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CO₂Et



Solid-phase synthesis of benzodiazepinediones mimicking the C-terminus of the H-Ras protein Björn Ludolph, Herbert Waldmann *







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Shape-dependent catalytic activity of copper oxide-supported Pd(0) nanoparticles for Suzuki and cyanation reactions pp 3164–3167 Kalicharan Chattopadhyay, Raju Dey, Brindaban C. Ranu *



Palladium-catalyzed intramolecular cyanoboration of allenes leading to the regioselective synthesis of β -cyanoallylboranes

Akihiko Yamamoto, Yuto Ikeda, Michinori Suginome *



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Synthesis of polyfunctionalized vinyl cyclopropanes via the NaI-catalyzed ring-opening cyclization of doubly activated pp 3175–3177 cyclopropenes with 1,1-bis(phenylsulfonyl)ethylene

Jie Chen, Ning Xin, Shengming Ma



A Nal-catalyzed reaction of 3,3-bis(alkoxycarbonyl)cyclopropenes in the presence of 1,1-bis(phenylsulfonyl)ethylene providing an efficient route to a series of polyfunctionalized vinyl cyclopropanes is described. The reaction is general for a range of different 3,3-bis(alkoxycarbonyl)cyclopropenes affording the products in moderate to high yields. A plausible rationale for this transformation is discussed.



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K. C. Majumdar^{*}, Buddhadeb Chattopadhyay, Srikanta Samanta

TBSC



Unexpected decarbonylation during an acid-mediated cyclization to access the carbocyclic core of zoanthenol pp 3182–3184 Jennifer L. Stockdill, Douglas C. Behenna, Brian M. Stoltz *

> TFA, 22 °C, 21 h then TBAF, THF

(up to 76% yield)

anocatalytic conversion of arylglyoxals into optically active mandelic acid derivatives

òн

Organocatalytic conversion of arylglyoxals into optically active mandelic acid derivatives Ellen Schmitt, Ingo Schiffers, Carsten Bolm *



up to 83% ee

Fuligoic acid, a new yellow pigment with a chlorinated polyene-pyrone acid structure isolated from the myxomycete *Fuligo septica* f. *flava*

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A. Paul Krapcho^{*}, Silvia Sparapani, Amber Leenstra, Joshua D. Seitz



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Raquel Almansa, David Guijarro^{*}, Miguel Yus^{*}



Plakoridine C, a novel piperidine alkaloid from an Okinawan marine sponge Plakortis sp. Yuichiro Ishiguro, Takaaki Kubota, Kan'ichiro Ishiuchi, Jane Fromont, Jun'ichi Kobayashi



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Design and synthesis of immobilized Tamiflu analog on resin for affinity chromatography

Yasuaki Kimura, Kenzo Yamatsugu, Motomu Kanai^{*}, Noriko Echigo, Takashi Kuzuhara, Masakatsu Shibasaki^{*}



An immobilized Tamiflu analog for affinity chromatography was synthesized to identify possible endogenous target proteins in vertebrates.

Highly stereoselective synthesis of vicinal diols by stannous chloride-mediated addition of hydroxyallylic stannanes to aldehydes

Makoto Yasuda *, Tatsuya Azuma, Kensuke Tsuruwa, Srinivasarao Arulananda Babu, Akio Baba *



Preparation of hydroxyallylic stannanes by the reaction of an α_{β} -unsaturated aldehyde with Bu₃SnLi and their addition to aldehydes in the presence of SnCl₂ to give vicinal diols in a highly stereoselective manner.

Synthesis of functionalized siloles from Si-tethered diynes

Qian Luo, Li Gu, Chao Wang, Junhui Liu, Wenxiong Zhang, Zhenfeng Xi *



Enantiomerically enriched atropisomeric *N*,*N*-diaryl ureas by oxidative kinetic resolution of their 2-sulfanyl derivatives

Jonathan Clayden ^{*}, Hazel Turner

 $Me \bigvee_{t-Bu} \bigvee_{t-Bu$

Kinetic resolution in the oxidation of sulfanylureas to sulfinylureas yields enantiomerically enriched atropisomers.

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Highly stereoselective and efficient synthesis of ω -heterofunctional di- and trienoic esters for Horner-Wadsworthpp 3220-3223 Emmons reaction via alkyne hydrozirconation and Pd-catalyzed alkenylation Guangwei Wang, Zhihong Huang, Ei-ichi Negishi



SmI₂-mediated dialdehyde cyclization cascades

Matthew D. Helm, David Sucunza, Madeleine Da Silva, Madeleine Helliwell, David J. Procter *



Dialdehydes undergo sequenced Sml2-mediated cyclization cascades generating four contiguous stereocenters with high diastereocontrol.

Hypervalent iodine(III)/ $Et_4N^+Br^-$ combination in water for green and racemization-free aqueous oxidation of alcohols pp 3227–3229 Naoko Takenaga, Akihiro Goto, Misaki Yoshimura, Hiromichi Fujioka, Toshifumi Dohi, Yasuyuki Kita



We have found that the use of a hypervalent iodine(III)/Et₄N*Br⁻ combination *in water* can significantly enhance its oxidation ability and oxidize a wide range of alcohols 1 to carbonyl compounds 2 without racemization. Utilization of the recyclable reagent 3 as a more practical alternative to PhI(OAc)₂ is also successful in these reactions.

Aziridines as intermediates in diversity-oriented syntheses of alkaloids Alexander M. Taylor, Stuart L. Schreiber



The efficient synthesis of small molecules that collectively comprise optimal small-molecule screening collections is an important goal. With this in mind, we have used N-alkyl aziridines in a regio- and stereochemically controlled synthesis of polycyclic heterocycles based on nucleophilic ring opening and subsequent intramolecular cyclization.



pp 3230-3233

A readily observed base-catalyzed isotopic exchange in a 2,4-dinitroalkyl benzene

Nick Backstrom, C. Ian F. Watt *



Isotopic exchange in a water-soluble 2,4-dinitroalkyl benzene occurs exclusively at the 3-position of the benzene ring and is readily observed by H NMR spectroscopy.

