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Contents

COMMUNICATIONS

An expedient synthesis of 3-substituted indoles via reductive alkylation with ketones John R. Rizzo, Charles A. Alt, Tony Y. Zhang *





3-Alkylindoles were prepared in one step from indoles and ketones via a convenient reductive alkylation procedure using triethylsilane and trichloroacetic acid.

Synthesis of a variety of optically active hydroxylated heterocyclic compounds using epoxide hydrolase technology pp 6752–6755 Daniel P. Pienaar, Robin K. Mitra, Thomas I. van Deventer, Adriana L. Botes *



Novel epoxide hydrolases were utilised to hydrolyse a variety of functionalised epoxides. The biotransformation products were subsequently converted into a variety of optically active heterocyclic compounds.

Copper(I)-doped Wyoming's montmorillonite for the synthesis of disubstituted 1,2,3-triazoles Ibtissem Jlalia, Hichem Elamari, Faouzi Meganem, Jean Herscovici, Christian Girard * pp 6756-6758



Photochemistry of 7-azide-1-ethyl-3-carboxylate-6,8-difluoroquinolone: a novel reagent for photoaffinity labeling pp 6759-6761 Elisa Leyva *, Denisse de Loera, Socorro Leyva





pp 6762-6764



The first stereoselective and the total synthesis of Leiocarpin C and total synthesis of (+)-Goniodiol

pp 6765-6767

J. S. Yadav^{*}, K. Premalatha, S. J. Harshavardhan, B. V. Subba Reddy



Lewis acid- and/or Lewis base-catalyzed [3+2] cycloaddition reaction: synthesis of pyrazoles and pyrazolines

pp 6768-6772

Palakodety Radha Krishna *, Empati Raja Sekhar, Florence Mongin



Lewis acid- and/or Lewis base-catalyzed cycloaddition of various olefins with ethyl diazoacetate is reported.

Noyori's Ts-DPEN ligand: an efficient bifunctional primary amine-based organocatalyst in enantio- and diastereoselective Michael addition of 1,3-dicarbonyl indane compounds to nitroolefins Ya-Dong Ju, Li-Wen Xu^{*}, Li Li, Guo-Qiao Lai, Hua-Yu Qiu, Jian-Xiong Jiang, Yixin Lu

> OMe $\frac{\text{Ts-DPEN(10mol\%)}}{\text{toluene}} R^{2 \int_{\zeta}^{\zeta}}$ 20 °C up to 84%ee

Synthesis of a β-cyclodextrin derivative bearing an azobenzene group on the secondary face Juan M. Casas-Solvas, Antonio Vargas-Berenguel *

Palladium-catalyzed cyclopropanation of electron-deficient olefins with aryldiazocarbonyl compounds Shufeng Chen, Jian Ma, Jianbo Wang



pp 6781-6783

pp 6784-6786

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Ar \xrightarrow{N_2} OMe_{+} R^2 \xrightarrow{R^1} \underbrace{Pd(OAc)_2}_{(5 \text{ mol}\%)} \underbrace{FWG}_{PhCH_3, 80 °C}
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pp 6773-6777

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pp 6778-6780



Stille reaction over cis-halocyclohexadienediol derivatives

Viviana Heguaburu^{*}, Marcus Mandolesi Sá, Valeria Schapiro, Enrique Pandolfi



Stille reaction was performed with several enantiomerically pure cis-diol derivatives under conventional heating and microwave irradiation forming the corresponding allyl cis-dihydrodiol derivatives.

A short enantioselective synthesis of (-)-bestatin via L-proline-catalyzed α -amination of an aldehyde Shyla George, Gurunath S. Suryavanshi, Arumugam Sudalai *



Mira Beshai, Bhartesh Dhudshia, Ryan Mills, Avinash N. Thadani

$$R = aryl, alkyl, heteroaryl$$
(i) Ph₃P=CHI, THF,
-78 °C to rt
(ii) TBAF, THF, ² R=
Yields = 86-73%

Terminal alkynes were synthesized in high yields from the corresponding (Z)-1-iodo-1-alkenes via dehydrohalogenation with tetrabutylammonium fluoride (TBAF). A one-pot synthesis of terminal alkynes from aldehydes was also developed.

Nickel-catalyzed reactions of vinyl aziridines and aziridinylen-ynes

Gang Zuo, Kainan Zhang, Janis Louie *

Ni/NHC was found to catalyze the rearrangement of vinyl aziridines and aziridinylen-ynes under mild conditions.





