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Contents

COMMUNICATIONS

Synthesis of 5-(phenylsulfanyl)-1,4-dihydropyrazine-2,3-diones via an unexpected microwave-assisted cascade reaction

Anuj Sharma, Vaibhav Pravinchandra Mehta, Kristof Van Hecke, Luc Van Meervelt, Erik Van der Eycken *



An unprecedented route for the synthesis of N-1 substituted 5-(phenylsulfanyl)-1,4-dihydropyrazine-2,3-diones is disclosed starting from 5-chloro-3-(phenylsulfanyl)pyrazin-2(1*H*)-ones. The method comprises treatment of various 5-chloro-3-(phenylsulfanyl)pyrazin-2(1*H*)-ones with Na₂CO₃ in water under microwave irradiation providing the respective 5-(phenylsulfanyl)-1,4-dihydropyrazine-2,3-diones in good yields, via hydrolysis of the thioether bond and subsequent nucleophilic displacement of the chlorine by the in situ generated thiophenol. The obtained compounds are excellent precursors for the diversity oriented synthesis of pharmacologically active α_{β} -dicarbonyl compounds.

Enantiospecific synthesis of (+)-hernandulcin

Francesco G. Gatti



Wacker-type oxidative functionalization of β**-substituted unsaturated sulfoxides** Sadagopan Raghavan ^{*}, V. Krishnaiah, Kailash Rathore



A mild and general method for the preparation of β -substituted- δ -ketosulfoxides by a Wacker type reaction is described.



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Beckmann rearrangement of cyclotriveratrylene (CTV) oxime: tandem Beckmann-electrophilic aromatic addition pp 5003-5005 Marlon R. Lutz Jr., Matthias Zeller, Daniel P. Becker



Electrophilic (phenylsulfonyl)difluoromethylation of thiols with a hypervalent iodine(III)-CF₂SO₂Ph reagent Wei Zhang, Jieming Zhu, Jinbo Hu

PhSO₂CF₂

CH₂Cl₂, -78°C, 3 h

A bis(m-phenylene)-32-crown-10-based fluorescence chemosensor for paraquat and diquat

(R = aryl, alkyl)

R-SH

Jinqiang Zhang, Chunxi Zhai, Feng Wang, Chuanju Zhang, Shijun Li, Mingming Zhang, Ning Li, Feihe Huang

A bis(*m*-phenylene)-32-crown-10-based host to which are covalently attached two pyrene groups as fluorescence chromophores was designed and synthesized. Its complexations with paraquat (PQ) and diquat (DQ) were studied by proton NMR, ESI mass spectrometry, and UV-vis spectroscopy. Its chemosensor behavior to PQ and DQ was revealed by fluorescence emission spectroscopy. This new host can function as a fluorescence chemosensor for PQ and DQ due to the inhibition of photoinduced electron transfer between the bis(m-phenylene)-32-crown-10 moiety and the pyrene groups by the addition of PQ (or DQ).



Highly selective fluorescent sensing of Cu²⁺ ion by an arylisoxazole modified calix[4]arene

Kai-Chi Chang, Li-Yang Luo, Eric Wei-Guang Diau, Wen-Sheng Chung



R′

CF₂SO₂Ph



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pp 5009-5012

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Open-air and solvent-free ester condensation catalyzed by sulfonic acids

Akira Sakakura, Yoshiki Koshikari, Kazuaki Ishihara *



Under open-air and solvent-free conditions, catalytic amounts of sulfonic acids efficiently promote ester condensation between an equimolar mixture of carboxylic acids and alcohols. Since the present protocol does not require solvents under open-air conditions, a large amount of esters can be synthesized in a rather small apparatus.

