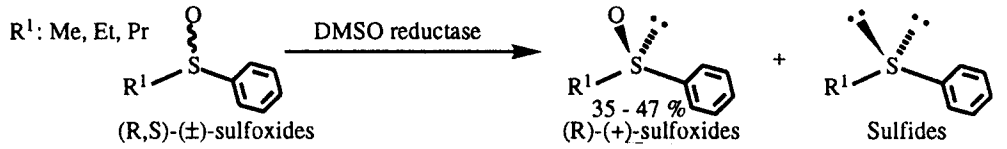
Enantioselective Deoxygenation of Alkyl Aryl Sulfoxides by DMSO Reductase from *Rhodobacter sphaeroides* f.s. *denitrificans*

BioMed. Chem. **1995**, *3*, 109

M. Abo, M. Tachibana, A. Okubo, and S. Yamazaki
Department of Applied Biological Chemistry, The University of Tokyo, Yayoi, Bunkyo, Tokyo, Japan



The Receptor Binding Affinity Of Monocyclic [Ala^3,Xaa^{11}] Endothelin–1 Analogs Correlates With Inducible Helix Length

BioMed. Chem. **1995**, *3*, 113

Niels H. Andersen[1,*] Scott M. Harris[1], Ving G. Lee[2], Eddie C.–K. Liu[3], Suzanne Moreland[3] and John T. Hunt[2,*]
[1]Department of Chemistry, University of Washington, Seattle WA 98195; [2]Department of Chemistry, Cardiovascular Agents; [3]Department of Pharmacology, Bristol–Myers Squibb Pharmaceutical Research Institute, Princeton, NJ 08543–4000.

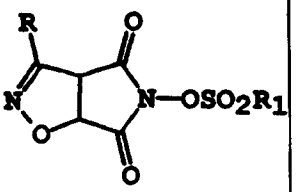
A variety of monocyclic derivatives of [Nle^7] ET–1 lacking the 3,11–disulfide were evaluated for biological activity and examined by TFE titration difference CD. For monocyclic analogs differing only at position 11, ET_A binding affinity and vasoconstrictor potency correlate with the facility with which a 7–8 residue long helix can be induced. In the least active Pro^{11} analog, helix formation is relatively easily induced but limited to a 5 residue span (presumably Glu^{10} → Cys^{15}) without Asp^8 as the N–capping residue.

Isoxazoline Derivatives as Potential Inhibitors of the Proteolytic Enzymes Human Leukocyte Elastase, Cathepsin G and Proteinase 3: A Structure-Acitivity Relationships Study.

BioMed. Chem. **1995**, *3*, 125

W.C. Groutas*, R. Venkataraman, L.S. Chong, J.E. Yoder, J.B. Epp, M.A. Stanga, E.H. Kim
Department of Chemistry, Wichita State University, Wichita, KS 67260

A series of isoxazoline derivatives (I) were synthesized and investigated for their inhibitory activity toward elastase, cathepsin G and proteinase 3.



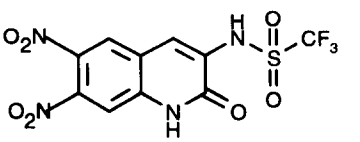
(I)

STRUCTURE ACTIVITY RELATIONSHIPS IN A SERIES OF 3-SULFONYLAMINO-2-(1*H*)-QUINOLONE, AS NEW AMPA/KAINATE AND GLYCINE ANTAGONISTS.

BioMed. Chem. **1995**, *3*, 129

A.A. Cordi*, P. Desos, J. C.R.Randle and J. Lepagnol.
Institut de Recherches Servier, 11 rue des Moulineaux, F-92150 Suresnes.

The design and synthesis of a new class of non NMDA and glycine antagonist is described. The most potent compound 61 is a very potent antagonist at both sites.



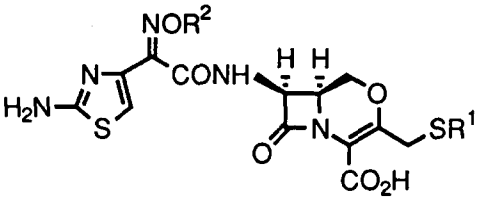
61

BioMed. Chem. **1995**, *3*, 143

Synthesis and Biological Properties of a series of Optically Active 2-Oxaisocephems

Hidetsugu Tsubouchi and Hiroshi Ishikawa
Microbiological Research Institute, Otsuka Pharmaceutical Co., Ltd., Kagasuno 463-10, Kawauchi-cho, Tokushima 771-01, Japan

The synthesis and *in vitro* and *in vivo* antibacterial activities of optically active 2-oxaisocephems of novel types of antibiotics are described.



