki, Kenichi TanamotoCepaic acid was isolated as a novel xanthylum yellow pigment from the dried outer scales of the yellow onion *Allium cepa* Linne. Its structure was identified on the basis of ESI-MS and 2D NMR spectroscopy as a 9-carboxy-1,3,6,8-tetrahydroxyxanthylum.**A synthesis of sulfonamide analogs of platensimycin employing a palladium-mediated carbonylation strategy**

pp 4087–4091

James McNulty ^{*}, Jerald J. Nair, Alfredo Capretta**Synthesis of phosphorylcholine–oligoethylene glycol–alkane thiols and their suppressive effect on non-specific adsorption of proteins**

pp 4092–4095

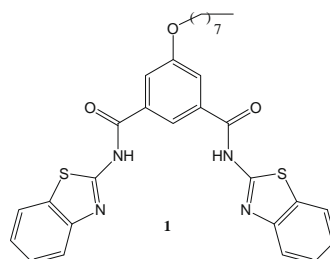
Mutsuo Tanaka ^{*}, Takahiro Sawaguchi, Yukari Sato, Kyoko Yoshioka, Osamu Niwa

Alkane thiols bearing phosphorylcholine–oligoethylene glycol moieties were synthesized and their suppressive effect on non-specific adsorption of proteins was evaluated by comparison with corresponding oligoethylene glycol–alkane thiols.



A benzthiazole-based simple receptor in fluorescence sensing of biotin ester and urea

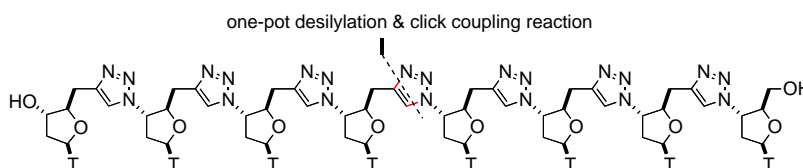
pp 4096–4100

Kumaresh Ghosh ^{*}, Tanushree Sen

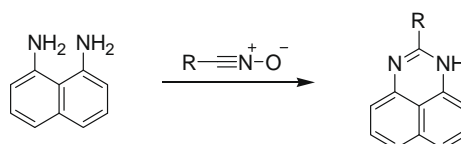
A benzthiazole-based receptor **1** has been designed and synthesized for recognition of biotin ester and urea in CHCl_3 containing 1% CH_3CN . The receptor binds biotin methyl ester and urea with moderate binding constant values and shows significant increase in emission of benzthiazole motif. The emission characteristics of **1** upon complexation clearly distinguishes biotin methyl ester and urea from thiourea and N,N' -dimethylurea. Characterization and sensing properties of receptor **1** were evaluated by ^1H NMR, UV-vis, and fluorescence spectroscopic methods.

**Convergent synthesis of oligomers of triazole-linked DNA analogue ($^{\text{T}}$ DNA) in solution phase**

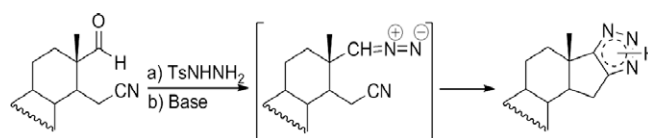
pp 4101–4103

Tomoko Fujino, Naomi Yamazaki, Hiroyuki Isobe ^{*}**A new route to 2-substituted perimidines based on nitrile oxide chemistry**

pp 4104–4106

Iain A. S. Smellie, Andreas Fromm, R. Michael Paton ^{*}**An intramolecular one-pot synthesis of steroidal triazoles via 1,3-dipolar cycloadditions of in situ generated diazo compounds**

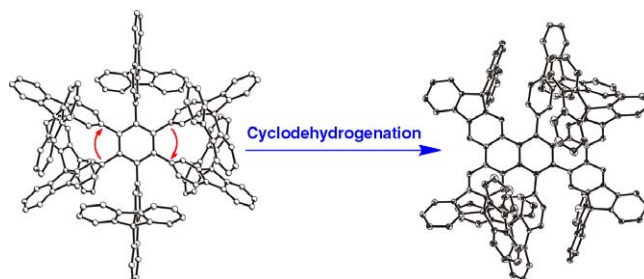
pp 4107–4109

Marija N. Sakač ^{*}, Andrea R. Gaković, János J. Csanádi, Evgenija A. Djurendić, Olivera Klisurić, Vesna Kojić, Gordana Bogdanović, Katarina M. Penov Gaši