Synthesis of substituted furans by platinum-catalyzed cyclization of propargylic oxiranes in aqueous media Masahiro Yoshida *, Mohammad Al-Amin, Kennosuke Matsuda, Kozo Shishido



A practical non-cryogenic process for the selective functionalization of bromoaryls Fabrice Gallou *, Ruedi Haenggi, Hans Hirt, Wolfgang Marterer, Frank Schaefer, Manuela Seeger-Weibel

> Aryl-Br + \longrightarrow MgCl $\xrightarrow{2 \ nBuLi}$ 1/3 [(Aryl)₃MgLi] -E 0 ∘C Arvl-E No Migration

Unexpected intramolecular cyclization of some 2'-aminochalcones to indolin-3-ones mediated by Amberlyst®-15 pp 5028-5031 Rodrigo Abonia^{*}, Paola Cuervo, Juan Castillo, Braulio Insuasty, Jairo Quiroga, Manuel Nogueras, Justo Cobo



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An in situ generated samarium complex as a practical catalyst for the efficient intramolecular hydroamination of pp 5032-5035 non-activated alkenes

Coralie Quinet, Ali Ates, István E. Markó *



Effective synthesis of nucleosides with glycosyl trifluoroacetimidates as donors Jinxi Liao, Jiansong Sun *, Biao Yu *

pp 5036-5038



Chemoselectivity in the microwave-assisted solvent-free solid-liquid phase benzylation of phenols: O- versus **C-alkylation**

György Keglevich^{*}, Erika Bálint, Éva Karsai, Alajos Grün, Mária Bálint, István Greiner



The reaction conditions determine whether the benzylation of phenol derivatives follows an O- or C-selective protocol.

Chemical and electrochemical procedures for the synthesis of diisopropyltetrahydroquinoxalinedione derivatives pp 5043-5046 Davood Habibi *, Davood Nematollahi, Saeid Azimi

-6e⁻, -6H⁺

a: R=H, b: R=CH₃, c: R=OCH₃

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Mono-acylation of piperazine and homopiperazine via ionic immobilization Wallace Pringle



Thiourea versus the oxyanion hole as a double H-bond donor

pp 5050-5052

Francisco M. Muñiz, Victoria Alcázar Montero, Ángel L. Fuentes de Arriba, Luis Simón, César Raposo, Joaquín R. Morán *



Intramolecular alkynylogous Mukaiyama aldol type reaction mediated by TBSOTf/NEt₃ pp 5053-5055 Laurence Miesch, Vincent Rietsch, Tania Welsch, Michel Miesch * R^1 = H, CH₃; n = 1, 2; m = 1, 2; R = or



The treatment of propargylic esters tethered to bicyclo[3.2.0]heptanone, 2-methylindanone, 2-methyltetralone, or 2-methylsuberone led to fused tricyclic allenoates by an intramolecular alkynylogous Mukaiyama aldol type reaction promoted by TBSOTf/NEt₃ dual activation.

First total synthesis of the antifungal antibiotic thiobutacin

Narayan Chakor^{*}, Sabrina Dallavalle, Loana Musso, Maddalena Moretti



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Preparation of halo enol phostones by reaction of acetylenic phosphonate monoesters with (bis-collidine)halo hexafluorophosphate

Virginie André, Svlvie Robin, Gérard Rousseau *

$$R \xrightarrow{O}_{H_{2}}(CH_{2})_{n+1} \xrightarrow{P} OMe \xrightarrow{O}_{OH} \xrightarrow{X^{+}(coll)_{2} PF_{6}^{-}} OP \xrightarrow{O}_{P} OMe \xrightarrow{O}_{H_{2}} OMe \xrightarrow{O}_{P} OH \xrightarrow{O}_{H_{2}} OP \xrightarrow$$

A pyridine-based macrocyclic host for urea and acetone

Kumaresh Ghosh *, Suman Adhikari, Roland Fröhlich

A pyridine-based macrocycle with a polyether chain has been designed and synthesized. The macrocycle shows strong binding for acetone involving both conventional and unconventional hydrogen bonds. The acetone in the cavity is exchangeable in CHCl3 by urea. This has been studied thoroughly by ¹H NMR, ¹³C NMR, mass and Xray analyses.

A differential receptor for selective and quantitative multi-ion analysis for Co²⁺ and Ni²⁺/Cu²⁺ Navneet Kaur, Subodh Kumar

Takuya Sueda *, Masashi Shoji, Kiyoharu Nishide

The treatment of α -bromoarylacetonitriles with AgNO₃ generates cyanohydrin nitrate intermediates, which easily eliminate nitrous acid with the formation of carbonyl bond to afford aroyl cyanides in high yields.

