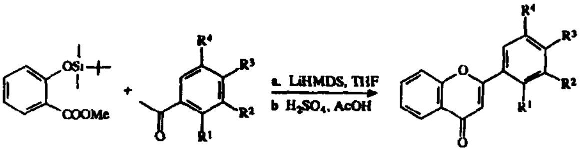
GRAPHICAL ABSTRACTS

Tetrahedron, **1991**, *47*, 5071

A PRACTICAL SYNTHESIS OF FLAVONES FROM METHYL SALICYLATE

Dhanapalan Nagarathnam and Mark Cushman*
Department of Medicinal Chemistry and Pharmacognosy, Purdue University, West Lafayette, Indiana 47907, USA

LiHMDS catalized condensation of methyl 2-{(*t*-butyldimethylsilyl)-oxy}-benzoate with acetophenones followed by treatment of the intermediates with H_2SO_4 in AcOH at 95-100 °C gave flavones in high yields.

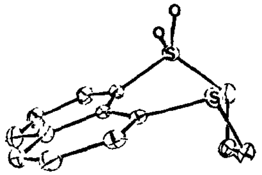


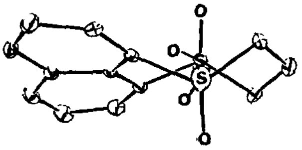
Tetrahedron, **1991**, *47*, 5077

SYNTHESIS, CRYSTAL AND MOLECULAR STRUCTURES AND CONFORMATIONS OF NAPHTHO[1,8-*b*,*c*]-1,5 DITHIOCIN-1,1-DIOXIDE,-1,5-DIOXIDE,-1,1,5-TRIOXIDE, AND-1,1,5,5-TETRAOXIDE

Richard S. Glass* and Jeffrey L. Broeker
Department of Chemistry, The University of Arizona, Tucson, AZ 85721 USA

The reported S-oxides were chemoselectively prepared and their structures determined by X-ray crystallographic methods. All adopt boat conformations except the -1,1,5,5-tetraoxide which adopts the twist conformation.



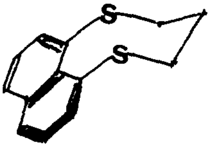


Tetrahedron, **1991**, *47*, 5087

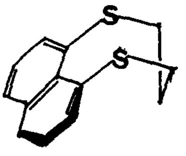
CONFORMATIONAL ANALYSIS OF NAPHTHO[1,8-*b*,*c*]-1,5-DITHIOCIN AND ITS S-OXIDES IN SOLUTION

Richard S. Glass* and Jeffrey L. Broeker
Department of Chemistry, The University of Arizona, Tucson, AZ 85721 USA

Dynamic ^1H and ^{13}C NMR spectroscopy and AM1 computations showed that the chair conformation **1A** of dithioether **1** is 0.6 kcal/mol lower in energy than boat conformer **1B** and the barriers



1A



1B

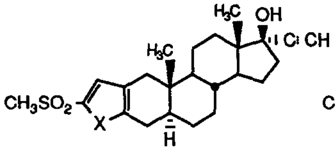
for chair-to-chair ring inversion and chair-to-boat conversion are 8.9 kcal/mol and 7.9 kcal/mol respectively. The S-oxides of this compound were spectroscopically analyzed.

Tetrahedron, **1991**, *47*, 5099

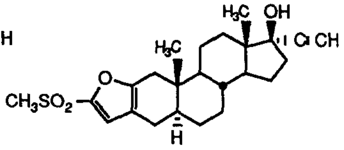
SYNTHESIS AND ANDROGEN RECEPTOR AFFINITY OF STEROIDAL METHYLSULFONYLFURANS AND A METHYLSULFONYLTHIOPHENE

Virendra Kumar*, Sol J. Daum, Malcolm R. Bell, Michael A. Alexander. Robert G. Christiansen, James H. Ackerman, Michael E. Krolski, Garry M. Pilling, John L. Herrmann, Jr., Richard C. Winneker, and Margert M. Wagner
Departments of Medicinal Chemistry and Pharmacology, Sterling Research Group, Rensselaer, New York 12144, U. S. A.

Syntheses and rat ventral prostate androgen receptor binding affinity of methylsulfonylfurans (2a and 27) and a methylsulfonylthiophene (2b) are described.



