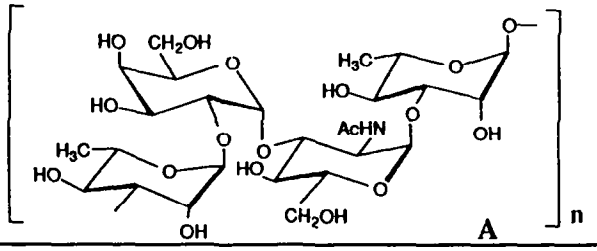
SYNTHESIS OF DI- TO PENTA-SACCHARIDES RELATED TO THE *O*-SPECIFIC POLYSACCHARIDE OF *SHIGELLA DYSENTERIAE* TYPE 1, AND THEIR NUCLEAR MAGNETIC RESONANCE STUDY

BioMed. Chem. **1993**, *1*, 237

Vince Pozsgay[a,b,*], Bruce Coxon[c], and Herman Yeh[b] *National Institutes of Health, [a]NICHD and [b]NIDDK, Bethesda, MD, and [c]National Institute of Standards and Technology, Gaithersburg, MD, U.S.A.*



Di- to penta-saccharide methyl glycosides corresponding to the *O*-polysaccharide (A) of the LPS of *Shigella dysenteriae* type 1 were synthesized.

DEFINITION OF A PHARMACOPHORE FOR THE METABOTROPIC GLUTAMATE RECEPTORS NEGATIVELY LINKED TO ADENYLYL CYCLASE

BioMed. Chem. **1993**, *1*, 259

Gabriele Costantino[#], Benedetto Natalini[#], Roberto Pellicciari[#]*

[#] Istituto di Chimica Farmaceutica e Tecnica Farmaceutica, Università degli Studi di Perugia, Via del Liceo, 1; 06123 PERUGIA, ITALY

Flavio Moroni[§], Grazia Lombardi[§]

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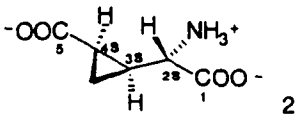
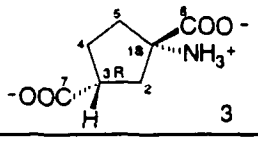
A conformational analysis has been carried out on the two conformationally restrained L-Glu analogs 2 and 3. The data obtained have then been instrumental to define a pharmacophore model for the mGluR↓cAMP receptor subtype.



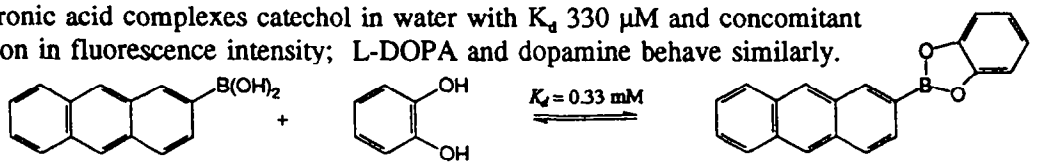


FLUORESCENT CHEMOSENSING OF CATECHOL AND CATECHOLAMINES IN WATER

BioMed. Chem. **1993**, *1*, 267

Juyoung Yoon and Anthony W. Czarnik*, Department of Chemistry, Ohio State University, Columbus, OH

2-Anthrylboronic acid complexes catechol in water with K_d 330 μM and concomitant 20-fold reduction in fluorescence intensity; L-DOPA and dopamine behave similarly.

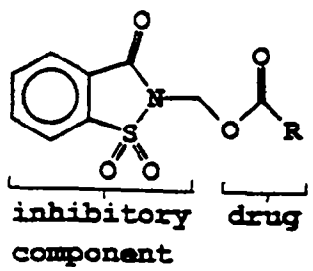


Dual-Action Inhibitors of Proteolytic Enzymes: Potential Therapeutic Agents for Cystic Fibrosis and Related Ailments

BioMed. Chem. **1993**, *1*, 273

W.C. Groutas*, H. Huang, R. Venkataraman, N. Houser-Archield, J.B. Epp
Department of Chemistry, Wichita State University, Wichita, KS 67260-0052

A series of dual-action compounds has been synthesized and shown to be efficient inhibitors of neutrophil elastase.

