BioMed. Chem. Lett. **1991**, *1*, 339

REVERSIBLE ENANTIOSELECTIVITY OF ENZYMATIC REACTIONS BY MEDIA

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[a]Institute of Biological Chemistry, Academia Sinica and
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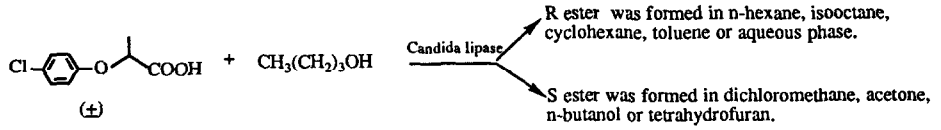
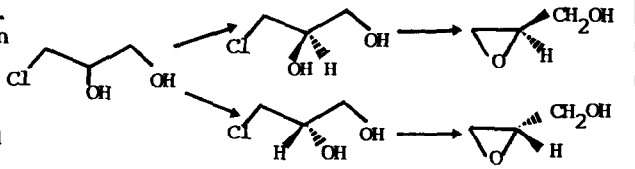


BioMed. Chem. Lett. **1991**, *1*, 343

A NOVEL METHOD FOR THE GENERATION OF (R)- AND (S)-3-CHLORO-1,2-PROPANEDIOL BY STEREOSPECIFIC DEHALOGENATING BACTERIA AND THEIR USE IN THE PREPARATION OF (R)- AND (S)-GLYCIDOL

Toshio Suzuki and Naoya Kasai
Research Laboratories of DAISO Co., LTD.
9, Otakasu-cho, Amagasaki-shi, 660 Japan

Highly pure optically active (R)- and (S)-glycidol was obtained via (R)- and (S)-3-chloro-1,2-propanediol from the racemate by using stereospecific dehalogenating and assimilating bacteria.

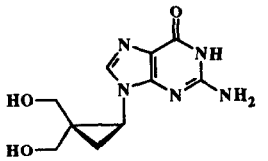


BioMed. Chem. Lett. **1991**, *1*, 347

SYNTHESIS OF 9-[2,2-BIS(HYDROXYMETHYL)CYCLOPROP-1-YL]GUANINE AS A POTENTIAL ANTIVIRAL AGENT

G. R. Geen, M. R. Harnden, and M. J. Parratt*
SmithKline Beecham Pharmaceuticals,
Great Burgh, Yew Tree Bottom Road, Epsom, Surrey
KT18 5XQ, U.K.

Abstract: The synthesis and antiviral activity of the cyclopropyl analogue **2** of the antiviral agent penciclovir is reported.

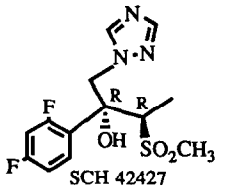


2

BioMed. Chem. Lett. **1991**, *1*, 349

SYNTHESIS OF THE ANTIFUNGAL AGENT SCH 42427[1](SM 9164), V. M. Girijavallabhan,* A. K. Ganguly, P. A. Pinto and O. Z. Sarre, Schering-Plough Research, Bloomfield, NJ 07003-4799 U.S.A.

Abstract: An asymmetric synthesis of the antifungal agent Sch 42427 starting from *(S)*-chloropropionic acid is described.



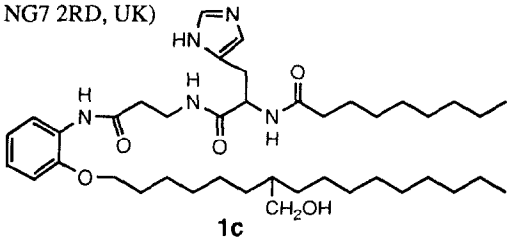
SCH 42427

BioMed. Chem. Lett. **1991**, *1*, 353

Studies Towards a Hydrophobic Serine Protease Model

Raymond C.F. Jones *, Mark Tankard, and Avril M. Higton
(Chemistry Department, Nottingham University, Nottingham NG7 2RD, UK)

The synthesis is described of a series of 1,2-disubstituted benzenes, e.g. **1c**, designed to mimic the 'active site' of the serine proteases and have recognition properties for hydrophobic substrates; the kinetics of transacylation using fatty acid 4-nitrophenyl esters in their presence indicate cooperation between imidazole and hydroxyl functionality to produce rate accelerations enhanced with increase in substrate hydrophobicity.



