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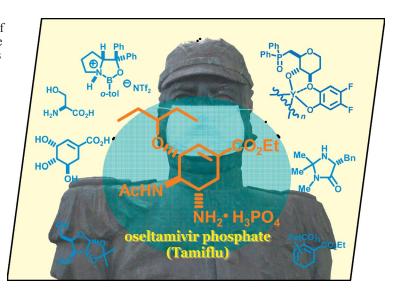




The EUChemSoc Societies have taken the significant step into the future by merging their traditional journals, to form two leading chemistry journals, the European Journal of Inorganic Chemistry and the European Journal of Organic Chemistry. Three further **EUChemSoc Societies (Austria,** Czech Republic and Sweden) are Associates of the two journals.

COVER PICTURE

The cover picture shows the chemical structure of oseltamivir phosphate (Tamiflu). Its representative starting materials and the asymmetric catalysts that provide its starting materials are also shown. Anybody can catch influenza. However, it is very scary, as it can be fatal. Oseltamivir phosphate is a potent antiinfluenza drug, that could protect humans from a possible influenza pandemic. The development of a synthetic route for oseltamivir phosphate that can satisfy its worldwide demand in a safe and environmentally friendly way is a great challenge today. In the Microreview by M. Shibasaki and M. Kanai on p. 1839ff, synthetic strategies of oseltamivir are presented.



MICROREVIEW

Anti-influenza Drugs

M. Shibasaki,* M. Kanai 1839-1850

Synthetic Strategies for Oseltamivir Phosphate

Keywords: Oseltamivir phosphate / Influenza / Process chemistry / Asymmetric catalysis / Analogue synthesis / Tamiflu

Synthetic strategies for oseltamivir phosphate, an important orally active anti-influenza drug, are reviewed.

SHORT COMMUNICATION

α-Glycosyl Ceramides

O. Boutureira, J. A. Morales-Serna,

Y. Díaz, M. I. Matheu,

S. Castillón* 1851-1854

Direct and Efficient Glycosylation Protocol for Synthesizing α-Glycolipids: Application to the Synthesis of KRN7000

Keywords: Glycosylation / Glycolipids / Phytosphingosine / Tin

α-Glycosyl iodides react with stannyl ethers in the presence of Bu₄NI to give the biologically active galactosyl ceramide KRN7000 and other α-glycolipids in excellent yield with complete α -selectivity.

(CH₂)₂₄CH₃

90%

(CH₂)₁₃CH₃

FULL PAPERS

NMR Enantiodiscrimination

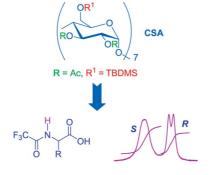
G. Uccello-Barretta,* F. Balzano,

F. Pertici, L. Jicsinszky, G. Sicoli, V. Schurig 1855-1863



External vs. Internal Interactions in the Enantiodiscrimination of Fluorinated α-Amino Acid Derivatives by Heptakis[2,3di-O-acetyl-6-O-(tert-butyldimethylsilyl)]β-cyclodextrin, a Powerful Chiral Solvating Agent for NMR Spectroscopy

Keywords: Cyclodextrins / Enantiomeric purity / Enantiodiscrimination / NMR spectroscopy



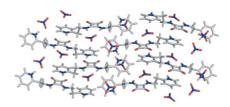
Heptakis[2,3-di-O-acetyl-6-O-(tert-butyldimethylsilyl)]-β-cyclodextrin functions as an efficient chiral auxiliary for the NMR enantiodiscrimination of fluorinated α-amino acids. The origin of enantiodiscrimination in solution has been elucidated by NMR investigations and comparison with cyclic and acyclic model compounds

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Anion $-\pi$ Interactions

The important role of anion $-\pi$ and hydrogen-bonding interactions is manifested in the crystal packing of the first example of a squaramide-nitrate salt solid structure.



Crystallographic and Theoretical Evidence of Anion– π and Hydrogen-Bonding Interactions in a Squaramide–Nitrate Salt

Keywords: Amides / Anions / Pi interactions / Molecular recognition

Amphiphilic Antioxidants

C- β -D-Glucopyranosyl chromanols and tocopherols (containing either a deprotected or an acetyl-protected Glc moiety) were synthesized by 4–5-step procedures. These new antioxidants were evaluated for their ability to inhibit the peroxidation of linoleic acid in SDS micelles. The position of the C-glucopyranosyl moiety on the phenolic nucleus emerges as the critical structural determinant of their activity.

