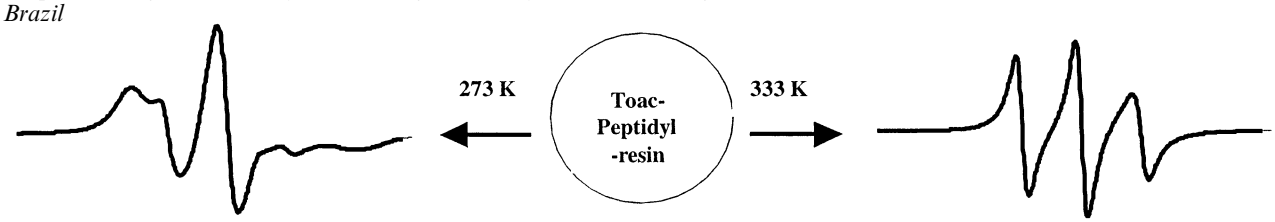
Effect of temperature on peptide chain aggregation: an EPR study of model peptidyl-resins

Tetrahedron Letters 42 (2001) 3243

Suely C. F. Ribeiro,[a] Shirley Schreier,[b] Clovis R. Nakaie[a] and Eduardo M. Cilli[a,*]

[a]*Department of Biophysics, Universidade Federal de São Paulo, Rua 3 de Maio 100, 04044-020, São Paulo, SP, Brazil*
[b]*Department of Biochemistry, Institute of Chemistry, Universidade of São Paulo, C.P. 26077, 05513-970, São Paulo, SP, Brazil*



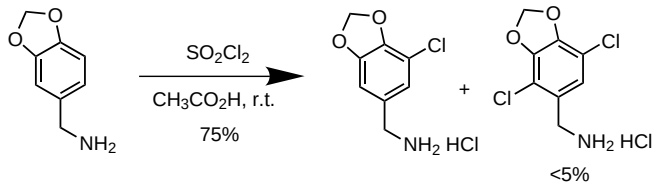
A mild and efficient method for aromatic chlorination of electron-rich arylalkyl amines

Tetrahedron Letters 42 (2001) 3247

Guixue Yu,[a,*] Helen J. Mason,[a] Ximao Wu,[a] Masaki Endo,[b] James Douglas[b] and John E. Macor[a]

[a]*Discovery Chemistry, Bristol-Myers Squibb, PO Box 5400, Princeton, NJ 08543-5400, USA*
[b]*Process R&D, Bristol-Myers Squibb, 100 Boulevard de l'Industrie, Candiac (Quebec), Canada J5R 1J1*

Sulfuryl chloride was used to monochlorinate electron-rich arylalkyl amines in a mild and efficient one-pot transformation. Protection of the amines was not needed.



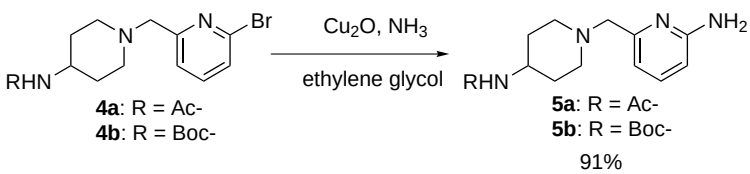
Amination of aryl halides using copper catalysis

Tetrahedron Letters 42 (2001) 3251

Fengrui Lang,* Daniel Zewge, Ioannis N. Houpis and R. P. Volante

Merck Research Laboratories, Department of Process Research, PO Box 2000, Rahway, NJ 07065, USA

A copper-catalyzed amination of aryl halides under mild reaction conditions gave the aryl amine in good yield.



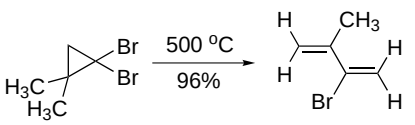
Simple and efficient synthesis of bromine-substituted 1,3-dienes and 1,3,5-cycloheptatriene by vacuum pyrolysis of *gem*-dibromocyclopropanes

Tetrahedron Letters 42 (2001) 3255

Nick H. Werstiuk* and Chandra D. Roy

Department of Chemistry, McMaster University, Hamilton, Ont., Canada L8S 4M1

Vacuum pyrolysis of *gem*-dibromocyclopropanes gave bromine substituted 1,3-dienes in good to excellent chemical yield and purity. In the case of 7,7-dibromobicyclo[4.1.0]heptane, 1,3,5-cycloheptatriene is obtained in 72% chemical yield.

