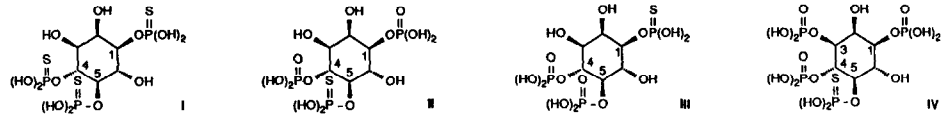
GRAPHICAL ABSTRACTS

BioMed. Chem. Lett. **1991**, *1*, 239

AN EXPEDITIOUS SYNTHESIS OF BIOLOGICALLY IMPORTANT *MYO*-INOSITOL PHOSPHOROTHIOATES

C.E. Dreef[a], G.W. Mayr[b], J.-P. Jansze[a], H.C.P.F. Roelen[a], G.A. van der Marel[a] and J.H. van Boom[a]
[a]*Gorlaeus Laboratories, P.O. Box 9502, 2300 RA Leiden, The Netherlands*
[b]*Ruhr University Bochum, Institute for Physiological Chemistry, 4630 Bochum 1, FRG*



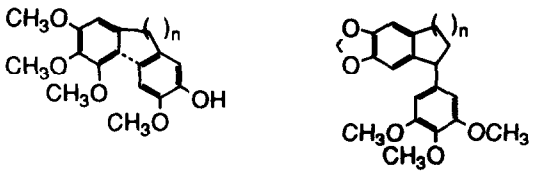
The *myo*-inositol phosphorothioates I-IV were readily accessible by efficient phosphitylation and thioylation methods.

BioMed. Chem. Lett. **1991**, *1*, 243

TUBULIN BINDING OF CONFORMATIONALLY RESTRICTED BIS-ARYL COMPOUNDS

Scot K. Huber, Karl A. Werbovetz, Judy Obaza-Nutaitis,
Erich K. Lehnert, And Timothy L. Macdonald*
Department of Chemistry, University of Virginia, Charlottesville, VA 22901

A series of bis-aryl analogs were assayed for their abilities to inhibit tubulin polymerization as part of a program to define the relationship between the aryl ring systems of colchicinoid antimitotic drugs and tubulin binding.

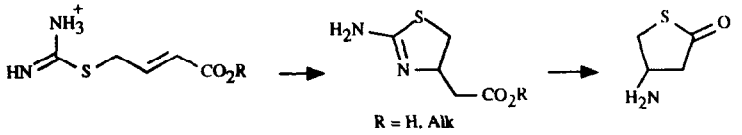


BioMed. Chem. Lett. **1991**, *1*, 247

(±)-2-AMINO-2-THIAZOLINE-4-ETHANOIC ACID; A NOVEL SPECIFIC $GABA_A$ RECEPTOR AGAONIST

M.M. Campbell, S.J. Mickel and G. Singh
School of Chemistry, University of Bath, Claverton Down, BA2 7AY.

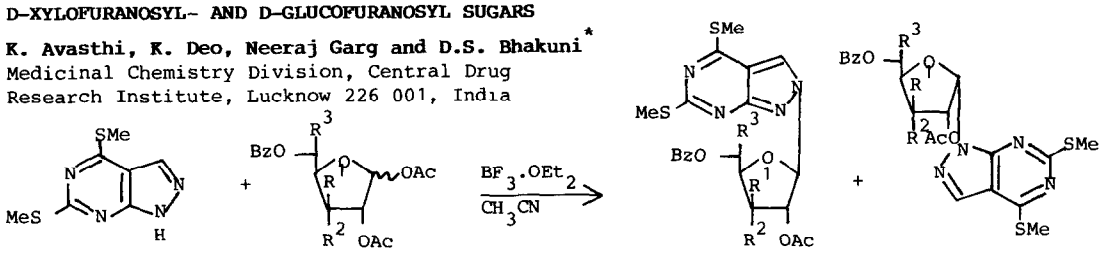
Intramolecular Michael cyclization led directly to 2-amino-2-thiazoline-4-ethanoic acid, a new and potent member of the limited group of specific $GABA_A$ receptor agonists.



BioMed. Chem. Lett. **1991**, *1*, 249

REGIOSELECTIVITY OF GLYCOSYLATION REACTION OF 4,6-BIS(METHYLTHIO)-1H-PYRAZOLO[3,4-d]PYRIMIDINE WITH D-XYLOFURANOSYL- AND D-GLUCOFURANOSYL SUGARS

K. Avasthi, K. Deo, Neeraj Garg and D.S. Bhakuni*
Medicinal Chemistry Division, Central Drug
Research Institute, Lucknow 226 001, India

