GENERAL APPROACH FOR THE SYNTHESIS OF POLYQUINENES VIA THE WEISS REACTION XV. SYNTHESIS OF THE [5.5.5.5]FENESTRANE SYSTEM VIA THE ALDOL APPROACH AND STUDIES DIRECTED TOWARD THE [5.5.6.6]FENESTRANES. X. Fu, G. Kubiak, W. Zhang, W. Han, A. Gupta and J. M. Cook* Department of Chemistry, University of Wisconsin-Milwaukee, Milwaukee, Wisconsin 53201

The 3-cyclopenten-1-yl-glyoxal was converted in 3 steps into the bisaldehyde 8 which underwent aldolization as shown to provide the [5.5.5.5]fenestrane diacetate 9.

Tetrahedron, 1993, 49, 1525

Ring Expansions of Alkynyl Cyclopentanols with Iodine and Koser's Reagent.

Pakorn Bovonsombat and Edward McNelis* New York University, New York, New York 10003.

Carboxylic Sulfonic Mixed Anhydrides: General Utility and Application to the Synthesis of Ceftazidime

Tetrahedron, 1993, 49, 1535

David D. Wirth

Lilly Research Laboratories, Eli Lilly and Co., Lafayette, IN 47902

The synthesis, isolation, characterization, and use of a mixed carboxylic sulfonic anhydride is described.

α -AMINO- α -TRIFLUOROMETHYL-PHENYLACETONITRILE: A POTENTIAL REAGENT FOR 19F NMR DETERMINATION OF ENANTIOMERIC PURITY OF ACIDS.

Miroslav Koos¹ and Harry S. Mosher², 1) Institute of Chem., Slovak Academy of Scienecs, Dubravska cesta 9, CS-842 38, Bratislava, Czechoslovakia; 2) Dept. of Chem., Stanford Univ. Stanford, CA. 94305, USA.

α-Amino-α-trifluoromethyl-phenylacetonitrile, 1, has been converted to the mixture of diastereomeric amides (2). The ¹⁹F Chemical shift differences ($\Delta \delta = \delta_{RR} - \delta_{RS}$) for a series of these diastereomers have been studied for the determination of enantiomeric purity of chiral acids (R*COOH).

PhMgBr NH HCN NH2 R*COCl CF3CN
$$\stackrel{||}{\longrightarrow}$$
 Ph-C-(CF3) $\stackrel{||}{\longrightarrow}$ Ph-C-(CF3)CN (1) $\stackrel{||}{\longrightarrow}$ R*-CONH-C(CF3)(CN)Ph (2)

 $R^*-=PhCH(OMe)-$, PhCH(OCOMe)-, $PhCH(C_2H_5)-$, PhCH(t-Bu)-, $Ph(OMe)(CF_3)-$, $C_2H_5CH(CH_3)-$ etc.

A Diastereosclective Synthesis of Pseudo-C2-Symmetric 1,3-Diamino-2-propanols As Core Units in HIV Protease Inhibitors

Tetrahedron, 1993, 49, 1547

Steven J. Wittenberger*, William R. Baker and B. Gregory Donner Abbott Laboratories, Pharmaceutical Products Division, Abbott Park, IL 60064

We report the synthesis of the pseudo- C_2 -symmetric 1,3-diamino-2-propanol core unit 3, a key subunit in HIV-1 protease inhibitors. Alkylation of the dianion of N-Boc hyroxylactam 1 is highly diastereoselective and provides 2 in moderate to good yield. Imide ring opening, Curtius rearrangement and deprotection lead to the diamino alcohol core unit 3. Cycloalkyl, aromatic and heteroaromatic substituents are included in the R1 and R2 sidechains.

Tetrahedron, 1993, 49, 1557

Three Pregnane-10,2-Carbolactones from a Sponge, Strongylophora sp.