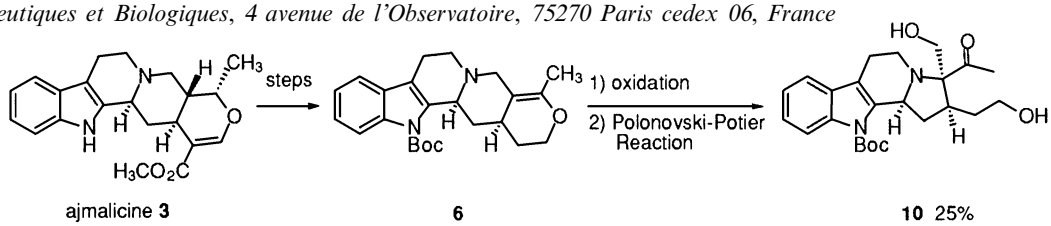


A new rearrangement of *N*-trifluoroacetoxyammonium salt under Polonovski–Potier reaction conditions: aziridinium versus iminium formation

Tetrahedron Letters 42 (2001) 3291

O. P. Thomas, A. Zaparucha and H.-P. Husson*

Laboratoire de Chimie Thérapeutique UMR 8638 associée au CNRS et à l'Université René Descartes, Faculté des Sciences Pharmaceutiques et Biologiques, 4 avenue de l'Observatoire, 75270 Paris cedex 06, France

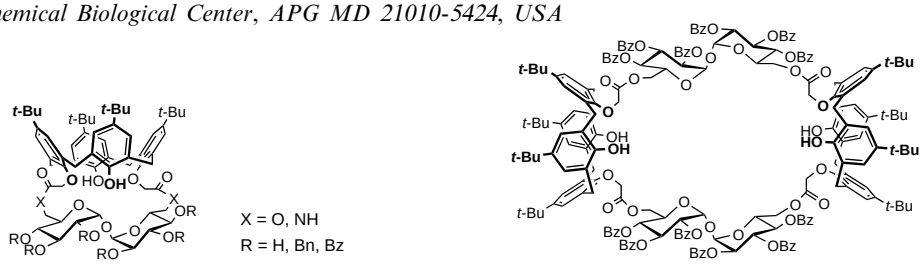


First synthesis of bridged and double calixsugars

Tetrahedron Letters 42 (2001) 3295

Alessandro Dondoni,[a,*] Xubo Hu,[a] Alberto Marra[a] and Harold D. Banks[b]

[a]*Dipartimento di Chimica, Laboratorio di Chimica Organica, Università di Ferrara, Via Borsari 46, 44100 Ferrara, Italy*
[b]*Edgewood Chemical Biological Center, APG MD 21010-5424, USA*

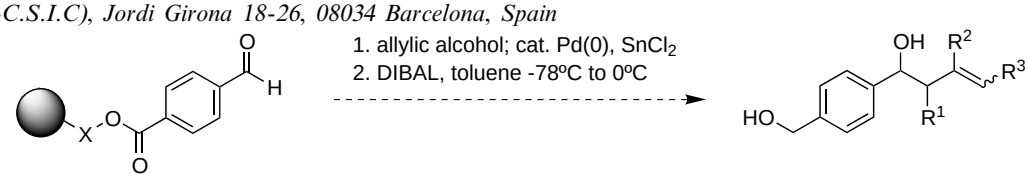


A solid-phase version of the palladium-catalyzed carbonyl allylation by allylic alcohols with $SnCl_2$

Tetrahedron Letters 42 (2001) 3299

Lydia Carde,[a,b] Amadeu Llebaria[b] and Antonio Delgado[a,b,*]

[a]*Universitat de Barcelona, Facultat de Farmàcia, Unitat de Química Farmacèutica, Avgda. Joan XXIII, s/n, 08028 Barcelona, Spain*
[b]*Departament de Química Orgànica Biològica, Institut d'Investigacions Químiques i Ambientals de Barcelona (I.I.Q.A.B.-C.S.I.C), Jordi Girona 18-26, 08034 Barcelona, Spain*



