

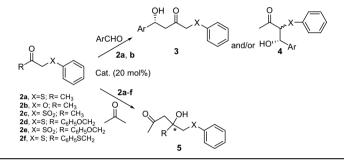
Tetrahedron Letters Vol. 49, No. 19, 2008

Contents

COMMUNICATIONS

Synthesis of enantiomerically enriched secondary and tertiary phenylthio- and phenoxy-aldols Angela M. Bernard, Angelo Frongia *, Pier Paolo Piras, Francesco Secci, Marco Spiga

pp 3037-3041



(i)+

Abiesanol A, a novel biflavanol with unique six connective hexacyclic rings isolated from *Abies georgei* Xian-Wen Yang, Su-Mei Li, Lin Feng, Yun-Heng Shen, Jun-Mian Tian, Hua-Wu Zeng, Xiao-Hua Liu, Lei Shan, Juan Su, Chuan Zhang *, Wei-Dong Zhang *

pp 3042-3044

A novel biflavanol (abiesanol A, 1) was isolated from the aerial part of *Abies georgei*. The structure was confirmed by single-crystal X-ray diffraction analysis.



(i)+

Rational design of a fluorescent hydrogen peroxide probe based on the umbelliferone fluorophore Lupei Du, Minyong Li, Shilong Zheng, Binghe Wang *

pp 3045-3048

 $(\hat{\boldsymbol{J}})^{+}$

A novel water-soluble umbelliferone-based fluorescent for hydrogen peroxide is described.

Microwave-assisted synthesis of dihydropyridones from curcumin

pp 3049-3051

pp 3052-3055

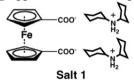
Rita S. Elias, Bahjat A. Saeed *, Kawkab Y. Saour, Najim A. Al-Masoudi

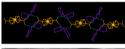
Dihydropyridones were prepared by microwave-assisted reaction between curcumin and primary amines or their acetates in the presence of Montmorillonite (K10) as a catalyst. The reaction was complete within a few minutes and the yield depends on the amine used.

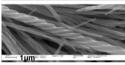
An easy access to an organometallic low molecular weight gelator: a crystal engineering approach

Pathik Sahoo, D. Krishna Kumar, Darshak R. Trivedi, Parthasarathi Dastidar *

A crystal engineering rationale has been exploited to achieve an easy access to an organometallic *low molecular weight gelator* (LMWG) derived from a salt of ferrocene-1,1'-dicarboxylic acid (FDCA) and dicyclohexyl amine (DCHA). To the best of our knowledge, this is the first report wherein a crystal engineering approach has been exploited to design an organometallic LMWG.



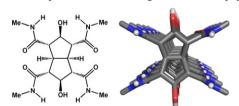




A rigid bicyclo[3.3.0]octane (octahydropentalene): a heavily constrained novel aliphatic template for molecular self-assembly

pp 3056-3059

Amol M. Kendhale, Rajesh Gonnade, P. R. Rajamohanan, Gangadhar J. Sanjayan *



This Letter reports the utility of a heavily constrained cis-fused bicyclo[3.3.0]octane (octahydropentalene) aliphatic template for effecting molecular self-assembly. An attractive feature of this system is its heavily constrained alicyclic backbone that would allow for the exploration of self-assembling systems with conformationally ordered features.

Stereoselective synthesis of novel (R)- and (S)-5-azidomethyl-2-oxazolidinones from (S)-epichlorohydrin: a pp 3060–3062 key precursor for the oxazolidinone class of antibacterial agents

G. Madhusudhan *, G. Om Reddy, T. Rajesh, J. Ramanatham, P. K. Dubey



Novel tandem reaction of benzyne with cyclic ethers and active methines: synthesis of ω -trichloroalkyl phenyl ethers

pp 3063-3066

Kentaro Okuma *, Yuta Fukuzaki, Akiko Nojima, Kosei Shioji, Yoshinobu Yokomori



WSS2220, a novel cyclic tetrapeptide with a new sulfonoamino acid, exhibits potent and selective inhibitory pp 3067–3070 activity against GlyT1

Yuichi Terui *, Chu Yi-wen, Li Jun-ying, Osamu Nozawa, Tsutomu Ando, Takuya Fukunaga, Takeshi Aoki, Yoshihisa Toda, Akira Kawashima