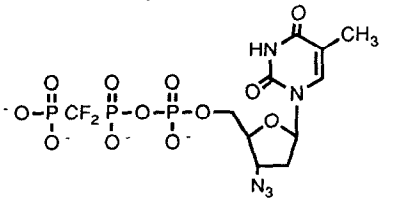
1c

BioMed. Chem. Lett. **1991**, *1*, 357

SYNTHESIS OF A DILUOROMETHYLENEPHOSPHONATE ANALOGUE OF AZT 5'-TRIPHOSPHATE AND ITS INHIBITION OF HIV-1 REVERSE TRANSCRIPTASE

D. Hebel, K. L. Kirk,* J. Kinjo, T. Kovács, K. Lesiak, J. Balzarini,# E. De Clercq,# and P. F. Torrence* Laboratories of Bioorganic Chemistry and Medicinal Chemistry, NIDDK, NIH, Bethesda MD 20892 and #Rega Institute for Medical Research, Minderbroedersstraat 10, B-3000 Leuven, Belgium.

The difluoromethylenephosphonate of AZT triphosphate is 30-fold less effective than AZT-triphosphate as a competitive inhibitor of HIV-1 reverse transcriptase but 10-fold more effective than the methylenephosphonate analogue.

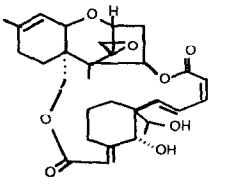


BioMed. Chem. Lett. **1991**, *1*, 361

STUDIES ON THE MACROCYCLIC PART OF THE TRICHOTHECENE SATRATOXIN: PARTIAL SYNTHESIS AND STRUCTURE-ACTIVITY RELATIONSHIP

M. Bessodes*, J Shamsazar and K. Antonakis, C Lafarge-Frayssinet and C. Frayssinet. Institut de Recherches Scientifiques sur le Cancer, BP 8 - 94801 Villejuif France

Analogs of the macrocyclic diester part of satratoxin (doted line) were synthesised, starting from D-glucal. A preliminary study of the structure-activity relationship and of the specificity of these compounds is also reported.



1

BioMed. Chem. Lett. **1991**, *1*, 365

Comparison of the Behaviour of Oxidosqualene Cyclases from Pig Liver and Yeast toward Epoxy-Squalene Analogues Possessing a Δ^{18-19} Z or E (C, C) Double Bond.

Alain Krief *, Patrick Pasau, and Luc Quéré.
Department of Chemistry, Facultés Universitaires Notre-Dame de la Paix, 61 rue de Bruxelles, B-5000, NAMUR (BELGIUM).

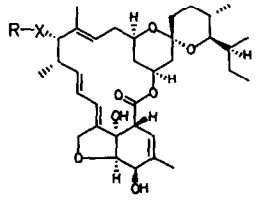
2,3-Oxidosqualene analogues possessing a Δ^{18-19} double bond with the natural E-stereochemistry are cyclised by pig liver sterol cyclase or "ultrasonically stimulated" bakers' yeast (Saccharomyces cerevisiae) whereas their stereoisomers possessing a Δ^{18-19} double bond with the unnatural Z-stereochemistry possess a different behaviour toward the same cyclases. They are still cyclised by pig liver sterol cyclase but are inert toward "ultrasonically stimulated" bakers' yeast.

BioMed. Chem. Lett. **1991**, *1*, 369

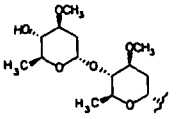
AVERMECTIN ANALOGS WITH A SPACER BETWEEN THE AGLYCONE AND THE DISACCHARIDE

Timothy Blizzard, Gaye Margiatto, Bruce Linn, Helmut Mrozik, and Michael Fisher
Merck Sharp & Dohme Research Laboratories R50G-231 P.O.Box 2000 Rahway NJ 07065

ABSTRACT: Conversion of ivermectin (1) to spacer containing analogs (e.g. 9, 15, & 21) is described. Biological activity of the novel analogs is discussed.



R =



(1) X = O
(9) X = OCH_2CH_2O
(15) X = $OCH_2CH_2OCO_2$
(21) X = $OCH_2CH_2OCH_2O$

BioMed. Chem. Lett. **1991**, *1*, 373

TEMPERATURE AND DMSO INCREASE THE ENANTIOSELECTIVITY OF HYDROLYSIS OF METHYL ALKYL DIMETHYLMALONATES CATALYZED BY PIG LIVER ESTERASE, *Maria A. C. Andrade, Francisco A. C. Andrade, and Robert S. Phillips*, Departments of Chemistry and Biochemistry, School of Chemical Sciences, The University of Georgia, Athens, GA 30602*

Abstract: The reaction of pig liver esterase with methyl alkyl dimethylmalonates in 25% DMSO at 35° gives half-esters with stereochemical purities equal to those obtained at lower temperatures.