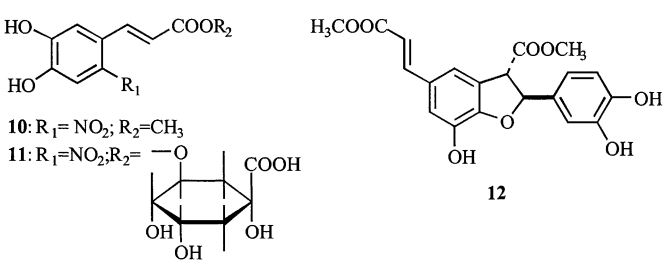
Reaction of caffeic acid derivatives with acidic nitrite

Tetrahedron Letters 42 (2001) 3303

Philippe Cotelle* and Hervé Vezin

Laboratoire de Chimie Organique Physique associé au CNRS, ENSCL, Université de Lille 1, F-59655 Villeneuve d'Ascq, France

Caffeic acid, its methyl ester and chlorogenic acid were reacted with acidic nitrite. Whereas caffeic acid reacts on the side chain, its esters are readily nitrated into 6-nitroderivatives **10** and **11**. Under more acidic conditions, methyl caffeate undergoes a dimerisation into a norlignan derivative **12**.

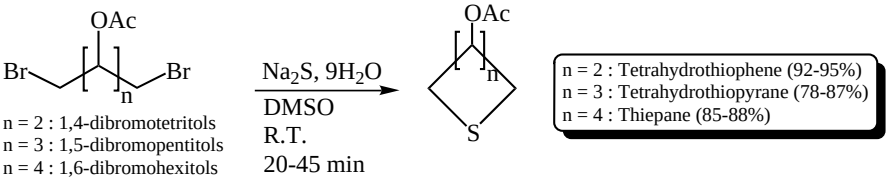


Short and efficient synthesis of polyhydroxylated tetrahydrothiophene, tetrahydrothiopyrane and thiepane from bielectrophilic *erythro*, *threo*, *xylo*, *ribo*, *arabino*, *manno* and *gluco* α,ω-dibromoalditol derivatives

Tetrahedron Letters 42 (2001) 3307

Sami Halila, Mohammed Benazza* and Gilles Demailly*

Laboratoire des Glucides, Université de Picardie Jules Verne, 33 rue Saint-Leu, F-80039 Amiens, France



Organochromium/organoiron dipoles comprising (η^6-arene)chromium and (η^5-cyclohexadienyl)iron(1+) complexes linked with conjugated spacers

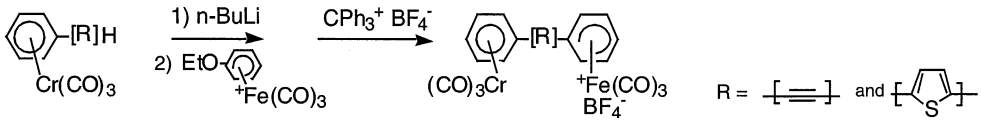
Tetrahedron Letters 42 (2001) 3311

Jean-Philippe Tranchier,[a] René Chavignon,[a] Damien Prim,[a] Audrey Auffrant,[a] Jose Giner Planas,[a] Françoise Rose-Munch,[a] Eric Rose[a,*] and G. Richard Stephenson[b,*]

[a]*Laboratoire de Synthèse Organique et Organomètallique, UMR CNRS 7611, Université P. et M. Curie, Tour 44, 4, Place Jussieu, 75252 Paris Cedex 05, France*

[b]*Wolfson Materials and Catalysis Centre, School of Chemical Sciences, University of East Anglia, Norwich NR4 7T, UK*

Bimetallic monocation dipoles have been synthesised utilising Stille and Sonogashira coupling reactions.

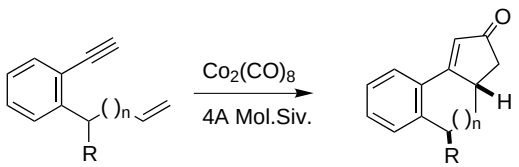


Diastereoselective Pauson–Khand reactions on aromatic substrates

Tetrahedron Letters 42 (2001) 3315

Jaime Blanco-Urgoiti, Luis Casarrubios, Gema Domínguez and Javier Pérez-Castells*

Departamento de Química Orgánica y Farmacéutica, Facultad de CC. Experimentales y Técnicas, Universidad San Pablo-CEU, Urb. Montepríncipe, Boadilla del Monte, 28668 Madrid, Spain



