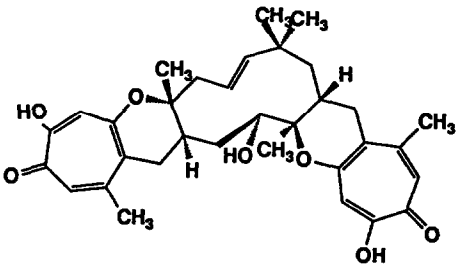
Tetrahedron, **1993**, *49*, 2139

ISOLATION and STRUCTURE DETERMINATION of PYCNIDIONE. A NOVEL BISTROPOLONE STROMELYSIN INHIBITOR from a *PHOMA* sp

Guy H Harris*, Karst Hoogsteen, Keith C Silverman, Susan L Raghoobar, Gerald F Bills, Russell B Lingham, Jack L. Smith, Harry W Dougherty, Carmen Cascales†, Fernando Peláez†

Merck Research Laboratories, P O Box 2000, Rahway, New Jersey, †Merck Sharp & Dohme de España, S A Josefa Valcáncel 38, 28027 Madrid, Spain

A novel bistropolone, pycnidione, was isolated as an inhibitor of the metalloendoproteinase stromelysin from fermentations of a *Phoma* sp The structure was determined by X-ray diffraction and spectroscopic methods.

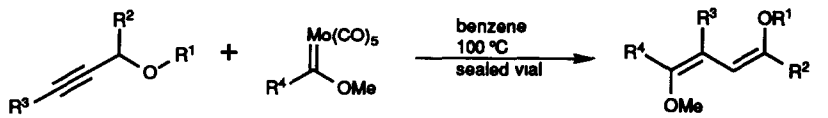


Tetrahedron, **1993**, *49*, 2145

Stereoselective Formation of 1,4-Dialkoxy-1,3-Dienes from Propargyl Ethers and Molybdenum Carbene Complexes

Daniel F. Harvey* and David A. Neil
Department of Chemistry, 0506
University of California at San Diego
La Jolla, CA 92093-0506

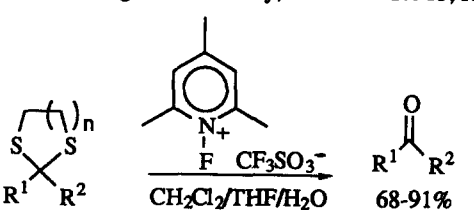
Abstract *Under mild conditions, 1,4-dialkoxy-1,3-butadienes can be smoothly prepared with a high level of stereoselectivity by thermolysis of molybdenum carbene complexes in the presence of propargyl ethers*



Tetrahedron, **1993**, *49*, 2151

Efficient Hydrolysis of Dithioacetals by the *N*-Fluoro-2,4,6-trimethylpyridinium Triflate-Water System

A S Kiselyov and L Strekowski,* Department of Chemistry, Georgia State Univ., Atlanta, Georgia 30303, U.S.A , V V. Semenov, Zelinsky Institute of Organic Chemistry, Moscow 117913, Russia



n = 1, 2 R^1 = alkyl, aryl R^2 = H, Me

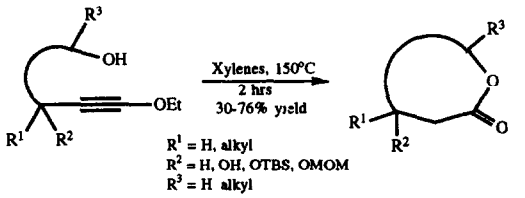
Dithioacetals including 1,3-dithianes and 1,3-dithiolanes are efficiently hydrolyzed by the title reagent system.

Tetrahedron, **1993**, *49*, 2159

ETHYL ALKYNYL ETHERS: A CONVENIENT KETENE SOURCE FOR LACTONE FORMATION

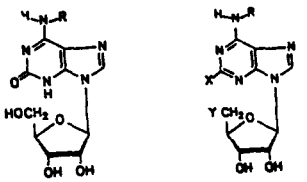
Li Liang, Mahesh Ramaseshan, and David I MaGee * Department of Chemistry, University of New Brunswick, Fredericton, New Brunswick, Canada E3B 6E2

A convenient and general method for the preparation of lactones of varying size via the intramolecular trapping of a ketene has been developed.