Dynamic kinetic asymmetric transformation of 1,4-diols and the preparation of trans-2,5-disubstituted pyrrolidines pp 3237–3240 Linnéa Borén, Karin Leijondahl, Jan-E. Bäckvall *



Tandem aza-Claisen rearrangement and ring-closing metathesis reactions: the stereoselective synthesis of functionalised carbocyclic amides

Michael D. Swift, Adele Donaldson, Andrew Sutherland *



Synthesis of 6,6-bisbenzannulated spiroketals related to the rubromycins using a double intramolecular hetero-Michael addition (DIHMA)

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Peter J. Choi, Dominea C. K. Rathwell, Margaret A. Brimble *

The synthesis of a series of 6,6-bisbenzannulated spiroketals using a novel microwave-assisted DIHMA approach is reported.



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A bimetallic aluminium(salen) complex for asymmetric cyanohydrin synthesis

Michael North^{*}, Courtney Williamson



In the presence of a phosphine oxide cocatalyst, bimetallic aluminium(salen) complex 1 was found to catalyse the asymmetric addition of trimethylsilyl cyanide to aldehydes.

Synthesis of β -heteroaryl propionates via trapping of carbocations with π -nucleophiles Tsung-hao Fu, Amy Bonaparte, Stephen F. Martin *

pp 3253-3257



Synthesis of an enantiopure isoxazolidine monomer for $β^3$ -aspartic acid in chemoselective β-oligopeptide synthesispp 3258–3260Hiroshi Ishida, Nancy Carrillo, Jeffrey W. Bode *



The synthesis of an enantiopure isoxazolidine monomer for the incorporation of β^3 -aspartic acid residues into β^3 -oligopeptides via chemoselective α -ketoacid-hydroxylamine amide formation.

A convenient method for the synthesis of (Z)- α -haloacrylates: Lewis base-catalyzed carbonyl olefination using α -halo-C,O-bis(trimethylsilyl)ketene acetals

Makoto Michida, Takako Toriumi, Teruaki Mukaiyama *



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Mercuric acetate-mediated annulation of homopropargylic alcohols having thioether substituent. A general route pp 3263–3265 for the synthesis of tetrasubstituted furans from propargylic dithioacetals

Chih-Wei Chen, Tien-Yau Luh *







Silver-catalyzed cross-coupling reactions of alkyl bromides with alkyl or aryl Grignard reagents Hidenori Someya, Hideki Yorimitsu ^{*}, Koichiro Oshima ^{*}



Synthesis of 2,5-diaryloxazoles through van Leusen reaction and copper-mediated direct arylation Tomoki Yoshizumi, Tetsuya Satoh, Koji Hirano, Daisuke Matsuo, Akihiro Orita, Junzo Otera ^{*}, Masahiro Miura ^{*} pp 3270-3272

pp 3273-3276

 $Ar \longrightarrow N + \bigvee_X \frac{CuI/PPh_3}{Na_2CO_3/DMF} Ar \longrightarrow N + Via van Leusen Reaction X = OMe, Br, CO_2Me, CN, CF_3, = Ph$

Efficient and stereoselective installation of isoquinoline: formal total synthesis of cortistatin A Shuji Yamashita *, Kazuki Kitajima, Kentaro Iso, Masahiro Hirama *



Highly efficient asymmetric amination of β -keto esters catalyzed by chiral quaternary ammonium bromides Quan Lan, Xisheng Wang, Rongjun He, Changhua Ding, Keiji Maruoka

(S)-1c (1 mol%)

toluene

Š40°C. 5 min

A highly efficient asymmetric amination of β -keto esters was achieved with high enantioselectivity under phase transfer conditions using chiral quaternary ammonium bromide as a catalyst.

Singlet oxygen conversion of indoles into α , β -unsaturated oxindoles in model compounds related to the welwitindolinone alkaloids

 $-CO_2Bu^t$ + EtO_2CN=NCO_2Et $\xrightarrow{33\%}$ aq. K_2CO_3

Valerie Boissel, Nigel S. Simpkins *, Gurdip Bhalay



pTSA, O₂

A series of conformationally restricted bridged indoles, having structures related to the natural alkaloid N-methylwelwitindolinone C isothiocyanate (welwistatin), are oxidised to the corresponding α_{β} -unsaturated oxindoles by treatment with singlet oxygen.

A microfluidic flow chemistry platform for organic synthesis: the Hofmann rearrangement Alessandro Palmieri, Steven V. Ley *, Kelvin Hammond, Anastasios Polyzos, Ian R. Baxendale



We report on the use of commercially available chemical microreactors to effect the Hofmann rearrangement of aromatic amides to the corresponding carbamates.

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pp 3280-3282







pp 3283-3286



Synthesis of indoles via alkylidenation of acyl hydrazides

Kevin Hisler, Aurélien G. J. Commeureuc, Sheng-ze Zhou, John A. Murphy *

pp 3290-3293



A convenient access to furo[3,2-c]pyridin-6(5*H*)-ones by the reaction of 5-iodo-4-methoxy-2-pyridones with terminal pp 3299–3301 alkynes under microwave-enhanced Sonogashira conditions

David Conreaux, Thierry Delaunay, Philippe Desbordes, Nuno Monteiro^{*}, Geneviève Balme^{*}



A simple O-sulfated thiohydroximate molecule to be the first micromolar range myrosinase inhibitor

Deimante Cerniauskaite, Estelle Gallienne, Henreta Karciauskaite, Andrea S. F. Farinha, Jolanta Rousseau, Sylvie Armand, Arnaud Tatibouët ^{*}, Algirdas Sackus, Patrick Rollin



Ti(III)-mediated radical cyclization of β-aminoacrylate containing epoxy alcohol moieties: synthesis of highly pp 3306–3310 substituted azacycles

Tushar Kanti Chakraborty^{*}, Rajarshi Samanta, Saumya Roy, Balasubramanian Sridhar



Concise syntheses of (±)-dichroanone, (±)-dichroanal B, (±)-taiwaniaquinol B, and (±)-taiwaniaquinone D George Majetich ^{*}, Joel M. Shimkus



The total syntheses of dichroanone and dichroanal B, as well as the formal syntheses of taiwaniaquinol B and taiwaniaquinone D, are reported.

