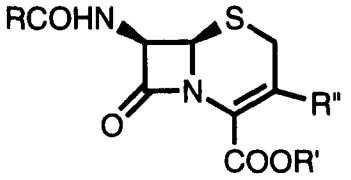
Bioorg. Med. Chem. **1995**, *3*, 1157

CYCLOADDITION AND RELATED REACTIONS OF CEPHALOSPORIN ANTIBIOTICS,

János Pitlik *Res. Group Antibiot. Hung. Acad. Sci., and Department of Chemistry, The Johns Hopkins University, Baltimore, M.D. 21218, U.S.A.*

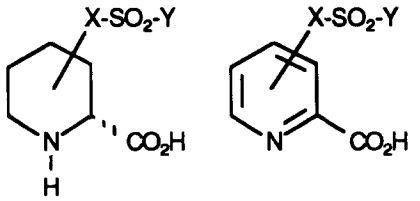


Cycloaddition and related reactions of cephalosporin compounds are reviewed.

Bioorg. Med. Chem. **1995**, *3*, 1183

SYNTHESES, ACTIVITY AND MODELING STUDIES OF 3- AND 4-(SULFO- AND SULFONAMIDOALKYL)PYRIDINE AND PIPERIDINE-2-CARBOXYLIC ACID DERIVATIVES AS ANALOGS OF NMDA RECEPTOR ANTAGONISTS

Ahmed El Hadri, Pascale Maldivi, Gérard Leclerc* and Jean-Philippe Rocher



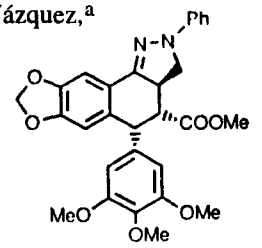
X: $-(CH_2)_n-$, n = 1,2
$-(CH_2)_n-CH=CH-$, n = 0,1
$-CH(OH)-CH_2-$
$-CH(Cl)-CH_2-$

Y: OH, NMe_2, NHEt

Bioorg. Med. Chem. **1995**, *3*, 1203

Synthesis and Evaluation of Pyrazolignans. A New Class of Cytotoxic Agents.

Marina Gordaliza,[a]* José Ma. Miguel del Corral,[a] Ma. Angeles Castro,[a] Ma. Luisa López-Vázquez,[a] Arturo San Feliciano,[a] Ma. Dolores García-Grávalos[b] and Alain Carpy[c]
[a]*Laboratorio de Química Farmacéutica, Facultad de Farmacia, Universidad de Salamanca, E-37007-Salamanca, Spain*
[b]*PharmaMar S.A., Calera 3, Tres Cantos, E-28070-Madrid, Spain*
[c]*Laboratoire de Chimie Analitique, Université de Bordeaux II, Bordeaux, France*



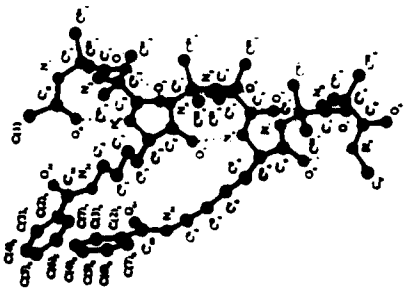
4

Abstract: Fused pyrazoline derivatives of cyclolignans have been prepared and evaluated for their cytotoxic activity in P-388, A-549 and HT-29 culture cells. They show IC_{50} values at μM levels.

Bioorg. Med. Chem. **1995**, *3*, 1211

The Polypeptide 3_{10}-Helix as a Template for Molecular Recognition Studies. Structural Characterization of a Side-Chain Functionalized Octapeptide

C. Toniolo, A. Bianco, F. Formaggio, M. Crisma, G.M. Bonora
Biopolymer Research Center, C.N.R., Department of Organic Chemistry, University of Padova, 35131 Padova, Italy
E. Benedetti, V. Del Duca, M. Saviano, B. Di Blasio, C. Pedone
Biocrystallography Research Center, C.N.R., Department of Chemistry, University of Naples "Federico II", 80134 Naples, Italy
A. Aubry
Laboratory of Mineralogy-Crystallography, University of Nancy I, 54506 Vandoeuvre, France

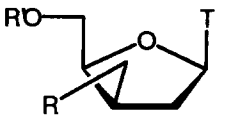


Bioorg. Med. Chem. **1995**, *3*, 1223

STEREOSELECTIVE SYNTHESIS, CHEMISTRY AND ANTIVIRAL EVALUATION OF 1-(2,3-DIDEOXY-3-*C*-HYDROXYMETHYL-β-D-*THREO*-PENTOFURANOSYL)THYMINE DERIVATIVES

Jesper Wengel*, Raymond F. Schinazi and Marvin H. Caruthers

Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO 80309-0215, U.S.A.