WSS2220

a selective inhibitor of GlyT1

$$IC_{50} = 20 \text{ nM}$$

Regio- and stereoselective preparation of dienylcarboxylic acids and dienylphosphonic esters using a (Z)-alkenyl sulfone-titanocene(II) system

pp 3071-3074

Akitoshi Ogata, Masami Nemoto, Yoshitaka Takano, Akira Tsubouchi, Takeshi Takeda *

$$R^{1} \longrightarrow SO_{2}Me + \iiint_{R^{2}} \frac{1) Cp_{2}Ti[P(OEt)_{3}]_{2}}{2) H_{2}O} \xrightarrow{R^{1}} FG$$

$$FG = COOLi, PO(OEt)_{2} \qquad FG = COOH, PO(OEt)_{2}$$

Enantioselective organocatalytic Michael addition of malonates to α,β -unsaturated aldehydes in water Angi Ma, Shaolin Zhu, Dawei Ma *

pp 3075-3077

$$R = \text{aryl, alkyl, alkenyl} \\ R' = \text{Me, Bn} \\ CO_2R' \\ R' = \frac{1 \sim 20 \text{ mol\% catalyst}}{20 \sim 50 \text{ mol\% HOAc}} \\ \frac{1 \sim 20 \text{ mol\% catalyst}}{1 \sim 20 \text{ mol\% catalyst}} \\ \frac{20 \sim 50 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% catalyst}} \\ R = \frac{1 \sim 20 \text{ mol\% catalyst}}{1 \sim 20 \text{ mol\% catalyst}} \\ R = \frac{1 \sim 20 \text{ mol\% catalyst}}{1 \sim 20 \text{ mol\% catalyst}} \\ \frac{1 \sim 20 \text{ mol\% catalyst}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% catalyst}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% catalyst}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1 \sim 20 \text{ mol\% HOAc}} \\ R = \frac{1 \sim 20 \text{ mol\% HOAc}}{1$$

Synthetic utility of epoxides for chiral functionalization of isoxazoles

pp 3078-3082

Jared K. Nelson, Christopher T. Burns, Miles P. Smith, Brendan Twamley, N. R. Natale *

An efficient synthesis of propargylamines via three-component coupling of aldehydes, amines and alkynes catalyzed by nanocrystalline copper(II) oxide

pp 3083-3086

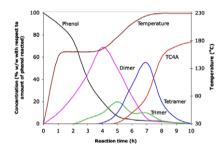
M. Lakshmi Kantam *, Soumi Laha, Jagjit Yadav, Suresh Bhargava

An efficient three-component coupling of aldehydes, amines and alkynes to prepare propargylamines in nearly quantitative yields using nanocrystalline CuO as a catalyst is described. The reaction does not require any co-catalyst.

Genesis of thiacalixarenes: a one-pot highly efficient synthesis of TC4A

pp 3087-3091

Mitesh H. Patel, Vijay B. Patel, Pranav S. Shrivastav *





Molybdenum pentachloride ($MoCl_5$) or molybdenum dichloride dioxide (MoO_2Cl_2): advanced catalysts for pp 3092–3096 thioacetalization of heterocyclic, aromatic and aliphatic compounds

Shyamaprosad Goswami *, Annada C. Maity

PEG-400 as green reaction medium for Lewis acid-promoted cycloaddition reactions with isoeugenol and anethole

pp 3097-3100

Vladimir V. Kouznetsov *, Diego R. Merchan Arenas, Arnold R. Romero Bohórquez

A simple and efficient one-pot method for the synthesis of new 2,4-diaryl-1,2,3,4-tetrahydroquinolines **9** using a three-component imino Diels—Alder cycloaddition between *trans*-isoeugenol **4** or *trans*-anethole **5**, anilines **6**, and benzaldehyde **7** in the presence of BF₃·OEt₂ in PEG-400 has been developed. Also, BF₃·OEt₂-catalyzed formal [3+2] cycloaddition reaction of *trans*-isoeugenol or *trans*-anethole with 1,4-benzoquinone **8** in PEG-400 to give dihydrobenzo[*b*]furan derivatives **10** has been described.

A convenient method for the synthesis of α-silylacetic acids

Alex V. Shtelman, James Y. Becker *

$$\frac{\text{MeCO}_2\text{SiMe}_3}{2. \text{ R}_3\text{SiCI} / -78 \text{ °C}} \qquad \text{R}_3\text{SiCH}_2\text{CO}_2\text{H}$$

$$3. \text{ H}^+$$

 $(R = Me, n-Pr, i-Pr, Ph, Me_2Ph, Ph_2Me)$

A method is described for the preparation of α -silylacetic acids of the type $R_3SiCH_2CO_2H$ by treating trimethylsilyl acetate with LDA followed by quenching with chlorosilanes.



