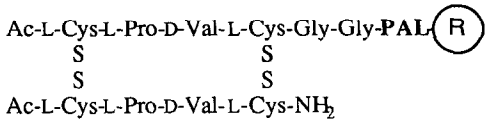
GRAPHICAL ABSTRACTS

BioMed. Chem. Lett. **1992**, *2*, 281

SYNTHESIS AND ION-BINDING PROPERTIES OF AN IMMOBILIZED BIS-CYSTEINE PEPTIDE

Carlos García-Echeverría*, Miquel Pons, Ernest Giralt, and Fernando Albericio*
Department of Organic Chemistry, University of Barcelona, 08028-Barcelona, Spain.

The immobilized peptide forms complexes with sodium ions in trifluoroethanol with high affinity and considerable selectivity.



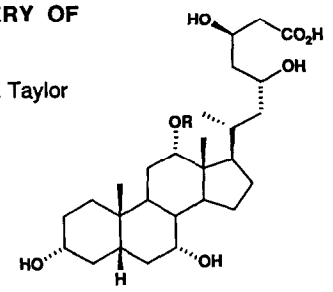
BioMed. Chem. Lett. **1992**, *2*, 285

A NOVEL APPROACH TO THE SITE SPECIFIC DELIVERY OF POTENTIAL HMG-CoA REDUCTASE INHIBITORS

Keith A. Menear*, Dilip Patel, Valerie Clay, Colin Howes & Peter W. Taylor

CIBA-Geigy Pharmaceuticals
Wimblehurst Road, Horsham, West Sussex RH12 4AB, U.K.

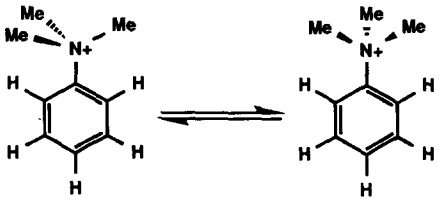
Abstract; A novel approach to the site specific delivery of potential HMG-CoA reductase inhibitors based on bile acid uptake is described.



BioMed. Chem. Lett. **1992**, *2*, 291

AMBER FORCE FIELD PARAMETERS FOR THE TRIMETHYLANILINIUM CATION

Hans-Jürgen-Thiem, David J. Wiedenfeld*, Jung-Goo Lee, and Richard A. Friesner*
Department of Chemistry, Columbia University, New York, New York 10027



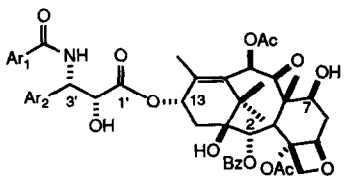
Minimum energy (left) and saddle point (right) structures for the trimethylanilinium cation were found with ab initio calculations and used with electrostatic fitting calculations to derive AMBER parameters

BioMed. Chem. Lett. **1992**, *2*, 295

NOVEL BIOLOGICALLY ACTIVE TAXOL ANALOGUES: BACCATIN III 13-(*N*-*p*-CHLOROBENZOYL) (2'*R*,3'*S*)-3'-PHENYLISOSERINATE) AND BACCATIN III 13-(*N*-BENZOYL)-(2'*R*,3'*S*)-3'-(*p*-CHLORO-PHENYL)ISOSERINATE)

Gunda I. Georg* and Zacharia S. Cheruvallath, Department of Medicinal Chemistry,
Richard H. Himes* and Magdalena R. Mejillano, Department of Biochemistry,
University of Kansas, Lawrence, KS 66045-2506 U.S.A.

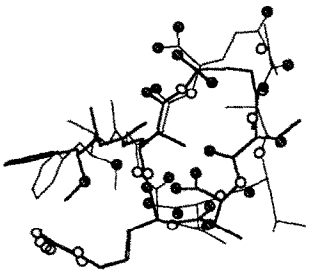
Two novel taxol analogues **2** (Ar_1 = *p*-chlorophenyl; Ar_2 = phenyl) and **3** (Ar_1 = phenyl; Ar_2 = *p*-chlorophenyl) were synthesized. Both analogues were found to possess activity in the microtubule assembly assay and cytotoxicity against B16 melanoma cells, which was comparable to taxol (**1**) (Ar_1, Ar_2 = phenyl).