One-pot assembly of 4-methylene-3-oxa-1-azabicyclo[3.1.0]hexanes from alkyl aryl(hetaryl) ketoximes, acetylene, and aliphatic ketones: a new three-component reaction

Boris A. Trofimov^{*}, Elena Yu. Schmidt, Al'bina I. Mikhaleva, Igor A. Ushakov, Nadezhda I. Protsuk, Elena Yu. Senotrusova, Olga N. Kazheva, Grigorii G. Aleksandrov, Oleg A. Dyachenko



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pp 3311-3313

pp 3314-3317

A robust, efficient catalyst system for enolate arylation leading to quaternary 3-aminooxindoles Emma L. Watson, Stephen P. Marsden^{*}, Steven A. Raw

Pd₂(dba)₃, SIPrHCI 3 eq NaO^tBu, toluene 80 °C. 4 h 1% Pd: 88% 0.1% Pd: 83%

Screening identifies Pd(0)/SIPr complexes as robust and efficient catalysts for intramolecular enolate arylation reactions leading to 3-aminooxindoles.

Fluorodecarboxylation, rearrangement and cyclisation: the influence of structure and environment on the reactions of carboxylic acids with xenon difluoride

Christopher A. Ramsden *, Maxine M. Shaw

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Amphidinolide F

Enantioselective aziridination reaction of α_{β} -unsaturated aldehydes using an organocatalyst and *tert*-butyl N-arenesulfonyloxycarbamates

Hiromi Arai, Naomi Sugaya, Neri Sasaki, Kazuishi Makino, Sylvain Lectard, Yasumasa Hamada *



твзо



3114

pp 3318-3320

pp 3321-3324



pp 3329-3332

CO₂Et

CO₂Et

он 3 steps

EtO₂0

pp 3325-3328

Facile synthesis and photophysical properties of 1,2-phenylene-bridged porphyrin dimers

Kenta Osawa, Naoki Aratani^{*}, Atsuhiro Osuka^{*}

Facile synthesis of 1,2-phenylene-bridged porphyrin dimers via Pd-catalyzed cross-coupling reaction and their photophysical properties are reported.

The effects of amine and acid catalysts on efficient chelation-assisted hydroacylation of alkene with aliphatic aldehyde

Eun-Ae Jo, Chul-Ho Jun *



Spectroscopic analyses and chemical transformation for structure elucidation of two novel indole alkaloids from pp 3341–3344 *Gelsemium elegans*

Yousuke Yamada, Mariko Kitajima, Noriyuki Kogure, Sumphan Wongseripipatana, Hiromitsu Takayama *



Enantioselective radical addition reactions to imines using binaphthol-derived chiral *N***-triflyl phosphoramides pp 3345–3348** Sunggi Lee, Sunggak Kim^{*}



3115





Convenient and highly efficient synthesis of boron-dipyrrins bearing an arylboronate center

Chusaku Ikeda, Tetsuji Maruyama, Tatsuya Nabeshima



Unique fluorescent boron-dipyrrins bearing an aryl group and a phenyloxy group linked directly to the boron center have been prepared in high yields from arylboronic acid.

Heck reactions using segmented flow conditions

Batoul Ahmed-Omer, David A. Barrow *, Thomas Wirth *





Total synthesis of (+)-spiculoic acid A

Daisuke Matsumura, Takumi Toda, Takashi Hayamizu, Kiyoto Sawamura, Ken-ichi Takao, Kin-ichi Tadano *



Studies related to the total synthesis of the sesquiterpene core of the pyrrolobenzoxazine natural products CJ-12662 and CJ-12663

Małgorzata Commandeur, Claude Commandeur, Michael De Paolis, Andrew J. F. Edmunds, Peter Maienfisch, Léon Ghosez *

A highly effective procedure is reported to synthesize a substituted bicyclo[4.2.0]octenol derivative by regioselective cycloaddition of phenyl-1-propynyl sulfide with cyclohexenone followed by selective reduction of the ketone group and reductive elimination of phenylsulfonyl group. The strained cyclobutene ring was then engaged in a ring-opening/cross metathesis sequence in the presence of Hoveyda–Grubbs 2nd generation catalyst.

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pp 3359-3362

pp 3349-3351

Co-catalyst enhancement of enantioselective PTC Michael additions involving glycine imines Barry Lygo *, Christopher Beynon, Christopher Lumley, Michael C. McLeod, Charles E. Wade

EWG t-BuO₂C FWG Ph₂C=N _CO₂t-Bu KOH, CH₂Cl₂, -78 °C $EWG = CO_2Me, COR,$ Ph₂C=N PTC (10 mol%) SO₂Ph, CN no additive <10% + mesitol (10 mol%) 72-95% (91-98% e.e.)

Pentadienyl sulfoxide in triene synthesis. Efficient assembly of the Northern fragment of polycavernoside A pp 3366-3370 Pierre Jourdain, Freddi Philippart, Raphaël Dumeunier, Istvan E. Markó *

Synthesis of the reported structure of the naturally occurring siderophore nocardimicin B James C. Banks, Christopher J. Moody

OH OH

The total synthesis of the reported structure of the siderophore natural product nocardimicin B is described.

Borrowing hydrogen methodology for the conversion of alcohols into N-protected primary amines and in situ pp 3374-3377 deprotection

Gareth W. Lamb, Andrew J. A. Watson, Katherine E. Jolley, Aoife C. Maxwell, Jonathan M. J. Williams *

ЪЮ



Alcohols have been converted into a variety of protected amines using ruthenium-catalysed borrowing hydrogen methodology. Representative examples have been deprotected leading to an overall conversion of ROH into RNH₂.