BioMed. Chem. **1995**, *3*, 151

SYNTHESIS AND ANTI-HIV ACTIVITY OF NEW UREA AND NITROSOUREA DERIVATIVES OF DIAMINO ACIDS.

Hélène Dulude*, Romano Salvador and Gilles Gallant, *Medicinal Chemistry Laboratory, Faculty of Pharmacy, University of Montreal, Box 6128, Station A, Montreal, Quebec, Canada, H3C 3J7.* *Address for correspondence : Hélène Dulude B.Pharm. Ph.D., *Bristol-Myers Squibb, 2365 Côte-de-Liesse, Montréal (Québec), Canada H4N 2M7 (Tel. 514-333-4884, FAX 514-331-8880).*

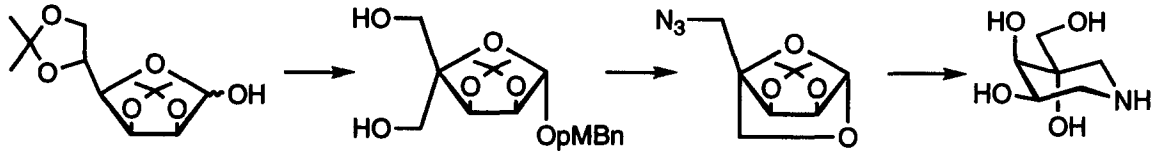
A series of N^1-methyl, N^1-allyl, N^1-(2-chloroethyl) and N^1-propargyl urea and nitrosourea derivatives of diamino acids (L-ornithine and L-lysine) was synthesized and was shown to have weak activity in counteracting the cytopathic effects of the HIV-1 on a T_4 lymphocyte cell line (CEM-IW). However, selected compounds may possess some immunomodulatory activity.

BioMed. Chem. **1995**, *3*, 161

FACILE SYNTHESIS OF A NEW TYPE OF IMINOSUGAR: A NITROGEN ATOM IS IN THE ANOMERIC POSITION

Mie Ichikawa and Yoshitaka Ichikawa,* Department of Pharmacology and Molecular Sciences
The Johns Hopkins University School of Medicine, Baltimore, MD 21205 USA

A new type of iminosugar in which a nitrogen atom is in the place of the anomeric carbon was synthesized in a stereoselective manner from readily available di-O-isopropylidene-D-mannofuranse.

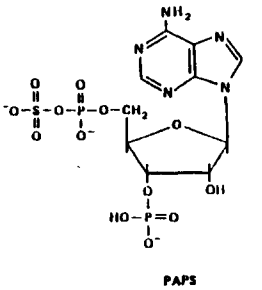


BioMed. Chem. **1995**, *3*, 167

Structure–Activity Studies of Sulfate Transfer: The Hydrolysis and Aminolysis of 3'-Phosphoadenosine 5'-Phosphosulfate (PAPS)

Colin T. Bedford,[a,b,*] Anthony J. Kirby, Christopher J. Logan[b] and Jeremy N. Drummond
[a]*School of Biological Sciences, University of Westminster, 115 New Cavendish Street, London W1M 8JS, UK*
[b]*Shell Research Limited, Sittingbourne Research Centre, Sittingbourne, Kent ME9 8AG, UK*
[c]*University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK*

The pH-rate profile for the hydrolysis of 3'-phosphoadenosine 5'-phosphosulfate (PAPS) in aqueous solution has been measured. From these data, the catalytic power (k_{cat}/k_{uncat}) of the sulfotransferases is estimated to be in the order of 10^{10}-10^{12}. Amines - exemplified by morpholine - have been found to react spontaneously with PAPS in water at 39°C by attack at the sulfuryl group and at the (5')phosphoryl group in a ratio of 2:3. The implications of these data upon the mechanism of the *N*-sulfotransferases are discussed.