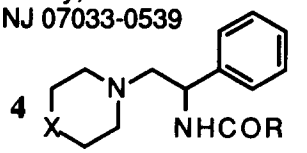
R = Cl, I, $Et_2P(O)$ or HO
R' = Tr or H
T = thymine-1-yl

Bioorg. Med. Chem. **1995**, *3*, 1231

Amides of Piperidine, Morpholine and Piperazine Substituted 1-Phenylethylamines: Inhibitors of AcylCoA:cholesterol Acyltransferase Activity *in vitro* and *in vivo*

Sundeep Dugar *, Robert E. Burrier, Harry R. Davis Jr. and Brian G. Salisbury, Schering-Plough Research Institute 2015 Galloping Hill Road, Kenilworth, NJ 07033-0539

Title compounds of type **4** were synthesized and found to be potent inhibitors of ACAT in a microsomal ACAT assay and also exhibited potent activity in a cholesterol-fed hamster model.

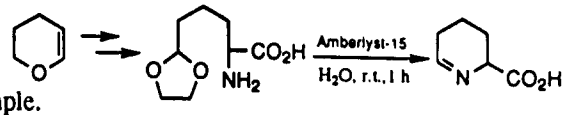


Bioorg. Med. Chem. **1995**, *3*, 1237

Chemical Synthesis of Allysine ethylene acetal and conversion "*in situ*" into 1-piperideine-6-carboxylic acid: Key intermediate of the α-aminoadipic acid for β-lactam antibiotics Biosynthesis.

Angel Rumbero*[1], Juan Fco. Martín*[2], M. Angeles Lumbreras[2], Paloma Liras[2], Cristina Esmahan[2]
1) Departamento de Química Orgánica, Universidad Autónoma de Madrid, Cantoblanco, 28049-Madrid, Spain.
2) Departamento de Ecología, Genética y Microbiología, Facultad de Biología, Universidad de León, 2471-León, Spain

Synthesis of α-amino acid allysine ethylene acetal from 3,4-dihydro-2-H-pyran and converted "*in situ*" into 1-piperideine-6-carboxylic acid with a work-up exceedingly simple.



Bioorg. Med. Chem. **1995**, *3*, 1241

ANTITUMOR AND ANTIMICROBIAL ACTIVITIES OF Fe (II) / Fe (III) COMPLEXES DERIVED FROM SOME HETEROCYCLIC COMPOUNDS

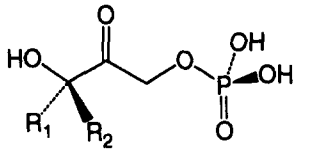
Lallan Mishra,[a,*] Mustafa Kamil Said,[a] Hideji Itokawa[b,*] and Koichi Takeya[b]
[a]*Department of Chemistry, Banaras Hindu University, Vanarasi - 221 005, India,* [b]*Department of Pharmacognosy, Tokyo College of Pharmacy, Horinouchi 1432-1, Hachioji, Tokyo 192-03, Japan*

Antitumor activities of some Fe (II) / Fe (III) complexes containing 1,3-diacetyl-2H-benzimidazole-2-thione along with few derivatives of 1,2,4-triazol, 1,3,4-oxadiazole, 1,3,4-thiadiazole as co-ligands have been carried out. Antibacterial and antifungal activities of disulfido- / dichloro-bridged dinuclear Fe (III) / Fe (II) complexes containing similar heterocycles as a terminal ligands have also been carried out.

Bioorg. Med. Chem. **1995**, *3*, 1247

Effects of Chirality and Substituents at Carbon 3 in Dihydroxyacetone-phosphate Analogues on their Binding to Rabbit Muscle Aldolase.

C. BLONSKI*, T. GEFFLAUT, J. PERIE
Groupe de Chimie Organique Biologique - URA au CNRS 470, Bât. IIR1 - Université Paul Sabatier - 118, route de Narbonne - 31062 TOULOUSE cedex - France.