SOPh O



3117

Tandem retro-aldol/Wittig/Michael and related cascade processes

Sandra Beltrán-Rodil, James R. Donald, Michael G. Edwards, Steven A. Raw, Richard J. K. Taylor *

pp 3378-3380



Rapid assembly of anti-1,3-diol units with 2-quaternary carbon stereocenter via samarium diiodide-promoted pp 3381-3384 tandem Aldol/Evans-Tishchenko reaction

Xing-Wen Sun, Ming-Hua Xu *, Guo-Qiang Lin *



Neighbouring effect in the course of the ozonolysis of a hindered bornene derivative Céline Reynaud, Michel Giorgi, Henri Doucet *, Maurice Santelli *

pp 3385-3387



Total synthesis and determination of the absolute stereochemistry of the squalene synthase inhibitors CI-13,981 pp 3388-3390 and CJ-13,982

Frederick Calo, Alexander Bondke, Jeffery Richardson, Andrew J. P. White, Anthony G. M. Barrett *



Synthesis of $\beta\mbox{-}aminoethanesulfonyl fluorides or 2-substituted taurine sulfonyl fluorides as potential protease inhibitors$

Arwin J. Brouwer, Tarik Ceylan, Tima van der Linden, Rob M. J. Liskamp *



Zn, NH₄Cl bmimBF₄:H₂C

Oxygen as moderator in the zinc-mediated reduction of aromatic nitro to azoxy compounds Faiz Ahmed Khan^{*}, Ch. Sudheer

Azoxyarenes have been selectively obtained from the corresponding nitro compounds through a zinc-mediated reduction moderated by oxygen.

Synthesis of prospective disaccharide ligands for Escherichia coli O157 verotoxin

Christian Bernlind, Steven W. Homans, Robert A. Field *



Non-reducing terminal disaccharide fragments of Gb₄ and de-*N*-acetyl Gb₄ glycolipid were prepared as potential ligands for Escherichia coli verotoxin.

$\alpha\text{-Allylation}$ of $\alpha\text{-amino}$ acids via 1,5-hydrogen atom transfer

Muhammad I. Chowdhry, Peter N. Horton, Michael B. Hursthouse, Mark E. Wood *

 $\begin{array}{c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & & \\ & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & &$

1,5-Hydrogen atom transfer in N-(2-iodobenzoyl)oxazolidin-5-ones facilitates the α -allylation of proteinogenic amino acids.

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Photochromism of diarylethene derivatives having cyclohexyl and cyclohexenyl groups in single-component crystals and a two-component mixed crystal

Masakazu Morimoto *, Masahiro Irie *

3120



Two diarylethene derivatives having cyclohexyl and cyclohexenyl groups formed a mixed crystal composed of almost equal amounts of the two components, and underwent photochromism in the mixed crystal.

Stereoselective one-pot three-component coupling approach towards the synthesis of the AC ring system of taxanes pp 3408–3410 Takayuki Serizawa, Shigeru Miyamoto, Yoshitaka Numajiri, Shinichiro Fuse, Takayuki Doi, Takashi Takahashi ^{*}



A new method for the construction of the AC ring system of taxanes is described. The key step is the successful application of the stereoselective one-pot three-component coupling of a protected cyanohydrin ether with a substituted cyclohexenone and formaldehyde.

Ring-opening reaction of tetrahydrofuran on the penta(organo)[60]fullerenes: synthesis of hydroxybutyl, methacrylate, and norbornene derivatives

Yutaka Matsuo^{*}, Akihiko Iwashita, Hiromi Oyama, Eiichi Nakamura^{*}



Cyclopropane ring-opening of tricyclo[3.3.0.0^{2,8}]octan-3-ones: a quick access to bicyclo[3.2.1]octanones from 2-methoxyphenols

Chun-Ping Chang, Ching-Hsien Chen, Gary Jing Chuang, Chun-Chen Liao



We herein report an efficient and rapid strategy for the synthesis of highly functionalized bicyclo[3.2.1]octanones by sequential '*Diels–Alder* reaction of MOB–ODPM rearrangement—reductive cleavage of cyclopropane' and its application for the synthesis of core structure of drechslerine D.



pp 3411-3413

 $(\mathbf{j})^{+}$

Synthesis and characterization of a new prostaglandin H synthase model

Jakkidi J. Reddy, Takehiro Ohta, Yoshinori Naruta



A new prostaglandin H synthase model, having a cavity less hindered and higher flexibility than 'twin-coronet'-type one. The rapid formation of the corresponding $[Fe^{IV}(=O)P(Np-O')](1')$ was observed upon treatment with *m*CPBA.

Structural effects on the hole mobilities of indenothiophene-embedded homologs

Teng-Chih Chao, Ken-Tsung Wong *, Wen-Yi Hung *, Tei-Hung Hou, Wei-Jiun Chen



A systematic study of the relationship between the structures and the non-dispersive hole mobilities of a homologous series of amorphous indenothiophenecontaining materials is described.

A tethered aminohydroxylation route to L-arabino-[2R,3S,4R] and L-xylo-[2R,3S,4S]-C₁₈-phytosphingosines

Abhishek Dubey, Pradeep Kumar *



OTBS

Asymmetric, catalytic, vinylogous aldol reactions using pyrrole-based dienoxy silanes. Enantioselective synthesis pp 3428–3431 of α , β -unsaturated γ -butyrolactam synthons

Claudio Curti^{*}, Andrea Sartori, Lucia Battistini, Gloria Rassu, Franca Zanardi, Giovanni Casiraghi^{*}







pp 3425-3427

OAc

NHAc

3121

Ru(PPh₃)(OH)-salen complex: a designer catalyst for chemoselective aerobic oxidation of primary alcohols Hirotaka Mizoguchi, Tatsuya Uchida, Kohichi Ishida, Tsutomu Katsuki ^{*}



We have found that newly synthesized Ru(PPh₃)(OH)-salen **3** is excellent catalyst for chemoselective aerobic oxidation of primary alcohols to the aldehydes even in the presence of activated secondary alcohols under ambient conditions.