 R^1 = Me or β -D-Glc or β -D-(Ac)₄Glc R^2 = Me or β -D-Glc or β -D-(Ac)₄Glc R^3 = Me or phytyl (C₁₆H₃₃) L. He, S. Galland, C. Dufour, G.-R. Chen,* O. Dangles,* B. Fenet, J.-P. Praly* 1869–1883

C-D-Glucopyranosyl Derivatives of Tocopherols – Synthesis and Evaluation as Amphiphilic Antioxidants

Keywords: *C*-Glycosides / Tocopherol / Chromanol / Antioxidant / Lipid peroxidation

Synthesis and preliminary anion-binding properties of a series of thiaphlorins and thiaphlorin—porphyrin dyads are reported.

Thiaphlorin-Porphyrin Dyads

I. Gupta, R. Fröhlich, M. Ravikanth* 1884–1900

Synthesis and Anion-Binding Studies of Thiaphlorins and Covalently Linked Thiaphlorin-Porphyrin Dyads

Keywords: Thiaphlorins / Porphyrins / Anion sensing

Highly regio- and diastereoselective *syn*-dihydroxylation, hydroxy-, azido-, and halomethoxylations and subsequent eliminations were performed on cyclic 6,7-dehydro-L-lysine, leading to new mono-, diand trisubstituted 3-aminocaprolactams. Structural and mechanistic aspects of these reactions, as well as the origin of the observed diastereoselectivities are discussed.

3-Aminocaprolactam Scaffolds

C. Levraud, S. Calvet-Vitale, G. Bertho, H. Dhimane* 1901–1909

Diastereoselective Additions to (3S)-3-Aminodehydrocaprolactams: Development of a Versatile Synthesis of New Substituted Cyclic L-Lysines

Keywords: 3-Aminocaprolactam / Diastereoselective addition / Halomethoxylation / Azidomethoxylation / Anchimeric assistance

CONTENTS

Hydantoin Synthesis

V. Kumar, M. P. Kaushik,* A. Mazumdar 1910-1916

An Efficient Approach for the Synthesis of N-1 Substituted Hydantoins

Keywords: Cyanamides / N heterocycles / Cyclizations / Retro reactions

R = alkvl/arvl

A three-step route for the synthesis of N-1 alkyl/aryl-substituted hydantoins was developed. The reactions of amines with cyanogen bromide gave cyanamides. Treatment with methyl bromoacetate afforded methyl N-cyano-N-alkyl/aryl aminoacetate, which upon reaction with H2SO4 afforded various N-1 substituted hydantoins in very good yields.

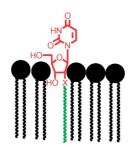
Nucleolipids

O. Kaczmarek, N. Brodersen, A. Bunge, L. Löser, D. Huster, A. Herrmann, A. Arbuzova, J. Liebscher* 1917-1928



Synthesis of Nucleosides with 2'-Fixed Lipid Anchors and Their Behavior in Phospholipid Membranes

Keywords: Nucleosides / Amphiphiles / Lipophiles / Membrane anchoring / Membranes



New nucleosides with lipid anchors attached to the ribose moiety have been synthesized. Their anchoring behavior in large unilamellar POPC vesicles as phospholipid membrane models has been studied by MAS NMR spectroscopy.

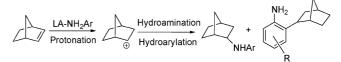
Olefin Hydroamination

X. Cheng, Y. Xia, H. Wei, B. Xu, C. Zhang, Y. Li,* G. Qian, X. Zhang, K. Li, W. Li 1929-1936



Lewis Acid Catalyzed Intermolecular Olefin Hydroamination: Scope, Limitation, and Mechanism

Keywords: Hydroamination / Lewis acids / Amines / Catalysis / Mechanisms



The hydroamination reactions of norbornene with aromatic amines catalyzed by different Lewis acids are presented. A mechanism involving a carbocation was found to be most favorable, as supported

by the experimental results. This mechanistic insight allowed the controllable synthesis of allylamine and tetrahydroquinoline from isoprene and aromatic amines.

Epoxidation

T. Patonay,* A. Kiss-Szikszai, V. M. Silva, A. M. S. Silva, D. C. G. A. Pinto, J. A. S. Cavaleiro, J. Jekő 1937-1946

Microwave-Induced Synthesis and Regioand Stereoselective Epoxidation of 3-Styrylchromones

Keywords: Solvent-free reaction / Microwave-assisted synthesis / Enantioselective epoxidation / O heterocycles

R
$$\stackrel{\square}{\parallel}$$
 $\stackrel{\square}{\longrightarrow}$ $\stackrel{$

The microwave-assisted solvent-free synthesis of (E)-3-styrylchromones from 3-formylchromones and phenylmalonic acid has been developed. Treatment of the alkenes with dimethyldioxirane led to the exclusive formation of 3-(3-aryloxiran-2-yl)chromones with complete diastereoselectivity,

whereas treatment with hydrogen peroxide under alkaline conditions afforded 2,3-epoxy-3-styrylchromanones as the only products. Wynberg epoxidation allowed the synthesis of enantiomerically enriched 2,3epoxy-3-styrylchromanones, but only with moderate ee values.