Expedient synthesis of pyrazoles substituted with amino, hydroxyl and thioamide groups

pp 3104-3107

pp 3101-3103

Boris A. Trofimov *, Anastasiya G. Mal'kina, Angela P. Borisova, Valentina V. Nosyreva, Olesya A. Shemyakina, Olga N. Kazheva, Gennadii V. Shilov, Oleg A. Dyachenko

$$R^{1} \xrightarrow{QH} CN + H_{2N} \xrightarrow{N} NH_{2}$$

$$HO \xrightarrow{R^{2}} HO \xrightarrow{R^{2}} HO \xrightarrow{R^{2}} HO \xrightarrow{R^{1}} HO \xrightarrow{R^{2}} R^{1}$$

$$HN \xrightarrow{N} NH \xrightarrow{N} H_{2N} \xrightarrow{N} NH_{2}$$

$$R^{1} = R^{2} = Me; R^{1} = Me, R^{2} = Et; R^{1} - R^{2} = (CH_{2})_{0}, n = 4, 5$$

Nucleophilic fluoroalkylation of iminium salts

pp 3108-3111

Vitalij V. Levin, Mikhail A. Kozlov, Young-Hun Song, Alexander D. Dilman *, Pavel A. Belyakov, Marina I. Struchkova, Vladimir A. Tartakovsky

$$R_f = CF_3$$
, CCI_2F , C_6F_5

The reaction of iminium salts with fluorinated trimethylsilyl derivatives is described.



Direct conversion of 1-deoxy-1-nitroalditols to methyl glycofuranosides

pp 3112-3116

M. Vojtech, M. Petrušová, B. Pribulová, L. Petruš *

A new efficient synthesis of isothiocyanates from amines using di-tert-butyl dicarbonate

pp 3117-3119

Henrik Munch, Jon S. Hansen, Michael Pittelkow, Jørn B. Christensen, Ulrik Boas *

Alkyl and aryl amines are converted smoothly to the corresponding isothiocyanates in good to excellent yields with di-tert-butyl dicarbonate (Boc₂O) and 1–3 mol % of DMAP or DABCO.

A P^* -chiral bisdiamidophosphite ligand with a 1,4:3,6-dianhydro-D-mannite backbone and its application in pp 3120–3123 asymmetric catalysis

Konstantin N. Gavrilov*, Sergey V. Zheglov, Pavel A. Vologzhanin, Marina G. Maksimova, Anton S. Safronov, Sergey E. Lyubimov, Vadim A. Davankov, Benjamin Schäffner, Armin Börner

A convenient new method to construct 1-alkynyl cyclopropanol and its synthetic application to prepare trisubstituted dienones

pp 3124-3128

Yan An, Jie Liu, Hai-Ying Jiang, Yahui Wang, Zili Chen *

HO S O Li—R HO R Arl R Arl R Ar Arrange
$$\mathbf{A}$$

A new synthetic route is developed to afford 1-alkynyl cyclopropanol from 1-arylsulfonyl cyclopropanol 1, which then react with aryl iodide to construct trisubstituted cross-conjugated dienones 7 through a palladium-catalyzed process.

A two-step sulfurization for efficient solution-phase synthesis of phosphorothioate oligonucleotides

pp 3129-3132

Amar B. T. Ghisaidoobe, Martijn C. de Koning, Howard I. Duynstee, Paul B. W. Ten Kortenaar, Herman S. Overkleeft, Dmitri V. Filippov, Gijs A. van der Marel *

(i)+

Feroniellic acids A–C, three new isomeric furanocoumarins with highly hydroxylated geranyl derived moieties pp 3133–3136 from *Feroniella lucida*

Preecha Phuwapraisirisan *, Chalouyluk Phoopichayanun, Butsarakham Supudompol

Controlled derivatization of polyhalogenated quinolines utilizing selective cross-coupling reactions

pp 3137-3141

M. Brad Nolt, Zhijian Zhao, Scott E. Wolkenberg *

Ionic liquid [Hmim]HSO₄-promoted one-pot oxidative conjugate addition of sulfur-centred nucleophiles to pp 3142–3146 Baylis–Hillman adducts

Lal Dhar S. Yadav *, Vishnu P. Srivastava, Rajesh Patel

An efficient intermolecular BINAM-copper(I) catalyzed Ullmann-type coupling of aryl iodides/bromides with pp 3147-3151 aliphatic alcohols

Ajay B. Naidu, G. Sekar *

$$\begin{array}{c} X \\ R \end{array} + R'OH & \begin{array}{c} BINAM-Cul \\ (20 \text{ mol } \%) \\ \hline Cs_2CO_3 \text{ (2 equiv.)} \\ 110 \text{ °C, pressure tube} \end{array}$$

$$X = I \text{ or } Br \qquad \qquad 55 - 96\% \text{ isolated yields}$$

A wide range of alkyl aryl ethers are synthesized from the corresponding aryl iodides and aliphatic alcohols through Ullmann type intermolecular coupling reactions in the presence of a catalytic amount of easily available BINAM-CuI complex. Less reactive aryl bromides have also been shown to react with aliphatic alcohols under identical reaction conditions to give good yields of the alkyl aryl ethers without increasing the reaction temperature and time.