ÑΗ₂

(-)-Bestatin

Ô

ĊO₂H

pp 6794-6796

pp 6797-6799

RN





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Synthesis and reactivity of an unprecedented osmium(VIII) alkylidene

Véronique Martin, Simon Blakey *



Traceless solid-phase synthesis of hydroxylated cyclopentenones Christos I. Stathakis, John K. Gallos *





OHC

Guanacastepene A

AcO

OН

A synthetic approach to the functionalized hydroazulene core of guanacastepenes and heptemerenes Karol Michalak, Michał Michalak, Jerzy Wicha





Wojciech Chaładaj, Piotr Kwiatkowski, Janusz Jurczak *



pp 6800-6803

pp 6804-6806



pp 6810-6811

Hydroxylated C-branched pyrrolidines, C-branched prolines and C-branched piperidines from a 2-C-methyl sugar pp 6812-6815 lactone; efficient azide displacement of a tertiary triflate with inversion of configuration

Filipa P. da Cruz, Graeme Horne, George W. I. Fleet



Tandem cross-metathesis/hydrogenation: application to an enantioselective synthesis of pentadecyl 6-hydroxydodecanoate

pp 6816-6818

Emmanuel Bourcet, Marie-Alice Virolleaud, Fabienne Fache, Olivier Piva *



The title compound has been obtained in two steps through a cross-metathesis/hydrogenation process performed between a chiral homoallylic alcohol and an unsaturated ester.

Dipicolinate as acceptor in D $-\pi$ -A chromophores: synthesis, characterization and fluorescence following single- and pp 6819-6822 two-photon excitation

Haibo Xiao^{*}, Xiaoming Tao, Yaochuan Wang, Shixiong Qian, Guanghao Shi, Hui Li



Compound 6: 6 = 35 GM (Z-scan,800nm,140fs) $\phi = 0.85$ Compound 7: 6 = 23 GM (Z-scan,800nm,140fs) $\phi = 0.63$

Enantioselective arylation of aldehydes catalyzed by a soluble optically active polybinaphthols ligand

pp 6823-6826

Xiaobo Huang, Linglin Wu, Jingian Xu, Lili Zong, Hongwen Hu, Yixiang Cheng



RCAI-61, the 6'-O-methylated analog of KRN7000: its synthesis and potent bioactivity for mouse lymphocytes to produce interferon-γ in vivo

Takuya Tashiro, Ryusuke Nakagawa, Sayo Inoue, Masao Shiozaki, Hiroshi Watarai, Masaru Taniguchi, Kenji Mori *



RCAI-61 and related analogs of KRN7000 induce a large amount of IFN- γ production.

Anti to *syn* isomerization of oxacalix[4]arene bearing two methyl groups at the intra-annular distal positions pp 6831–6834 Hisatoshi Konishi^{*}, Takayuki Mita, Yusuke Yasukawa, Osamu Morikawa, Kazuhiro Kobayashi



The *anti* to syn conversion very slowly proceeds at 473 K in nitrobenzene- d_5 with a half-life of 7.2 h (ΔG^* 139 kJ mol⁻¹).

The first total synthesis of glycyrol

Ying Lan Jin, Sanghee Kim, Yeong Shik Kim, Soon-Ai Kim, Hak Sung Kim *



Glycyrol, isolated from Glycyrrhizae Radix, has a unique skeleton of a benzofuran coumarin. The key steps in the synthesis of glycyrol are Smiles rearrangement and Pd-mediated ring formation and selective introduction of prenyl and O-methyl groups.

2'-Lipid-modified oligonucleotides via a 'Staudinger-Vilarrasa' reaction

Hubert Chapuis, Laurent Bui, Isabelle Bestel, Philippe Barthélémy



pp 6835-6837

pp 6838-6840

Decomposition of alkyl hydroperoxide by a copper(I) complex: insights from density functional theory

Yi Luo, Satoshi Maeda, Koichi Ohno *

Computations suggest that $(OH)_2PS_2Cu(I)$ -mediated decomposition of CH₃OOH leads to formaldehyde and water molecules via O-O bond heterolysis and subsequent intramolecular hydrogen transfer, with retainment of the copper(I) complex. $P = (HO)_2P$ $P = (HO)_2P$

Efficient construction of a chiral all-carbon quaternary center by asymmetric 1,4-addition and its application to total pp 6846–6849 synthesis of (+)-bakuchiol

Tomoyuki Esumi *, Hiroyuki Shimizu, Akinori Kashiyama, Chizu Sasaki, Masao Toyota, Yoshiyasu Fukuyama *



A facile, scalable preparation of 4-oxo-4,7-dihydrothieno[2,3-b]pyridine-5-carbonitriles

L. Nathan Tumey^{*}, Niala Bhagirath, Biqi Wu, Diane H. Boschelli



We report a three step route to give 4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carbonitriles from 2-aminothiophene-3-carboxylate esters. The reactions proceed in good yield and generally require no chromatographic purification.