BioMed. Chem. Lett. **1992**, *2*, 299

AN ALTERNATIVE COMPUTER MODEL OF THE 3-DIMENSIONAL STRUCTURES OF MICROCYSTIN-LR AND NODULARIN RATIONALISING THEIR INTERACTIONS WITH PROTEIN PHOSPHATASES 1 AND 2A

Cherie Taylor, Ronald J. Quinn*, Richard McCulloch†, Rie Nishiwaki-Matsushima# and Hirota Fujiki#
School of Science, Griffith University, Brisbane, 4111, Australia,
†Chemical Designs Ltd, Oxford OX2, OJB, England and
#National Cancer Center Research Institute, Tokyo 104, Japan



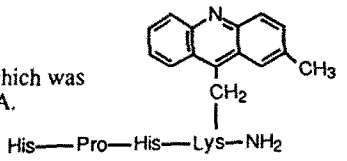
The 3-dimensional structures of two cyclic peptides of the okadaic acid class of protein phosphatase inhibitors were found to have the same orientation of (2S,3S,8S,9S) 3-amino-9-methoxy-2,6,8-trimethyl-10-phenyldeca-4(E),6(E)-dienoic acid (Adda) with respect to both peptide rings.

BioMed. Chem. Lett. **1992**, *2*, 303

A PEPTIDE-ACRIDINE CONJUGATE WITH RIBONUCLEOLYTIC ACTIVITY

Ching-Hsuan Tung, Yon Ebright, Xueyu Shen and Stanley Stein*
Center for Advanced Biotechnology and Medicine
679 Hoes Lane, Piscataway, NJ 08854

Ribosomal RNA was cleaved by Compound (I) which was designed to mimic the active side of ribonuclease A.



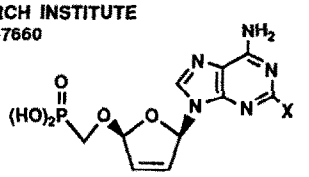
(I)

BioMed. Chem. Lett. **1992**, *2*, 307

SYNTHESIS AND ANTI-HIV ACTIVITY OF 9-[(2R,5R)-2,5-DIHYDRO-5-(PHOSPHONOMETHOXY)-2-FURANYL]-DIAMINOPURINE

CHOUNG UN KIM*, BING Y. LUH,AND JOHN C. MARTIN
BRISTOL-MYERS SQIBB COMPANY, PHARMACEUTICAL RESEARCH INSTITUTE
5 RESEARCH PARKWAY, WALLINGFORD, CONNECTICUT 06492-7660

A novel conversion of **1** to **2**.



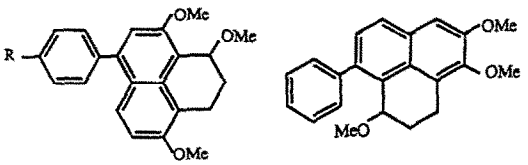
1 X=H
2 X=NH_2

BioMed. Chem. Lett. **1992**, *2*, 311

PHENALENE METABOLITES FROM *EICHHORNIA CRASSIPES*

M. DELLA GRECA, R. LANZETTA, A. MOLINARO, P. MONACO, L. PREVITERA
Dipartimento di Chimica Organica e Biologica, Università Federico II
Via Mezzocannone 16, I-80134 Napoli, Italy.

Three novel metabolites with the phenalene skeleton have been characterized on the basis of their spectroscopic properties. The compounds inhibited the growth of the alga *Porphyridium aerugineum*.



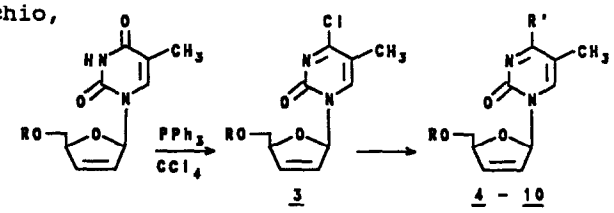
R = H R = OMe

BioMed. Chem. Lett. **1992**, 2, 315

SYNTHESIS OF 2',3'-DIDEOXY-2',3'-DIDEHYDRONUCLEOSIDE ANALOGUES AS POTENTIAL ANTI HIV AGENTS

L.De Napoli, A.Messere, D.Montesarchio, G.Piccialli and C.Santacroce.
Universita' di Napoli (Italy).

The 4-substituted pyrimidine 2',3'-dideoxy-2',3'-didehydro-nucleosides (**4**-**10**) have been synthesized via intermediate **3**.