Synthesis of a three-dimensional spiro-annulated polycyclic aromatic hydrocarbon

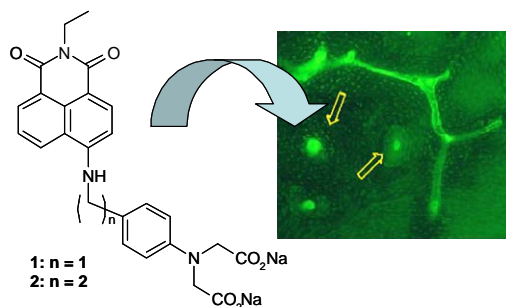
pp 4110–4113

Yubai Shi, Hualei Qian, Nigel T. Lucas, Wei Xu, Zhaohui Wang*

**Fluorescence imaging of bone cracks (microdamage) using visibly emitting 1,8-naphthalimide-based PET sensors**

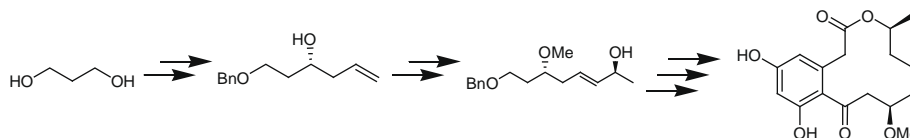
pp 4114–4116

Raman Parkesh, T. Clive Lee, Thorfinnur Gunnlaugsson*

**Stereoselective syntheses of 11- α -methoxycurvularin and 11- β -methoxycurvularin**

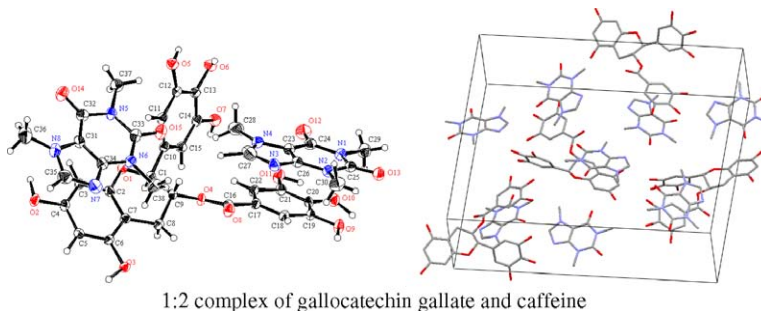
pp 4117–4120

G. Venkateswar Reddy, R. Sateesh Chandra Kumar, K. Suresh Babu, J. Madhusudana Rao*

**Interaction between gallo catechin gallate and caffeine in crystal structure of 1:2 and 2:2 complexes**

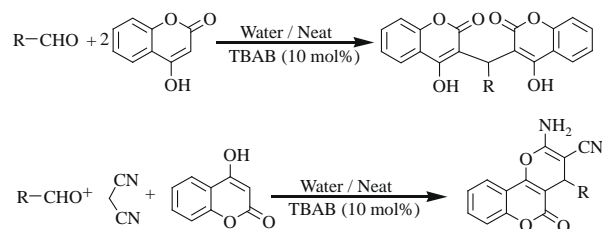
pp 4121–4124

Takashi Ishizu*, Hiroyuki Tsutsumi, Takashi Sato



Tetrabutylammonium bromide (TBAB): a neutral and efficient catalyst for the synthesis of biscoumarin and 3,4-dihydropyrano[*c*]chromene derivatives in water and solvent-free conditions

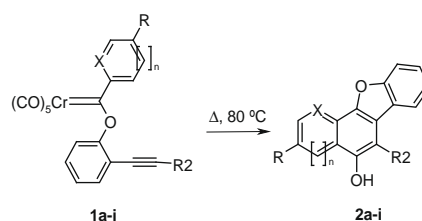
pp 4125–4127

Jitender M. Khurana ^{*}, Sanjay Kumar**Synthesis of novel oxygen heterocycles: 1,10-dioxo-cyclopenta[*a*]fluorene and benzo[*b*]naphtho[2,1-*d*]furans via Dötz intramolecular benzannulation**

pp 4128–4131

Subhabrata Sen ^{*}, Parag Kulkarni, Kailaskumar Borate, Nandini R. Pai

Novel fused heterocycles 1,10-dioxo-cyclopenta[*a*]fluorene and benzo[*b*]naphtho[2,1-*d*]furans were synthesized via Dötz intramolecular benzannulation of aryloxy chromium Fischer carbenes.