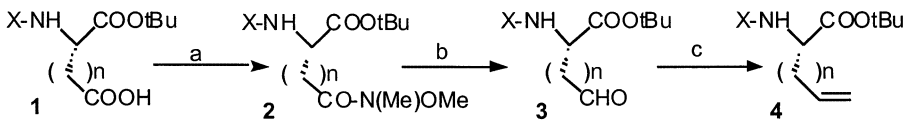
Stereoselective synthesis of allyl- and homoallylglycines

Tetrahedron Letters 42 (2001) 3319

Céline Douat,[a] Annie Heitz,[b] Jean Martinez[a,*] and Jean-Alain Fehrentz[a]

[a]*LAPP, associé au CNRS-Universités Montpellier I & II, Faculté de Pharmacie, 15 av. C. Flahault, 34060 Montpellier, France*

[b]*CBS, UMR5048 CNRS-UMI, Faculté de Pharmacie, Montpellier, France*



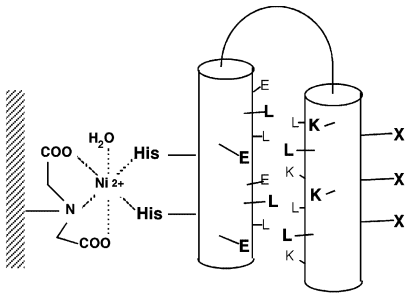
X : Boc, Z
n = 1,2

a) HCl, HN(Me)OMe, BOP, DIEA; b) $(OtBu)_3LiAlH$, c) $KN(TMS)_2$, CH_3PPh_3Br

A conformationally purified α-helical peptide library

Tetrahedron Letters 42 (2001) 3323

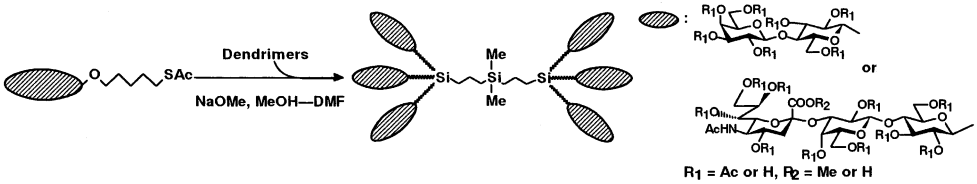
Ikuo Fujii,* Yumiko Takaoka, Kazuo Suzuki and Toshiki Tanaka
Biomolecular Engineering Research Institute, Suita, Osaka 565-0874, Japan



An alternative route for the construction of carbosilane dendrimers uniformly functionalized with lactose or sialyllactose moieties

Tetrahedron Letters 42 (2001) 3327

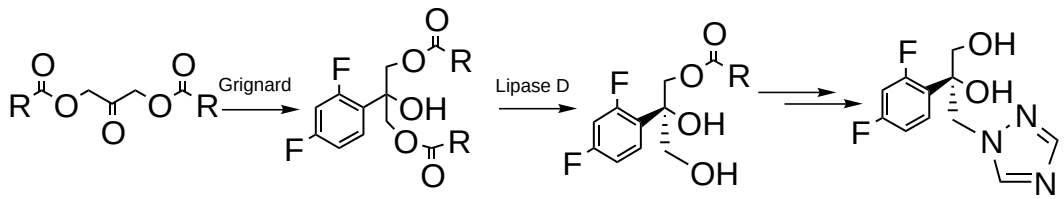
Koji Matsuoka,[a,*] Hiroyuki Oka,[a] Tetsuo Koyama,[a] Yasuaki Esumi[b] and Daiyo Terunuma[a]
[a]*Department of Functional Materials Science, Faculty of Engineering, Saitama University, Urawa, Saitama 338-8570, Japan*
[b]*The Institute of Physical and Chemical Research (RIKEN), Wako, Saitama 351-0198, Japan*



A practical chemoenzymatic synthesis of a key intermediate of antifungal agents

Tetrahedron Letters 42 (2001) 3331

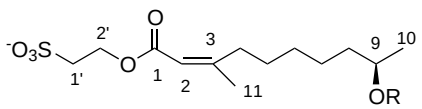
Yoshihiko Yasohara,[a,*] Kenji Miyamoto,[b] Noriyuku Kizaki,[a] Junzo Hasegawa[a] and Takehisa Ohashi[b]
[a]*Fine Chemicals Research Laboratories, Kaneka Corporation, 1-8 Miyamae, Takasago, Hyogo 676-8688, Japan*
[b]*Lifescience Research Laboratories, Kaneka Corporation, 1-8 Miyamae, Takasago, Hyogo 676-8688, Japan*



Structure of a novel multidrug resistance modulator, irciniasulfonic acid, isolated from a marine sponge, *Ircinia* sp.

