GRAPHICAL ABSTRACTS

Tetrahedron Lett. 1993, 34, 8187

1.3-Dipolar Cycloaddition of N-Benzyl Azomethine Ylide to Can: Formation of Can-fused N-Benzylpyrolidine

Xiaojun Zhang, Matthew Willems and Christopher S. Foote*

Department of Chemistry and Biochemistry, University of California, Los Angeles, Los Angeles, CA 90024-1569.

Cso reacts with N-benzyl azomethine ylide to afford a Cso-fused N-benzylpyrolidine in 50% isolated yield.

$$C_{60}$$
 + $\begin{bmatrix} H_2\bar{C} & CH_2 \\ N & I \\ CH_2Ph \end{bmatrix}$ toluene, r.t. $N-CH_2Ph$

Tetrahedron Lett. 1993, 34, 8189

DDQ-induced and Stereoselective Functionalization at Heterosubstituted

Benzylic Positions by Carbon Nucleophiles. Yao-Chang Xu.* Caroline Roy.

Elaine Lebeau, BioChem Pharma Inc., 531 Blvd. des Prairies, Laval, Quebec, Canada H7V 1B7

DDQ-induced functionalization at heterosubstituted benzylic positions with a variety of carbon nucleophiles proceeds in high vield with good stereochemical control.

Nu : silvl enol ethers, allvl stannanes, allvl silanes, TMSCN, Grignard reagents, and lithium reagents

TOTAL SYNTHESIS OF HALICHONDRIN B FROM COMMON SUGARS: AN F-RING INTERMEDIATE FROM D-GLUCOSE AND

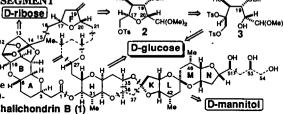
EFFICIENT CONSTRUCTION OF THE C1 TO C21 SEGMENT

Department of Chemistry. Case Western Reserve University. Cleveland, OH 44106-2699

An F-ring intermediate is assembled from D-glucose H and joined with an ABCDE-ring precursor from Dribose to give a C1-C21 fragment of halichondrin B. halichondrin B (1) Me

Arthur J. Cooper, Wenxi Pan, Robert G. Salomon*

Tetrahedron Lett. 1993, 34, 8193



Tetrahedron Lett. 1993, 34, 8197

CONFORMATIONALLY RESTRICTED β-AMINO ACID ISOSTERES PREPARED THROUGH REGIOSELECTIVELY CONTROLLED AZA-ANNULATION.

K. Paulvannan and John R. Stille*

Department of Chemistry, Michigan State University, East Lansing, MI 48824

$$\begin{array}{c} X \\ O \\ \hline \\ O \\ \hline \\ EWG \\ \hline \\ EWG \\ \hline \\ EWG \\ \hline \\ 2) \text{ Acryloyl} \\ \text{Chloride} \\ \hline \\ CO_2R, \\ SO_2R, \\ P(O)(OEt)_2 \\ \hline \\ \end{array} \begin{array}{c} 1) \text{ BnNH2, pTsOH} \\ \hline \\ 2) \text{ Acryloyl} \\ \text{Chloride} \\ \hline \\ O \\ \hline \\ \end{array} \begin{array}{c} X \\ EWG \\ \hline \\ EVG \\ \hline \\ EVG \\ \hline \\ \hline \\ EtOH \\ \hline \\ \end{array} \begin{array}{c} Bn \\ N \\ \hline \\ EVG \\ \hline \\ \end{array} \begin{array}{c} X \\ EWG \\ \hline \\ Diastereoselectivity: \\ (72:28) \text{ to (>99:1)} \\ \hline \end{array}$$

ENANTIOSELECTIVE CYCLOADDITION via a CHIRAL KETO-ESTER KETENE EQUIVALENT

Jacek Martynow, Martin Dimitroff, and Alex G. Fallis*

Ottawa-Carleton Chemistry Institute, Department of Chemistry, University of Ottawa, 10 Marie Curie, Ottawa, Canada, K1N 6N5

(+) 1 (+) 2 Cycloaddition of (+)1 and cyclopentadiene (-78 °C, BCl₃), syn to the sulfoxide lone pair, afforded (+)2 after hydrolysis and decarboxylation.

