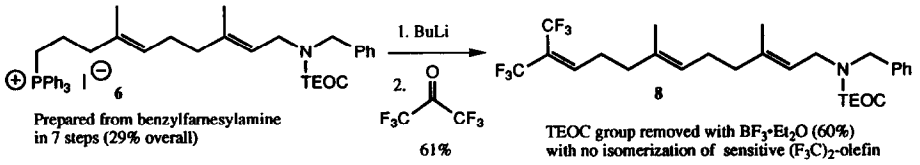
GRAPHICAL ABSTRACTS

Tetrahedron, **1994**, *50*, 3849

FARNESYL CHAIN MODIFICATION OF SQUALENE SYNTHASE INHIBITOR BENZYLFARNESYLAMINE: CONVERSION TO THE TERMINAL BIS(TRIFLUOROMETHYL) DERIVATIVE

Charles F. Jewell Jr.,* John Brinkman, Russell C. Petter and James R. Wareing
Department of Atherosclerosis and Vascular Biology, Preclinical Research
Sandoz Research Institute, Sandoz Pharmaceuticals Corporation
East Hanover, NJ 07936



Prepared from benzylfarnesylamine in 7 steps (29% overall)

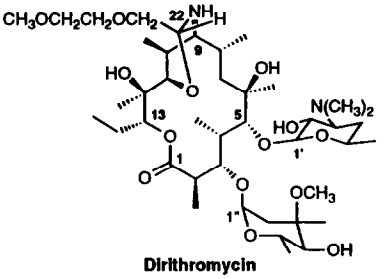
TEOC group removed with BF_3•Et_2O (60%) with no isomerization of sensitive $(F_3C)_2$-olefin

Tetrahedron, **1994**, *50*, 3857

CONFORMATIONAL ANALYSIS OF DIRITHROMYCIN AND EPI-DIRITHROMYCIN

John M. McGill and Ross A. Johnson
Lilly Research Laboratories, Eli Lilly and Company
Lafayette, IN 47902

The solution conformation of dirithromycin and epi-dirithromycin was determined using NMR spectroscopy in conjunction with molecular mechanics calculations. In solution, dirithromycin exists in a "folded-in" conformation, while epi-dirithromycin exists in a "folded-out" conformation.



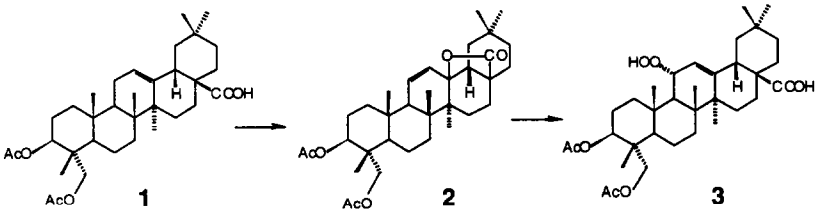
Dirithromycin

Tetrahedron, **1994**, *50*, 3869

Isolation and Relay Synthesis of 11α-Hydroperoxy Diacetyl Hederagenin, a Novel Triterpenoid Derivative from *Serjania triquetra* (Sapindaceae). Biogenetic Implications.

María Isabel Chávez and Guillermo Delgado*
Instituto de Química de la UNAM. Ciudad Universitaria, Circuito Exterior. Coyoacán 04510. México, D. F.

The novel triterpenoid derivative and key biogenetic and chemical intermediate 3, was isolated, and synthesized from 1, *via* 2.



Tetrahedron, **1994**, *50*, 3879

Configurational Analysis of New Furanosesquiterpenes from *Dysidea herbacea*. Assignment of Absolute Stereochemistry.

Philip A. Searle, Naser M. Jamal, Greg M. Lee and Tadeusz F. Molinski*, Department of Chemistry, University of California, Davis, CA 95616

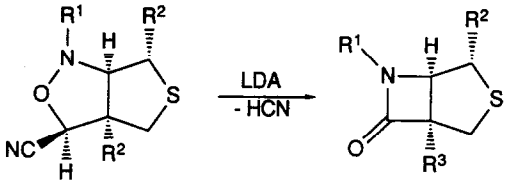
Isomeric acetates (+)-**1** and (+)-**2** and their respective enantiomers, **17** and **18**, were isolated from two samples of the marine sponge *Dysidea herbacea* which appears to have a dual capacity for antipodal cyclization of geranyl pyrophosphate to furodysin or furodysinin and elaboration of these oxidized derivatives.

Effects of Configuration and N-Substitution on the Formation of ß-Lactams from Bicyclic Cyano-substituted Isoxazolidines

Tetrahedron, **1994**, *50*, 3943

Hans Günter Aurich*, Jose-Luis Ruiz Quintero
Fachbereich Chemie der Philipps-Universität Marburg, D-35032 Marburg, Germany

Treatment of 4-cyano-3-oxa-7-thia-2-azabicyclo[3.3.0]octanes with lithium diisopropylamide afforded bicyclic ß-lactams.