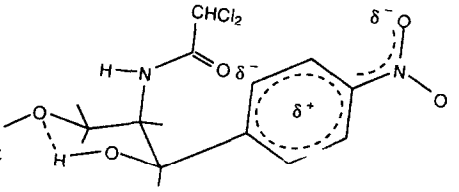


BioMed. Chem. Lett. **1991**, *1*, 253

CHLORAMPHENICOL: HIGH-DILUTION FT-IR EVIDENCE FOR AN INTRAMOLECULAR HYDROGEN BOND

Anthony L. Fitzhugh, PRI/DynCorp., NCI-FCRDC, P.O Box B
Frederick, MD 21702-1201

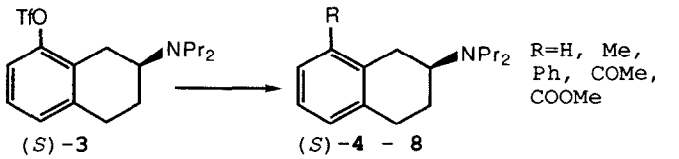
Chloramphenicol is an inhibitor of protein biosynthesis. In solution it exists largely in one preferred conformation. Previous studies have suggested that this preferred conformation is stabilized solely through dipolar attractive forces. Using FT-IR, we have obtained physical evidence that intramolecular hydrogen-bonding also plays a significant role.



BioMed. Chem. Lett. **1991**, *1*, 257

C8-SUBSTITUTED DERIVATIVES OF 2-(DIPROPYLAMINO)TETRALIN: PALLADIUM-CATALYZED SYNTHESIS AND INTERACTIONS WITH 5-HT$_{1A}$-RECEPTORS

Ye Liu,[a] Björn E. Svensson,[b] Hong Yu,[c] Lourdes Cortizo,[a] Svante B. Ross,[b] Tommy Lewander,[c] and Uli Hacksell[a],*
[a]*Dept Org Pharm Chem, Uppsala U,* [b]*Res & Dev Labs, Astra Research Centre, Södertälje.* [c]*Dept Psychiatry, Uppsala U, Uppsala, Sweden*



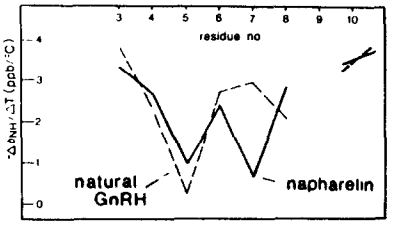
The enantiomers of **4-8** were synthesized from (*R*)- or (*S*)-**3**. They bind with high affinity to 5-HT$_{1A}$-receptors.

BioMed. Chem. Lett. **1991**, *1*, 263

A CONFORMATION-PREFERENCE/POTENCY CORRELATION FOR GnRH ANALOGS: NMR EVIDENCE

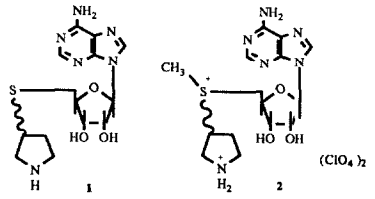
N. H. Andersen and P. K. Hammen
Chem. Dept., University of Washington, Seattle, WA 98195

Napharelin (unlike native GnRH) yields aqueous NMR data consistent with the formation of a 6-7 reverse turn (the putative bioactive conformation) upon addition of $(CF_3)_2CHOH$. This structuring is most readily seen in the comparison of NH shift temperature gradients along the backbone and confirmed by sequence specific cross-peaks in the NOESY sprectrum



BioMed. Chem. Lett. **1991**, *1*, 267

RESTRICTED ROTATION ANALOGS OF DECARBOXYLATED S-ADENOSYLMETHIONINE AS INHIBITORS OF POLYAMINE BIOSYNTHESIS. Kirk A. Douglas, Michele M. Zormeier, Lisa M. Marcolina and Patrick M. Woster*
Department of Pharmaceutical Sciences, College of Pharmacy and Allied Health Professions, Wayne State University, Detroit, MI 48202.



The synthesis and preliminary biological evaluation of S-adenosylmethionine analogs **1** and **2** is described. In an L1210 cultured cell assay, these compounds, designed as conformationally restricted active site probes for spermidine and spermine synthase, exhibit LD_{50} values of 0.1 and 1.0 mM, respectively. In addition, these compounds have significant effects on the levels of cellular polyamines and S-adenosylmethionine.

BioMed. Chem. Lett. **1991**, *1*, 271

Preparation and Evaluation of Optically Active 4,4-Difluorothreonine as a Potent Novel Antitumor Material

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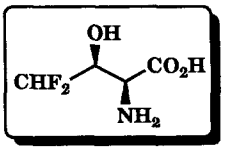
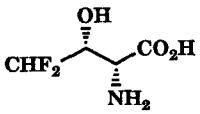
Department of Bioengineering, Tokyo Institute of Technology, Nagatsuta, Midori-ku Yokohama 227, Japan



(2*S*, 3*S*)



(2*R*, 3*R*)

The title compound was prepared via enzymatic hydrolysis of the corresponding *N*,*O*-diacetylated ester to be found out the distinguished antitumor activity only for 4,4-difluorothreonine with (2*S*,3*S*) stereochemistry.

BioMed. Chem. Lett. **1991**, *1*, 277

GLUTATHIONE PEROXIDASE : REDOX CHEMISTRY OF ACTIVE SITE MODEL PEPTIDES

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. Unité 16 INSERM Place de Verdun 59045 Lille France. §. URA 351 CNRS 59655 Villeneuve d'Ascq France