Accessing the amide functionality by the mild and low-cost oxidation of imine Magdi A. Mohamed, Ken-ichi Yamada, Kiyoshi Tomioka ^{*}

NaCIO

Efficient synthesis of substituted 3-acyl-3,4-dihydrobenzo[d][1,2,3]triazines

Rüdiger Reingruber, Sylvia Vanderheiden, Thierry Muller, Martin Nieger, Mazen Es-Sayed, Stefan Bräse *



3-Acylbenzo[d][1,2,3]triazine

Remarkable effect of hydrogen bonding between ring and axle components on deslipping reactions of rotaxanes pp 3443–3445 Keiji Hirose^{*}, Yamato Nakamura, Hirokazu Takano, Keiji Nishihara, Yoshito Tobe

 $O_{2}N \xrightarrow{O_{2}} O_{2}N \xrightarrow{O_{$

()+



pp 3432-3435

pp 3436-3438

A dramatic effect of double bond configuration in N-oxy-3-aza Cope rearrangements—a simple synthesis of functionalised allenes

Luis F. V. Pinto, Paulo M. C. Glória, Mário J. S. Gomes, Henry S. Rzepa, Sundaresan Prabhakar^{*}, Ana M. Lobo^{*}



E enamines only react at \geq 70 °C.



A [2]catenane containing an upper-rim functionalized calix[4]arene for anion recognition Dale E. Phipps, Paul D. Beer *

OEt OFt OEt OEt

Organocatalytic enantioselective domino synthesis of highly functionalized cyclohexanes with an all-carbon quaternary stereocenter

Gui-Ling Zhao, Pawel Dziedzic, Farman Ullah, Lars Eriksson, Armando Córdova

$$H$$
 H H R CO_2Me



High yield and stereoselectivity (83-98% ee)

CN



pp 3454-3457

Regioselective alkenylation of imidazoles by nickel/Lewis acid catalysis

Kyalo Stephen Kanyiva, Florian Löbermann, Yoshiaki Nakao *, Tamejiro Hiyama *



K > 10⁸

FeCl₃·6H₂O (10 mol %) RCN H₂O (2 equiv), 150 °C 41-96%

FeCl₃·6H₂O (10 mol %)

t-BuOAc (3 equiv), H₂O (2 equiv) cumene, 150

45-69%

°C

NHCOR

N⁻*t*-Bu

Enhancement of the Lewis acidity by substitution of sulfur-containing hetero aromatics in triarylboranes Shinji Miyasaka, Junji Kobayashi^{*}, Takayuki Kawashima^{*}

FeCl₃-catalyzed Ritter reaction. Synthesis of amides

Bruno Anxionnat, Amandine Guérinot, Sébastien Reymond *, Janine Cossy *



RCN

Renaud Millet, Tania Bernardez, Laëtitia Palais, Alexandre Alexakis *



pp 3474-3477

pp 3467-3469



Palladium(II)/2,2'-bipyridine-catalyzed addition of arylboronic acids to N-tosyl-arylaldimines Huixiong Dai, Xiyan Lu *



NH₃

t-Bu

NMe:

Ph

58% d.r. 11:1

ÑMe₂

The fate of the tert-butylsulfinyl auxiliary after acid-promoted cleavage—a method for recycling t-BuSONH₂

Varinder K. Aggarwal^{*}, Nekane Barbero, Eoghan M. McGarrigle, Greg Mickle, Raquel Navas, José Ramón Suárez, Matthew G. Unthank, Muhammad Yar

quant.



Enantioselective synthesis of polyketide segments through vinylogous Mukaiyama aldol reactions Serkan Simsek, Markus Kalesse

Ph HN St t-Bu HCI NH₃Cl HCI Ph ()



Ponminor Senthil Kumar, Sundarababu Baskaran *







LINH₂/NH₃

84%



pp 3489-3492

3125



pp 3482-3484

InBr₃-catalyzed three-component reaction: a facile synthesis of propargyl amines

J. S. Yadav^{*}, B. V. Subba Reddy, A. V. Hara Gopal, K. S. Patil



ОΗ

Synthesis of a COMC–estradiol conjugate for targeted, tissue-selective cancer chemotherapy Jennifer Marie Oaksmith, Bruce Ganem *

Chemical modification of materials by reaction with diaryl diazomethanes

MeO

David Leonard, Mark G. Moloney *, Claire Thompson



(i) Et_2C (ii) Δ

(iii) ⊕ Na Polystyrene

MeC

OMe

N N Ar

Reactivity of dearomatised furans synthesised via the decarboxylative Claisen rearrangement Jason E. Camp, Donald Craig *



pp 3499-3502

pp 3497-3498

3126



pp 3493-3496



Syntheses of the racemic jaborandi alkaloids pilocarpine, isopilocarpine and pilosinine Stephen G. Davies^{*}, Paul M. Roberts, Peter T. Stephenson, James E. Thomson



Two efficient routes to facilitate the syntheses of the racemic jaborandi alkaloids pilocarpine, isopilocarpine and pilosinine are described.

Synthesis and characterisation of novel hexaalkoxytriphenylenes bearing an additional alkyl chain in the α**-position pp 3513–3515** Andrew N. Cammidge *, Hemant Gopee, Hitesh Patel



Concerning directed oxidation and transacylation during a general approach to hydroxylated lactams Jeremy Robertson^{*}, Emilia Abdulmalek pp 3516-3518



Directed dihydroxylation conditions applied to an N-tosyl δ -lactam gave unexpectedly high *anti*-selectivity. In this and related examples it was observed that hydroxylated N-tosyl lactams tend to isomerise to γ -lactones.

Synthetic studies towards the phloroglucin natural product hyperforin: construction of the fully prenylated bicyclic core

pp 3519-3522

Goverdhan Mehta^{*}, Mrinal K. Bera



pp 3509-3512

(i) 1 mol% K2OsO2(OH)4

Tandem catalysis in the polycyclisation of dienes to produce multi-substituted tetrahydrofurans Timothy J. Donohoe^{*}, Peter J. Lindsay-Scott, Jeremy S. Parker

Alkene-substituted allenecyclopropanes undergo radical cyclisation leading to bicyclic 1,3-dienes, on treatment with TTMSS-AIBN.

An asymmetric synthesis of the polyol fragment of the polyene macrolide antibiotic RK-397 Fan Fu, Teck-Peng Loh

A total synthesis of α -tocopherol has been achieved which features an intramolecular trapping of a highly substituted benzyne, derived from an aminobenzotriazole, with a tertiary alcohol.