Tetrahedron, **1993**, *49*, 2169

C-2 FUNCTIONALIZED N^6-CYCLOSUBSTITUTED ADENOSINES: HIGHLY SELECTIVE AGONISTS FOR THE ADENOSINE A_1 RECEPTOR



Vasu Nair* and Allen J. Fasbender, Dept. of Chem., Univ of Iowa, Iowa City, Iowa 52242, U. S A.

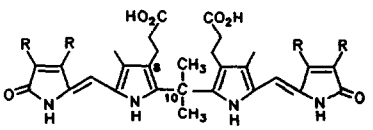
Synthesis of N^6-cyclosubstituted isoguanosines and related compounds as agonists for adenosine receptors.

Tetrahedron, **1993**, *49*, 2185

SYNTHESIS AND UNUSUAL PROPERTIES OF C(10)-*gem*-DIMETHYL BILIRUBIN ANALOGS

Meiqiang Xie and David A Lightner*
Department of Chemistry, University of Nevada, Reno

Bilirubin analogs (1 and 2) with two methyl groups at C(10) were prepared by acid-catalyzed condensation of α-free dipyrrinone acids with 2,2-dimethoxypropane They are more polar than bilirubin and more soluble in organic solvents They are thought to prefer a ridge-tile conformation in which intramolecular buttressing effects between the *gem*-dimethyls and the CH_2 groups at 8^1 and 12^1 result in a more open structure



1 R=CH_3, 10,10-Dimethylglaucorubin
2 R=CH_2CH_3, 2,3,17,18-Tetraethyl-1

Tetrahedron, **1993**, *49*, 2201

STRAINED DOUBLE BONDS IN TWO TYPES OF POLYCYCLIC HYDROCARBONS

Chin-Chuan Wei,[a] Tahsin J. Chow,[*a,b] Ya-Ping Yang[c] and Yao-Jung Chen[c]
[a]*Institute of Chemistry, Academia Sinica, Taipei, Taiwan, Republic of China.* [b]*Department of Chemistry, National Chung-Cheng University, Chia-I, Taiwan, Republic of China.* [c]*Department of Chemistry, National Chung-Hsing University Taichung, Taiwan, Republic of China.*

Two polycyclic compounds 1 and 2 with pyramidalized double bond are prepared from their corresponding halides. Their properties are examined including [4+2] cycloaddition with reactive dienes.

1

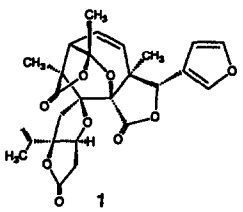
2

Tetrahedron, **1993**, *49*, 2209

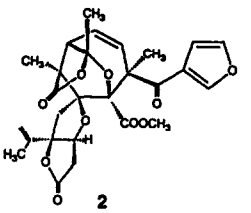
Brownins A and B: Novel Rearranged Limonoids from *Harrisonia brownii*

Kazuo Koike, Katsuyoshi Mitsunaga, Kiyoshi Ishii and Taichi Ohmoto, School of Pharmaceutical Sciences, Toho University, Miyama Funabashi, Chiba 274, Japan; Yoshiyuki Kawakami, Megumi Ikemori and Tadashi Sato, Tsukuba Research Laboratories, Eisai Co., Ltd.,Tokodai, Tsukuba 300-26, Japan

Two novel highly rearranged limonoids, brownins A (1) and B (2), have been isolated from *Harrisonia brownii*



1



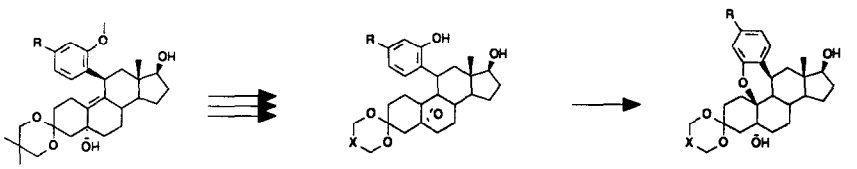
2

Tetrahedron, **1993**, *49*, 2217

Synthesis of Oxygen-Bridged Antigestagens

Arwed Cleve*, Eckhard Ottow, Günter Neef and Rudolf Wiechert
Research Laboratories of Schering AG, D-1000 Berlin, Germany

10,11β-(Oxy-1,2-phenylene)-steroids are synthesised by S_N2' type reaction of ortho substituted arenes with a steroidal vinyl epoxide, and ring closure by an acid catalysed intramolecular epoxide opening by a phenol

