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Contents

COMMUNICATIONS Synthesis of novel 2,3-substituted-2,4-dihydro-pyrazolo[4,3-d]pyrimidine-5,7-diones Thomas Brady, Khang Vu, Jack R. Barber, Shi Chung Ng, Yuefen Zhou^{*}

A one-pot synthesis of unsymmetrical bis-styrylbenzenes Daniel P. Flaherty, Yuxiang Dong, Jonathan L. Vennerstrom *

Selective oxidation reactions of diaryl- and dialkyldisulfides to sulfonic acids by CH₃ReO₃/hydrogen peroxide Francesco P. Ballistreri, Gaetano A. Tomaselli, Rosa M. Toscano ^{*}

rylbenzenes ennerstrom *

One-Pot

base, DMF

60 - 84%

 $R^2 = N$ $R^1 \longrightarrow N$ $R^1 \longrightarrow N$ $R^2 \to 0$





pp 6231-6232

pp 6223-6227



Efficient synthesis of alkyl 2,3-unsaturated glucopyranosides from glycals mediated by ytterbium(III) triflate-trialkyl aluminum

Pramchai Deelertpaiboon, Vichai Reutrakul^{*}, Suwatchai Jarussophon, Patoomratana Tuchinda, Chutima Kuhakarn, Manat Pohmakotr



Allyl tetrahydropyranyl ether: a versatile alcohol/thiol protecting reagent

Brijesh Kumar, Mushtaq A. Aga, Debaraj Mukherjee, Swapandeep S. Chimni, Subhash C. Taneja

pp 6236-6240



Allyl tetrahydropyranyl ether (ATHPE) can be used as a versatile protecting reagent. In combination with NBS/I₂, *O*-allyl group can easily be replaced by hydroxyls (including tertiary-OH) or thiols, in the molecules comprising other reactive functional groups such as halogen, nitro, acetonide and alkene under mild reaction conditions (near neutral pH and ambient temperature).

A simple method for improving the optical properties of a dimetallic coordination fluorescent chemosensor for adenosine triphosphate

niale .



Amberlyst-15: a mild, efficient and reusable heterogeneous catalyst for *N-tert***-butoxycarbonylation of amines** K. Shiva Kumar, Javed Iqbal^{*}, Manojit Pal^{*}

pp 6244-6246

RNH₂ + (Boc)₂O $\xrightarrow{\text{Amberlyst-15}}_{\text{rt, 1-10 min}}$ RHN R = alkyl, cycloalkyl, heterocyclyl, aryl.

 Ψ

pp 6241-6243



Unexpected behavior of the reaction between acyl thioformanilides and acetonitrile derivatives—a useful entry to pp 6247–6251 new penta-substituted dipyrrole disulfides

Ming Li, Guo-Rui Cao, Jing-Wei Zhao, Li-Rong Wen * , Yong-Jun Liu, Ya-Mu Xia, Shi-Zheng Zhu *



Ionic probe attachment ionization mass spectrometry

Fumihiro Ito^{*}, Tomoko Nakamura, Satoko Yorita, Hiroshi Danjo, Kentaro Yamaguchi^{*}



Expedient synthesis of 3-substituted cycloalkanones via a Pd-catalyzed decarboxylative protonation protocol Se Hee Kim, Eun Sun Kim, Taek Hyeon Kim, Jae Nyoung Kim^{*}



A facile synthesis of phthalein indicator dyes Ram W. Sabnis



The use of methanesulfonic acid offers a novel and highly efficient method for the synthesis of phthalein indicator dyes in excellent yields on an industrial scale.





pp 6256-6260



Novel synthesis of 2,4-bis(2-pyridyl)-5-(pyridyl)imidazoles and formation of N-(3-(pyridyl)imidazo[1,5-a]pyridine)picolinamidines: nitrogen-rich ligands Vijendra Kumar Fulwa, Rojalin Sahu, Himanshu Sekhar Jena, Vadivelu Manivannan