 $3+Cu^2$ 8+Ni2+ Ö 3

A new convenient synthesis of aroyl cyanides via the formation of cyanohydrin nitrate intermediates

The differential responses of chromogenic sensor 3 with Co^{2+} (λ_{max} 620 nm), Ni^{2+} (λ_{max} 380 nm, 460 nm) and Cu^{2+} (λ_{max} 460 nm) at pH 4.0 allow the selective and quantitative estimation of Co²⁺ and Ni²⁺ or Co²⁺ and Cu²⁺ from their mixtures.

X



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Nickel(0)-catalyzed diastereoselective three-component coupling of 1,3-dienes, aldehydes, and organometallic pp 507 reagents: influence of organometallic reagents on diastereoselectivity

Nozomi Saito, Tetsuro Yamazaki, Yoshihiro Sato *



Enantioselective synthesis of phomallenic acid C, an inhibitor of FAS II pathway Ken Ishigami ^{*}, Tomoko Kato, Kazuaki Akasaka, Hidenori Watanabe



An improved synthesis of pyrimidine- and pyrazole-based acyclo-C-nucleosides as carbohybrids Ram Sagar, Moon-Ju Kim, Seung Bum Park *



An improved synthesis of pyrimidine- and pyrazole-based acyclo-C-nucleoside as carbohybrids starting from 2-C-formyl glycals is presented.

Solid-phase synthesis of phthalocyanine and tetraazaporphyrin triangular prisms Atsuya Muranaka, Kengo Yoshida, Yusuke Akagi, Hiroshi Naka, Masanobu Uchiyama, Yoshinori Kondo, Nagao Kobayashi

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A thermostable azo-linker for reversible photoregulation of DNA replication

Qi Wang, Long Yi, Liangliang Liu, Chuanzheng Zhou, Zhen Xi *



Reversible photoregulation for DNA replication was achieved through incorporation of a thermostable azobenzene linker to regulate formation and disassociation of a hairpin structure.

Solvent-free hydroalkylation of olefins with 1,3-diketones catalyzed by phosphotungstic acid Guan-Wu Wang ^{*}, Ye-Bing Shen, Xue-Liang Wu, Lei Wang ^{*}

Organocatalytic asymmetric aldol reactions mediated by a cysteine-derived prolinamide

Ricardo S. Schwab, Fábio Z. Galetto, Juliano B. Azeredo, Antonio L. Braga, Diogo S. Lüdtke^{*}, Márcio W. Paixão^{*}



Nagula Shankaraiah, Ronaldo Aloise Pilli^{*}, Leonardo S. Santos^{*}

An alternative asymmetric synthesis of ropivacaine and analogues employing the 'cation pool' strategy and host/guest supramolecular co-catalysis approach is presented. In this study, chiral auxiliaries, several soft nucleophiles as well as one-pot conditions for anodic oxidation, followed by nucleophilic addition, have been applied.



acetone, -15 °C,

24 h

up to 94 % ee

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Efficient synthesis of an α -trifluoromethyl- α -tosyloxymethyl epoxide enabling stepwise double functionalisation to pp 5101–5104 afford CF₃-substituted tertiary alcohols

Steven P. Keeling *, Ian B. Campbell, Diane M. Coe, Tony W. J. Cooper, George W. Hardy, Torquil I. Jack, Haydn T. Jones, Deborah Needham, Tracy J. Shipley, Philip A. Skone, Peter W. Sutton, Gordon A. Weingarten, Simon J. F. Macdonald *



Catalytic activation of nucleophile precursors with metal acetates in alcohol media and applications to enantioselective Michael addition reactions

Fumiyasu Ono, Masayuki Hasegawa, Shuji Kanemasa^{*}, Junji Tanaka



An efficient synthesis of 3-amino-2-arylimidazo[1,2-a]pyridines

Mehdi Adib^{*}, Esmail Sheibani, Long-Guan Zhu, Peiman Mirzaei



Thioester-assisted α-sialylation reaction

Shinya Hanashima, Shoji Akai, Ken-ichi Sato *

 α -Selective sialylation reactions using novel sialic acid building blocks carrying thioester type auxillary was described.

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Corrigendum

*Corresponding author

(*P*⁺ Supplementary data available via ScienceDirect

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