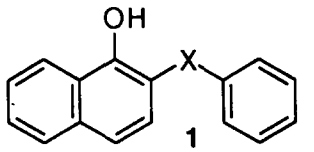
R_1 = Me, Ph; R_2 = H
R_1 = H; R_2 = Me, Ph
$R_1 = R_2$ = Me

Bioorg. Med. Chem. **1995**, *3*, 1255

SYNTHESIS, ANTIOXIDANT PROPERTIES, BIOLOGICAL ACTIVITY AND MOLECULAR MODELLING OF A SERIES OF CHALCOGEN ANALOGUES OF THE 5-LIPOXYGENASE INHIBITOR DuP 654

Lars Engman,* David Stern, Håkan Frisell, Kerstin Vessman, Mats Berglund, Bengt Ek and Carl-Magnus Andersson.* Uppsala University, Institute of Chemistry, Department of Organic Chemistry, P. O. Box 531, S-751 21 Uppsala, Sweden.

Abstract: The 5-lipoxygenase inhibiting properties of compounds **1** are reported.



1

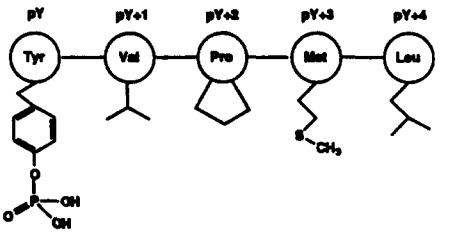
X=CH_2, S, Se, Te

Bioorg. Med. Chem. **1995**, *3*, 1263

STRUCTURE-ACTIVITY STUDIES OF PHOSPHORYLATED PEPTIDE INHIBITORS OF THE ASSOCIATION OF PHOSPHATIDYLINOSITOL 3-KINASE WITH PDGF-β RECEPTOR

K. Ramalingam, S.R. Eaton, W.L.Cody, G.H. Lu,[1] R.L. Panek,[1] L.A. Waite, S.J. Decker,[2] J.A. Keiser[1] and A.M. Doherty, Departments of Chemistry, [1]Cardiovascular Ther. and [2]Signal Transduction, Parke-Davis Pharm. Res., Div. Warner-Lambert Co., 2800 Plymouth Road, Ann Arbor, MI 48105.

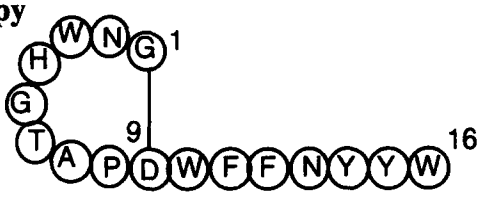
The structure-activity relationships of several phosphopeptide inhibitors derived from Tyr^{751} of the PDGF-β receptor were examined.



Bioorg. Med. Chem. **1995**, *3*, 1273

Solution structure of endothelin B receptor selective antagonist RES-701-1 determined by ^{1}H NMR spectroscopy

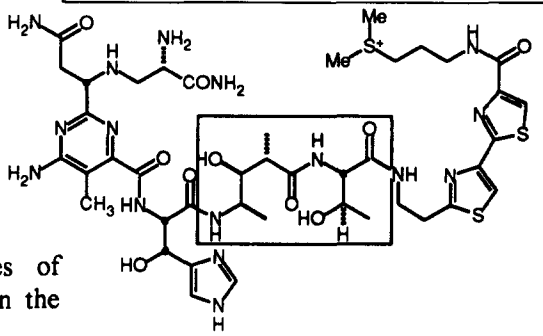
Ritsuko Katahira, Kenji Shibata, Motoo Yamasaki, Yuzuru Matsuda, and Mayumi Yoshida*
Tokyo Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., 3-6-6, Asahimachi, Machida-shi, Tokyo 194, JAPAN



Abstract:The three dimensional structure of the endothelin B receptor (ET_B) selective antagonist RES-701-1 has been determined by ^{1}H NMR in deuterated dimethyl sulphoxide. The structural calculations were carried out with the combined use of distance geometry and simulated annealing. The result indicates that RES-701-1 adopts an extraordinary folding; the "tail" (Trp10-Trp16) passes through the "ring" region (Gly1-Asp9). Several critical NOEs directly support this extraordinary folding.

Bioorg. Med. Chem. **1995**, *3*, 1281

Synthesis of Key Analogs of Bleomycin A_2 that Permit a Systematic Evaluation of the Linker Region: Identification of an Exceptionally Prominent Role for the L-Threonine Substituent. Dale L. Boger,* Steven L. Colletti, Shuji Teramoto, Timothy M. Ramsey and Jiacheng Zhou, *Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, California 92037.*



Abstract. The synthesis and evaluation of a full series of deglycobleomycin A_2 analogs containing systematic variations in the linker domain is described.