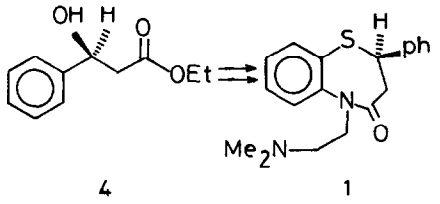
BioMed. Chem. Lett. **1991**, *1*, 383

A NEW ENANTIOSELECTIVE CHEMOENZYMATIC SYNTHESIS OF R-(-)THIAZESIM HYDROCHLORIDE.

Suneel Y. Dike*, Dilip H. Ner and Ashok Kumar*,
Alchemie Research Centre, P.O.Box 155,
Thane-Belapur Road, Thane 400 601,
Maharashtra, India.

A new chemoenzymatic synthesis of (-) Thiazesim (1) from chiral building block 4, is described.



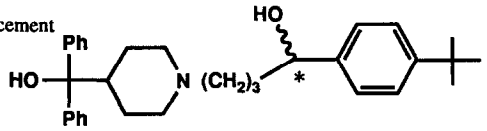
4 1

BioMed. Chem. Lett. **1991**, *1*, 387

OPTICAL ISOMERS OF THE H1 ANTIHISTAMINE TERFENADINE: SYNTHESIS AND ACTIVITY

Ming-Qiang Zhang, Anton M. ter Laak, Hendrik Timmerman
Department of Pharmacochemistry, Faculty of Chemistry, Vrije Universiteit
De Boelelaan 1083, 1081 HV Amsterdam, The Netherlands

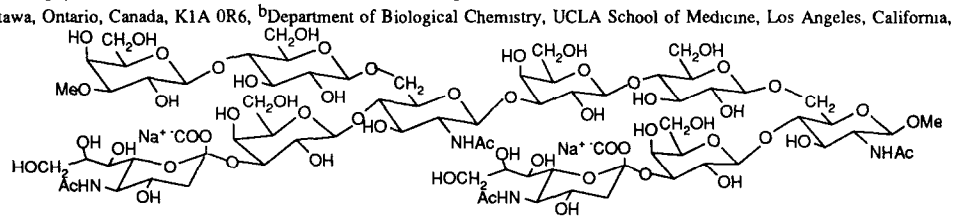
	inhibition of histamine-induced g.p.ileum contraction:	[^{3}H]mepyramine displacement for g.p. cerebellum:
R isomer:	$pA_2 = 7.72$	pKd = 6.67
S isomer:	$pA_2 = 7.61$	pKd = 6.42



BioMed. Chem. Lett. **1991**, *1*, 391

CHEMO-ENZYMATIC SYNTHESIS OF A BRANCHING DECASACCHARIDE FRAGMENT OF THE CAPSULAR POLYSACCHARIDE OF TYPE III GROUP B *STREPTOCOCCUS*

V. Pozsgay[a], J. Gaudino[b], J. C. Paulson[b], H. J. Jennings[a] [a]Division of Biological Sciences, National Research Council of Canada, Ottawa, Ontario, Canada, K1A 0R6, [b]Department of Biological Chemistry, UCLA School of Medicine, Los Angeles, California, 90024

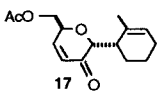


BioMed. Chem. Lett. **1991**, *1*, 395

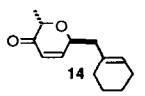
KETO C-GLYCOSIDES. A NEW CLASS OF ANTITUMOR COMPOUNDS.

Jean Herscovici*§, M. Idriss Bennani-Baiti†, Charles Frayssinet† and Kostas Antonakis§.
§Laboratoire de Chimie Organique Biologique et Spectroscopique. Institut de Recherches Scientifiques Sur le Cancer, CNRS, 94801 Villejuif, France
†Laboratoire de Pathologie Cellulaire.Institut de Recherches Scientifiques Sur le Cancer, CNRS, 94801 Villejuif, France.

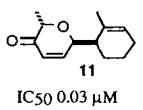
General synthetic methods for the preparation of 4-keto unsaturated C-glycosides are described. These compounds and some parent 2-keto unsaturated C-glycosides were tested against rat hepatocarcinoma cells.



IC50 3 μM



IC50 0.09 μM



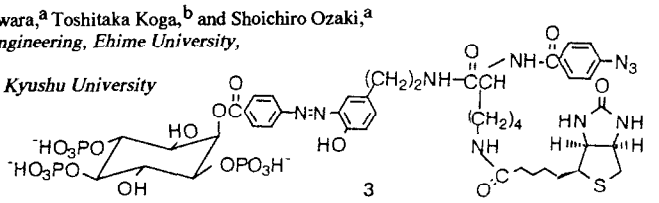
IC50 0.03 μM

BioMed. Chem. Lett. **1991**, *1*, 399

SYNTHESIS AND CHARACTERIZATION OF A PHOTO-AFFINITY PROBE POSSESSING BIOTINYL AND AZIDOBENZOYL MOIETIES FOR IP_3-AFFINIATED PROTEIN,

Yutaka Watanabe,[a*] Masato Hirata,[b*] Tomio Ogasawara,[a] Toshitaka Koga,[b] and Shoichiro Ozaki,[a]
a Department of Resources Chemistry, Faculty of Engineering, Ehime University, Matsuyama 790, Japan;
b Department of Biochemistry, Faculty of Dentistry, Kyushu University 61, Fukuoka 812, Japan

Abstract: An inositol analogue, **3** has been synthesized and characterized.