Tetrahedron Letters 42 (2001) 3335

Ayako Kawakami,[a] Tomofumi Miyamoto,[a] Ryuichi Higuchi,[a,*] Takeshi Uchiumi,[b] Michihiko Kuwano[b] and Rob W. M. Van Soest[c]
[a]*Graduate School of Pharmaceutical Sciences, Kyushu University, Maidashi 3-1-1, Higashi-ku, Fukuoka 812-8582, Japan*
[b]*Department of Medical Biochemistry, Graduate School of Medical Sciences, Kyushu University, Maidashi 3-1-1, Higashi-ku, Fukuoka 812-8582, Japan*
[c]*Institute for Biodiversity and Ecosystem Dynamics, University of Amsterdam, PO Box 94766, 1090 GT Amsterdam, Netherlands*



Irciniasulfonic acid (**1**): R= { tricosanoic acid / (*Z*)-15-docosenoic acid / (5*Z*, 9*Z*)-5, 9-tetracosenoic acid

Deacyl irciniasulfonic acid (**2**): R=H

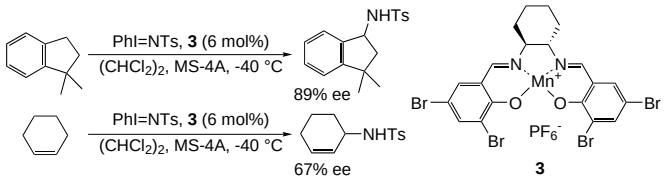
Mn(salen)-catalyzed enantioselective C–H amination

Tetrahedron Letters 42 (2001) 3339

Yoshinori Kohmura and Tsutomu Katsuki*

Department of Chemistry, Faculty of Science, Graduate School, Kyushu University 33, CREST, JST (Japan Science and Technology), Hakozaki, Higashi-ku, Fukuoka 812-8581, Japan

Chiral cationic tetrabromosubstituted (salen)manganese(III) complex **3** was found to be an efficient catalyst for asymmetric C–H amination. In the reaction of cycloalkenes, allylic amination occurred in preference to aziridination.

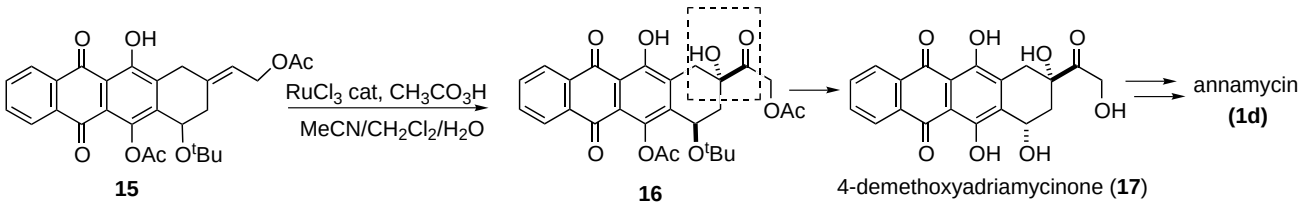


Synthesis of 4-demethoxyadriamycinone utilizing ruthenium-catalyzed oxidation of allyl acetates

Tetrahedron Letters 42 (2001) 3343

Torsten Hottop, Hans-Jürgen Gutke and Shun-Ichi Murahashi*

Department of Chemistry, Graduate School of Engineering Science, Osaka University, 1-3, Machikaneyama, Toyonaka, Osaka 560-8531, Japan

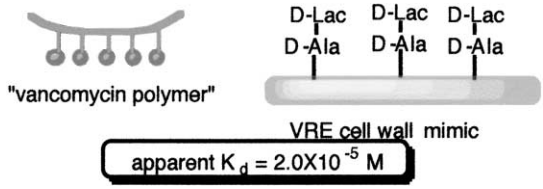


Affinity of a vancomycin polymer with bacterial surface models

Tetrahedron Letters 42 (2001) 3347

Hirokazu Arimoto,[a,*] Takehisa Oishi,[a] Manabu Nishijima[a] and Tomoya Kinumi[b]