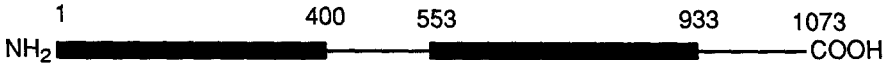


BioMed. Chem. Lett. **1992**, 2, 319

MUTATIONAL ANALYSIS OF TWO PUTATIVE DOMAINS WITHIN THE LARGE SUBUNIT OF CARBAMOYL PHOSPHATE SYNTHETASE

Frank M. Raushel, Bryant W. Miles, Laura E. Post, and David J. Post; Department of Chemistry, Texas A&M University, College Station, Texas 77843

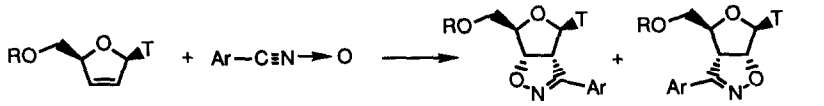
Truncated and chimeric mutants of the large subunit of carbamoyl phosphate synthetase were constructed to test for functional differences between the two homologous halves of this protein.



BioMed. Chem. Lett. **1992**, 2, 323

1,3-DIPOLAR CYCLOADDITION REACTIONS OF NITRILE OXIDES TO 2',3'-DIDEHYDRO-2',3'-DIDEOXYTHYMIDINE (d4T)

Jae Nyoung Kim, Eung K. Ryu,* Zaesung No, and Ill Young Lee
Division of Organic Chemistry, Korea Research Institute of Chemical Technology, P. O. Box 9, Daedeog-Danji, Daejeon 305-606, Korea.

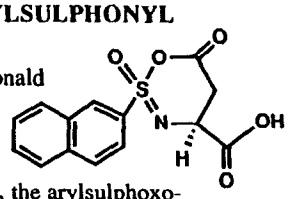


R = H, TBDMS, Ar = 2,6-$Cl_2C_6H_3$

BioMed. Chem. Lett. **1992**, 2, 325

NOVEL OXATHIAZINONES AS GASTRIN LIGANDS: UNEXPECTED PRODUCTS FROM THE SCHOTTEN-BAUMANN REACTION OF ARYLSULPHONYL CHLORIDES WITH DERIVATIVES OF ASPARTIC ACID

Caroline M.R. Low*, Howard B.Broughton, S. Barret Kalindjian, and Iain M. McDonald
James Black Foundation, 68 Half Moon Lane, London SE24 9JE,UK



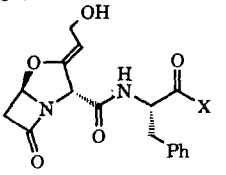
The synthesis and identification of a previously undescribed heterocyclic ring system, the arylsulphoxo-2,3-dehydro-1,2,3-oxathiazin-6-ones is presented. The novel compounds are active at the Gastrin receptor.

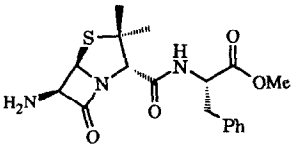
BioMed. Chem. Lett. **1992**, *2*, 331

β-LACTAM PEPTIDES AS POTENTIAL INHIBITORS OF THE HIV gp120-CD4 INTERACTION

Richard L. Jarvest* and L. John Jennings
SmithKline Beecham Pharmaceuticals, Great Burgh, Yew Tree Bottom Road, Epsom, Surrey KT18 5XQ, U.K.

Peptides with an N-terminal clavulanic acid or 6-aminopenicillanic acid moiety were prepared as potential inhibitors of the binding interaction of HIV gp 120 with the CD4 receptor.

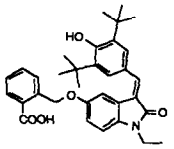




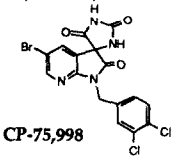
BioMed. Chem. Lett. **1992**, *2*, 333

CP-70,030 and CP-75,998 : The First Non-Peptide Antagonists of Bombesin and Gastrin Releasing Peptide

James J. Valentine, Susumu Nakanishi, David L. Hageman, R. Michael Snider, Robin W. Spencer*, and Fredric J. Vinick
Central Research Division, Pfizer Inc, Groton, CT 06340



CP-70,030



CP-75,998

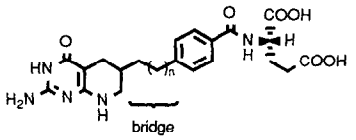
CP-70,030 and CP-75,998 were identified in a screening program as compounds able to displace [^{125} I]-gastrin releasing peptide (GRP) from its rat brain receptor. We describe here the syntheses of these compounds and their characterization as bonafide GRP antagonists.