Jay M. Corgiat and Paul J. Scheuer* Department of Chemistry, University of Hawaii at Manoa, Honolulu, HI 96822

Jorge L. Rios Steiner and Jon Clardy* Baker Laboratory, Cornell University, Ithaca, NY

Three pregnanes, 3,4-dihydroxypregna-5,17-diene-10,2-carbolactone (1), 3,4-dihydroxypregna-5,20-diene-10,2-carbolactone (2) and 3,4-dihydroxypregna-5,15-dien-20-one-10,2-carbolactone (2) and 3,4-dihydroxypregna-5,15-dien-20-one-10,2-carbolactone (3), 3,4-dihydroxypregna-5,20-diene-10,2-carbolactone (3), 3,4-dihydroxypregna-5,15-diene-20-one-10,2-carbolactone (3), 3,4-diene-20-one-10,2-carbolactone (3), 3,4-diene-20-one-10, 3,4-diene-20-one-10, 3,4-diene-20-one-10, 3,4-diene-20-one-10, 3,4-diene-20-one-10, 3,4-diene-20-one-10, 3,4-diene-20-one-1 carbolactone (3) were isolated from a sponge, Strongylophora sp The structures were assigned on the basis of spectral data and confirmed by X-ray crystallography of 1

2

3

Gonioheptolides A and B: Novel Eight-Membered-Ring Lactones from Goniothalamus giganteus (Annonaceae)

Xin-ping Fang, Jon E. Anderson, Xiao-xing Qiu, John F. Kozlowski, Ching-jer Chang, and Jerry L. McLaughlin*, Department of Medicinal Chemistry and Pharmacognosy, School of Pharmacy and Pharmacal Sciences, Purdue University, West Lafayette, IN 47907, U.S.A.

Two novel eight-membered-ring lactones, gonioheptolides A (1) and B (3), were isolated from Goniothalamus giganteus.

Tetrahedron, 1993, 49, 1571

AN EFFICIENT ENANTIOSELECTIVE SYNTHESIS OF INDICINE N-OXIDE, AN ANTITUMOR PYRROLIZIDINE ALKALOID

Takeshi Ogawa, Haruki Niwa,* and Kiyoyuki Yamada*

Department of Chemistry, Faculty of Science, Nagoya University, Chikusa, Nagoya 464, Japan

A short-step synthesis of indicine N-oxide (1) in the natural form is described through enantioselective synthesis of 16a and 16b, and coupling of 2 with 16a and 16b.

Tetrahedron, 1993, 49, 1579

44-92%ee

STEREOSELECTIVE REACTIONS. XXI. ASYMMETRIC ALKYLATION OF α -ALKYL β -KETO ESTERS TO α, α -DIALKYL β -KETO ESTERS HAVING EITHER (R)- OR (S)-CHIRAL QUATERNARY CENTER DEPENDING ON THE SOLVENT SYSTEM Kaori Ando, Yutaka Takemasa, Kiyoshi Tomioka, and Kenji Koga*

Faculty of Pharmaceutical Sciences, University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan Asymmetric alkylation of the lithioenamines derived from α -alkyl β -keto esters and (S)-valine tert-butyl ester gives either enantiomer depending on the combination of solvents and additives.

DIASTEREOSELECTIVE RING-OPENING ALDOL-TYPE REACTION OF 2,2-DIALKOXYCYCLOPROPANECARBOXYLIC ESTERS WITH CARBONYL COMPOUNDS. 2. SYNTHESIS OF C1S-2,3-SUBSTITUTED-Y-LACTONES

Shigeru Shimada, Yukihiko Hashimoto, Tadamichi Nagashima, Masaki Hasegawa, and Kazuhiko Saigo*

Department of Synthetic Chemistry, Faculty of Engineering, The University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan

Preparation and Reactivity of Dichalcogenide (S, Se) Dication Salts from Medium-sized Cyclic Bis-sulfide and Bis-selenides

Hisashi Fujihara,* Ryouichi Akaishi, and Naomichi Furukawa* Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan

The disulfide dication salt, 1,5-dithioniabicyclo[3.3.0] octane bis(trifluoromethane-sulfonate) (3), was isolated by the reaction of 1,5-dithiacyclooctane 1-oxide with triflic anhydride. Two-electron oxidation of 1,5-diselenacyclooctane with 2 equiv of NOPF6 gave the first diselenide dication salt, 1,5-diselenoniabicyclo[3.3.0] octane bis(hexa-fluorophosphate) (5). The disulfide and diselenide dication salts (3 and 5) act as an oxidant or as an electrophile depending on the added reagents.