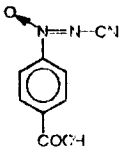
PAPS

THE CYANO-NNO-AZOXY FUNCTION IN THE DESIGN OF AN IRREVERSIBLE LABEL FOR α_1 ADRENOCEPTORS

BioMed. Chem. **1995**, *3*, 173

G.Sorba[1], A.Di Stilo[1], C.Medana[1], C.Cena[1], A.Gasco[1,*] and M.Orsetti[2]

[1] Dipartimento di Scienza e Tecnologia del Farmaco [2] Istituto di Farmacologia e Farmacognosia via P.Giuria 9 I-10125 Torino



calvatic acid 1

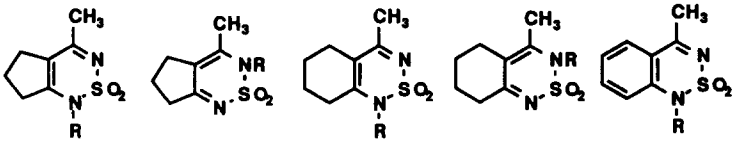
An analogue of ***prazosin*** containing the ***calvatic acid*** moiety **1** was synthesized and tested as potential α_1-receptor irreversible antagonist.

DIOXIDES OF BICYCLIC THIADIAZINES: A NEW FAMILY OF SMOOTH MUSCULAR RELAXANTS.

BioMed. Chem. **1995**, *3*, 179

A. Castro[§], A. Martínez[§*], I. Cardelús[◊] and J.Llenas[◊]. [§]Instituto de Química Médica (C.S.I.C.), Juan de la Cierva, 3. 28006 Madrid, Spain. [◊]Laboratorios Almirall S.A., Cardener 68-74, 08024 Barcelona, Spain

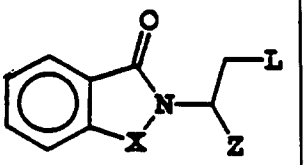
Abstract. The synthesis of dioxides of bicyclic thiadiazine related to diazoxide has been achieved. In a preliminary test, some of these compounds show smooth muscle relaxation similar to that obtained with the standard diazoxide.



The Gabriel-Colman Rearrangement in Biological Systems: Design, Synthesis and Biological Evaluation of Phthalimide and Saccharin Derivatives as Potential Mechanism-based Inhibitors of Human Leukocyte Elastase, Cathepsin G and Proteinase 3.

BioMed. Chem. **1995**, *3*, 187

W.C. Groutas, L.S. Chong, R. Venkataraman, J.B. Epp, R. Kuang, N. Houser-Archield*
Department of Chemistry, Wichita State University, Wichita, Kansas 67260
J.R. Hoidal
School of Medicine, University of Utah Health Sciences Center, Salt Lake City, Utah 84132



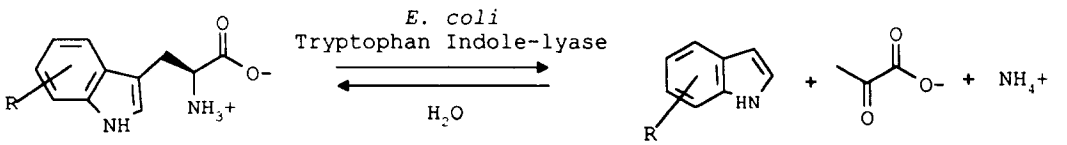
(I)

THE MECHANISM OF *ESCHERICHIA COLI* TRYPTOPHAN INDOLE-LYASE: SUBSTITUENT EFFECTS ON STEADY-STATE AND PRE-STEADY-STATE KINETIC PARAMETERS FOR ARYL-SUBSTITUTED TRYPTOPHAN DERIVATIVES

BioMed. Chem. **1995**, *3*, 195

Minsu Lee [†] and Robert S. Phillips*. [†] Biotechnology Division, Doosan Research Institute, S. Korea and *Departments of Chemistry and Biochemistry and Center for Metalloenzyme Studies, University of Georgia, Athens, GA 30602-2556 (USA)

The reaction of substituted tryptophans with *E. coli* tryptophan indole-lyase was examined by steady-state kinetics, rapid-scanning and single wavelength stopped-flow spectrophotometry and rapid chemical quench methods.



R = F, Cl, OH, CH_3