Bromohydrin reactions of Grieco's bicyclic lactone

Rodolfo Tello-Aburto, Maria Yolanda Rios, Dale C. Swenson, Horacio F. Olivo *



pp 6850-6852

pp 6853-6855

pp 6841-6845

A reinvestigation of the reactions of 3-substituted chromones with hydroxylamine. Unexpected synthesis of 3-amino- pp 6856–6859 4H-chromeno[3,4-d]isoxazol-4-one and 3-(diaminomethylene)chroman-2,4-dione

Vyacheslav Ya. Sosnovskikh *, Vladimir S. Moshkin, Mikhail I. Kodess



Conversion of cyanthiwigin U to related cyanthiwigins: total syntheses of cyanthiwigin W and cyanthiwigin Z Matthew W. B. Pfeiffer, Andrew J. Phillips ^{*} pp 6860-6861



Copper(I) hexafluorophosphate: a dual functional catalyst for three-component reactions of methyl phenyldiazoacetate with alcohols and aldehydes or α -ketoesters

Yongli Yue, Xin Guo, Zhiyong Chen, Liping Yang, Wenhao Hu



Oxonium ylides in situ generated from methyl phenyldiazoacetate and alcohols in the presence of $CuPF_6(CH_3CN)_4$ underwent an aldol-type reaction with aldehydes or α -ketoesters in a convergent, three-component fashion to give α -alkoxyl- β -hydroxyl acid derivatives in good yields.

A highly stereocontrolled route to 2-(2'-oxiranyl)piperidines and pyrrolidines: enantioselective synthesis of (+)- α -conhydrine

Dídac Rodríguez, Anna Picó, Albert Moyano *



Easy selective generation of (lithiomethyl)cyclopropane or homoallyllithium by a chlorine–lithium exchange Isidro M. Pastor ^{*}, Itziar Peñafiel, Miguel Yus ^{*} pp 6870-6872



One-step conversion to tertiary amines: InBr₃/**Et**₃**SiH-mediated reductive deoxygenation of tertiary amides** pp 6873–6875 Norio Sakai ^{*}, Kohji Fujii, Takeo Konakahara



Synthesis of 2-NBDLG, a fluorescent derivative of ι-glucosamine; the antipode of D-glucose tracer 2-NBDG Toshihiro Yamamoto ^{*}, Yuji Nishiuchi, Tadashi Teshima, Hideaki Matsuoka, Katsuya Yamada ^{*} pp 6876-6878



Selectivity of the cyclic carbonate formation by fixation of carbon dioxide into epoxides catalyzed by Lewis bases pp 6879–6881 Caio Ribeiro Gomes, Daniele Marcondes Ferreira, Carlos J. Leopoldo Constantino, Eduardo R. Pérez González *



Lewis acid-promoted carbonyl addition of 1,3-bis(silyl)propenes

Pavel Tuzina. Peter Somfai *



Enantioselective nickel-catalyzed conjugate addition of dialkylzinc to chalcones using chiral α-amino amides pp 6885-6888 Jorge Escorihuela, M. Isabel Burguete *, Santiago V. Luis *



Cebulactams A1 and A2, new macrolactams isolated from Saccharopolyspora cebuensis, the first obligate marine pp 6889-6892 strain of the genus Saccharopolyspora

Sheila Marie Pimentel-Elardo, Tobias A. M. Gulder, Ute Hentschel^{*}, Gerhard Bringmann^{*}



Base-mediated synthesis of quinolines: an unexpected cyclization reaction between 2-aminobenzylalcohol and ketones

Hans Vander Mierde^{*}, Pascal Van Der Voort, Francis Verpoort^{*}

$$\begin{array}{c} & \bigcirc \\ & \bigcirc \\ & \mathsf{NH}_2 \end{array} + \begin{array}{c} \mathsf{R}^2 \\ & \mathsf{R}^1 \end{array} \xrightarrow{\text{strong base}}_{1,4\text{-dioxane}} \\ & 80 \ ^\circ C, 1 \ h \end{array} \xrightarrow{\mathsf{NH}_2} \begin{array}{c} \mathsf{R}^2 \\ \mathsf{NH}_2 \end{array}$$

pp 6893-6895

pp 6882-6884

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Synthesis of alkyl 2-(bromomethyl)aziridine-2-carboxylates and alkyl 3-bromoazetidine-3-carboxylates as amino pp 6896–6900 acid building blocks

Sven Mangelinckx, Asta Žukauskaitė, Vida Buinauskaitė, Algirdas Šačkus, Norbert De Kimpe *