Tetrahedron, 1993, 49, 1605

3: X=S, Y=TfO 5: X=Se, Y=PF₆

Tetrahedron, 1993, 49, 1619

A USEFUL APPLICATION OF BENZYL TRICHLOROACETIMIDATE FOR THE BENZYLATION OF ALCOHOLS

Peter Eckenberg, Ulrich Groth*, Thomas Huhn, Norbert Richter, and Carsten Schmeck Institut für Organische Chemie der Universität Göttingen, Tammannstr. 2, D-3400 Göttingen

THE CONVERSION OF β-AMINOESTERS BY ALKYLALUMINUMCOMPOUNDS INTO β-LACTAMS H. VORBRÜGGEN AND R. B. WOODWARD

THE FORMER WOODWARD INSTITUTE BASEL, SWITZERLAND

Tetrahedron, 1993, 49, 1635

MOENOMYCIN A - STRUCTURE - ACTIVITY RELATIONS

SYNTHESIS OF THE D - GALACTURONAMIDE ANALOGUE OF
THE SMALLEST ANTIBIOTICALLY ACTIVE DEGRADATION PRODUCT OF MOENOMYCIN A

U. Möller^a, K. Hobert^a, A. Donnerstag^a, P. Wagner^a, D. Müller^a, H.-W. Fehlhaber^b, A. Markus^b, and P. Welzel^{a*}

^a Fakultät für Chemie der Ruhr-Universität, Postfach 102148, D-4630 Bochum (Germany)

b Hoechst AG, Pharma-Forschung, Postfach 800320, D-6230 Frankfurt 80 (Germany)

Compound 10c which is the galacturonamide analogue of 2, the smallest degradation product of moenomycin A with full antibiotic activity, has been synthesized.

10c is devoid of antibiotic activity.

Tetrahedron, 1993, 49, 1649

THE DEMJANOV AND TIFFENEAU-DEMJANOV ONE-CARBON RING ENLARGEMENTS OF 2-AMINOMETHYL-7-OXA-BICY-CLO[2.2.1]HEPTANE DERIVATIVES. THE STEREO- AND REGIO-SELECTIVE ADDITION OF 8-OXABICYCLO[3.2.1]OCT-6-EN-2-ONE TO SOFT ELECTROPHILES.

Daniela Fattori, Sylvie Henry and Pierre Vogel* Section de chimie de l'Université de Lausanne, Switzerland

The following selective transformations are disclosed.

Stereoselective Synthesis of Tetrahydrofuran-3-ols by Photochemical

δ-Hydrogen Abstraction of β-Allyloxy-Carbonyl Compounds

Howard A.J. Carless,* David I. Swan and David J. Haywood

Department of Chemistry, Birkbeck College, Gordon House, 29 Gordon Square, London WC1H 0PP, U.K.

R = Me or H

Tetrahedron, 1993, 49, 1675

Chemistry of Insect Antifeedants from *Azadirachta Indica* (Part 15): Degradation Studies of Azadirachtin leading to C8-C14 Bond Cleavage.

Steven V. Ley*, Peter J. Lovell, Alexandra M. Z. Slawin, Stephen C. Smith, David J. Williams and Anthony Wood. Department of Chemistry, Imperial College of Science, Technology and Medicine, London, SW7 2AY.

The synthesis of a novel macrocyclic carbonate is described which undergoes a base mediated retro-Aldol reaction to cleave the central C8-C14 bond giving a highly functionalised decalin unit. This key reaction has allowed the preparation of further derivatives for synthetic studies and biological evaluation

Tetrahedron, 1993, 49, 1701

AN IMPROVED SYNTHESIS OF 3-(1,1-DIMETHYLALLYL)COUMARINS

Rosario Hernández-Galán, Javier Salvá, Guillermo M. Massanet and Isidro G. Collado*
Departamento de Química Orgánica. Universidad de Cádiz. Apdo. 40, 11510 Puerto Real, Cádiz, SPAIN.

The syntheses of several 3-(1,1-dimethylallyl)coumarins, simple or bearing additional furan or pyran rings were achieved from the corresponding C-3 unsubstituted derivatives. The key step involves Ireland-Claisen rearrangements of allyl esters.