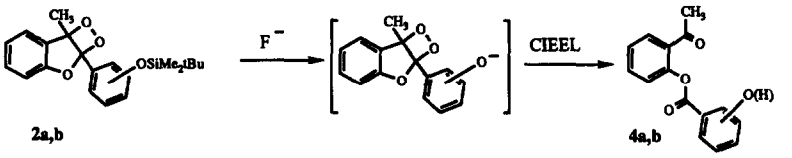


Tetrahedron, **1993**, *49*, 2227

SYNTHESIS AND FLUORIDE ION - TRIGGERED CHEMILUMINESCENCE (CIEEL MECHANISM) OF SILOXY-SUBSTITUTED BENZOFURAN DIOXETANES

Waldemar Adam*, Rainer Fell, Manfred H Schulz
Institute of Organic Chemistry, University of Würzburg, Am Hubland, D-8700 Würzburg, Germany

Benzofuran dioxetanes **2** with *tert*-butyldimethylsiloxy-substituted aryl groups have been prepared from the corresponding benzofurans by photooxygenation and their fluoride ion-triggered CIEEL chemiluminescence was investigated



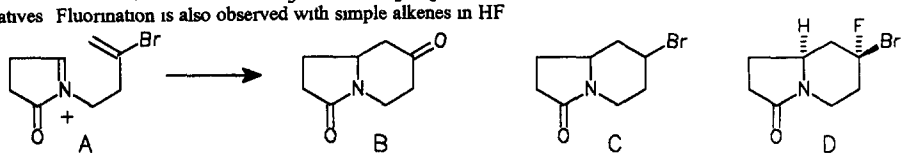
2a,b **4a,b**

Tetrahedron, **1993**, *49*, 2239

α-N-Acyliminium Ion - 2-Bromoalkene Cyclizations

Jean-Pierre Gesson,* Jean-Claude Jacquesy and Didier Rambaud
Laboratoire de Chimie 12, associé au CNRS
40, Avenue du Recteur Pineau, 86022 - Poitiers (France)

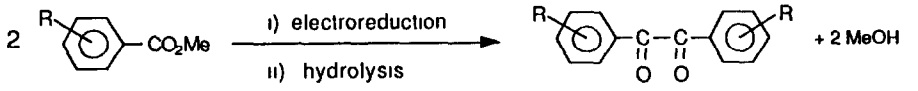
Cyclization of acyliminium ions **A,B** and **C** with CF_3COOH, CF_3SO_3H and HF affords respectively ketones, bromoalkenes and geminal bromofluoro derivatives Fluorination is also observed with simple alkenes in HF



Tetrahedron, **1993**, *49*, 2249

Electrosynthesis of 1,2 Diketones by Reduction of Aromatic Esters on Freshly Coated Metal Electrodes A Novel Coupling Reaction.

Monique Heintz, Marguerite Devaud, Hassan Hébri, Elisabet Dunach and Michel Troupel
Laboratoire d'Electrochimie, Catalyse et Synthèse Organique (L E C S O), UMR 28
C N R S -Université Paris 12 Val de Marne, 2 rue Henri Dunant, 94320 THIAIS, France



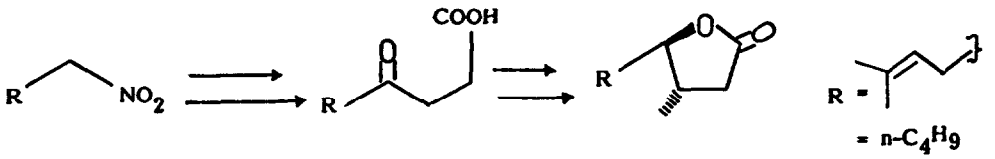
60 - 90 %

Electrolysis, in DMF, of aromatic esters, in an undivided cell fitted with a sacrificial magnesium anode and a freshly cadmium coated cathode yields the corresponding 1,2 diketones

Tetrahedron, **1993**, *49*, 2253

Nitro Alkanes in Organic Synthesis : An Efficient Stereoselective synthesis of (+)-Trans Whisky Lactone and (+)-Eldanolide from Nitro Alkane Synthons and Using Bakers' Yeast Reduction as the Key Step

Bhabani K. Sarmah and Nabin C. Barua*
Division of Natural Products Chemistry, Regional Research Laboratory(CSIR), Jorhat 785 006, India

