

Tetrahedron Letters Vol. 45, No. 6, 2004

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Towards an enantiospecific total synthesis of garsubellin A and related phloroglucin natural products: pp 1113–1116 the α -pinene approach

Goverdhan Mehta* and Mrinal K. Bera



The synthesis of indolo- and pyrrolo[2,1-*a*]isoquinolines

Charles B. de Koning,* Joseph P. Michael, Rakhi Pathak and Willem A. L. van Otterlo

pp 1117-1119



Microwave-accelerated Claisen rearrangements of allyl tetronates and tetramates Rainer Schobert,* Gary J. Gordon, Gillian Mullen and Ralf Stehle pp 1121-1124



cis-Selective synthesis of 2,5-disubstituted pyrrolidines Syed Raziullah Hussaini and Mark G. Moloney*

pp 1125-1127







Selective α-monoallylation of phenyl ketones and benzocycloalkanones under microwave irradiation pp 1133–1136 José M. Cid, Juan L. Romera and Andrés A. Trabanco^{*}



Synthesis of substituted imidazolines via [3+2]-cycloaddition of aziridines with nitriles B. A. Bhanu Prasad, Ghanshyam Pandey and Vinod K. Singh*

pp 1137-1141

H = Me, Pr, Ph, Bn



New persubstituted 1,3,5-trisethynyl benzenes via Sonogashira coupling Gunther Hennrich^{*} and Antonio M. Echavarren



Starting from 1,3,5-trifluoro-2,4,6-triiodobenzene, selective Sonogashira coupling gave trisalkynylbenzenes, which can be further functionalized making use of the reactive fluorine substituents on the benzene core. Additionally, an unexpected conversion of a 1,3,5-tris-(trimethylsilanylentynyl)benzene led to a pentasubstituted benzene derivative the structure of which was revealed by X-ray crystallography.

Synthesis of an amide cyclophane building block of shape-persistent triangular molecular wedges pp 1151–1153 Achim Amma and Thomas E. Mallouk^{*}



Large geometrical structure changes of photochromic diarylethenes upon photoirradiation Kentaro Morimitsu, Seiya Kobatake and Masahiro Irie* pp 1155-1158

open-ring isomer

closed-ring isomer

pp 1147-1149

Liquid phase synthesis of chiral quinoxalinones by microwave irradiation Chieh-Li Tung and Chung-Ming Sun*

pp 1159-1162

pp 1163-1165



Discovery of carboxypeptidase Y as a catalyst for the incorporation of sterically demanding α-fluoroalkyl amino acids into peptides

Sven Thust and Beate Koksch*



Concise total synthesis of (+)-carpamic acid Stefan Randl and Siegfried Blechert*



An enantioselective total synthesis of (+)-carpamic acid is reported. This concise synthesis is based on a novel concept of a selective cross-metathesis reaction with a subsequent diastereoselective cyclizing reductive amination.

Ring-closing olefin metathesis reactions; synthesis of iso-β-bisabolol Jutta M. Mörgenthaler and Dietrich Spitzner*

> OH OH metathesis

pp 1171-1172



pp 1167-1169

O-N-Acyl migration in N-terminal serine-containing peptides: mass spectrometric elucidation and subsequent development of site-directed acylation protocols

L. Mouls, G. Subra, C. Enjalbal, J. Martinez and J.-L. Aubagnac*

$$\begin{array}{c} HO \\ O \\ R \\ H \end{array} peptide \qquad H^+ \\ OH^- \\ H^- \\ H^- \\ OH^- \\ H_3N \\ H^- \\$$

The synthesis of a modified pentapeptide involving the palmitoylation of the hydroxyl group of a serine residue present at the N-terminal position is presented. An O–N-acyl shift was observed by LC/MS/MS, the two isobaric molecules exhibiting upon collisional activation dissociation (CAD) different fragmentation behaviours. The synthetic pathway was thereafter modified to control the palmitoylation site (O or N). The method was validated with another serine acylation (octanoylation). The evidenced mass spectrometric criteria could serve to decipher peptide post-translational modifications in proteomics.