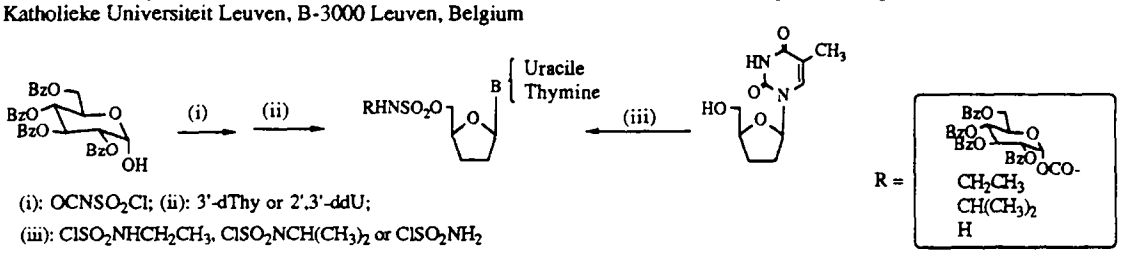


BioMed. Chem. **1993**, *1*, 279

GLYCOSYL-OXYCARBONYLAMINOSULFONYL-2',3'-DIDEOXYNUCLEOSIDE DERIVATIVES AS LIPOPHILIC NUCLEOTIDE MIMICS. SYNTHESIS AND ANTI-HIV ACTIVITY

María-Jesús Pérez-Pérez[+], Jan Balzarini[§], Erik De Clercq[§] and María-José Camarasa*[+]

[+]Instituto de Química Médica (C.S.I.C.), Juan de la Cierva 3, 28006 Madrid, Spain. [§] Rega Institute for Medical Research, Katholieke Universiteit Leuven, B-3000 Leuven, Belgium



(i): $OCNSO_2Cl$; (ii): 3'-dThy or 2',3'-ddU;

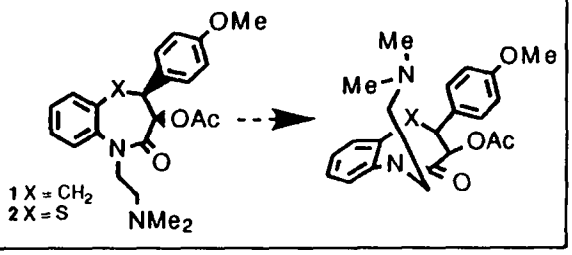
(iii): $ClSO_2NHCH_2CH_3$, $ClSO_2NCH(CH_3)_2$ or $ClSO_2NH_2$

1-Benzazepin-2-one Calcium Channel Blockers. VI. Receptor-Binding Model and Possible Relationship to Desmethoxyverapamil.

BioMed. Chem. **1993**, *1*, 285

S. David Kimball*, John T. Hunt, Joel C. Barrish, Jagabandhu Das, David M. Floyd, Michael W. Lago, Ving G. Lee, Steven H. Spergel, Suzanne Moreland, S. Anders Hedberg, Jack Z. Gougoutas*, Mary F. Malley, Wan F. Lau
Bristol-Myers Squibb Pharmaceutical Research Institute
Princeton, New Jersey 08543-4000

Conformationally constrained benzazepinones **1** were prepared to establish the receptor bound conformation of **1** and diltiazem (**2**). Active analogs can place the methyl ether and amine pharmacophores in close apposition, approximately the same distance apart as in 3-methoxy phenylethylamine.

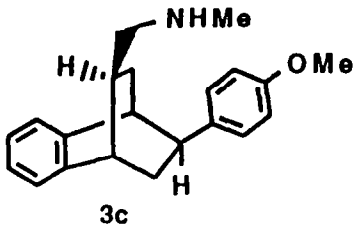


Conformationally Constrained Calcium Channel Blockers: Novel Mimics of 1-Benzazepin-2-ones

BioMed. Chem. **1993**, *1*, 309

Joel C. Barrish*, Steven H. Spergel, Suzanne Moreland, Gary Grover, S. Anders Hedberg, Andrew T. Pudzianowski, Jack Z. Gougoutas, and Mary F. Malley
Bristol-Myers Squibb Pharmaceutical Research Institute
Princeton, New Jersey 08543-4000

Conformationally constrained bicyclo[2.2.2]octyl amines, represented by **3c**, were prepared as mimics of the putative receptor binding conformation of calcium channel blockers related to diltiazem.



3c