Tetrahedron Lett. 1993, 34, 8205

CONVERSIONS OF PHENYLALKYNYLCYCLOPENTANOLS TO a-IODOENONES

Pakorn Bovonsombat and Edward Mc Nelis*
Department of Chemistry, New York University, New York, New York 10003

Tetrahedron Lett. 1993, 34, 8209

A LIGAND ASSISTED CLAISEN REARRANGEMENT

Marie E. Krafft,* Sandra Jarrett and Olivier Dasse

Department of Chemistry, The Florida State University, Tallahassee, FL 32306-3006

The Ireland ester enolate Claisen rearrangement gives rise to Z-trisubstituted alkenes via heteroatom mediated substrate pre-organization prior to rearrangement.

Tetrahedron Lett. 1993, 34, 8213

THE SYNTHESIS OF PYRIMIDINEISOTHIAZOLONES. THE EFFECT OF TEMPERATURE ON THE ADDITION

OF ARYL AMINES TO FUNCTIONALIZED PYRIMIDINES. Carl P. Decicco* and David J. Nelson, Inflammatory Diseases Research Department, The DuPont Merck Pharmaceutical Company, Experimental Station, Wilmington Delaware, USA, 19880-0353.

TANDEM PHOTOCHEMICAL OR THERMAL [1,5]-H, THERMAL [1,5]-SI MIGRATIONS OF VINYL SILANES

Tetrahedron Lett. 1993, 34, 8217

Michael C. Pirrung* & Yong Rok Lee Department of Chemistry, Duke University P. M. Gross Chemical Laboratory Durham, North Carolina 27708-0346 USA

SiR₃

$$hv$$
OH
$$R = Me, i-Pr, O-alkyl$$
OSiR₃

The irradiation or thermolysis of (o-hydroxystyryl)vinylsilanes results in migration of the silyl group to the phenolic oxygen via consecutive [1,5]-H and [1,5]-Si shifts.

Tetrahedron Lett. 1993, 34, 8221

SYNTHESIS OF NOVEL POLYHYDROXYLATED QUINOLIZIDINES: RING EXPANDED ANALOGS OF GLYCOSIDASE INHIBITORY INDOLIZIDINES

William H. Pearson* and Erik J. Hembre

Department of Chemistry, The University of Michigan, Ann Arbor, MI 48109-1055

Reductive double cyclication of $10/1\beta$ gives (1R, 2R, 3R, 9S, 9aR)-1,2,3,9-tetrahydroxyquinolizidine 2 and (1R, 2R, 3R, 9R, 9aS)-1,2,3,9-tetrahydroxyquinolizidine 3, which are ring expanded analogs of polyhydroxylated indolizidines such as swainsonine and castanospermine.

Tetrahedron Lett. 1993, 34, 8225

Asymmetric Dihydroxylation of Tertiary Allylic Alcohols

Zhi-Min Wang and K. Barry Sharpless* Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, CA 92037, U.S.A. The asymmetric dihydroxylation (AD) of tertiary allylic alcohols is examined.

STRUCTURE OF 3,4-DIHYDROXY-2-THIOPHENECARBOXYLIC ACID ETHYL ESTER IN THE CRYSTAL AND SOLUTION STATES.

William A Hada Frank W Busek Ion Border and Laurence S Malvin It 1

Tetrahedron Lett. 1993, 34, 8229

William A. Hada, Frank W. Rusek, Jon Bordner and Lawrence S. Melvin, Jr.*, Pfizer Inc., Central Research, Groton, CT 06340 USA

The structure of 3,4-dihydroxy-2-thiophenecarboxylic acid ethyl ester is the dihydroxy tautomer,

A REACTIVITY CONTROL SUBSTITUENT IN THE PD CATALYZED CYCLOISOMERIZATION OF 1,7-ENYNES

Barry M. Trost. and Onko J. Gelling

Department of Chemistry, Stanford University, Stanford 94305-5080

The presence of a free carboxylic acid facilitates the palladium catalyzed cycloisomerization of 1,7-enynes with excellent 1,2- and 1,3-diastereoselectivity.