Alkene-allenecyclopropane radical cyclisations promoted by tris-(trimethylsilyl)silane

Nigel R. Jones, Gerald Pattenden *



Horner-Wadsworth-Emmons Macrolactonization

OH Allylation OH RK-397 29 Anti ŌΗ ŌН ŌH он OH OH Olefin metathesis reduction Aldol Vinylation Hetero Allylation **Diels-Alder**

A highly convergent and asymmetric synthesis of the C11-C31 polyol fragment of RK-397 as a single isomer is accomplished via a catalytic enantioselective hetero-Diels-Alder reaction and an intermolecular olefin cross-metathesis as key steps.

A synthesis of α-tocopherol featuring benzyne trapping by an alcohol

David W. Knight *, Xu Qing

pp 3523-3526

pp 3527-3529

pp 3530-3533





A simple protocol for the synthesis of a piperidine-2,6-dione framework from Baylis-Hillman adducts

Deevi Basavaiah^{*}, Dandamudi V. Lenin, Badugu Devendar

 $\begin{array}{c} O \\ R^{+} \\ R^{1} \\ R^{1} \\ R^{-} \\ R^{$

3-Hydroxy-2-methylenealkanenitriles, the Baylis–Hillman alcohols, derived from various aldehydes and acrylonitrile, have been conveniently transformed into 3-arylidene(or alkylidene)piperidine-2,6-diones in an operationally simple one-pot multi-step process involving Johnson–Claisen (J–C) rearrangement, partial hydrolysis, and cyclization. Rearranged Baylis–Hillman alcohols, (*E*)-2-hydroxymethyl-3-arylprop-2-enenitriles, have been converted into 4-aryl-3-methylidenepiperidine-2,6-diones in a similar reaction sequence. 4-Aryl-3,5-dimethylidenepiperidine-2,6-dione derivatives have been synthesized from the Baylis–Hillman compounds, 3-aryl-4-cyano-2-methoxycarbonylpenta-1,4-dienes, obtained via the Baylis–Hillman reaction of methyl (2*Z*)-2-(bromomethyl)-3-arylprop-2-enoates with acrylonitrile, in a one-pot process.

Lipase-catalysed kinetic resolutions of secondary alcohols in pressurised liquid hydrofluorocarbons

pp 3543-3546

Anthony J. Ball, Stuart Corr, Jason Micklefield *



Allylic substitution on the pyran ring

Tomonori Hyodo, Yuji Katayama, Yuichi Kobayashi *



Further studies of an approach to a total synthesis of phomactins

Timothy J. Blackburn, Madeleine Helliwell, Michael J. Kilner, Alan T. L. Lee, Eric J. Thomas *



Studies into an approach to the total synthesis of phomactin A are reported in which the oxidation of a homoallylic alcohol into an unsaturated keto-aldehyde using TPAP followed by a stereoselective reduction using DIBAL-H are key steps.

pp 3538-3542

3129



pp 3550-3554

A new Brønsted acid derived from squaric acid and its application to Mukaiyama aldol and Michael reactions Cheol Hong Cheon, Hisashi Yamamoto

pp 3555-3558

Bis-N-trifluoromethanesulfonyl squaramide was prepared as a new bench-stable strong Brønsted acid and applied to the Brønsted acid-catalyzed Mukaiyama aldol and Michael reactions with silyl enol ethers. The resulting Mukaiyama aldol products of aldehydes were obtained in quantitative yields, whereas expansion of the utility of this Brønsted acid to ketones was limited to electron-deficient ketones presumably due to lower reactivity of ketones as well as competing protodesilvlation of silvl enol ether. The Brønsted acid was further applied to Mukaiyama Michael reaction of α,β -unsaturated ketones. It is noted that this catalyst loading of all Mukaiyama reaction was only 1 mol % or less, which demonstrated the excellent reactivity of this acid. Mechanistic studies implied that the Mukaiyama aldol reaction might proceed through Brønsted acid catalysis, rather than through Lewis acid catalysis with silylated Brønsted acid.



A concise approach to the synthesis of all twelve 5-deoxyhexoses: p-tagatose-3-epimerase—a reagent that is both pp 3559-3563 specific and general

Devendar Rao, Daniel Best, Akihide Yoshihara, Pushpakiran Gullapalli, Kenji Morimoto, Mark R. Wormald, Francis X. Wilson, Ken Izumori *, George W. J. Fleet *



Samarium diiodide-mediated intramolecular cyclodimerisation of bis-α,β-unsaturated carbonyl compounds Jonathan R. Powell, Sally Dixon, Mark E. Light, Jeremy D. Kilburn



Samarium(II) diiodide-mediated intramolecular cyclodimerisation of simple bis-enones and -enoates in THF/MeOH has been investigated.

A novel rearrangement reaction of β-diazo-α-ketoacetals Marvis O. Erhunmwunse, Patrick G. Steel *



pp 3564-3567



Allylic fluorination via an unusual alkene Z/E isomerisation

James A. B. Laurenson, Sebastien Meiries, Jonathan M. Percy^{*}, Ricard Roig



Towards the total synthesis of colletofragarones: constructing the macrocyclic lactone by high pressure-mediated pp 3574–3576 intramolecular Diels-Alder reaction

Joaquín G. Marrero, Laurence M. Harwood *



A catalytic dipolar cycloaddition route to pyrroloimidazoles

Raymond C. F. Jones *, James N. Iley, Maria Sanchis-Amat, Xiaohui Zhang, Mark R. J. Elsegood

 $\overset{R^{1}}{\overset{N}{\overset{}}} \begin{array}{c} EtO_{2}CCHN_{2} \\ \overset{R^{2}}{\overset{}}{\overset{}} \overset{R^{2}}{\overset{}}{\overset{}} \overset{R^{2}}{\overset{}} \overset{$

A catalytic method involving carbenoid insertion onto dihydroimidazoles is reported for the generation of dihydroimidazolium ylides, and their subsequent diastereoselective cycloaddition to form pyrrolo[1,2-*a*]imidazoles.