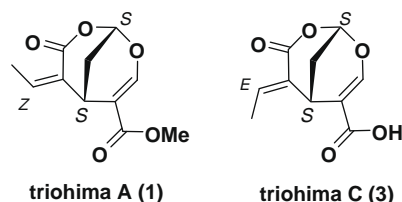


1a-e / 2a-e: X = O, n = 0, R = H, R₂ = *n*-butyl, *n*-propyl, cyclohexyl, phenyl and *n*-pentyl respectively.

1f-i / 2f-i: X = CH, n = 1, R = *p*-OMe, and *p*-Me, R₂ = *n*-butyl, *n*-propyl, *n*-butyl and *n*-pentyl respectively

**Two novel iridoids with an unusual δ-lactone-containing skeleton from *Triosteum himalayenum***

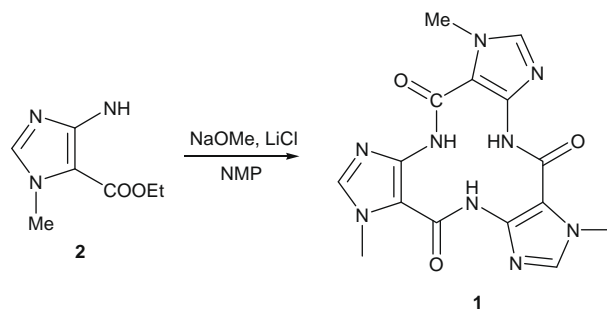
pp 4132–4134

Zheng-Ming Li, Jian-Jun Chen, Ya Li, Kun Gao ^{*}, Jin Chang, Xiao-Jun Yao

Two novel iridoids triohimas A (1) and C (3) with an unusual δ-lactone-containing skeleton were isolated from *Triosteum himalayenum* Wall. Their structures were determined by NMR spectroscopic analyses and X-ray crystallography. The absolute configuration was established by computational methods. They were also tested for the in vitro cytotoxicity against L1210 cell line.

**Synthesis and characterization of a novel imidazole cyclic trimer**

pp 4135–4137

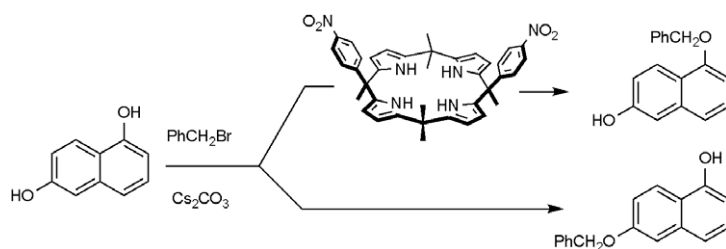
Petty Sukarsaatmadja, Tadamichi Kumabe, Kazuki Ishida, Hidetake Seino, Yasushi Mizobe, Naoko Yoshie ^{*}

A novel cyclic trimer of imidazole, in which N1 and N3 atoms of imidazole rings projecting outward from the macrocycle, has been synthesized with the help of LiCl as a template.