2a, X = O
2b, X = S



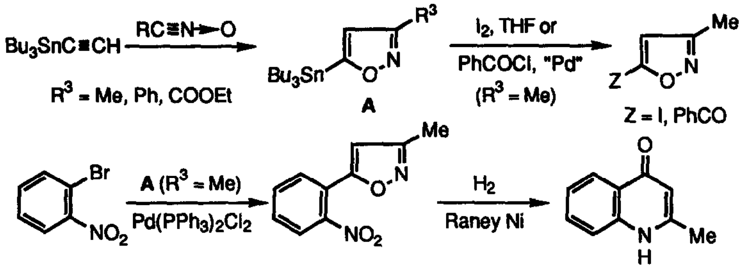
27

Tetrahedron, **1991**, *47*, 5111

CONDENSED HETEROAROMATIC RING SYSTEMS. XIX.

SYNTHESIS AND REACTIONS OF 5-(TRIBUTYLSTANNYL)ISOXAZOLES

Takao Sakamoto, Yoshinori Kondo, Daishi Uchiyama, and Hiroshi Yamanaka
Phamaceutical Institute, Tohoku University, Aobayama, Aoba-ku, Sendai 980, Japan

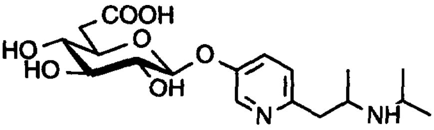


Tetrahedron, **1991**, *47*, 5119

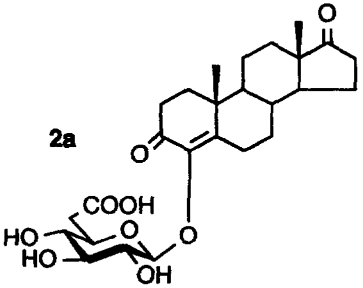
ENZYMATIC SYNTHESIS OF β-D-GLUCURONIDES WITH *IN SITU* REGENERATION OF UDP-GLUCURONIC ACID

D. Gygax*, P. Spies, T. Winkler and U. Pfaar
Ciba-Geigy Ltd., CH-4002 Basel, Switzerland

1a



2a



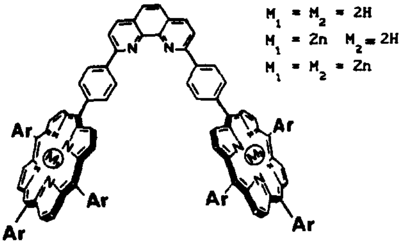
A biosynthetic one-pot reaction used for the stereoselective synthesis of β-D-glucuronides.

Tetrahedron, **1991**, *47*, 5123

SYNTHESIS OF BIS-PORPHYRINS CONTAINING A 2,9-DIPHENYL-1,10-PHENANTHROLINE SPACER

Sylvie CHARDON-NOBLAT and Jean-Pierre SAUVAGE,
Laboratoire de Chimie Organo-Minérale, UA 422 au CNRS, Institut de Chimie, 1, rue Blaise Pascal, 67008 STRASBOURG, France.

The synthesis of oblique bis-porphyrins was carried out using a disubstituted 1,10-phenanthroline derivative as starting compound.

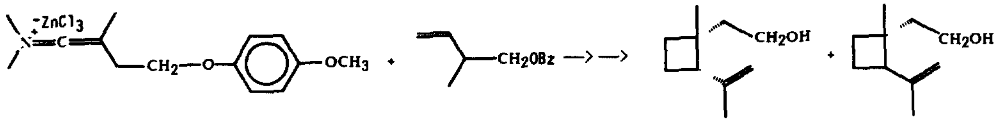


Tetrahedron, **1991**, *47*, 5133

REACTION D'IONS CETENE-IMMONIUMS AVEC DIFFERENTES OLEFINES : UN NOUVEAU MODE D'ACCES AU (±)-GRANDISOL, AU (±)-FRAGRANOL, ET AUX ETHERS METHYLIQUES CORRESPONDANTS.

Jean-Claude Grandguillot et Francis Rouessac
Laboratoire de Synthèse Organique associé au CNRS (URAD0482), Faculté des Sciences,
Route de Laval, BP 535, F-72017 Le Mans Cedex

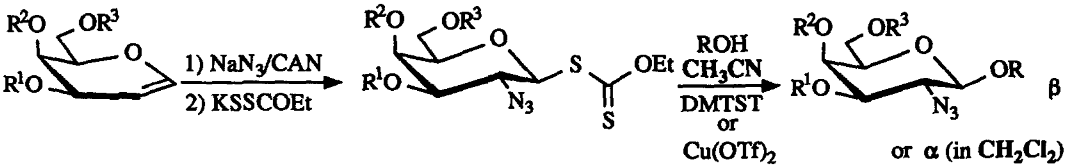
(±)-Grandisol and its trans isomer (±)-fragranol have been obtained by a practical synthetic method using a cycloaddition key-step between a ketene immonium ion and an olefine.



