

C₁-Derivatives of macrocyclic spermine alkaloids. Verbamedines versus incasines

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The isolation, structure elucidation, and synthesis of the macrocyclic spermine alkaloids verbamedine and isoverbamedine from *Verbascum pseudonobile* Stoj. and Stef. are reported. The synthesis of N(13)-formimino-verbacine is described. Spectral and chemical evidence is presented to correct the previously published incorrect structures of the incasines A and A' isolated from *Incarvillea sinensis* LAM. The similarities between the natural C₁-derivatives of verbacine and the biochemical one-carbon units transferring tetrahydrofolate cofactors have been observed.



Syntheses of pentafulvenes from 4-alkylidenecyclopentenones

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The two-step procedure for addition of an organometallic reagent or reduction followed by dehydration is an efficient synthesis of polysubstituted pentafulvenes from 4-alkylidenecyclopentenones.



Oxidation of *cis*-3,5-di-*tert*-alkyl-3,5-diphenyl-1,2,4-trithiolanes: isolation and some properties of the 1-oxides and the 1,2-dioxides

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Oxidation of *cis*-3,5-di-*tert*-alkyl-3,5-diphenyl-1,2,4-trithiolane with dimethyldioxirane (DMD) gave the 1,2-dioxide, a *vic*-disulfoxide, the structure of which (R = 1-Ad) was determined by X-ray crystallography.







Mild and regioselective iodination of electron-rich aromatics with *N*-iodosuccinimide and catalytic trifluoroacetic acid

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Ar-H
$$\xrightarrow{\text{NIS}(1.1 \text{ equiv})}{\text{CF}_3\text{CO}_2\text{H}(0.3 \text{ equiv})}$$
 Ar-I

A new approach toward the synthesis of heterolignans



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Ar¹, Ar²: 3,4-dimethoxyphenyl; 2-thienyl; 2-furyl; 3-thienyl; 2-pyridyl; 3-pyridyl; 2-(2-bithiophenyl); 2-pyrrolyl



Syntheses of templates derived from pyrrolidine *trans*-lactams as potential serine protease inhibitors

Simon J. F. Macdonald,* Graham G. A. Inglis, Deborah Bentley and Michael D. Dowle Medicinal Chemistry 1, RIRP CEDD, GlaxoSmithKline Medicines Research Centre, Gunnels Wood Road, Stevenage SG1 2NY, UK The synthesis of templates derived from pyrrolidine trans-lactams as shown in the graphic is described.



Regio- and stereocontrolled preparation of α -substituted phosphonocrotonate derivatives

Geoffrey F. Solberghe and István E. Markó*

Tetrahedron Letters 43 (2002) 5061

Tetrahedron Letters 43 (2002) 5057

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Combinatorial discovery of novel fluorescent dyes based on DapoxylTM Oing Zhu^a Hai-Shin Yoon^b Puia B. Parikh^b Young-Tae Chang^b an

Qing Zhu,^a Hai-Shin Yoon,^b Puja B. Parikh,^b Young-Tae Chang^b and Shao Q. Yao^{a,c,*}

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We have developed a new method for fast and effective synthesis of fluorescent dyes based on DapoxyITM. The strategy combines parallel solution-phase chemistry with high-throughput screening to rapidly identify new dyes that contain novel fluorescence properties.3

Synthesis of an azabicycloalkane amino acid scaffold as potential rigid dipeptide mimetic

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The first Bischler–Napieralski cyclization in a room temperature ionic liquid

Zaher M. A. Judeh,^{a,*} Chi Bun Ching,^a Jie Bu^a and Adam McCluskey^b

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The effectiveness of the ionic liquid $[bmim]PF_6$ as a solvent for the Bischler–Napieralski cyclization was examined for the preparation of isoquinoline derivatives.

[bmim]PF₆ → 1 h, 90-100°C

 \dot{R}^3



Universität Hannover, Institut für Organische Chemie, Schneiderberg 1B, 30167 Hannover, Germany

The synthesis of the C1–C7 subunit is achieved by *syn*-selective and Felkin-controlled addition of a vinylogous silyl ketene acetal to the α -chiral Roche aldehyde 15.



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Oleandolide

COOH

. NH-Cbz Tetrahedron Letters 43 (2002) 5087

Tetrahedron Letters 43 (2002) 5089



Gallium-mediated allylation of carbonyl compounds in water

Tetrahedron Letters 43 (2002) 5097

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Ga-mediated allylation of aldehydes or ketones in distilled or tap water generated the corresponding homoallyl alcohols in high yields without the assistance of either acidic media or sonication.