Regioselective O-alkylations and acylations of polyphenolic substrates using a calix[4]pyrrole derivative

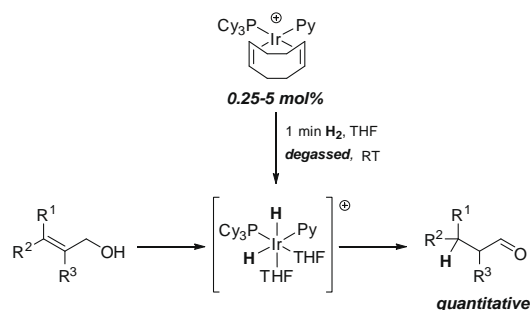
pp 4138–4140

Grazia Cafeo, Franz H. Kohnke*, Luca Valenti

**Iridium-catalyzed isomerization of primary allylic alcohols under mild reaction conditions**

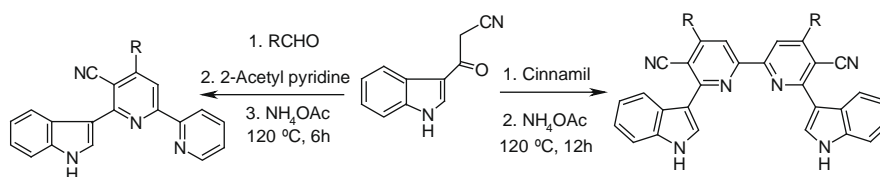
pp 4141–4144

Luca Mantilli, Clément Mazet*

**A simple one-pot synthesis of functionalised 6-(indol-3-yl)-2,2'-bipyridine derivatives via multi-component reaction under neat condition**

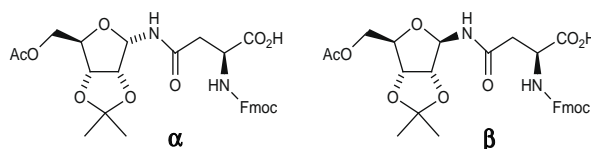
pp 4145–4150

Prakasam Thirumurugan, Paramasivan T. Perumal*

**Synthesis of new ribosylated Asn building blocks as useful tools for glycopeptide and glycoprotein synthesis**

pp 4151–4153

M. Angeles Bonache, Francesca Nuti, Alexandra Le Chevalier Isaad, Feliciano Real-Fernández, Mario Chelli, Paolo Rovero, Anna M. Papini*



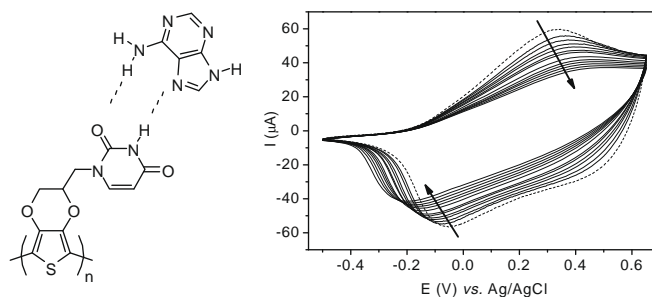
We performed the first synthesis of Asn derivatives bearing α - or β -ribose, linked by an N-glycosidic bond on the side chain of the Asn residue orthogonally protected for Fmoc/^tBu SPPS.



Specific recognition of a nucleobase-functionalized poly(3,4-ethylenedioxythiophene) (PEDOT) in aqueous media

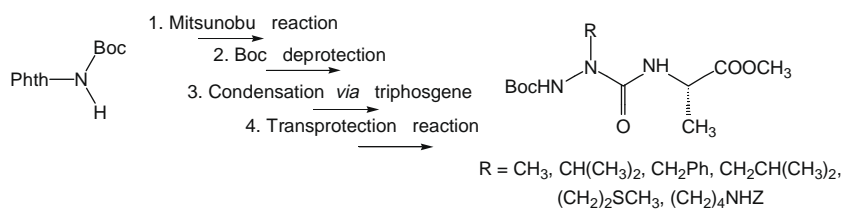
pp 4154–4157

Raúl Blanco Bazaco, Rafael Gómez, Carlos Seoane, Peter Bäuerle*, José L. Segura*

**Original and efficient synthesis of 2:1-[α /aza]-oligomer precursors**

pp 4158–4160

Cécile Abbas, Guillaume Pickaert, Claude Didierjean, Brigitte Jamart Grégoire, Régis Vanderesse*

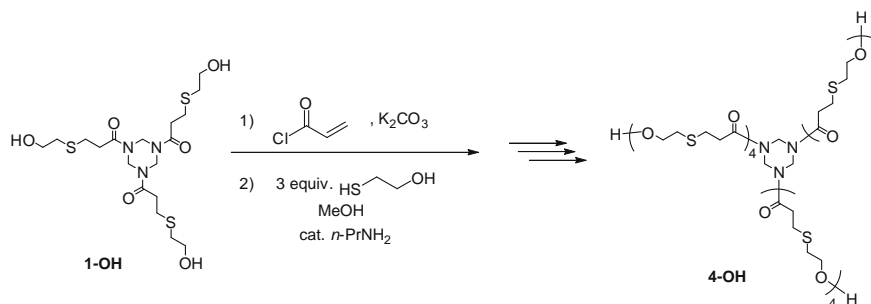


Six examples of Boc-AzaXaa-Xbb-OMe.