Tetrahedron Lett. 1993, 34, 8237

Synthesis of Extended, Chromogenic Tetra-(p-substitutedphenyl)-Tetraethoxycalix[4] arenes.

Man Shing Wong and Jean-François Nicoud*

I.P.C.M.S., Groupe des Matériaux Organiques, Institut Charles Sadron, 6, rue Boussingault, 67083 Strasbourg Cedex, France Synthesis of cone conformer of donor-acceptor phenylcalix[4]arene derivatives using palladium(0) catalysed cross coupling.

Tetrahedron Lett. 1993, 34, 8241

Trifluoromethylation of Sugar 1,4-Lactones: Synthesis of 5-Deoxy-5,5,5-Trifluoro-D and L-Ribose and Lyxose Derivatives

Pascal Munier, Dominique Picq and Daniel Anker* Université Claude Bernard - Lab. de Chimie Organique 3, associé au CNRS, 43 Bd du 11 Novembre 1918 69622 Villeurbanne (France)

The first syntheses of trifluorinated analogues of 5-deoxypentoses are described; the CF₃ group was introduced using CF₃SiMe₃. Diastereoselectivity at C-4 is induced by the reduction at the anomeric centre of the 2-ketoses.

Tetrahedron Lett. 1993, 34, 8245

A FREE RADICAL ROUTE TO SYN LACTONES AND OTHER PROSTANOID INTERMEDIATES IN ISOPROSTAGLANDIN SYNTHESIS. B. Rondot^a, Th. Durand^a, J. P. Girard^a, J. C. Rossi^a, L. Schio^b, S.P. Khanapure^b and J. Rokach^b. (a): URA C.N.R.S.1111, Univ. Montpellier I, 15 Av. Ch. Flahault, Montpellier, FRANCE. (b): Claude Pepper Institute (FIT), 150 W. University Blvd., Melbourne, FL 32901 USA.

The radical cyclization, applied to the thionocarbonate precursor from diacetone-D-glucose as starting material ,give the optical active prostanoid intermediates.

OH

OH

OH

OH

HETEROPHYLOL, A PHENOLIC COMPOUND WITH NOVEL SKELETON FROM ARTOCARPUS HETEROPHYLLUS

Chun-Nan Lin* and Chai-Ming Lu, Natural Products Research Center, Kaohsiung Medical College, Kaohsiung, Taiwan 807, R.O.C.

Novel phenolic compound, heterophylol, from Artocarpus heterophyllus

Tetrahedron Lett. 1993, 34, 8251

The Structure of Xanthoquinodin A1, A Novel Anticoccidial Antibiotic Having A New Xanthone-Anthraquinone Conjugate System

Keiichi Matsuzaki, Noriko Tabata, Hiroshi Tomoda, Yuzuru Iwai, Haruo Tanaka and

School of Pharmaceutical Sciences, Kitasato University, and Research Center for Biological Function, The Kitasato Institute, Minato-ku, Tokyo 108, Japan

The structure of xanthoquinodin A1(1), isolated from *Humicola* sp. FO-888, was elucidated by ¹H-and ¹³C-NMR experiments.

Tetrahedron Lett. 1993, 34, 8255

SYNTHESES AND REACTIONS OF 4- AND 8-PHOSPHA-THIOPHENETRIPTYCENES.

Akihiko Ishii, Ikuo Takaki, Juzo Nakayama, and Masamatsu Hoshino, Department of Chemistry, Faculty of Science, Saitama University, Urawa, Saitama 338, Japan

8- and 4-Phosphathiophenetriptycenes, 2d and 2e, are synthesized. The decreased reactivity of 2d compared to 2e is shown by competitive chalcogenation reactions. Complexation of 2d with M(CO)₅(THF) (M=W, Mo) gives the corresponding 1:1 complexes.