BioMed. Chem. Lett. **1992**, *2*, 339

SYNTHESIS AND BIOLOGICAL ACTIVITY OF NOR- AND HOMO-5,10-DIDEAZATETRAHYDROFOLIC ACID

C. Shih*, G. B. Grindey
Lilly Research Laboratories
Eli Lilly and Company, Indianapolis, IN 46285
E. C. Taylor*, P. M. Harrington
Department of Chemistry, Princeton University,
Princeton, NJ 08544

The syntheses of two new bridge analogs of 5,10-dideazatetrahydrofolic acid (DDATHF) are described.



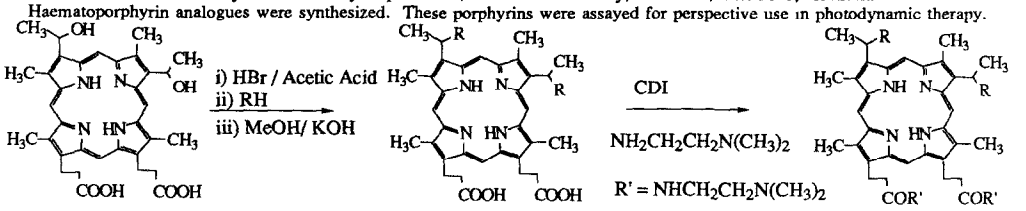
1 DDATHF (n=1)
2 Nor-DDATHF (n=0)
3 Homo-DDATHF (n=2)

BioMed. Chem. Lett. **1992**, *2*, 343

SYNTHESIS AND PHOTOTOXICITY OF A SERIES OF HAEMATOPORPHYRIN ANALOGUES

Kathryn W. Woodburn,* Don R. Phillips, Geoff C. A. Bellinger, Maruse Sadek, Robert T. C. Brownlee and James A. Reiss
Biochemistry and Chemistry Departments, La Trobe University, Bundoora, Vic. 3083, Australia.

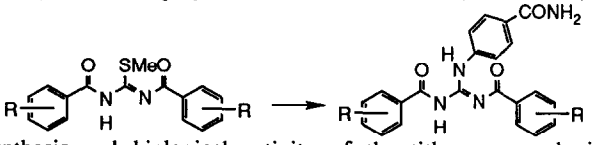
Haematoporphyrin analogues were synthesized. These porphyrins were assayed for perspective use in photodynamic therapy.



BioMed. Chem. Lett. **1992**, *2*, 345

THE SYNTHESIS AND COGNITION ENHANCING EFFECTS OF A SERIES OF DIBENZOYL GUANIDINES. ANALOGS OF N,N'-[[4-(AMINO-CARBONYL)PHENYL]CARBONIMIDOYL]BIS[BENZAMIDE]

G. H. Birnberg, W. J. Fanshawe, J. I. Levin, J. W. Epstein*, B. Beer,♦ R. T. Bartus† and R. L. Dean III†
American Cyanamid Company, Medical Research Division, Pearl River, New York 10965

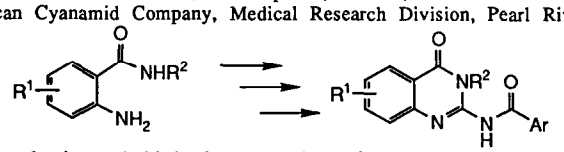


The synthesis and biological activity of the title compounds is described.

BioMed. Chem. Lett. **1992**, *2*, 349

SYNTHESIS AND ACTIVITY IN COGNITION-RELATED TESTS OF NOVEL 2-BENZOYLAMINO-4-OXOQUINAZOLINES

J. I. Levin*, W. J. Fanshawe, J. W. Epstein, B. Beer,♦ R. T. Bartus† and R. L. Dean III†
American Cyanamid Company, Medical Research Division, Pearl River, New York 10965



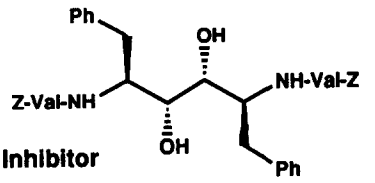
The synthesis and biological activity of the title compounds is described.

BioMed. Chem. Lett. **1992**, *2*, 353

SYNTHESIS OF C2-SYMMETRIC HIV-1 PROTEASE INHIBITORS FROM D-MANNITOL

Prabhakar K. Jadhav* and Francis J. Woerner
Research & Development Division,
The DuPont Merck Pharmaceutical Company,Experimental Station,
P. O. Box 80328,Wilmington, DE 19880-0328

Synthesis of C2-symmetric HIV-1 protease inhibitors from D-mannitol is described.



D-MANNITOL → → **HIV-1 Protease Inhibitor**