Heating a neat 1:2 mixture of 2-picolylamine and 2-cyanopyridine, followed by treatment of the resultant red gummy substance with aqueous KOH resulted in the isolation of 2,4,5-tris(2-pyridyl)imidazole (1a) as the major product and N-(3-(2-pyridyl)imidazo[1,5-a]pyridine)picolinamidine (2a) in small amounts. Similarly, by using 3-picolylamine, 2,4,-bis(2-pyridyl)-5-(3-pyridyl)imidazole (1b) and N-(3-(3-pyridyl)imidazo[1,5-*a*]pyridine)picolinamidine (**2b**) were isolated, and by using 4-picolylamine, 2,4,-bis-(2-pyridyl)-5-(4-pyridyl)imidazole (**1c**) and *N*-(3-(4-pyridyl)imidazo[1,5-*a*]pyridine)picolinamidine (**2c**) were isolated. The plausible mechanism of the formation of **1a-c** and **2a-c** is delineated.





Synthesis of substituted 3-iodopyrroles by electrophilic cyclization of propargylic aziridines Masahiro Yoshida^{*}, Mohammad Al-Amin, Kozo Shishido



pp 6264-6267



Thermal and microwave hydrolysis of organotrifluoroborates mediated by alumina George W. Kabalka^{*}, Vitali Coltuclu



Silver triflate and triphenylphosphine co-catalyzed reactions of 2-alkynylbenzaldehyde, amine, and α , β -unsaturated ketone

pp 6273-6275

Shengqing Ye, Jie Wu *



pp 6271-6272

Stereoselective synthesis of the densely functionalized C1-C9 fragment of amphidinolides C and F Debendra K. Mohapatra^{*}, Pavankumar Dasari, Hasibur Rahaman, Rita Pal

-OBn 10

A new synthesis of β_{γ} -unsaturated esters and allenic esters with construction of a carbon-carbon bond between pp 6280-6285 α - and β -positions by the reaction of magnesium alkylidene carbenoids with lithium ester enolates

An efficient synthesis of Baylis-Hillman adducts of acrylamide: Pd-catalyzed hydration of Baylis-Hillman adducts pp 6286-6289 of acrylonitrile

 $\begin{array}{c} OH \\ R \\ \hline \\ (2.0 \text{ equiv}) \end{array} + \begin{array}{c} CH_3CH=NOH \\ (2.0 \text{ equiv}) \end{array} \xrightarrow{Pd(OAc)_2 / PPh_3} \\ aq EtOH, reflux, 3-5 h \end{array} \xrightarrow{OH} O \\ R \\ \hline \\ NH_2 \end{array}$

Eun Sun Kim, Hyun Seung Lee, Jae Nyoung Kim *



Ja Young Kim, Youngshin Jo, Sunwoo Lee^{*}, Hyun Chul Choi^{*}

R = aryl, heteroaryl, alkyl





pp 6276-6279

Copper- and base-free Sonogashira-type cross-coupling reaction of triarylantimony dicarboxylates with terminal pp 6293–6297 alkynes under an aerobic condition

Xuan Wang, Weiwei Qin, Naoki Kakusawa, Shuji Yasuike, Jyoji Kurita^{*}

$$\begin{array}{c} \mathsf{QAc} & \mathsf{PdCl}_2(\mathsf{PPh}_3)_2 \\ \mathsf{Ar}-\mathsf{Sb}^{\mathsf{Ar}}_{\mathsf{Ar}} + & 2(\mathsf{H}-\mathsf{E}-\mathsf{R}) \xrightarrow{(1 \text{ mol}\%)}{1,4\text{-dioxane, 80}^\circ\mathsf{C}, \text{ air}} 2(\mathsf{Ar}-\mathsf{E}-\mathsf{R}) \\ \mathsf{QAc} & \text{without Cu salt and base} \end{array}$$

First stereoselective synthesis of synargentolide A and revision of absolute stereochemistry Gowravaram Sabitha ^{*}, Peddabuddi Gopal, C. Nagendra Reddy, J. S. Yadav

Preparation of 4-heteroaryl-4-cyanopiperidines via S_NAr substitution reactions

,OBn

Ronald K. Chang, Christina N. Di Marco, Daniel R. Pitts, Thomas J. Greshock ^{*}, Scott D. Kuduk