[a]*Department of Chemistry, Faculty of Science, Shizuoka University, 836 Ohya, Shizuoka 422-8529, Japan*
[b]*Department of Biochemistry and Cell Biology, National Institute of Infectious Diseases, Tokyo 162-8640, Japan*

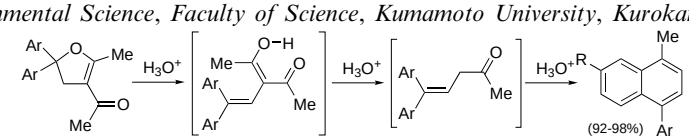


Synthesis of naphthalenes using acid-catalyzed ring-opening and recyclization of 3-acetyl-5,5-diaryl-2-methyl-4,5-dihydrofurans. Isolation of intermediates

Tetrahedron Letters 42 (2001) 3351

Shougo Kajikawa,[a] Hiroshi Nishino[b,*] and Kazu Kurosawa[c]

[a]*Department of Environmental Science, Graduate School of Science and Technology, Kumamoto University, Kurokami 2-39-1, Kumamoto 860-8555, Japan*
[b]*Institute for Fundamental Research of Organic Chemistry (IFOC), Kyushu University, Hakozaki 6-10-1, Higashi-ku, Fukuoka 812-8581, Japan*
[c]*Department of Environmental Science, Faculty of Science, Kumamoto University, Kurokami 2-39-1, Kumamoto 860-8555, Japan*



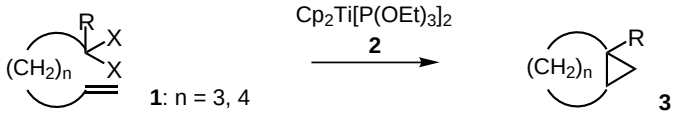
Titanocene(II)-promoted reaction of *gem*-dihalides possessing a terminal double bond. New intramolecular cyclopropanation

Tetrahedron Letters 42 (2001) 3369

Tooru Fujiwara, Miho Odaira and Takeshi Takeda*

Department of Applied Chemistry, Tokyo University of Agriculture and Technology, Koganei, Tokyo 184-8588, Japan

The treatment of 6,6- and 7,7-dihalo-1-alkenes **1** with the titanocene(II) species **2** produced bicyclo[3.1.0]hexane and bicyclo[4.1.0]heptane derivatives **3**, respectively.



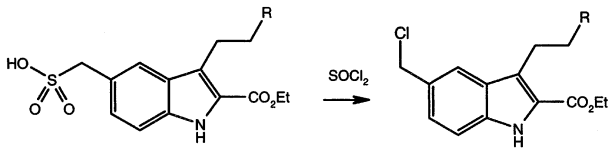
Synthesis of 5-substituted indole derivatives. Part 3: A facile synthesis of 5-chloromethyl-1*H*-indole-2-carboxylates: replacement of sulfonic acid functionality by chlorine

Tetrahedron Letters 42 (2001) 3373

Béla Pete* and László Tőke

Organic Chemical Technology Research Group of the Hungarian Academy of Sciences at the Technical University of Budapest, H-1521 Budapest, Hungary

5-(Chloromethyl)indoles were prepared from indole-5-methanesulfonic acids by facile replacement of the SO_2 group with Cl. The (chloromethyl)indoles easily underwent hydrolysis or alcoholysis.



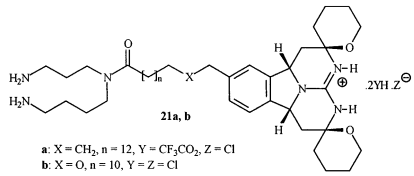
Synthesis and biological activity of analogues of ptilomycalin A

Tetrahedron Letters 42 (2001) 3377

Gregory P. Black,[a] Simon J. Coles,[e] Amnon Hizi,[c] Andrew G. Howard-Jones,[a] Michael B. Hursthouse,[e] Alan T. McGown,[d] Shoshana Loya,[c] Christopher G. Moore,[a] Patrick J. Murphy,[a,*] Nigel K. Smith[d] and Nigel D. A. Walshe[b]