Tetrahedron, **1991**, *47*, 5149

A NOVEL CLASS OF GLYCOSYL DONORS: ANOMERIC *S*-XANTHATES OF 2-AZIDO-2-DEOXY-D-GALACTO-PYRANOSYL DERIVATIVES

Alberto Marra, Françoise Gauffeny and Pierre Sinaÿ
Ecole Normale Supérieure, Laboratoire de Chimie, 24 Rue Lhomond, 75231 Paris Cedex 05, France

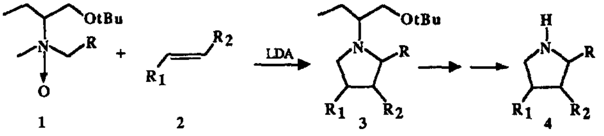


Tetrahedron, **1991**, *47*, 5161

The Use of the ß-Amino-Alcohol-N-Oxide Derivatives in the Synthesis of 2,3 or 4-Alkyl Substituted NH Pyrrolidines.

Georges Roussi* and Jidong Zhang. Institut de Chimie des Substances Naturelles,
C.N.R.S., 91198 Gif sur Yvette, France.

The 3+2 cycloaddition reaction, between nonstabilized azomethine ylides generated from various β-amino-alcohol N-oxide derivatives 1 and unactivated alkenes 2 , leads to the precursors 3 of NH pyrrolidines 4 substituted on position 2, 3 or 4.



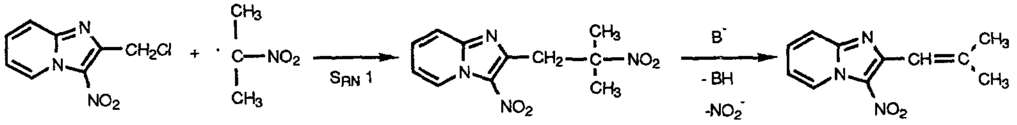
Tetrahedron, **1991**, *47*, 5173

SYNTHESIS BY $S_{RN}1$ REACTION IN IMIDAZO[1,2-a]PYRIDINE SERIES OF NEW DERIVATIVES WITH POTENTIAL PHARMACOLOGICAL PROPERTIES

Patrice VANELLE*, Nacer MADADI, Christine ROUBAUD, José MALDONADO and Michel P. CROZET*

Laboratoire de Chimie Organique, 27 Bd J. Moulin, 13885 Marseille Cedex 04, France

New compounds of biological interest are prepared from 2-chloromethyl-3-nitroimidazo[1,2-a] pyridine and nitronates by $S_{RN}1$ reaction and nitrous acid elimination.

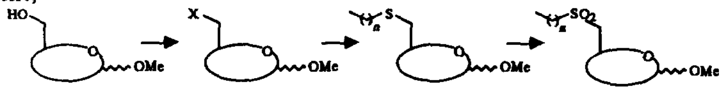


Tetrahedron, **1991**, *47*, 5185

SYNTHESE DE NOUVEAUX TENSIOACTIFS GLYCOSIDIQUES PAR L'INTERMEDIAIRE DE SUCRES HALOGENES. THIOETHERS ET SULFONES DERIVES DE L'α-D-GLYCOSIDE ET L' α-D-MANNOSIDE DE METHYLE.

Pascale LEON-RUAUD et Daniel PLUSQUELLEC *

**Laboratoire de Chimie Organique et des Substances Naturelles,
associé au CNRS, ENSCR, Avenue du général Leclerc,
F-35700 RENNES**



1 α -D-glucoside de méthyle 4 (X = Br) et 5 (X = I) 9a - c 11a - b

2 α -D-mannoside de méthyle 6 (X = Br) et 7 (X = I) 10a - e

3 β -D-galactoside de méthyle

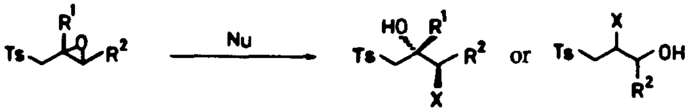
n	5	7	17
	a	b	c

Tetrahedron, **1991**, *47*, 5193

β,γ-EPOXY SULFONES IN ORGANIC SYNTHESIS. PART 2: PREPARATION OF β,γ-BIFUNCTIONALIZED SULFONES.