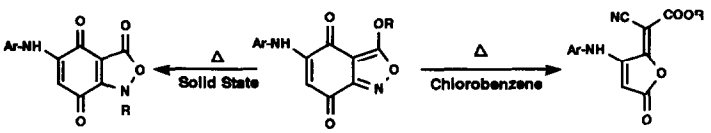


Tetrahedron, **1993**, *49*, 2261

THERMAL REARRANGEMENT OF BENZISOXAZOLE- AND NAPHTHISOXAZOLEQUINONES IN SOLUTION AND IN THE SOLID STATE. STEREOSELECTIVE SYNTHESIS OF γ-CYANOMETHYLIDENEBUTENOLIDES

M.V Martínez-Díaz[a], S Rodríguez-Morgade[a], W Schäfer[b] and T Torres*[,a]
[a]Dept de Quimica (C-I) Universidad Autónoma de Madrid 28049-Madrid, Spain [b]Max-Planck-Institut für Biochemie 8033-Martinsried München Germany

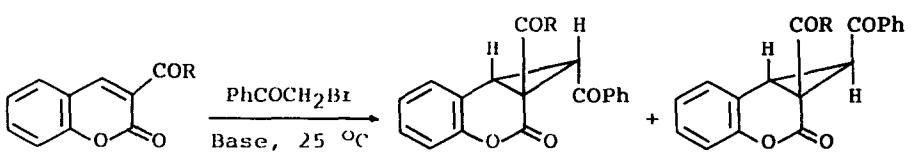
Benzisoxazolequinones undergo thermal induced rearrangements in solution and in the solid state to afford γ-cyanomethylidenebutenolides and N-alkylbenzisoxazolonequinones, respectively



Tetrahedron, **1993**, *49*, 2275

CYCLOPROPANATION REACTION OF 3-ACYL-2*H*-1-BENZOPYRAN-2-ONES WITH PHENACYLBROMIDE IN PHASE TRANSFER SYSTEMS

A. Bojilova[a], A. Trentafilova[a], C. Ivanov[a], and N. A. Rodios[b,*];
[a]University of Sofia, (Bulgaria), [b]University of Thessaloniki, (Greece)



Tetrahedron, **1993**, *49*, 2287

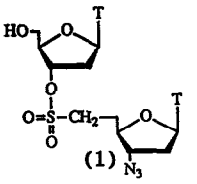
CONFORMATIONAL STUDIES OF THYMIDINE DIMERS CONTAINING SULFONATE AND SULFONAMIDE LINKAGES BY NMR SPECTROSCOPY

C. Glemarec[1], R.C. Reynolds[2], P.A. Crooks[2], J.A. Maddry[2], M.S. Akhtar[2], J.A. Montgomery[2], J.A. Secrist III[2] and J. Chattopadhyaya[1*]

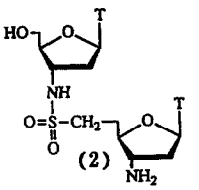
[1]*Department of Bioorganic Chemistry, Box 581, Biomedical Center, University of Uppsala, S-751 23 Uppsala, Sweden*

[2]*Department of Organic Chemistry, Southern Research Institute, Birmingham, Alabama 35255-5305, USA*

500 MHz NMR spectroscopic study on the solution conformations of 1 and 2 is reported



(1)

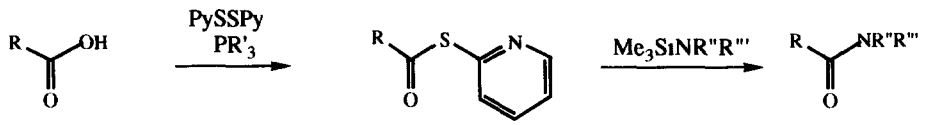


(2)

Tetrahedron, **1993**, *49*, 2299

SYNTHESIS OF AMIDES : AN EFFICIENT AND CHEMO-SELECTIVE METHOD FOR THE PREPARATION OF β - LACTAM DERIVATIVES RELATED TO HLE INHIBITORS.