19 examples 51-100% yield

QAc

OAc OAc

E OAc OAc

revised structure of

synargentolide A 6

Ô

published structure of synargentolide A 1

Large scale synthesis of the acetonides of L-glucuronolactone and of L-glucose: easy access to L-sugar chirons pp 6307–6310 Alexander C. Weymouth-Wilson *, Robert A. Clarkson, Nigel A. Jones, Daniel Best, Francis X. Wilson, Photo: Clarkson, Nigel A. Jones, Daniel Best, Francis X. Wilson,

Maria-Soledad Pino-González, George W. J. Fleet *



pp 6298-6302



2-Aryl propionamides via 1,4-aryl radical migration from N-arylsulfonyl-2-bromopropionamides Andrew J. Clark^{*}, Stuart R. Coles, Alana Collis, David R. Fullaway, Nicholas P. Murphy, Paul Wilson

$$Br \xrightarrow{O}_{SO_2Ar} \xrightarrow{CuBr}_{HO-99\%} Ar \xrightarrow{O}_{H} \xrightarrow{Bu}_{H} Bu$$

$$ligand = \frac{Me_2N}{Me} \xrightarrow{N-NMe_2}_{Me}$$

Reaction of N-alkyl-N-arylsulfonyl-2-halo-propionamides with pentamethyldiethylenetriamine and either CuBr or CuCl leads to 2-aryl propionamides in 40-99% yields.

2

ОН

Britanlins A-D, four novel sesquiterpenoids from Inula britannica Jun-Li Yang, Lie-Lie Liu, Yan-Ping Shi



1 R=CH₂OH

3 R=COOH

Caroline L. Nesbitt, Christopher S. P. McErlean



Fine-tuning catalytic activity and selectivity-[Rh(amino acid thioamide)] complexes for efficient ketone reduction

Katrin Ahlford, Madeleine Livendahl, Hans Adolfsson

Fine-tuning of ligand structure results in higher catalytic activity and enantioselectivity in the rhodium-catalyzed asymmetric transfer hydrogenation of aryl alkyl ketones.

pp 6315-6317

pp 6318-6320

pp 6321-6324



OMe

4



pp 6311-6314

Diastereoselective, large-scale synthesis of β -amino acids via asymmetric *aza*-Michael addition as $\alpha 2\delta$ ligands for the treatment of generalized anxiety disorder and insomnia

Javier Magano^{*}, Daniel Bowles, Brian Conway, Thomas N. Nanninga, Derick D. Winkle



Scalable synthetic routes to β-amino acids 1 and 2 are reported. The two chiral centers are introduced through asymmetric Michael and *aza*-Michael reactions with excellent diastereoselectivity.

Diastereoselective, large scale synthesis of β -amino acids via asymmetric enamide hydrogenation as $\alpha 2\delta$ ligands pp 6329–6331 for the treatment of generalized anxiety disorder and insomnia

Javier Magano^{*}, Brian Conway, Daniel Bowles, Jade Nelson, Thomas N. Nanninga, Derick D. Winkle, Haifeng Wu, Michael H. Chen



Scalable synthetic routes to β-amino acids 1 and 2 are reported via asymmetric hydrogenation of an enamide precursor to introduce the chirality at the β-carbon.

Asymmetric synthesis of oxindoles containing a quaternary stereogenic centre by catalytic *O*/*C*-carboxyl rearrangement

Muhammad Ismail, Huy V. Nguyen, Gennadiy Ilyashenko, Majid Motevalli, Christopher J. Richards



Photodecarboxylative benzylations of phthalimides

Fadi Hatoum, Sonia Gallagher, Louise Baragwanath, Johann Lex, Michael Oelgemöller



Photoadditions of phenylacetates to phthalimides give the corresponding benzylated hydroxyphthalimidines in moderate to high yields of 29–90%. With 2-phenylpropanoate, photoaddition affords a diastereoisomeric mixture in a de of 24% in favour of the *like*-diastereoisomer. L-3-Phenyllactate and 2-oxo-3-phenylpropanoate both furnish the benzylated product through subsequent loss of formaldehyde and decarbonylation, respectively.