Mechanism leading to the observed product of intramolecular aryl Diels-Alder reaction

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Deuterium labeling studies indicate that the mechanism of intramolecular aryl Diels-Alder reaction involves a double bond isomerization of the initial cycloadduct, followed by a suprafacial 1,5-dienyl hydrogen shift.



Montmorillonite clay catalyzed cleavage of aziridines with alcohols
Tetrahedron Letters 43 (2002) 5105

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Efficient asymmetric synthesis of 3-substituted β-sultams Dieter Enders* and Stefan Wallert Institut für Organische Chemie, Rheinisch-Westfälische Technische Hochschule, Professor-Pirlet-Str. 1, 52074 Aachen, Germany 4 steps $ee \ge 96\%$ R = Et, n-Pr, i-Pr, n-Bu, (CH₂)₂Ph



$V_2O_5-H_2O_2$: a convenient reagent for the direct oxidation of acetals to esters

Tetrahedron Letters 43 (2002) 5123

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Both cyclic and acyclic acetals were deprotected to give the corresponding aldehydes in acetonitrile, and are transformed to methyl esters in methanol, on treatment with a catalytic quantity of V_2O_5 and H_2O_2 . Under identical conditions, acid-sensitive protecting groups, such as tetrahydropyranyl and *tert*-butyldimethylsilyl ethers, were cleaved regenerating the corresponding alcohols.

MeOH

XV

Photocycloaddition of 5-bromouracil to uracil in a dinucleotide model compound

Tetrahedron Letters 43 (2002) 5127

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Preparation and structure elucidation by NMR of the charge delocalised $\beta_i\beta_j$ -carotene dication

Palladium-catalyzed synthesis of *o*-acetylbenzoic acids: a new,

and indolo[2,3-b]naphthalene-6,11-diones

efficient general route to 2-hydroxy-3-phenyl-1,4-naphthoquinones

José C. Barcia, Jacobo Cruces, Juan C. Estévez, Ramón J. Estévez* and Luis Castedo

Tetrahedron Letters 43 (2002) 5149

Bjart Frode Lutnaes, Liv Bruås, Jostein Krane and Synnøve Liaaen-Jensen*

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The charge delocalised β , β -carotene dication **3** was formed from β , β -carotene+BF₃-etherate. The structure was established by 600 MHz NMR (-25°C), COSY, HSQC, HMBC, 2D ROESY and NIR (λ_{max} 985 nm rt). The effect of the two delocalised charges on chemical shift (charge distribution) and bond distance (${}^{3}J_{H,H}$) is discussed.



First synthesis of adamantylated thiacalix[4]arenes

Tetrahedron Letters 43 (2002) 5153

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The syntheses of p-(3-R-1-adamantyl)thiacalix[4]arenes (R=H; COOH) were carried out for the first time both by direct condensation of p-(1-adamantyl)phenol and elemental sulfur for p-(1-adamantyl)thiacalix[4]arene and by adamantylation of p-H-thiacalix[4]arene with 1-adamantanol or 3-carboxy-1-adamantanol in TFA.





Selective oxidation of alkylarenes in dry media with potassium permanganate supported on montmorillonite K10

Tetrahedron Letters 43 (2002) 5165

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Towards novel biolabels: synthesis of a tagged highly fluorescent Schiff-base aluminium complex

Tetrahedron Letters 43 (2002) 5169

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J. S. Yadav,* B. V. S. Reddy, P. Muralikrishna Reddy and Ch. Srinivas

Michael additions: a regioselective approach to the synthesis of

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Tetrahedron Letters 43 (2002) 5189

Efficient solid-phase synthesis of 2,3-substituted indoles

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Efficient oxidative spirocyclization of phenolic sulfonamides

Tetrahedron Letters 43 (2002) 5193

Sylvain Canesi, Philippe Belmont, Denis Bouchu, Laurence Rousset and Marco A. Ciufolini*

Laboratoire de Synthèse et Méthodologie Organique (LSMO), UMR CNRS 5078, Université Claude Bernard Lyon 1 et Ecole Supérieure de Chimie, Physique, Electronique de Lyon, 43, Bd du 11 Novembre 1918, 69622 Villeurbanne cédex, France

Iodobenzene diacetate converts sulfonamide derivatives of homotyramines to spirocyclic azaheterocycles in high yield





Thorectandramine, a novel β -carboline alkaloid from the marine sponge *Thorectandra* sp.

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The isolation, structure elucidation and biological activity of a novel hexacyclic quaternary alkaloid, thorectandramine (1), from a Palauan sponge of the genus *Thorectandra* are reported.