Tetrahedron Lett. 1993, 34, 8259

4.8-DIPHOSPHATHIOPHENETRIPTYCENES.

Akihiko Ishii, Rie Yoshioka, Juzo Nakayama, and Masamatsu Hoshino, Department of Chemistry, Faculty of Science, Saitama University, Urawa, Saitama 338, Japan

Unsubstituted and trimethyl-4,8-diphosphathiophenetriptycenes, 4 and 3d, are synthesized by reduction of the corresponding phosphine oxides with trichlorosilane. The reaction of 3d with W(CO)₅(THF) gives a 1:2 complex in high yield.

A NEW SYNTHESIS OF HMG-CoA REDUCTASE INHIBITOR NK-104 THROUGH HYDROSILYLATION-CROSS COUPLING REACTION Kyoko Takahashi, Tatsuya Minami, Yoshio Ohara,‡ and Tamejiro Hiyama*§

Sagami Chemical Research Center, 4-4-1 Nishiohnuma, Sagamihara, Kanagawa 229, Japan ‡Central Research Institute, Nissan Chemical Industries Ltd., 722-1 Tsuboi-cho, Funabashi, Chiba 274, Japan

OEt
$$MH$$

OEt $Ar \times Ar = 1/2 \text{ Ca}^{2+}$

NK-104

OET MH

OET

A NOVEL SYNTHETIC METHOD OF HMG-CoA REDUCTASE INHIBITOR NK-104 VIA A HYDROBORATION-CROSS COUPLING SEQUENCE

Tetrahedron Lett. 1993, 34, 8267

Nobuhide Miyachi,* Yoshinobu Yanagawa, Hiroshi Iwasaki, Yoshio Ohara, and Tamejiro Hiyama*†
Central Resarch Institute, Nissan Chemical Industries Ltd.,722-1 Tsuboicho, Funabashi, Chiba 274, Japan

[†]Research Laboratory of Resources Utilization, Tokyo Institute of Technology, 4259 Nagatsuta, Midori-ku, Yokohama 227, Japan

Hydroboration of ethyl (3R,5S)-3,5-isopropylidenedioxy-6-heptynoate and subsequent cross-coupling reaction with an aryl halide or aryl triflate allows us to readily prepare a key precursor of a highly potent HMG-CoA reductase inhibitor NK-104.

SYNTHESIS OF OPTICALLY ACTIVE t-BUTYL (3R,5S)-3,5-ISOPROPYLIDENEDIOXY-6-HEPTYNOATE THROUGH

Tetrahedron Lett. 1993, 34, 8271

BAKER'S YEAST REDUCTION OF METHYL 3-OXO-4-PENTYNOATE.

Mohammad Hafeez Ansari, Tetsuo Kusumoto and Tamejiro Hiyama*

Sagami Chemical Research Center, 4-4-1 Nishiohnuma, Sagamihara, Kanagawa 229, Japan.

SYNTHESIS AND STRUCTURE ELUCIDATION OF A NOVEL ECDYSTEROID, GERARDIASTERONE

Tetrahedron Lett. 1993, 34, 8275

Toshio Honda, * Hironao Takada, Shigehiro Miki, and Masayoshi Tsubuki Institute of Medicinal Chemistry, Hoshi University, Ebara 2-4-41, Shinagawa-ku, Tokyo 142, Japan

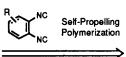
SCREW-SENSE SELECTIVE SELF-PROPELLING POLYMERIZATIONS PRODUCING CHIRAL POLY(QUINOXALINE-2,3-DIYL)S

Yoshihiko Ito,* Yutaka Kojima, and Masahiro Murakami

Department of Synthetic Chemistry, Faculty of Engineering, Kyoto University, Yoshida, Kyoto 606-01, Japan

An enantiomerically pure [sexi(quinoxaline-2,3-diyl)]palladium(II) complex having no chiral auxiliary but just a single chirality of secondary helical structure could successfully induce the screw-sense selective self-propelling polymerization of 1,2-diisocyanoarenes.