Carmen Nájera and José M. Sansano.
Departamento de Química Orgánica, Facultad de Ciencias, Universidad, 03690 Alicante, Spain.

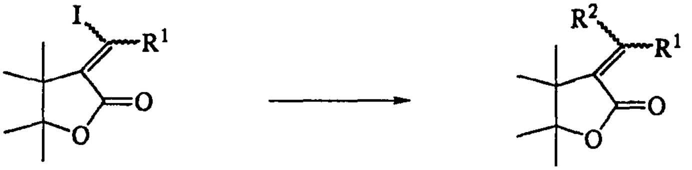
β,γ-Epoxy sulfones react with heteroatomic nucleophiles in the presence or not of titanium(IV) isopropoxide to afford β,γ-bifunctionalized sulfones.



Tetrahedron, **1991**, *47*, 5203

ALKYLIDENE LACTONE SYNTHESIS

G. Haaima, M.J. Lynch, A. Routledge and R.T. Weavers, Department of Chemistry, University of Otago, P.O. Box 56, Dunedin, New Zealand.



R^1 = H or alkyl

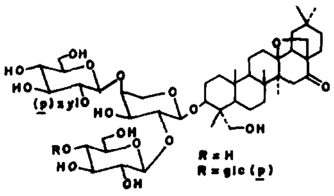
R^2 = H or alkyl

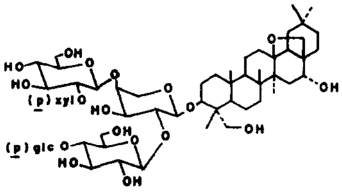
Tetrahedron, **1991**, *47*, 5215

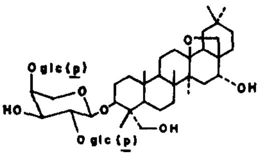
STRUCTURE ELUCIDATION OF FOUR NEW TRITERPENOID OLIGOGLYCOSIDES FROM ANAGALLIS ARVENSIS

Shashi B. Mahato*, Niranjan P. Sahu, Subodh K. Roy and Sucharita Sen

Indian Institute of Chemical Biology, 4 Raja S.C.Mullick Road, Jadavpur, Calcutta-700032, India.





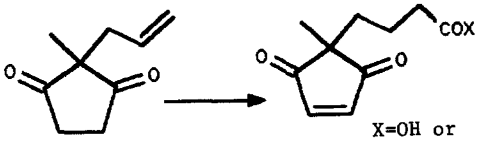


Tetrahedron, **1991**, *47*, 5231

THE SYNTHESIS OF NOVEL BIFUNCTIONAL LINKER MOLECULES

S.Billington, J.Mann and P.Quazi (Department of Chemistry, Reading University, Reading RG62AD
R.Alexander, M.A.W.Eaton, K.Millar, and A.Millican (Celltech Ltd., Slough SL1 4EN)

The bifunctional linker molecule, 2-methyl, 2-(3-carboxypropyl)cyclopent-4-en-1,3-dione, was synthesised in five steps from 2-methyl, 2-allylcyclopentan-1,3-dione. It was converted into a number of active esters, and these and the parent molecule were shown to be highly thiol-specific. Reaction with a variety of amines provided the anticipated amides.



X=OH or

active ester

Tetrahedron, **1991**, *47*, 5237

UNUSUAL EFFECTS OF STERIC HINDRANCES IN NMR SPECTRA OF o,o'-DIALKYLSUBSTITUTED BENZYLIDENE DICHLORIDES

Alexander P.Yakubov, Dmitry V.Tsyganov, Leonid I.Belen'kii*,
Valentin S.Bogdanov, Bogdan I.Ugrak, Mikhail M.Krayushkin

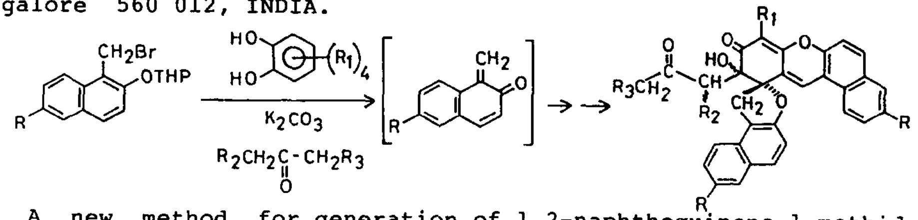
N.D.Zelinsky Institute of Organic Chemistry, 117913, Moscow, USSR

A non-equivalence of o- and o'-alkyl groups in substituted benzylidene dichlorides has been found whish is caused by hindered rotation around C_{Ar}-$CHCl_2$ bond leading to preferential conformations with two chlorine atoms of $CHCl_2$ group located at opposite sides of benzene ring plane.