**Facile and efficient synthesis of star-shaped oligomers from a triazine core**

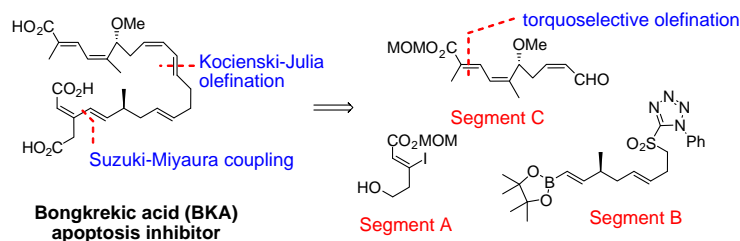
pp 4161–4163

Chinwon Rim, David Y. Son*

**Efficient synthesis of bongkrekkic acid. Three-component convergent strategy**

pp 4164–4166

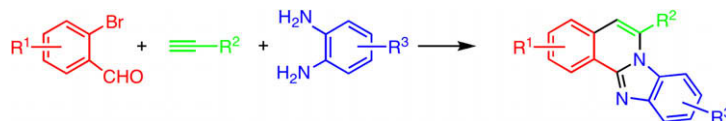
Yukiko Sato, Yoshifumi Aso, Mitsuru Shindo*



One-pot concise syntheses of benzimidazo[2,1-*a*]isoquinolines by a microwave-accelerated tandem process

pp 4167–4169

Noriko Okamoto, Keisuke Sakurai, Minoru Ishikura, Kei Takeda, Reiko Yanada *

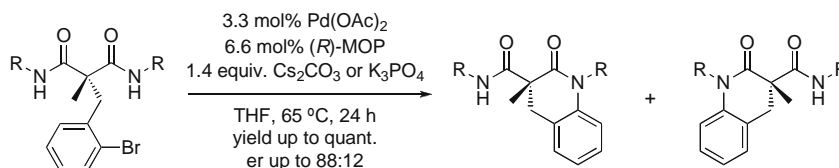


Direct, efficient syntheses of the benzimidazo[2,1-*a*]isoquinoline ring system have been achieved with 2-bromoarylaldehydes, terminal alkynes, and 1,2-phenylenediamines by a microwave-accelerated tandem process in which a Sonogashira coupling, 5-*endo* cyclization, oxidative aromatization, and 6-*endo* cyclization can be performed in a single synthetic operation.

**Desymmetrization of malonamides via an enantioselective intramolecular Buchwald–Hartwig reaction**

pp 4170–4173

Lukasz Porosa, Russell D. Vuirre *

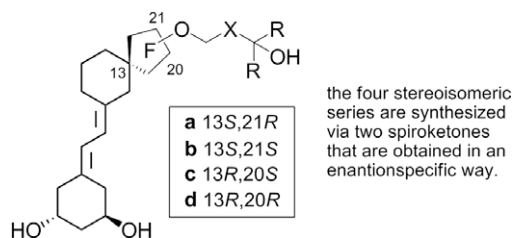


A new form of enantioselective nitrogen arylation reaction is described. Beginning with symmetrical α -(2-bromobenzyl)malonamides, intramolecular palladium-catalyzed cross-coupling using a catalyst system including 3.3 mol % Pd(OAc)₂ and 6.6 mol % of the chiral biaryl monophosphine (*R*)-MOP, desymmetrized quinolinone products are obtained in nearly quantitative yields in enantiomeric ratios up to 88:12. This report represents a rare example of enantioselective Buchwald–Hartwig reaction.