Tetrahedron Lett. 1993, 34, 8283

A Convenient Synthesis of Isothiocyanates from Nitrile Oxides

Jae Nyoung Kim and Eung K. Ryu*

Korea Research Institute of Chemical Technology, Daedeog-Danji, Daejeon 305-606, Korea

$$R-N=C=S + urea$$

THF

rt, < 5 min

Tetrahedron Lett. 1993, 34, 8285

Nucleotide Recognition in Aqueous Media with Artificial Receptor Based on Porphyrin

Yasuhisa Kuroda*, Hitoshi Hatakeyama, Naoto Inakoshi, and Hisanobu Ogoshi Department of Synthetic Chemistry, Kyoto University, Sakyo-ku, Kyoto 606 , Japan

The water soluble porphyrin Rh(III) complex, 1, recognizes AMP in an aqueous solution and the pH dependence of the association constant suggested that present molecular recognition involved a Coulombic interaction in addition to coordination of nucleobases on the Rh atom.

$$K_1 = 530 \text{ M}^{-1}$$

$$K_2 = 1030 \text{ M}^{-1}$$

Tetrahedron Lett. 1993, 34, 8289

NEW 5'-HYDROXYL PROTECTING GROUPS FOR RAPID INTERNUCLEOTIDE BOND FORMATION

Mitsuo Sekine,* Toshiya Mori, and Takeshi Wada Department of Life Science,Tokyo Institute of Technology, Nagatsuta Midoriku, Yokohama 227, Japan

The IETr and IMTr groups containing imidazole residues accertated the condensation more effectively than the IDTr group previouly known.

Asymmetric *anti*-Selective Aldol Reactions of Titanium Z-Enolates Derived from N-Alkylideneglycinamides Bearing a 2,2-Dimethyloxazolidine Chiral Controller

Shuji Kanemasa,* Takashi Mori,† and Akira Tatsukawa††

Institute of Advanced Material Study, Kyushu University, Kasugakoen, Kasuga 816, Japan. 'Department of Molecular Science and Technology, Interdisciplinary Graduate School of Engineering Sciences, Kyushu University, Kasugakoen, Kasuga 816, Japan. "Department of Chemistry, Faculty of Science, Kochi University, Akebono-cho, Kochi 780, Japan.

Tetrahedron Lett. 1993, 34, 8297

MOLECULAR DESIGN AND SYNTHESIS OF A BISCALIX[4]ARENE-BASED CAGE MOLECULE

Koji Araki, Koichi Sisido, Katsuya Hisaichi, and Seiji Shinkai Department of Organic Synthesis, Faculty of

Department of Organic Synthesis, Faculty of Engineering, Kyushu University, Fukuoka 812, Japan

A biscalix[4]arene-based cage molecule was designed on the basis of the careful assesment of the reaction route and synthesized for the first time in reasonable yield.



ZIRCONIUM CATALYZED C-C BOND FORMATION REACTION OF CONJUGATED DIYNES WITH EMBBR

Tetrahedron Lett. 1993, 34, 8301

Tamotsu Takahashi,** Koichiro Aoyagi,* Victor Denisov,* Noriyuki Suzuki,* Danièle Choueiry* and Ei-ichi Negishi**, aCoordination Chemistry Laboratories, Institute for Molecular Science, Okazaki 444, Japan, bDepartment of Chemistry, Purdue University, West Lafayette, Indiana 47907, U.S.A.

A carbon-carbon bond formation reactions of diynes with EtMgBr can be catalyzed by Cp2ZrCl2.

$$R = R + EtMgBr \xrightarrow{cat.Cp_2ZrCl_2} R \xrightarrow{R} H^+(D^+) R \xrightarrow{R} H(D)$$

STEREOSELECTIVE N-ACYLATION OF A NEW CHIRAL AUXILIARY COMPOUND; 3-PHENYL-1-MENTHOPYRAZOLE

Tetrahedron Lett. 1993, 34, 8305

Choji Kashima,* Iwao Fukuchi, Katsumi Takahashi, and Akira Hosomi Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan

As a new chiral auxiliary compoud having a pyrazole ring system, 3-phenyl-1-menthopyrazole was prepared and N-acylated.