Tetrahedron, **1991**, *47*, 5245

ONE POT SYNTHESIS OF POLYCYCLIC OXYGEN AROMATICS. PART III[1a] MECHANISM OF FORMATION

T.R. Kasturi, A.B. Mandal, P. Amruta Reddy,
K.B. Ganesha Prasad and B. Rajasekhar.
Department of Organic Chemistry, Indian Institute of Science,
Bangalore 560 012, INDIA.



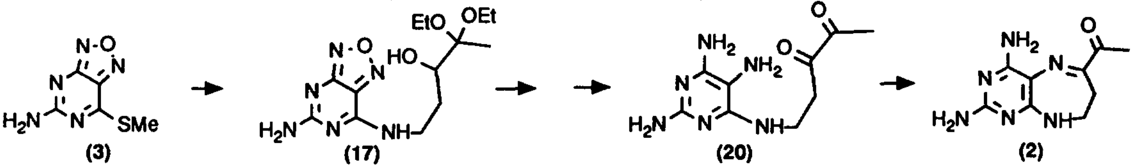
A new method for generation of 1,2-naphthoquinone-1-methide from 1-bromomethyl-2-(2-tetrahydropyranyloxy) naphthalene under mild basic conditions is described.

Tetrahedron, **1991**, *47*, 5259

SYNTHESIS OF A 2,4-DIAMINODIHYDROHOMOPTERIDINE, 6-ACETYL-2,4-DIAMINO-7,8-DIHYDRO-9H-PYRIMIDO[4,5-b][1,4]DIAZEPINE, USING A FURAZANO[3,4-d]PYRIMIDINE PRECURSOR

Peter H. Boyle*, Enid M. Hughes and Hassan A. Khattab
University Chemical Laboratory, Trinity College, Dublin 2, Ireland

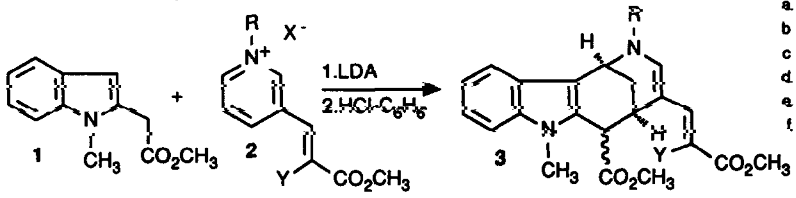
The methylthio group in (3) was shown to be readily replaceable by a variety of substituted amino groups, and this was exploited in the synthesis of the title compound (2), following the route shown below. Compound (2) is the 4-amino analogue of a naturally occurring pyrimidodiazepine insect metabolite.



Tetrahedron, **1991**, *47*, 5269

STUDIES ON THE SYNTHESIS OF STRYCHNOS INDOLE ALKALOIDS

Joan Bosch*, Marisa Salas, Mercedes Amat, Mercedes Alvarez, Isabel Morgó, and Bartomeu Adrover.
Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, 08028-Barcelona, Spain.



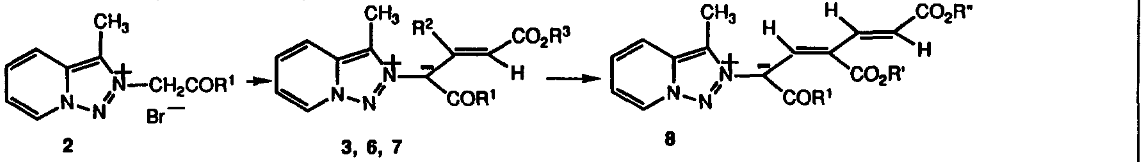
	R	Y
a	$CH_2CH{=}N\text{-}OH$	H
b	$CH_2CH{=}N\text{-}NH\text{-}C_6H_3\text{-}o,p(NO_2)_2$	H
c	$CH_2CH{=}N\text{-}NCH_3\text{-}C_6H_3\text{-}o,p(NO_2)_2$	H
d	$CH_2CH{=}N\text{-}OCH_3$	H
e	H	H
f	CH_3	OCH_3