Microwave assisted synthesis of an unusual dinitro phytochemical

Ajay K. Bose,^{*} Subhendu N. Ganguly, Maghar S. Manhas, Vaidyanathan Srirajan, Ashoke Bhattacharjee, Sochanchingwung Rumthao and Anju H. Sharma

Sulpholane—A new solvent for the Baylis–Hillman reaction

Palakodety Radha Krishna,* A. Manjuvani, V. Kannan and G. V. M. Sharma

R-CHO +
$$\int EWG \frac{DABCO, sulpholane}{rt, 0.5-10 h} R H EWG$$

Sulpholane, a commercially available solvent, is used for the first time as a solvent for the Baylis–Hillman reaction under ambient conditions.

Stabilization of DNA triplexes by dangling aromatic residues

Mio Kubota and Akira Ono*

group is discussed.



Remarkable stabilization of DNA triplex formation by the dangling residues was accomplished by employing novel nucleoside–aromatic ring conjugates as the dangling residues.

A novel dinitro secondary metabolite, 2-nitro-4-(2'-nitroethenyl)phenol has been isolated from a marine source. This metabolite was synthesized first (in 1964 in Japan) and discovered later (in 1991 in India) as a natural product. We describe here a new synthesis via highly accelerated, microwave assisted, nitration reactions using mild reagents. *ipso*-Substitution of a carboxy group by a nitro

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1103



Asymmetric alkylation of diphenylmethane derivatives using (-)-sparteine James A. Wilkinson,* Stephen B. Rossington, John Leonard and Nigel Hussein

> i) sec-BuLi, (-)-sparteine R = Me, up to 60% ee R = H, up to 46% ee

Synthetic methods for γ -lactam and pyrrole derivatives exploiting a three-component coupling strategy

Blandine Clique, Colas Anselme, Daniela Otto, Nuno Monteiro and Geneviève Balme*

Total synthesis of Δ^{12} -PGJ₂, 15-deoxy- $\Delta^{12,14}$ -PGJ₂, and related compounds Hukum P. Acharya and Yuichi Kobayashi*



R



ŌTBS

онс



Ρh

CO⁹H

ŌН

 Δ^{12} -PGJ₂



pp 1199-1202



pp 1195-1197

Sugar conjugates of fulvestrant (ICI 182,780): efficient general procedures for glycosylationpp 1207–1210of the fulvestrant core

Mark J. Thompson, Edward J. Hutchinson, Thomas H. Stratford, Wayne B. Bowler and G. Michael Blackburn*





Stereoselective conjugate addition to D-Gal-derived pyranones (8, 10) provides 16–19 with the C10, C11, C14, C15 stereochemistry of the mycalamides. Four analogues of the C13 epimeric series bearing no, one or two methyls at C14 are prepared.

The first ionic liquids promoted conjugate addition of azide ion to α,β -unsaturated carbonyl compounds

Li-Wen Xu, Lyi Li, Chun-Gu Xia,* Shao-Lin Zhou and Jing-Wei Li

$$\begin{array}{c} O \\ R_1 \\ R_2 \end{array} \xrightarrow{NaN_3 (4 eq.)} \\ HOAc(4 eq.) \\ \hline ionic liquids/RT \end{array} \xrightarrow{O} \\ R_1 \\ R_2 \\ R_2 \\ R_3 \end{array}$$

Bis-benzyl protected 6-amino cyclitols are poisonous to Pd/C catalysed hydrogenolysis of benzyl ethers

M. Bashir-Uddin Surfraz, Mahmoud Akhtar and Rudolf K. Allemann*



ЭBr



(i) AOC



Methyltrioxorhenium catalyzed oxidation of 1,2-diols to 1,2-diketones using hydrogen peroxide as oxidant

Suman L. Jain, Vishal B. Sharma and Bir Sain*

HexS



Expedient synthesis of a novel class of pseudoaromatic amino acids: tetrahydroindazol-3-yl-pp 1237–1242and tetrahydrobenzisoxazol-3-ylalanine derivativesRichard J. Middleton, Sarah L. Mellor, Siri Ram Chhabra, Barrie W. Bycroft and Weng C. Chan*



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pp 1233-1235

.OH

A novel and efficient method for inside selective esterification of terminal vic-diols Masahiro Ikejiri, Kazuyuki Miyashita, Tomoyuki Tsunemi and Takeshi Imanishi*

Naphthalimide-thiazoles as novel photonucleases: molecular design, synthesis, and evaluation

A new family of photonucleases, naphthalimide-thiazole was designed and evaluated.