The Importance of Resonance Stabilization in the Benzylic Solvolysis.

Substituent Effects on the Solvolysis of α,α-Diisopropylbenzyl Chlorides

Mizue Fujio,* Kazuhide Nakata, Takashi Kuwamura, Hirotaka Nakamura, Yoshihiro Saeki,

Masaaki Mishima, Shinjiro Kobayashi, and Yuho Tsuno

Institute for Fundamental Research of Organic Chemistry, Kyushu University,

Hakozaki, Higashi-ku, Fukuoka 812, Japan

$$\log k/k_0 = \rho(\sigma^0 + r\Delta \overline{\sigma}_R^+)$$

Tetrahedron Lett. 1993, 34, 8313

Highly Stereoselective 6-Exo Ring-Closure of 5-Substituted Hept-6-envl Radicals

Katsuyuki Ogura,* Akio Kayano,† Takeo Fujino, Naoko Sumitani, and Makoto Fujita

Department of Applied Chemistry, Faculty of Engineering and † Graduate School of Science and Technology, Chiba University, 1-33 Yayoicho, Inageku, Chiba 263, Japan

$$B_{\text{r}} \sim Z \xrightarrow{\text{SMe}} \frac{\text{"Bu}_{3}\text{SnH}}{\text{AIBN}} \qquad Z \xrightarrow{\text{SMe}} \frac{\text{SMe}}{\text{SO}_{2}\text{Tol}}$$

 $Y = OMe, O^{i}Pr, OAc, OH, Me$

 $Z = CH_2$, O

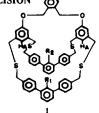
Transition states of the ring-closure are discussed by the experimental results and MO calculations.

Tetrahedron Lett. 1993, 34, 8317

SYNTHESIS OF FUNCTIONALISED CYCLOPHANES WITH CAGE STRUCTURE; VIA AN UNUSUAL TERMOLECULAR COLLISION

Perumal Rajakumar* and Arunachalam Kannan Department of Organic Chemistry, University of Madras, Madras 600 025, INDIA.

Cyclophanes of the type 1 & 13 are obtained by the coupling of a suitable di or tetrathiols with di or tetrabrornides under high dilution technique in the presence of KOH in benzene-ethanol.



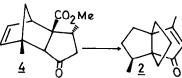
R₁ = R₂ = Br, CO₂H,CO₂CH₃ R₁ & R₂ = CO₂CH₂CH₂OCO

Tetrahedron Lett. 1993, 34, 8321

A Formal Total Synthesis of Modhephene

Suresh Chander Suri, Regional Research Laboratory (CSIR), Industrial Estate P.O., Trivandrum-695019, India and University of Dayton Research Institute c/o Phillips Laboratory/RKFE, Edwards Air Force Base, CA 93524, U.S.A.

A formal total synthesis of modhephene is achieved by synthesizing $\underline{2}$ from $\underline{4}$.



A NOVEL HIGHLY DIASTEREOSELECTIVE SYNTHESIS OF CYANO ETHERS BY REGIOSELECTIVE RING OPENING OF CHIRAL OXAZOLIDINIUM METHIODIDES WITH SODIUM CYANIDE.

C. Andrés, M. Delgado, R. Pedrosa*, R. Rodríguez. Departamento de Química Orgánica, Facultad de Ciencias, Universidad de Valladolid. Dr. Mergelina s/n, 47011-Valladolid. Spain

Chiral oxazolidinium methiodides 1a-d, derived from ephedrine and pseudoephedrine, are open regio- and stereoselectively (d.e. 82-94%) to 2a-d, in moderate to good chemical yields (51-95%). The cyanoethers 2a-d are transformed into enantiomerically pure α-hydroxy acids 4a-d by heating with conc. HCl.