3

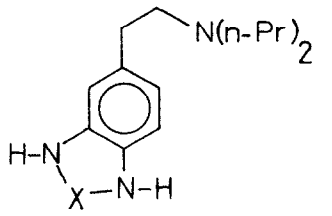
BioMed. Chem. Lett. **1991**, *1*, 403

SYNTHESIS AND EVALUATION OF SEVERAL CATECHOL BIO-ISOSTERES AS POTENTIAL DOPAMINE RECEPTOR LIGANDS

Sladjana Kostić#, V. Šoškić§, Jelena Joksimović*
#Institute for Chemistry, Technology and Metallurgy,
§Faculty for Chemistry, University of Belgrade
*Institute for Biological Research, 11060 Belgrade
Yugoslavia

Several potential DA-receptor ligands were synthesized. III and IV expressed the affinity for the D-2 receptor.

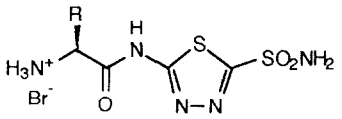
X: -CS- (III)
-CO-CO-(IV)



BioMed. Chem. Lett. **1991**, *1*, 407

SYNTHESIS OF NOVEL 4-SUBSTITUTED-1,3,4-THIADIAZOLE-2-SULPHONAMIDES AS WATER-SOLUBLE INHIBITORS OF CARBONIC ANHYDRASE

G. D. Sriyani A. Jayaweera, Sheila A. MacNeil,[a] Seymour F. Trager, and G. Michael Blackburn*
Department of Chemistry, University of Sheffield, Sheffield S3 7HF, U.K. &
[a]Clinical Sciences Centre, Northern General Hospital, Sheffield, S5 7AU, U.K.



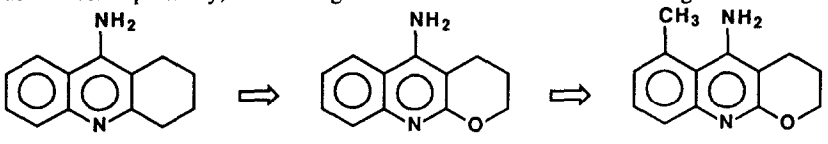
Abstract: The synthesis of novel 4-substituted-1,3,4-thiadiazole derivatives and their activity as inhibitors of carbonic anhydrase *in vitro* are reported.

BioMed. Chem. Lett. **1991**, *1*, 411

PHYSICAL PARAMETERS FOR BRAIN UPTAKE: OPTIMIZING LOG *P*, LOG *D* AND pK_a OF THA

Manoj C. Desai,* Peter F. Thadeio, Christopher A. Lipinski, Dane R. Liston, Robin W. Spencer, and Ian H. Williams; Central Research Division, Pfizer Inc., Groton, CT 06340

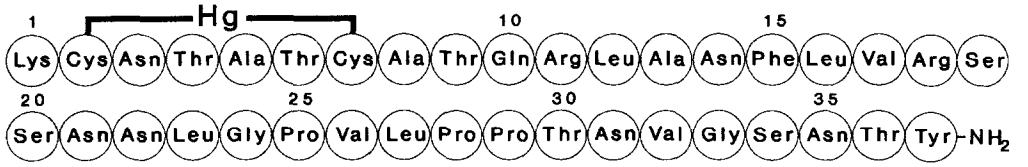
Two positions on the AChE inhibitor, THA (**1a**), have been identified which can be modified to vary log *P*, log *D* and pK_a values. Most importantly, these changes can be carried out without altering its AChE activity.



1a **1b** **1l**

BioMed. Chem. Lett. **1991**, *1*, 415

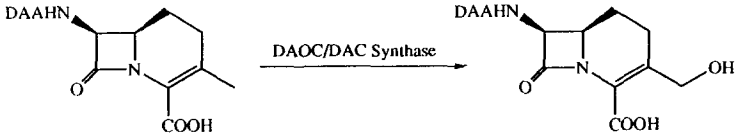
Analysis of Rat Amylin Amide from Commercial Sources: Identification of a Mercury Complex, Wayne L. Cody, Anne B. Giordani, Steve Werness, Michael D. Reily, James A. Bristol, Guochang Zhu and David T. Dudley; Parke-Davis Pharmaceutical Research Division, Warner-Lambert Co., 2800 Plymouth Road, Ann Arbor, MI 48105.



BioMed. Chem. Lett. **1991**, *1*, 421

THE ROLE OF SULPHUR IN CEPHALOSPORIN BIOSYNTHESIS

Jack E Baldwin[a], Kee-Chuan Goh[a], Mark E. Wood[a], Christopher J. Schofield[a], Robin D. G. Cooper[b], and George W. Huffman[b]
[a]*The Dyson Perrins Laboratory and the Oxford Centre for Molecular Sciences, South Parks Road, Oxford, OX1 3QY, UK*
[b]*Lilly Research Laboratories, Lilly Corporate Centre, Indianapolis, IN 46285,USA*



The role of sulphur in cephalosporin biosynthesis was probed by evaluation of a carbocyclic analogue of deacetoxycephalosporin C as a substrate for DAOC/DAC synthase.

BioMed. Chem. Lett. **1991**, *1*, 425

Enzymatic Synthesis of Sialyl Le^x and Derivatives Based on a Recombinant Fucosyltransferase

David P. Dumas†, Yoshitaka Ichikawa†, Chi-Huey Wong†*, John B. Lowe¶§*, and Rajan P Nair¶
†Department of Chemistry, The Scripps Research Institute, La Jolla, CA 92037, ¶Howard Hughes Medical Institute, and §Department of Pathology, University of Michigan, Ann Arbor, MI 48109