Tetrahedron, **1991**, *47*, 5277

TRIAZOLOPYRIDINES. Part 11. YLIDES DERIVED FROM 2-ACYLMETHYLTRIAZOLOPYRIDINIUM SALTS

Belen Abarca, Rafael Ballesteros, Fatemeh Mojarrad, Mohamed Metni, U. of Valencia
Santiago Garcia-Granda and Enrique Perez-Carreno, U. of Oviedo
Gurnos Jones, U. of Keele

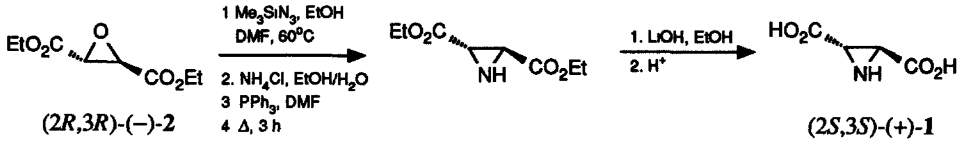
Ylides 3, 6, 7, and 8 are obtained from quaternary salts 2, by treatment with bases and acetylenes. An X-ray structure of ylide 8a is given



Tetrahedron, **1991**, *47*, 5287

SYNTHESIS OF NATURALLY OCCURRING (2*S*,3*S*)-(+)-AZIRIDINE-2,3-DICARBOXYLIC ACID.

Johan Legters, Lambertus Thijs and Binne Zwanenburg*,
Department of Organic Chemistry, University of Nijmegen,
Toernooiveld, 6525 ED NIJMEGEN, The Netherlands.



(2*R*,3*R*)-(–)-**2**

(2*S*,3*S*)-(+)-**1**

(2*S*,3*S*)-(+)-Aziridine-2,3-dicarboxylic acid **1**, identical in all respects to the natural product, was prepared from the corresponding oxirane-2,3-dicarboxylic ester **2**.

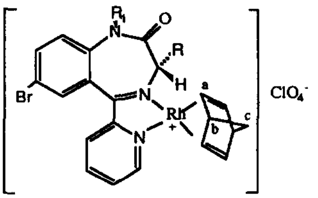
Tetrahedron, **1991**, *47*, 5295

Synthesis and Properties of Some Rh(I) Catalytic Complexes with Dinitrogen Ligands Derived from 5-Pyrido-1,4-benzodiazepines

Predrag Čudić, Branimir Klaić, Zlata Raza, Dragan Šepac, Vitomir Šunjić*

"Ruđer Bošković" Institute, P.B. 1016, Zagreb, Croatia, Yugoslavia

Catalytic Rh(I) complexes **11-14** are prepared, conformational properties of the free ligands and stability of the complexes are studied. Activity of **11** and **12** in hydrogenation is compared with [(2,2'-bipyridyl) Rh (NBD)]ClO4 (**22**).

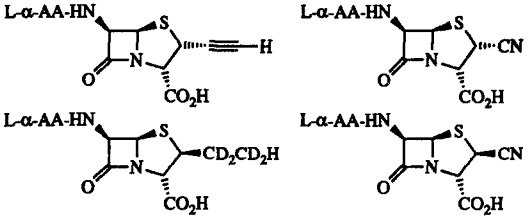


	R	R1
11	H	H
12	H	CH_2Ph
13	CH_3	H
14	CH_2Ph	H

Tetrahedron, **1991**, *47*, 5309

NEW PENICILLINS FROM ISOPENICILLIN N SYNTHASE

Jack E. Baldwin,* Mark Bradley, Shaun D. Abbott and Robert M. Adlington
Dyson Perrins Laboratory and the Oxford Centre for Molecular Sciences, South Parks Road, Oxford, OX1 3QY, U.K.



[L-α-AA- = δ-(L-α-Aminoadipoyl)-]

Three tripeptides, δ-L-α-aminoadipoyl-L-cysteinyl-D-X, where X = propargylglycine, cyanoalanine and [4,4,5,5-d_4]-norvaline, were incubated with the enzyme isopenicillin N synthase to give amongst the biosynthetic products four novel penicillins. These were purified and characterised as the 3α-acetylenic, 3β-tetradeuteroethyl and 3α- and 3β-cyano penicillins depicted.