FIRST TOTAL SYNTHESIS OF NIPHATESINES A-D AND ASSIGNMENT OF ABSOLUTE CONFIGURATION

Tetrahedron Lett. 1993, 34, 8329

A V Rama Rao* and Gongiti Ravindra Reddy Indian Institute of Chemical Technology Hyderabad 500 007, India

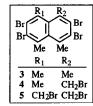
BENT AROMATIC RINGS IN NAPHTHALENE DERIVATIVES

Tetrahedron Lett. 1993, 34, 8333

Peter R. Ashton,^a George R. Brown,^b Alan J. Foubister,^b Diane R. Smith,^c Neil Spencer.^a J. Fraser Stoddart.^a and David J. Williams^d

- ^a School of Chemistry, University of Birmingham, Edgbaston, Birmingham B15 2TT, UK
- b ZENECA Pharmaceuticals, Mereside, Alderley Park, Macclesfield, Cheshire SK104TG, UK
- ^c Department of Chemistry, The University, Sheffield S3 7HF, UK
- d Department of Chemistry, Imperial College, London SW7 2AY, UK

X-Ray crystallography and dynamic 1H NMR spectroscopy have revealed that 1,4,5,8-tetramethyl-, 1-bromomethyl-4,5,8-trimethyl-, and 1,8-bis(bromomethyl)-4,5-dimethyl-2,3,6,7-tetrabromonaphthalene, i.e. 3, 4, and 5, have severely distorted naphthalene nuclei in the solid state that also correspond to the gross conformations that occupy appreciable ($\Delta G^{\ddagger} \sim 16$ Kcal mol $^{-1}$) energy wells in the solution state.



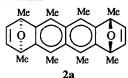
STEREOSELECTIVITY IN THE SYNTHESIS OF POLYACENE DERIVATIVES BY REPETITIVE DIELS-ALDER REACTIONS

Tetrahedron Lett. 1993, 34, 8337

Peter R. Ashton,^a George R. Brown,^b Diane R. Smith,^c J. Fraser Stoddart,^a and David J. Williams^d

- a School of Chemistry, University of Birmingham, Edgbaston, Birmingham B15 2TT, UK
- b ZENECA Pharmaceuticals, Mereside, Alderley Park, Macclesfield, Cheshire SK104TG, UK
- ^c Department of Chemistry, The University, Sheffield S3 7HF, UK
- d Department of Chemistry, Imperial College, London SW7 2AY, UK

X-Ray crystallography reveals that the bisaryne generated from 1,4,5,8-tetramethyl-2,3,6,7-tetrabromonaphthalene reacts with 2,5-dimethylfuran to give preferentially (>10:1 for anti:syn) the 2:1 adduct, i.e. 2a with the anti-configuration.



NOVEL THERMAL TETRACYCLIZATION BY INTRAMOLECULAR AZINE "CRISS-CROSS" ADDITION

Milan Potáček, Radek Marek, Zdirad Žák, Department of Chemistry, Masaryk University, Kotlářská 2, CZ-611 37 Brno, Czech Republic

Julie Trottier, Zdeněk Janoušek, Heinz G. Viche, Laboratoire de Chimie Organique, Place Pasteur 1. B-1348 Louvain-la-Neuve, Belgium R

xylene 90 min A R

1

R: benzyl

: benzyi

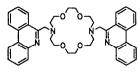
FLUOROIONOPHORES WITH PHENANTHRIDINYL UNITS

Tetrahedron Lett. 1993, 34, 8345

S. Alihodžić¹, M. Žinić¹, B. Klaić¹, R. Kiralj², B. Kojić-Prodić², M. Herceg² and Z. Cimerman³

¹,²Rudjer Bošković Institute, P.O.B. 1016, 41000 Zagreb, Croatia ³Faculty of Science, University of Zagreb, 41000 Zagreb, Croatia

The fluoroionophores 1 and 2 bind Na⁺ and K⁺ exhibiting strong complexation induced shifts (CIS) in ¹H-NMR spectra while alkaline earth cations produced large intensity enhancenments in fluorescence spectra.