Preparation of functionalised monobactams from pyridones

Mauro F. A. Adamo^{*}, Paolo Disetti, Linda Piras



pp 3571-3573

pp 3580-3584

pp 3577-3579

Oxygen atom transfer from carbonyl oxide to alkane catalyzed by metalloporphyrin

Masayuki Haranaka, Akiko Hara, Wataru Ando, Takeshi Akasaka

pp 3585-3587



p-Toluenesulfonic acid-mediated cyclization of o-(1-alkynyl)anisoles or thioanisoles: synthesis of 2-arylsubstituted pp 3588-3592 benzofurans and benzothiophenes

Maud Jacubert, Abdallah Hamze, Olivier Provot, Jean-François Peyrat, Jean-Daniel Brion, Mouad Alami *



Transformation of linear oligoketosides into macrocyclic neoglycoconjugates

Alessandro Dondoni *, Alberto Marra *



Intramolecular glycosidation and ring-closing metathesis afforded cyclic oligoketosides containing 2 to 5 p-galacto-2-heptulopyranose units. Some macrocycles were tested as chiral hosts in a model Michael addition.

First total synthesis of theopederin B

Yoshinori Nishii, Tsuyoshi Higa, Shunya Takahashi, Tadashi Nakata *



pp 3597-3601

pp 3593-3596

Palladium-catalyzed domino N-arylation/carbopalladation/C–H functionalization: three-component synthesis of 3-(diarylmethylene)oxindoles

Artur Pinto, Luc Neuville *, Jieping Zhu *



Consecutive enolate addition/cyclization of Fischer enynyl carbene complexes: facile access to cyclopentenoids pp 3606–3608 José Barluenga *, Ana Álvarez-Fernández, Silvia Martínez, Ángel L. Suárez-Sobrino, Miguel Tomás



момо

78% ee

Asymmetric synthesis of isobenzofuranone derivatives and their unique character as protein kinase $C\alpha$ (PKC α) activators

Go Hirai, Yosuke Ogoshi, Megumi Ohkubo, Yuki Tamura, Toru Watanabe, Tadashi Shimizu, Mikiko Sodeoka *

OBn

ЮH



Rama Heng, Béatrice Quiclet-Sire, Samir Z. Zard *

OBn

момо



MOMC

78% ee

ÒBn

 $R^4 \cap$

 \cap

 R^4 = -(CH₂)₁₁CH₃ (*K*i = 43 nM for PKC α) R₄ = CH₂CH(tBu)₂ (*K*i = 2.1 nM for PKC α) Strong PKC α Activators



pp 3602-3605

pp 3609-3612

Application of the BHQ benzannulation reaction to the synthesis of benzo-fused coumarins

James A. Bull, Cristina Luján, Michael G. Hutchings, Peter Quayle *

pp 3617-3620



The application of a new benzannulation reaction to the synthesis of the aromatic core of the gilvocarcin family of antibiotics is described.

Dynamic ¹H NMR study around the heteroaryl-carbon and carbon-carbon single bonds and also around carbon-carbon pp 3621–3624 double bond in a particular phosphorous ylide involving a 2-methyl indole

Sayyed Mostafa Habibi-Khorassani^{*}, Malek Taher Maghsoodlou, Ali Ebrahimi, Fatemeh Vasheghani Farahani, Elahe Mosaddeg, Mohammad Amin Kazemian



Organocatalytic α -oxybenzoylation of aldehydes

Matti J. P. Vaismaa, Sze Chak Yau, Nicholas C. O. Tomkinson *



A new entry to α -alkylidene- β -lactams by 4-*exo-dig* cyclization of carbamoyl radicals

pp 3628-3630

Shin-ichi Fujiwara, Yoshihiko Shimizu, Yuji Imahori, Masashi Toyofuku, Tsutomu Shin-ike, Nobuaki Kambe *



pp 3625-3627

Synthesis of crosslinked peptidoglycan fragments for investigation of their immunobiological functions

Yukari Fujimoto, Yasuko Konishi, Osamu Kubo, Mizuho Hasegawa, Naohiro Inohara, Koichi Fukase *



The synthesis of crosslinked peptidoglycan (PGN) fragments from *Streptococcus pneumoniae* cell wall was achieved and the immunostimulatory activities of the compounds were also determined.

The synthesis of functionalised peptides using α-lithio quinuclidine N-oxide (Li-QNO)

Ian A. O'Neil^{*}, Inder Bhamra



Rhodium-catalyzed addition reaction of diphosphine disulfide to aldehydes and ketones Mieko Arisawa, Masahiko Yamaguchi *



Aza Hopf cyclization: synthesis and reactivity of cyclic azadieneynes Sayantan Mandal, Amit Basak *

 $\begin{array}{c} & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & & \\ & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ &$

pp 3641-3644

0004 0004

3135

pp 3635-3638



pp 3639-3640

Unexpected cis selectivity in the Pictet-Spengler reaction

Patrick D. Bailey^{*}, Mark A. Beard, Theresa R. Phillips

pp 3645-3647



High *cis* selectivity (>95% *cis:trans*) is observed in kinetically controlled Pictet-Spengler reactions if $X = CO_2$ allyl and R = aryl, or $X = CH_2CN$ and R contains a Ph group.

Azinomycin bisepoxides containing rigid aromatic linkers: synthesis, cytotoxicity and DNA interstrand cross-linking pp 3648–3650 activity

Matthew J. Finerty, John P. Bingham, John A. Hartley, Michael Shipman *



Gold-catalyzed synthesis of isoquinolines via intramolecular cyclization of 2-alkynyl benzyl azides Zhibao Huo, Yoshinori Yamamoto *

pp 3651-3653



Ruthenium N-heterocyclic carbene catalysts for selective reduction of nitriles to primary amines Daniele Addis, Stephan Enthaler, Kathrin Junge, Bianca Wendt, Matthias Beller * pp 3654-3656



Stereoselective synthesis of seven-membered lactams and lactones on a carbohydrate scaffold using ring-closing pp 3657-3660 metathesis

Dominic L. Laventine, Paul M. Cullis, Marcos D. García *, Paul R. Jenkins *



Ring-closing metathesis (RCM) using Grubbs' 2nd generation catalyst was successfully applied to the synthesis of a seven-membered dihydrooxepinone 9 and the dihydroazepinones 13 and 17 on a sugar glycoside scaffold. These compounds are advantageous precursors for the synthesis of polyhydroxylated heteroannulated sugars as potential glycosidase inhibitors.