Synthesis and characterization of 2,6-difluoro-4-carboxyphenylboronic acid and a biotin derivative thereof as captors of anionic aqueous $[^{18}F]$ -fluoride for the preparation of $[^{18}F]$ -labeled aryltrifluoroborates with high kinetic stability

Curtis W. Harwig, Richard Ting, Michael J. Adam, Thomas J. Ruth, David M. Perrin *

Kinamycin-mediated DNA cleavage under biomimetic conditions

pp 3157-3161

T. Eric Ballard, Christian Melander *

The kinamycins are biologically active secondary metabolites characterized by an uncommon diazobenzo[b]fluorene skeleton. Kinamycin D has been shown to potently cleave DNA under mild biomimetic conditions. Use of the endogenously abundant reductant glutathione at 570 µM, kinamycin D effectively cleaved DNA in a concentration, temperature, and time-dependent fashion. Dithiothreitol also proved effective at low concentration while other reductants failed to induce DNA cleavage. Mechanistic consequences of the DNA cleavage results are described.

A mild, efficient method for the oxidation of α -diazo- β -hydroxyesters to α -diazo- β -ketoesters

pp 3162-3164

Puhui Li, Max M. Majireck, Ilia Korboukh, Steven M. Weinreb *

RCHO
$$\begin{array}{c|c}
 & N_2 \\
\hline
 & CO_2Et \\
\hline
 & THF, -78 °C
\end{array}$$

$$\begin{array}{c|c}
 & OH \\
 & N_2
\end{array}$$

$$\begin{array}{c|c}
 & CO_2Et \\
\hline
 & N_2
\end{array}$$

$$\begin{array}{c|c}
 & CO_2Et \\
\hline
 & N_2
\end{array}$$

A variety of α -diazo- β -ketoesters can be prepared in high yields via addition of ethyl lithiodiazoacetate to aliphatic, aromatic, and α,β -unsaturated aldehydes, followed by mild oxidation with Dess–Martin periodinane in CH_2Cl_2 .

Polymer-supported gadolinium triflate as a convenient and efficient Lewis acid catalyst for acetylation of alcohols and phenols

pp 3165-3171

Hyo-Jin Yoon, Sang-Myung Lee, Jong-Ho Kim, Hong-Jun Cho, Jung-Woo Choi, Sang-Hyeup Lee, Yoon-Sik Lee *

A one-pot synthesis of 2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolines

pp 3172-3175

Mirosław J. Tomaszewski, Adam Whalley, Yun-Jin Hu *

A one-pot synthesis of the 2,3-dihydro-1H-pyrrolo[3,2-c]quinoline core from substituted 2-iodoanilines and 2,3-dihydro-1H-pyrrole was achieved using 10 mol % Pd(PPh₃)₄ and K₂CO₃ in 1,4-dioxane at 170 °C for 1 h in a microwave oven.



Synthesis of procyanidins by stepwise- and self-condensation using 3,4-cis-4-acetoxy-3-O-acetyl-4-dehydro- pp 3176-3180 5,7,3',4'-tetra-O-benzyl-(+)-catechin and (-)-epicatechin as a key building monomer

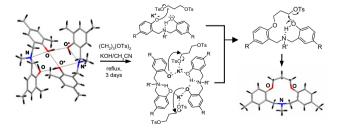
Kin-ichi Oyama, Miyuki Kuwano, Mie Ito, Kumi Yoshida, Tadao Kondo *



Synergistic effects of a specific metal template and H-bonds in controlling macrocyclization: a simple, selective, and effective cyclization from N,N-bis(2-hydroxybenzyl)alkylamine derivatives

pp 3181-3184

Suwabun Chirachanchai *, Suttinun Phongtamrug, Thitiporn Rungsimanon



Studies towards the total synthesis of narbonolide: stereoselective preparation of the C1-C10 fragment

pp 3185-3188

C. Prasad Narasimhulu, Javed Iqbal, Khagga Mukkanti, Parthasarathi Das *

*Corresponding author

**D+ Supplementary data available via ScienceDirect

Available online at www.sciencedirect.com



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