1

2

NOVEL REARRANGEMENT OF A 10-MEMBERED SCHIFF BASE MACROCYCLE TO A TRICYCLIC PRODUCT: CRYSTAL STRUCTURES OF THE TRICYCLE AND A RELATED MACROCYCLE

Louisa G. Wrench, William Clegg, Mark R.J. Elsegood, Harjit K. Gill,* Lynne Horsburgh and Joyce C. Lockhart

Department of Chemistry, University of Newcastle, Newcastle upon Tyne, NE1 7RU, UK. *Pharmacouticals R and D, Amersham International pic., Amersham, Bucks., HP7 9LL, UK.

A tricyclic rearrangement product has been identified during the synthesis of bis(aminoethanethiol) (BAT) ligands.

Tetrahedron Lett. 1993, 34, 8349

Tetrahedron Lett. 1993, 34, 8353

THE SYNTHESIS OF A CONFORMATIONALLY RESTRAINED, COMBINED THROMBOXANE ANTAGONIST /SYNTHASE INHIBITOR USING AN INTRAMOLECULAR 'STILLE'- OR

'GRIGG'-PALLADIUM-CATALYSED CYCLISATION STRATEGY Harry Finch*, Neil A. Pegg, and Brian Evans

Department of Medicinal Chemistry, Glaxo Group Research Ltd., Ware, Hertfordshire, SG12 ODP, England.

R= (CH₂)₂OH, H

R= (CH₂)₂OH, H

R= (CH₂)₂NHSO ₂-4-I-(C E- and Z- isomers Re (CHabaliso a-4+(CeHa)

The synthesis of a conformationally restrained analogue of the combined thromboxane antagonist / synthase inhibitor GR85305, has been achieved using novel intramolecular Stiller and/or Grigg-palladium-catalysed cyclisation reactions. A novel 8-endo free radical cyclisation leading to an eight-membered carbocycle is also described.

PHASE SOLID SYNTHESIS OF Ψ[CH(CN)NH] Tetrahedron Lett. 1993, 34, 8357

PSEUDOPEPTIDES. APPLICATION TO THE SYNTHESIS OF
ANALOGUES OF NEUROTENSIN NT(8-13). Rosario Herranz a*, M. Luisa Suárez-Gea a, M. Teresa García-López a, Rosario González-Muñiz a, Nils L. Johansen b, Kield Madsen b, Henning Thogersen b and Peter Suzdak b, a Instituto de Ouímica Médica, C.S.I.C., Juan de la Cierva 3, 28006 Madrid, Spain. bNovo Nordisk A/S, Novo Nordisk Park, DK-2760 Maaloev, Denmark.

The solid phase synthesis of the $\Psi[CH(CN)NH]$ pseudopeptides 1 and 2, NT(8-13) analogues, is described

H-Arg-Arg-Pro-Tyr\(\Psi\)[CH(CN)NH]Ile-Leu-OH 1

H-Arg-Arg-Pro-Tyr-Ile\(\Psi\)[CH(CN)NH]Leu-OH

OXIDATIVE CYCLISATIONS WITH PALLADIUM ACETATE. A SHORT SYNTHESIS OF STAUROSPORINE AGLYCONE.

Tetrahedron Lett. 1993, 34, 8361

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A palladium acetate mediated oxidative cyclisation has been used as the key step for the syntheses of staurosporine aglycone and related analogues.

SYNTHESIS OF PYRROLO[1,2-a]INDOLES BY INTRAMOLECULAR HECK REACTION OF N-(2-BROMOARYL) ENAMINONES

Tetrahedron Lett. 1993, 34, 8365

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The title compounds (eleven examples) are formed in yields of 50% - 100% by the reaction shown alongside.

AN EFFICIENT ASYMMETRIC SYNTHESIS OF THE HIGHER DIPTERAN JUVENILE HORMONE III BISEPOXIDE

Tetrahedron Lett. 1993, 34, 8369

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(2E,6S,7S,10R)-JHB3 is synthesised in high stereochemical purity from geraniol via Sharpless asymmetric oxidation procedures.