Rapid Ullmann-type synthesis of aryl sulfides using a copper(I) catalyst and ligand under microwave irradiation Mark C. Bagley *, Matthew C. Dix, Vincenzo Fusillo



Microwave irradiation of an aryl halide and thiol in 2-propanol in the presence of trans-cyclohexane-1,2-diol as ligand, potassium carbonate as base and a copper(I) catalyst gives the corresponding aryl sulfide, often in excellent yield.

Microwave-assisted aliphatic fluorine-chlorine exchange using triethylamine trihydrofluoride (TREAT-HF)

pp 3661-3664

Jennifer M. Kremsner, Michael Rack, Christian Pilger, C. Oliver Kappe

$$R \xrightarrow{CI} Et_3 N 3HF F F (TREAT-HF) R \xrightarrow{F} Z$$

$$MW, 250 °C, 5 min Z = H. CI Z = H. F$$





Palladium-catalysed reductive coupling of an E-vinyl bromide with an allylic acetate, gave the 8-dehydropumiliotoxin core.

3137



Simple, efficient protocols for the Pd-catalyzed cross-coupling reaction of aryl chlorides and dimethylamine Brian K. Lee, Mark R. Biscoe, Stephen L. Buchwald *

pp 3672-3674

pp 3675-3678



Asymmetric approach to the pentacyclic skeleton of Aspidosperma alkaloids via enantioselective intramolecular 1,3-dipolar cycloaddition of carbonyl ylides catalyzed by chiral dirhodium(II) carboxylates

Hisanori Nambu, Mayuka Hikime, Janagiraman Krishnamurthi, Megumi Kamiya, Naoyuki Shimada, Shunichi Hashimoto *



Reactivity of 5-hydroxy-5,6-dihydro-4H-pyrazines—easy and efficient access to ring-fused polycyclic diazinic systems pp 3679-3682 Elise Claveau, Isabelle Gillaizeau *, Gérard Coudert *



Synthesis of polysubstituted 1,2-dihydroisoquinolines via a Cul-catalyzed arylation/condensation cascade process Yangyang Wu, Yihua Zhang *, Yongwen Jiang *, Dawei Ma *

pp 3683-3685

 $\begin{bmatrix} H \\ N \\ R \end{bmatrix} + R"COCH_2CO_2R"'' \frac{10 \text{ mol }\% \text{ Cul, } K_2CO_3}{i PrOH/H_2O (3:1), 50 \text{ °C}} X.$ Ŕ'

Synthesis of a novel diarylheptanoid isolated from Zingiber officinale

Gregory D. Parker, Peter T. Seden, Christine L. Willis *



Syntheses of 4-acetoxy-2,6-disubstituted tetrahydropyrans via Prins cyclisation of homoallylic alcohols with benzylic aldehydes are described and the methodology is applied to the total synthesis of diarylheptanoid 1 confirming both the structure and absolute configuration of the natural product.

Substitution of a benzylic hydrogen by nucleophiles on a chromium tricarbonyl complex of a benzyl etherpp 3690–3692Mar Martin-Fontecha, Keren Abecassis, Susan E. Gibson *



Deprotonation of a chromium tricarbonyl complex of a benzyl ether followed by reaction with *N*-fluorobenzenesulfonimide (NFSI) generated a species that reacts with oxygen, sulfur and carbon nucleophiles.

Negligible diradical character for the ultralong C–C bond in 1,1,2,2-tetraarylpyracene derivatives at room temperature pp 3693–3697 Takashi Takeda, Hidetoshi Kawai, Rainer Herges, Eva Mucke, Yoshitaka Sawai, Kei Murakoshi, Kenshu Fujiwara, Takanori Suzuki *



1,4-Dehydrochlorination of 1-(1-haloalkyl)-3,4-dihydroisoquinolines as a convenient route to functionalized isoquinolines

pp 3698-3701

Jan Jacobs, Tuyen Nguyen Van, Christian V. Stevens, Peter Markusse, Paul De Cooman, Leendert Maat, Norbert De Kimpe



pp 3686-3689

The first unique synthetic mycobacterial cord factors

Juma'a R. Al Dulayymi, Mark S. Baird *, Maximiliano Maza-Iglesias, Seppe Vander Beken, Johan Grooten

pp 3702-3705



We report the syntheses of trehalose mono- and dimycolates (cord factors) based on unique synthetic mycolic acids matching the chain lengths of components of mycobacterial cells such as the α -mycolic acid trehalose dimycolate shown below.

Asymmetric synthesis of functionalised cyclopentenones via organocatalysed rearrangement and enzymatic pp 3706–3708 resolution of pyranones

João P. M. Nunes, Carlos A. M. Afonso *, Stephen Caddick *



A direct asymmetric synthesis of a *trans*-4,5-dioxygenated cyclopentenone derivative has been achieved by organocatalysed rearrangement of a pyranone in *tert*-butanol by DABCO and in situ enzymatic resolution

Intramolecular amidocyclopropanation reactions using diethoxymethyl-functionalised lactams as organozinc carbenoid precursors

Laure Jerome, Tom D. Sheppard, Abil E. Aliev, William B. Motherwell *



A fluorescein-derived anthocyanidin-inspired pH sensor

Asier Unciti-Broceta, M. Rahimi Yusop, Patricia R. Richardson, Jeffrey G. A. Walton, Mark Bradley *







pp 3709-3712

A novel multicomponent reaction involving isoquinoline, allenoate and cyanoacrylates

Vijay Nair^{*}, Beneesh Pattoorpadi Babu, Vimal Varghese, C. R. Sinu, Rony Rajan Paul, E. R. Anabha, Eringathodi Suresh

pp 3716-3718

3141



A novel MCR involving isoquinoline-allenoate zwitterion is reported.

Synthesis of polycyclic heterocycles via sequential Au-catalyzed cycloisomerization and Ru-catalyzed metathesis pp 3719–3722 reactions

Chung-Meng Chao, Patrick Yves Toullec, Véronique Michelet *



Calendar

*Corresponding author

(**)**⁺ Supplementary data available via ScienceDirect

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