Romano Di Fabio*[1] and Vincenzo Summa, Dipartimento di Chimica, Università "La Sapienza" di Roma, P.le A. Moro 5 00185 ROMA (Italy) Tino Rossi, Glaxo Ricerche, Via A. Fleming 4, 37100 VERONA, (Italy)



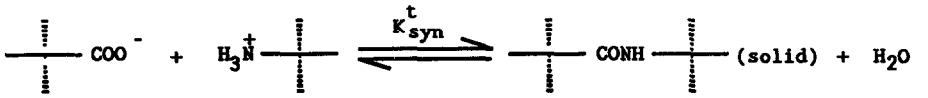
RCOOH = CEPHALOSPORIN - PENICILLIN

Tetrahedron, **1993**, *49*, 2307

THERMODYNAMICS OF ENZYMIC SYNTHESIS OF SOLID-PHASE PEPTIDES

Ivailo P. Ivanov, Nikolay P. Todorov, Dimiter D. Petkov#

Laboratory of Bio-Organic Synthesis, Biological Faculty, Sofia University "Sv Kl Ohridsky", 1421, Sofia; #Laboratory of Bio-Catalysis, Institute of Organic Chemistry Bulgarian Academy of Sciences, 1040, Sofia, Bulgaria

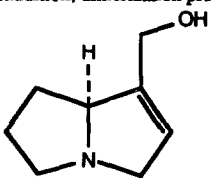


Tetrahedron, **1993**, *49*, 2317

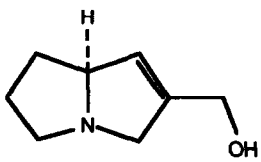
A SYNTHESIS OF (-) SUPINIDINE AND ITS REGIOISOMER BY INTRAMOLECULAR OXIME OLEFIN CYCLOADDITION.

Alfred Hassner*, Suddham Singh, Raman Sharma and Rakesh Maurya
Department of Chemistry, Bar-Ilan University, Ramat-Gan 52900, Israel

A synthesis of (-) supinidine **1** and its regioisomer **2** from L-proline is described The key step is a thermal intramolecular oxime-olefin cycloaddition, dimerization products resulting from intramolecular nitrone formation were also isolated



1



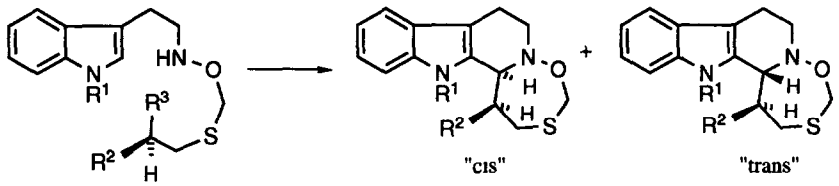
2

Tetrahedron, **1993**, *49*, 2325

Intramolecular Pictet-Spengler Reaction of N_b-Alkoxytryptamines. 4. A Study towards Diastereocontrol in the Synthesis of Tetracyclic Eudistomins.

Jan H van Maarseveen, Hans W Scheeren*, Department of Organic Chemistry, NSR Center for Molecular Structure, Design and Synthesis, University of Nijmegen,Toernooiveld, 6525 ED, Nijmegen, The Netherlands, and Chris G Kruse, Solvay Duphar Research Laboratories, P O B 900, 1380 DA, Weesp, The Netherlands

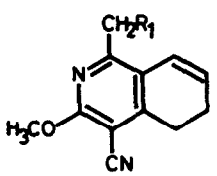
The influence of the substituents R^1 and R^2 on the diastereoselectivity of the intramolecular Pictet-Spengler condensation has been studied The aldehydes were generated from R^3=COOMe or R^3=HC(OEt)$_2$



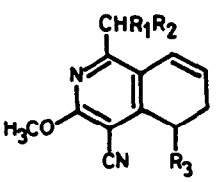
Tetrahedron, **1993**, *49*, 2345

POTASSAMIDE INDUCED *IN SITU* ALKYLATION OF 5,6-DIHYDROISOQUINOLINES: STRUCTURE OF PRODUCTS

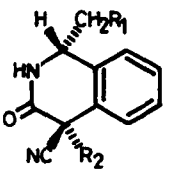
Tirumalai R Kasturi*, Subramaniam Arumugam and Lata Mathew
Department of Organic Chemistry, Indian Institute of Science, Bangalore-12, INDIA



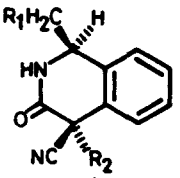
2



3 & 4



6



6'

Interesting *in situ* alkylation products **3a-e, 4a-d, 6a-e & 6'a-e** of 5,6-dihydroisoquinolines, **2a-b** were characterised by spectral data