Synthesis of 22-oxaspiro[4.5]decane CD-ring modified analogs of 1 α ,25-dihydroxyvitamin D₃

pp 4174–4177

Frederik De Buysser, Lieve Verlinden, Annemieke Verstuyf, Pierre J. De Clercq *

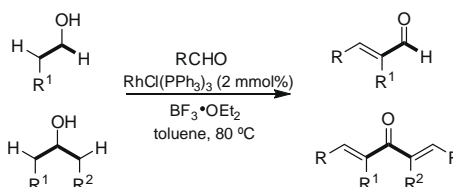


In search of analogs of 1 α ,25-dihydroxyvitamin D₃ featuring a dissociation of calcemic and other activities, a series of stereoisomeric 19-nor-22-oxa derivatives, characterized by a spiro[4.5]decane cyclic system instead of the classical CD-ring system, have been synthesized in an enantioselective way.

A RhCl(PPh₃)₃/BF₃·OEt₂ co-promoted direct C–C cross-coupling of alcohols at β -position with aldehydes

pp 4178–4181

Shu-Yu Zhang, Yong-Qiang Tu *, Chun-An Fan, Ming Yang, Fu-Min Zhang *

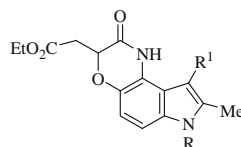


A novel RhCl(PPh₃)₃/BF₃·OEt₂ co-promoted direct C–C cross-coupling of primary and secondary alcohols at β -position with aldehyde was developed. This reaction could provide an efficient synthesis of a series of α,β -unsaturated aldehydes and diarylidene ketones, just from simple and easily available alcohols and aldehydes.

Synthesis of the new ring system 2-oxo-[1,4]oxazino[3,2-e]indole, heteroanalogue of Angelicin

pp 4182–4184

Paola Barraja, Patrizia Diana, Alessandra Montalbano, Annamaria Martorana, Anna Carbone, Girolamo Cirrincione *

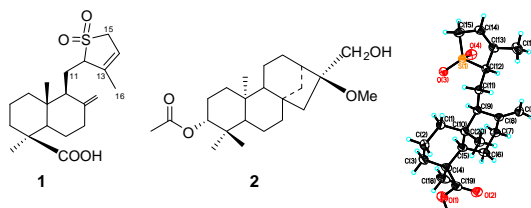


A series of 2-oxo-[1,4]oxazino[3,2-e]indoles, heteroanalogues of Angelicin, have been synthesized by a multistep sequence consisting of the annelation of the oxazine ring on the indole moiety. The phototoxicity and the antiproliferative activity of the new derivatives were investigated.

A novel sulfur-containing diterpenoid from *Fritillaria anhuiensis*

pp 4185–4187

Qing-Yao Shou, Qing Tan, Zheng-Wu Shen *

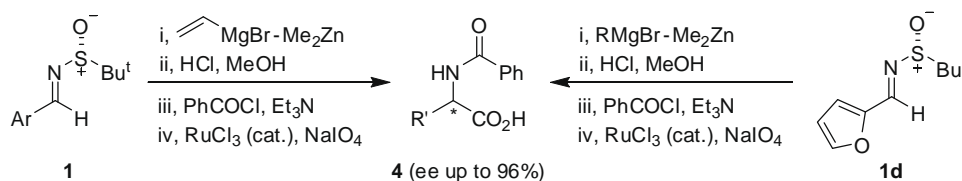


Two novel diterpenoids were isolated from the bulbs of *Fritillaria anhuiensis* S. C. Chen and S. E. Yin. Compound **1** was the first diterpenoid containing a sulfonyl group isolated from nature. Compound **2** was a novel kaurane-type diterpenoid. Their structures were determined by extensive spectroscopic analysis (IR, MS, NMR, and X-ray diffraction). Compound **1** significantly attenuated nitric oxide (NO) production of a macrophage cell line of Raw 264.7 cells stimulated with IFN- γ .

**Application of the addition of triorganozincates to *N*-(*tert*-butanesulfinyl)imines to the enantioselective synthesis of α -amino acids**

pp 4188–4190

Raquel Almansa, David Guijarro *, Miguel Yus *



*Corresponding author

Supplementary data available via ScienceDirect

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