Rare Sugar Synthesis

The title compounds were synthesized from methyl α - or β -D-galactopyranoside. Essential to obtaining furanose-free final products was conducting acetolyses with 4-O-protected pyranoside intermediates that did not allow pyranose to furanose conversion.

A Convenient Synthesis of Furanose-Free D-Fucose Per-O-Acetates and a Precursor for Anthrose

Keywords: Latent anthrose donor / Acetolysis / Carbohydrates / Synthetic methods / Deoxygenation

Novel Migrastatin Analogues

The synthesis of novel macrolactam and macroketone analogues of migrasta-

tin and their biological evaluation are described.

G. Anquetin, G. Horgan, S. Rawe, D. Murray, A. Madden, P. MacMathuna, P. Doran, P. V. Murphy* 1953–1958

Synthesis of Novel Macrolactam and Macroketone Analogues of Migrastatin from D-Glucal and Comparison with Macrolactone and Acyclic Analogues: A Dorrigocin A Congener Is a Potent Inhibitor of Gastric Cancer Cell Migration

Keywords: Carbohydrates / Tumour cell migration inhibitor / Cancer / Horner olefination / Migrastatin / Dorrigocin A / Natural products

Cross-Coupling Reactions

The regio- and stereoselectivity of the palladium-catalysed cross-coupling reactions of indium organometallics with stereodefined 1-haloalkenes and 1,1-dihaloalkenes have been studied.

Palladium-Catalysed Cross-Coupling Reactions of Triorganoindium Reagents with Alkenyl Halides

Keywords: Indium / Cross-coupling / Palladium / Homogeneous catalysis / Haloalkenes / Stereoselective reactions

The oxa-Pictet—Spengler reaction, which is useful in the synthesis of hydroxyisochromans, was used to synthesize 1,3-dihydroiso-

benzofuran and homoisochromans derivatives. The different results are discussed.

n = 1, 2, 3

Modified Pictet-Spengler Reaction

M. Guiso, A. Betrow, C. Marra* 1967–1976

The Oxa-Pictet—Spengler Reaction: A Highlight on the Different Efficiency between Isochroman and Phthalan or Homoisochroman Derivative Syntheses

Keywords: Fused-ring systems / Oxygen heterocycles / Synthetic methods

CONTENTS

Fluorinated Poly(arylenevinylene)s

F. Babudri, A. Cardone, G. M. Farinola, C. Martinelli, R. Mendichi, F. Naso,* M. Striccoli 1977-1982

Synthesis of Poly(arylenevinylene)s with Fluorinated Vinylene Units

Keywords: Polymers / Stannanes / Crosscoupling / Blueshift / Fluorine

OR
$$MEH-PPDFV: R = CH_2CH(Et)Bu$$

$$MPS-PPDFV: R = CH_2CH_2CH_2SO_3Na$$

Poly(arylenevinylene) polymers bearing fluorine atoms in the vinylene units were obtained by a Stille cross-coupling reaction of (E)-(1,2-difluoro-1,2-ethenediyl)bis(tributylstannane) (4) with diiodoaryl derivatives.

Compounds containing a tetrahydro-β-

carboline and a novel octahydropyr-

rolo[3',2':3,4]pyrrolo[2,3-b]indole system

have been efficiently synthesized by acid-

catalysed condensation of tryptophan with different \alpha-amino aldehyde derivatives.

Here we report the characterization of

these new compounds and preliminary

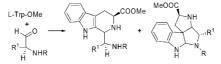
studies of the reactivity of the tetrahydro-

β-carboline system.

Indole-Based Ring Systems

I. M. Gomez-Monterrey, P. Campiglia, A. Bertamino, C. Aquino, O. Mazzoni, M. V. Diurno, R. Iacovino, M. Saviano, M. Sala, E. Novellino,

P. Grieco* 1983-1992



Synthesis of Novel Indole-Based Ring Systems by Acid-Catalysed Condensation from α-Amino Aldehydes and L-Trp-OMe

Keywords: Indoles / Amino aldehydes / Catalysis / Condensation reactions / Heterocyclestitel

CORRECTION

P. Gupta, P. Kumar* 1993

An Efficient Total Synthesis of Decarestrictine D

Keywords: Macrolides / Hydrolytic kinetic resolution / Sharpless asymmetric dihydroxylation / Cross metathesis / Ring-closing metathesis

If not otherwise indicated in the article, papers in issue 10 were published online on March 13, 2008

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