pp 6332-6334

pp 6335-6338

pp 6325-6328

ortho-Formylation of oxygenated phenols

Øyvind W. Akselsen, Lars Skattebøl, Trond Vidar Hansen *



 $\label{eq:R} \begin{array}{l} R = H, \, Me, \, Bn, \, TBS, \, TDS \\ R' = H, \, Cl, \, Br \end{array}$

A new approach to indolo[2,3-a]quinolizidines through radical cyclization of 2-acyl-1-phenylthiotetrahydro- β -carbolines bearing pendent α , β -unsaturated esters

Myles W. Smith, Roger Hunter^{*}, Devendren J. Patten, Wolfgang Hinz



Unexpected behaviour of monospirothiacalix[4]arene under acidic conditions

Kateřina Polívková, Markéta Šimánová, Jan Budka, Petra Cuřínová, Ivana Císařová, Pavel Lhoták



In contrast to classical calix[4]arenes, a spirodienone derivative of thiacalix[4]arene rearranges under acidic conditions to give a phenoxanthiin derivative in 80% yield.

Reaction of *N***-Fmoc aspartic anhydride with glycosylamines: a simple entry to** *N***-glycosyl asparagines** Farid M. Ibatullin^{*}, Stanislav I. Selivanov



pp 6347-6350

pp 6351-6354

pp 6342-6346

6217



 $\left(\begin{array}{c} p \text{-TsOH.H}_{2}O \\ N \end{array} \right) \xrightarrow{P \text{-TsOH.H}_{2}O} \xrightarrow{R^{3}}$

A four-component, one-pot synthesis of highly substituted 1,4-dihydro-1,8-naphthyridine-3-carboxamides Ahmad Shaabani^{*}, Mozhdeh Seyvedhamzeh, Ali Maleki, Maryam Behnam

 $R^{1}-NH_{2} + O + R^{3}$

A short route to 3-alkynyl-4-bromo(chloro)cinnolines by Richter-type cyclization of ortho-(dodeca-1,3-diynyl)aryltriaz-1-enes

Olga V. Vinogradova, Viktor N. Sorokoumov, Irina A. Balova

A novel titanium tetrachloride-induced rearrangement of an enantiopure 4-naphthyldioxolane. The possible role pp 6361-6363 of titanium in the umpolung of tosyloxy and chlorine

Robin G. F. Giles^{*}, Joshua D. McManus



TsÒ

An automated-flow microreactor system for quick optimization and production: application of 10- and 100-gram order productions of a matrix metalloproteinase inhibitor using a Sonogashira coupling reaction Atsushi Sugimoto, Takahide Fukuyama^{*}, Md. Taifur Rahman, Ilhyong Ryu

programmed screening of flow rates and temperatures solution A pump fraction mixer RTU collector product solution B pump hit conditions PC control Ω large scale production







pp 6358-6360





Functionalized organozincates and organocuprates derived from γ -hydroxytellurides in the preparation of 1,4-hydroxyketones

Jefferson L. Princival, Alcindo A. Dos Santos^{*}, João V. Comasseto



Original TDAE application: synthesis of 2-substituted-4,11-dimethoxy-anthra[2,3-*b*]furan-5,10-diones via intramolecular Buchwald reaction

Omar Khoumeri, Maxime D. Crozet, Thierry Terme, Patrice Vanelle



Efficient synthesis of N^{α} -Me, N^{β} -Boc protected α -hydrazinoacids: access to 1:1:1 [N^{α} -Me α -hydrazino/ α/N^{α} -Me α -hydrazino]trimers

Samir Acherar, Brigitte Jamart-Grégoire *



Improved synthesis of (S)-7-amino-5H,7H-dibenzo[*b,d*]**azepin-6-one, a building block for** γ **-secretase inhibitors** Fabienne Hoffmann-Emery ^{*}, Roland Jakob-Roetne, Alexander Flohr, Fritz Bliss, Reinhard Reents



pp 6372-6376

pp 6377-6379

6219



Dual Bodipy fluorophores linked by polyethyleneglycol spacers

Soumyaditya Mula, Gilles Ulrich, Raymond Ziessel



Donor-acceptor dyes linked by flexible chains of different size have been engineered and their fluorescence properties were investigated.