Tandem Parham cyclisation— α -amidoalkylation reaction in the synthesis of the isoindolo[1,2-*a*]isoquinoline skeleton of nuevamine-type alkaloids

H₃CC

H₃CO

HO

Iñaki Osante, Esther Lete and Nuria Sotomayor*

H₂CO

R =

CH₃Ó

Yonggang Li, Yufang Xu, Xuhong Qian* and Baoyuan Qu



PhS

ŚPh

a: n-BuLi; b: nucleophile / protic or Lewis acid





H₃CC

H₃CO



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A 'naked-eye' chemosensor system for phytate

Jeroni Morey,* Maria Orell, Miquel Àngel Barceló, Pere M. Deyà, Antoni Costa and Pablo Ballester



Solid-phase synthesis of 1,5-substituted 2-(*N*-alkylamino)-imidazolidin-4-ones Jizhen Li, Zhenfa Zhang and Erkang Fan*

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Starting from resin-bound amino acids, the desired final products can be obtained from three mild reaction steps. The synthetic route is compatible with protected amino acid side chains.

A novel α, α' -diaminoacetic acid derivative for the introduction of the α -oxo aldehyde functionality into peptides

Samia Far and Oleg Melnyk*



Solid-phase approach towards the synthesis of functionalized imidazo[1,2-*b*]pyrazol-2-ones Benjamin E. Blass,* Anil Srivastava, Keith R. Coburn, Amy L. Faulkner, John J. Janusz, James M. Ridgeway and William L. Seibel



BiOClO₄-mediated deprotection of silyl ethers

R. David Crouch,* Candice A. Romany, Anna C. Kreshock, Karina A. Menconi and Jennifer L. Zile

Ph OR $\xrightarrow{\text{BiOCIO}_4 - xH_2O}$ Ph OH R = TES, TBS, TIPS (77 - 87%)

TES- and TBS-protected alcohols undergo deprotection in good to excellent yield upon heating with 1equiv of $BiOClO_4$ - xH_2O in CH_2Cl_2 . TBDPS- and TIPS-protected 2° alcohols are more resistant to deprotection. The use of this method for selective desilylation is, however, limited to the deprotection of alkyl silyl ethers in the presence of TBDPS-protected phenols.

A new, efficient, and simple method for the synthesis of thiiranes from epoxides under solvent-free pp 1283–1285 conditions

Babak Kaboudin* and Hamid Norouzi

$$R \xrightarrow{O} + H \xrightarrow{||}{P(OEt)_2} \xrightarrow{NH_4OAc/S/Al_2O_3} R$$

Selective synthesis of fluorinated carbohydrates using N,N-diethyl- α,α -difluoro-(*m*-methylbenzyl)amine pp 1287–1289 Shingo Kobayashi, Atushi Yoneda, Tsuyoshi Fukuhara and Shoji Hara^{*}

SelectfluorTM: a novel and efficient reagent for the synthesis of β -hydroxy thiocyanates J. S. Yadav,^{*} B. V. S. Reddy and Ch. Srinivas Reddy

pp 1291-1293

 $R \xrightarrow{O} + NH_4SCN \xrightarrow{Selectfluor^{TM}} R \xrightarrow{SCN} OH + R \xrightarrow{OH} SCN$

pp 1279-1281

Stereo- and regiospecific four-molecule reaction of aroyl chlorides with *iso*-pentylene: direct formation of pp 1295–1298 (*E*)- β , γ -unsaturated carbonyl compounds promoted by samarium metal in DMF Yongjun Liu and Yongmin Zhang*



Promoted by Sm in DMF, aroyl chlorides react readily with *iso*-pentylene in a four-molecule manner, which offers an efficient stereoand regiospecific synthesis of (E)- β , γ -unsaturated ketones and also provides a facile method for the construction of monoterpene skeleton without pretreating or activating metallic Sm.

An unexpected rearrangement of 3-unsubstituted-2-acyl substituted indole phenylhydrazones. A new method for $benz[c]\beta$ -carboline synthesis

Olga V. Baranova* and Sergey V. Dubovitskii



Acceleration of the DABCO-promoted Baylis–Hillman reaction using a recoverable H-bonding organocatalyst

Declan J. Maher and Stephen J. Connon*



Rhodium-tris(3,5-bis(trifluoromethyl)phenyl)phosphine catalyzed hydroformylation of dienes to dialdehydes in supercritical carbon dioxide with high activity

Shin-ichiro Fujita, Shinya Fujisawa, Bhalchandra M. Bhanage and Masahiko Arai*



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ISSN 0040-4039