<u>THF, -78 °C</u> 2) E⁺, THF, -78 °C to rt

Selective synthesis of 2-substituted pyridine *N***-oxides via directed** *ortho*-metallation using Grignard reagents Hans Andersson, Magnus Gustafsson, Roger Olsson *, Fredrik Almqvist *

A convenient reagent for aldehyde to alkyne homologation

Douglass F. Taber *, Sha Bai, Peng-fei Guo



2-Nitrosobenzothiazoles: useful synthons for new azobenzothiazole dyes

Hélio Faustino, Reda M. El-Shishtawy, Lucinda V. Reis, Paulo F. Santos, Paulo Almeida

 H_2N R_2 $R_1 = H, NO_2; R_2 = H, 2-CO_2H, 2-Cl, 3-Cl, 4-Cl, 4-F, 4-I, 3-CH_2OH, 4-NO_2$

Novel azobenzothiazole dyes have been synthesized by condensation of 2-nitrosobenzothiazole and 6-nitro-2-nitrosobenzothiazole with aniline, anthranilic acid, 3hydroxymethylaniline, 2-, 3- and 4-chloroaniline, 4-fluoroaniline, 4-iodoaniline or 4-nitroaniline. The new synthetic approach described is advantageous over the classic diazotization process commonly used for the preparation of related disperse dyes, since the presence of an electron-donating group at the *para*-position, or equivalent, of the coupling component is no more a pre-requisite for the success of the condensation reaction.

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pp 6901-6903



pp 6904-6906



pp 6907-6909





Thiourea-catalyzed asymmetric formal [3+2] cycloaddition of azomethine ylides with nitroolefins

Jianwu Xie, Kohzo Yoshida, Kiyosei Takasu, Yoshiji Takemoto *



Chirality conversion and enantioselective extraction of amino acids by imidazolium-based binol-aldehyde

Lijun Tang, Hyerim Ga, Jiyoung Kim, Sujung Choi, Raju Nandhakumar, Kwan Mook Kim

pp 6914-6916

A novel imidazolium-based binol receptor **4** has been synthesized and used as a chirality conversion reagent for general amino acids with higher p-form selectivity compared to other guanidinium-based receptors. Favorable solubility in chloroform enabled **4** as an effective chiral extractant for the resolution of racemic amino acids.

Binding of secondary dialkylammonium salts by pyrido-21-crown-7

Chuanju Zhang, Kelong Zhu, Shijun Li, Jinqiang Zhang, Feng Wang, Ming Liu, Ning Li, Feihe Huang

Pyrido-21-crown-7 (**P21C7**) has been synthesized and shown to form [2]pseudorotaxanes spontaneously with secondary dialkylammonium ions. These complexes are stronger than their benzo-21-crown-7 counterparts and much stronger than their dibenzo-24-crown-8 counterparts. Based on this new **P21C7**/secondary dialkylammonium salt recognition motif, a [2]rotaxane terminated by phenyl groups as stoppers has been successfully constructed using the threading-followed-by-stoppering technique.



Catalytic asymmetric diethylzinc addition to diphenylphosphionyl imines using chiral *tert*-butanesulfinylphosphine pp 6921–6923 ligands

Junmin Chen, Dong Li, Haifeng Ma, Linfeng Cun, Jin Zhu, Jingen Deng, Jian Liao *



A class of novel chiral *tert*-butanesulfinylphosphine ligands were designed and synthesized by a concise two-step route with high yields. High activities and enantioselectivities (up to 94% ee) were achieved when using them in catalytic asymmetric diethylzinc addition to diphenylphosphionyl imines.

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Cyclization–oxidation of 1,6-enyne derivatived from Baylis–Hillman adducts via Pd(II)/Pd(IV)-catalyzed reactions: stereoselective synthesis of multi-substituted bicyclo[3.1.0] hexanes and insight into reaction pathways Hui Liu, Jianjun Yu, Limin Wang, Xiaofeng Tong * pp 6924-6928



Chelation-assisted rhodium hydride-catalyzed regioselective H/D exchange in arenes Shanshan Chen, Guoyong Song, Xingwei Li * pp 6929-6932



A series of air stable ionic rhodium(III) phosphine hydride complexes are synthesized via cyclometalation of functionalized arenes and prove to be active catalysts for regioselective H/D exchange in various arenes via chelation-assisted C-H activation in acetone- d_6 .

A safe, convenient and efficient method for the preparation of heterocyclic N-oxides using urea-hydrogen peroxide pp 6933–6935 Dawen Rong, Victoria A. Phillips, Ramón Sánchez Rubio, Mª Ángeles Castro, Richard T. Wheelhouse *

*Corresponding author ()⁺ Supplementary data available via ScienceDirect

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