[a]*Department of Chemistry, University of Wales, Bangor, Gwynedd LL57 2UW, UK*
[b]*Pfizer Limited, Central Research, Sandwich, Kent CT13 9NJ, UK*
[c]*Department of Cell Biology and Histology, Sackler School of Medicine, Tel Aviv University, Tel Aviv, Israel*
[d]*Christie CRC Research Centre, Paterson Institute for Cancer Research, Christie NHS Trust, Wilmslow Road, Manchester M20 4BX, UK*
[e]*Department of Chemistry, University of Southampton, Highfield, Southampton SO17 1BJ, UK*

Benzo-fused model compounds **21a** and **21b**, resembling in structure the marine metabolite ptilomycalin A, were prepared and were shown to display significant activity against a series of cancer cell lines and to also possess a significant activity against the DNA polymerase activity of the reverse transcriptase of human immunodeficiency virus type 1 (HIV-1 RT).



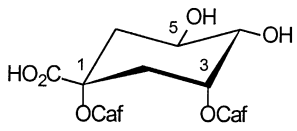
New and facile method of preparation of the anti-HIV-1 agent, 1,3-dicaffeoylquinic acid

Tetrahedron Letters 42 (2001) 3383

Jiří Slanina,[a,*] Eva Táborská,[a] Hana Bochořáková,[a] Iva Slaninová,[b] Otakar Humpa,[c] W. Edward Robinson, Jr.[d] and Karl H. Schram[e]

[a]*Department of Biochemistry, Faculty of Medicine, Masaryk University, Komenského nám. 2, 662 43 Brno, Czech Republic*
[b]*Department of Biology, Faculty of Medicine, Masaryk University, Joštova 10, 662 43 Brno, Czech Republic*
[c]*Department of Organic Chemistry, Faculty of Science, Masaryk University, Kotlářská 2, 611 37 Brno, Czech Republic*
[d]*Department of Pathology and Microbiology and Molecular Genetics, University of California, Irvine, CA 92697-4800, USA*
[e]*Division of Medicinal Chemistry, Department of Pharmacology/Toxicology, College of Pharmacy, University of Arizona, Tucson, AZ 85721, USA*

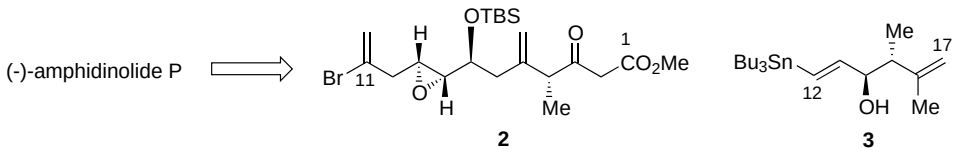
A facile preparation of 1,3-dicaffeoylquinic acid (cynarin) based on isomerisation of 1,5-dicaffeoylquinic acid is described. Cynarin inhibited replication of HIV-1 in MT-2 cell culture at non-toxic concentrations similar to other previously tested dicaffeoylquinic acids, a potent and highly selective class of HIV-1 integrase inhibitors.



Studies directed toward the syntheses of amphidinolides: formal total synthesis of (−)-amphidinolide P

Tetrahedron Letters 42 (2001) 3387

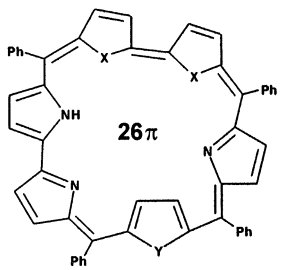
Tushar K. Chakraborty* and Sanjib Das
Indian Institute of Chemical Technology, Hyderabad 500 007, India



Characterization of a new *meso*-aryl rubyrin isomer: [26]hexaphyrin (1.1.1.0.1.0) with an inverted heterocyclic ring

Tetrahedron Letters 42 (2001) 3391

Simi. K. Pushpan, Venkataramana Rao G. Anand, Sundararaman Venkatraman, Alagar Srinivasan, Akhilesh K. Gupta and Tavarekere K. Chandrashekar*
Department of Chemistry, Indian Institute of Technology, Kanpur 208 016, India



High isolated yields in thermolysin-catalysed synthesis of *Z*-L-aspartyl-L-phenylalanine methyl ester in toluene at controlled water activity

Tetrahedron Letters 42 (2001) 3395

Luigi De Martin, Cynthia Ebert, Lucia Gardossi* and Paolo Linda
Dipartimento di Scienze Farmaceutiche, Università degli Studi, Piazzale Europa 1, 34127 Trieste, Italy