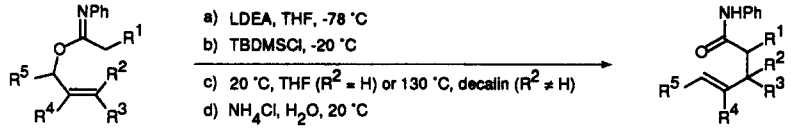


CLAISEN REARRANGEMENT OF *N*-SILYL KETENE *N,O*-ACETALS GENERATED FROM ALLYL *N*-PHENYLIMIDATES

Tetrahedron, **1994**, *50*, 3951

Peter Metz* and Cornelia Linz
Organisch-Chemisches Institut der Universität Münster, Corrensstraße 40, D-48149 Münster, Germany

Highly diastereoselective Claisen rearrangements yielding anilides 3 *via* deprotonation / silylation of allyl *N*-phenylimidates 1 are reported. Additionally, NOE difference studies of educts and *N*-silyl ketene *N,O*-acetals are described.

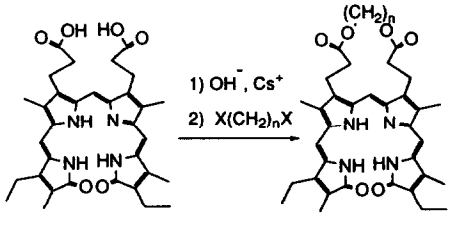


1 3

REACTIVITY OF PYRROLE PIGMENTS: PART 16. MESOBILIVERDIN IXa AND MESOBILIRUBIN IXa BRIDGED BETWEEN THE PROPIONIC ACID SUBSTITUENTS.

Tetrahedron, **1994**, *50*, 3967

Josep M. Ribó*, Joaquim Crusats, and Montserrat Marco.
Departament de Química Orgànica, Universitat de Barcelona, c/ Martí i Franquès 1. E-08028, Catalonia, Spain



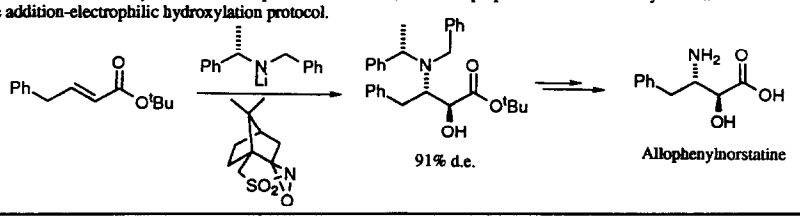
For n = 1 reactivity *towards* hυ, Nu^-, H^+, B^-, Zn(II) is compared with that of *mesobiliverdin IXα dimethyl ester*. For n = 1 the corresponding mesobilirubin is also obtained and compared to *mesobilrubin IXα dimethyl ester*.

AN EXPEDITIOUS ASYMMETRIC SYNTHESIS OF ALLOPHENYLNORSTATINE

Tetrahedron, **1994**, *50*, 3975

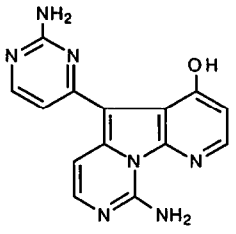
Mark E. Bunnage,[a] Stephen G. Davies,[a]* Christopher J. Goodwin[b] and Osamu Ichihara[a]
a The Dyson Perrins Laboratory, South Parks Road, Oxford, OX1 3QY, UK
b Fisons plc, Pharmaceutical Division, Research and Development Laboratories, Bakewell Road, Loughborough, LE11 ORH, UK

Allophenylnorstatine, the pivotal amino acid in the kynostatin HIV-1 protease inhibitors, has been prepared in 39% overall yield *via* a tandem lithium amide conjugate addition-electrophilic hydroxylation protocol.

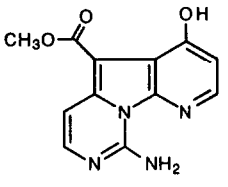


91% d.e. Allophenylnorstatine

Tetrahedron, **1994**, *50*, 3987



variolin B



variolin D

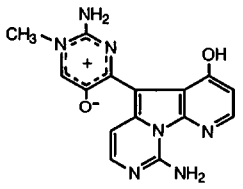
ALKALOIDS FROM THE ANTARCTIC SPONGE *KIRKPATRICKIA VARIALOSA*. PART 1: VARIOLIN B, A NEW ANTITUMOUR AND ANTIVIRAL COMPOUND

Nigel B. Perry,° Laurent Ettouati,° Marc Litaudon,° John W. Blunt,° Murray H. G. Munro,° Sean Parkin‡ and Hakon Hope.‡

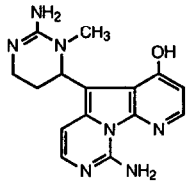
°Department of Chemistry, University of Canterbury, Christchurch, New Zealand and ‡Department of Chemistry, University of California, Davis, CA 95616, USA

The title compound has been characterised by X-ray crystallography, and a degradation product, variolin D, identified from its spectral data.