A formal synthesis to (+)-nephrosteranic acid from chiral nitroalkyl derivatives

pp 6389-6392

pp 6393-6397

Cleber B. Barreto Jr., Vera L. Patrocinio Pereira



Thiamine hydrochloride as a efficient catalyst for the synthesis of amidoalkyl naphthols Min Lei, Lei Ma^{*}, Lihong Hu^{*}



Synthesis of 10 stereochemically distinct bis-tetrahydrofuran intermediates for creating a library of 64 asimicin pp 6398–6401 stereoisomers

Zhiyong Chen, Subhash C. Sinha *



		0.1					
Rel	. stereochem. A,B rings	absolute ^b stereochem.	bis-THF compounds	Rel	. stereochem. A,B rings	absolute ^b stereochem.	bis-THF compounds
5,6 threo	trans,trans	R,R,R,R S,S,S,S	5.1 5.2	5,6 erythro	trans,trans	- R,R,S,S - S,S,R,R	5.9 5.10
	cis,cis	S,R,R,S R,S,S,R	5.3 5.4		cis,cis	S,R,S,R R,S,R,S	5.11 5.12
	trans,cis	R,R,R,S S,S,S,R	5.5 5.6		trans,cis	R,R,S,R S,S,R,S	5.13 5.14
	cis,trans	S,R,R,R R,S,S,S	5.7 5.8		cis,trans	S,R,S,S R,S,R,R	5.15 5.16

Stereoselective synthesis of 10 unique bifunctional stereoisomeric adjacent bis-THF intermediates (R = Bz), including **5.1–5.4**, **5.7–5.9**, **5.11**, and **5.15–5.16**, which can afford a library of all 64 asimicin-type acetogenins, is described.

pp 6383-6388

Facile asymmetric synthesis of spongianone analogue through biomimetic cyclization Sanjay J. Mishra, Kiran B. Upar, Sujata V. Bhat *

Me Me .,,\OH ^{′/}ОН Ĥ Me Me

O

ĊН₃

Facile asymmetric synthesis of tetracyclic homoterpene lactone has been achieved through chiral LBA-catalyzed biomimetic cyclization.

5-Cyanoacetylpyrimidines as intermediates for 7-aryl-6-cyanopyrido[2,3-d]pyrimidin-5-ones Jairo Quiroga , Jorge Trilleras, Jaime Gálvez, Braulio Insuasty, Rodrigo Abonía, Manuel Nogueras , Justo Cobo

The reactions of N⁴- and 5-cyanoacetyl derivates of 4-aminopyrimidines with aromatic aldehydes have yielded the N-(pyrimidin-4-yl)-3-arylacrylamides and the

Highly sensitive and selective reversible sensor for the detection of Cr³⁺

Aruna J. Weerasinghe, Carla Schmiesing, Ekkehard Sinn



Saleh M. Al-Mousawi^{*}, Moustafa Sherief Moustafa, Mohamed Hilmy Elnagdi



DMF, reflux NH₂ NH₂ H

dihydropyrido[2,3-d]pyrimidines, respectively.

ĊH₃

ĊH₃



pp 6411-6413

pp 6407-6410

pp 6402-6403

pp 6404-6406

Diastereoselective thioglycosylation of peracetylated glycosides catalyzed by in situ generated iron(III) iodide from elemental iodine and iron

Shiue-Shien Weng



A diphenylphosphinoethane-functionalized polystyrene resin-supported Pd(0) complex as an effective catalyst for copper-free Sonogashira coupling reactions under aerobic conditions

Mohammad Bakherad^{*}, Ali Keivanloo, Bahram Bahramian, Samira Mihanparast



A polymer-supported palladium(0) diphenylphosphinoethane complex was found to be a highly active catalyst for the copper-free Sonogashira coupling reaction of aryl iodides with terminal alkynes, giving excellent yields of products (85–98%) under aerobic conditions.

*Corresponding author ()+ Supplementary data available via ScienceDirect

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ISSN 0040-4039

pp 6418-6420

pp 6414-6417