Tetrahedron, **1994**, *50*, 3993



variolin A



N(3')-methyl tetrahydro-variolin B

ALKALOIDS FROM THE ANTARCTIC SPONGE *KIRKPATRICKIA VARIALOSA*. PART 2: VARIOLIN A AND *N*(3')-METHYL TETRAHYDRO-VARIOLIN B

Golakoti Trimurtulu,# D. John Faulkner,# Nigel B. Perry,° Laurent Ettouati,° Marc Litaudon,° John W. Blunt,° Murray H. G. Munro° and Geoffrey B Jameson.‡

#Scripps Institution of Oceanography, University of California, San Diego, La Jolla, CA 92093-0212, USA, °Department of Chemistry, University of Canterbury, Christchurch, New Zealand and ‡Department of Chemistry, Georgetown University, Washington, DC 20057, USA

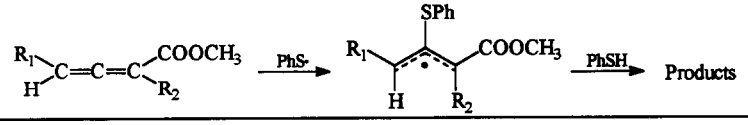
The title compounds, which are both cytotoxic, have been characterised by X-ray crystallography and interpretation of spectral data.

Tetrahedron, **1994**, *50*, 4001

RADICAL-CHAIN ADDITION OF BENZENETHIOL TO ALLENIC ESTERS: EPR AND PRODUCT STUDIES

Salvatore Cabiddu, Claudia Fattuoni*
Dipartimento di Scienze Chimiche, Università, via Ospedale 72, I-09124 Cagliari, Italy
Marco Lucarini, Gian Franco Pedulli
Dipartimento di Chimica Organica "A. Mangini", Università, via S. Donato 15, I-40127 Bologna, Italy

The radical addition of benzenethiol to the title compounds has been studied. The reaction products have been identified by ^{1}H NMR and the intermediate radicals detected by EPR spectroscopy.

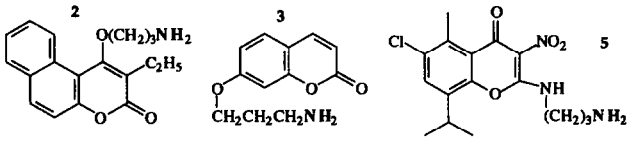


Tetrahedron, **1994**, *50*, 4009

SYNTHESIS AND COMPLEMENTARY COMPLEX FORMATION PROPERTIES OF OLIGONUCLEOTIDES COVALENTLY LINKED TO NEW STABILIZING AGENTS.

A. Balbi, E. Sottofattori, T. Grandi, M. Mazzei -Institute of Pharmaceutical Sciences, Viale Benedetto XV, 3 - Genoa (Italy); T.V. Abramova, S.G. Lokhov, A.V. Lebedev*-Institute of Bioorganic Chemistry, Novosibirsk (Russia).*

Oligodeoxyribonucleotides (ODNs) of different lengths have been linked to the new stabilizing agents (*SAs*) **2-5** related to the coumarin family and tested against acridine connected oligomers of the same sequence. Melting temperature experiments demonstrated that all ODN*SA*s formed complexes of increased stability with complementary sequences of deoxyribo-20-mer.

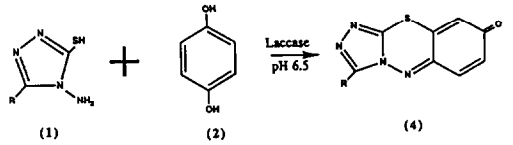


LACCASE ENZYME CATALYSED EFFICIENT SYNTHESIS OF 3-SUBSTITUTED-1,2,4-TRIAZOLO(4,3-b)(4,1,2)BENZOTHIADIAZINE-8-ONES

Tetrahedron, **1994**, *50*, 4019

U.T. BHALERAO*, C. MURALIKRISHNA & B. RADHA RANI
Organic Division II, Indian Institute of Chemical Technology, Hyderabad - 500 007, INDIA.

Full details of an efficient one step synthesis of 3-substituted-1,2,4-triazolo(4,3-b)(4,1,2)benzothiadiazine-8-ones(4) by Laccase enzyme (E.C.1.10.3.2) mediated reaction of various 5-substituted-4-amino-3-mercapto-1,2,4-triazoles (1) and hydroquinone(2) is described.



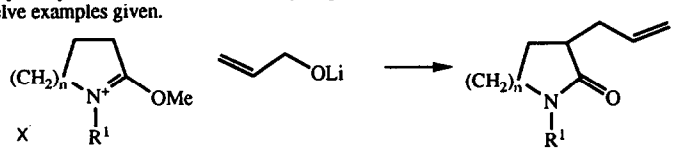
(1) (2) (4)

EFFICIENT SYNTHESIS OF 3-MONO AND DISUBSTITUTED LACTAMS USING MEERWEIN ESCHENMOSER [3,3] SIGMATROPIC REARRANGEMENTS.

Tetrahedron, **1994**, *50*, 4025

Brian Coates, David Montgomery and Paul J. Stevenson.* School of Chemistry, The Queen's University of Belfast, Belfast, BT9 5AG, N. Ireland.

Methoxymethyleniminium triflate or methylsulphate salts react with allyl alkoxides to give 3-allyl substituted lactams in good yield. Twelve examples given.



64% yield R^1=Me, n = 1.