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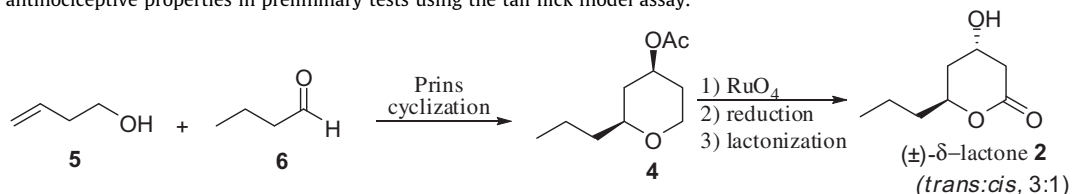
Preliminary Communications

Structural determination *Vitex cymosa* Bertero active principle: Diastereoselective synthesis of (±)-*trans*-4-hydroxy-6-propyl-1-oxocyclohexan-2-one and its antinociceptive activity

pp 181–185

Leandro S. de Maris e Miranda, Bruno Guimarães Marinho, Jeronimo S. Costa, Suzana G. Leitão, Tereza Cristina dos Santos, Franco Delle Monache, Patricia Dias Fernandes, Mário Luiz A.A. Vasconcellos and Vera L. Patrocínio Pereira*

The synthesis of (±)- δ -lactone **2** allowed the elucidation of the correct structure of *Vitex cymosa* Bertero active principle. The (±)- δ -lactone showed significant antinociceptive properties in preliminary tests using the tail flick model assay.

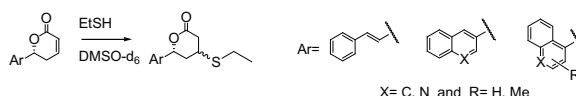


Michael acceptor properties of 6-bicycloaryl substituted (R)-5,6-dihydro-2H-pyran-2-ones

pp 186–189

Pınar Kasaplar, Özgür Yılmaz Çakmak and Ali Çağır*

Michael acceptor property of 5,6-dihydro-2H-pyran-2-ones is crucial for biological activity, and potency depends upon the Log *P* values and 3D structure of the substituents on lactone ring.



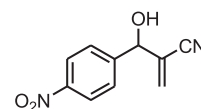
Regular Articles

3-Hydroxy-2-methylene-3-(4-nitrophenyl)propanenitrile: A new highly active compound against epimastigote and trypomastigote form of *Trypanosoma cruzi*

pp 190–195

Jana M. Sandes, Andrezza R. Borges, Cláudio G.L. Junior, Fábio P.L. Silva, Gabriel A.U. Carvalho, Gerd B. Rocha,*** Mário L.A.A. Vasconcellos** and Regina C.B.Q. Figueiredo*

A new experimental protocol: preparation and one step reaction at low temperature (0 °C) in 10 min of reaction (100%).



Inhibited *Trypanosoma cruzi* epimastigote
Caused intense trypomastigotes lysis

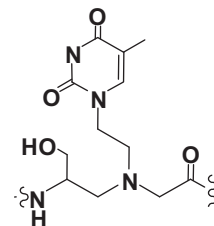
IC₅₀/72h of 5.8 µg/ml (28.5µM)
IC₅₀/24h of 5.2 µg/ml (25.5µM)

γ -Hydroxymethyl PNAs: Synthesis, interaction with DNA and inhibition of protein/DNA interactions

pp 196–201

Soccorsa Pensato, Michele Saviano, Nicoletta Bianchi, Monica Borgatti, Enrica Fabbri, Roberto Gambari and Alessandra Romanelli*

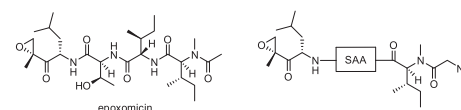
γ -Hydroxymethyl PNAs were synthesised and incorporated into PNA oligomers. The ability to bind to DNA and to disrupt DNA/transcription factor complexes was investigated.

**Sugar amino acid based peptide epoxyketones as potential proteasome inhibitors**

pp 202–209

Martijn D.P. Risseuw, Bogdan I. Florea, Gijsbert A. van der Marel, Herman S. Overkleeft* and Mark Overhand**

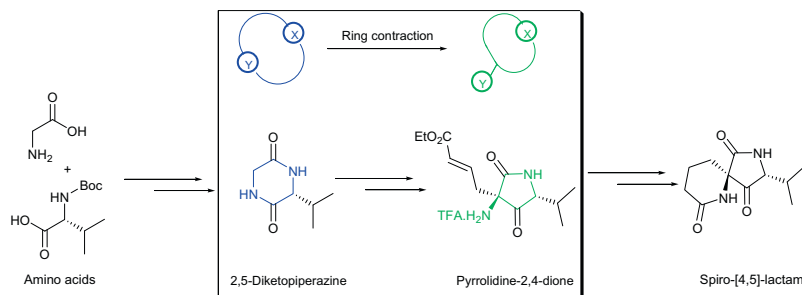
Sugar amino acid based peptide epoxyketones as potential proteasome inhibitors.

**Stereoselective ring contraction of 2,5-diketopiperazines: An innovative approach to the synthesis of promising bioactive 5-membered scaffolds**

pp 210–217

Thibault Coursindel, Audrey Restouin, Georges Dewynter, Jean Martinez, Yves Collette and Isabelle Parrot*

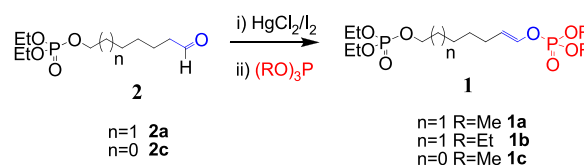
Ring contraction of 2,5-diketopiperazines by TRAL-alkylation led us to the stereoselective synthesis of original pyrrolidine-2,4-diones, promising homologues with moderate antiproliferating activities on breast cancer cells.

**Synthesis and antibacterial activity of novel enolphosphate derivatives**

pp 218–223

Claude Grison,* Nicolas Barthes, Chantal Finance and Raphael E. Duval

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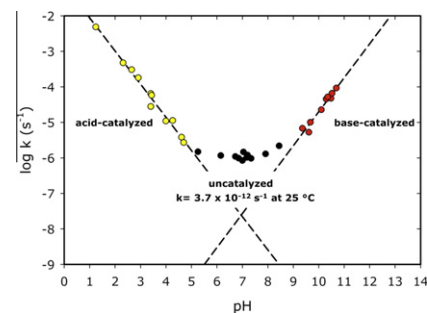


The rate of spontaneous cleavage of the glycosidic bond of adenosine

pp 224–228

Randy B. Stockbridge, Gottfried K. Schroeder and Richard Wolfenden*

We show that hydrolysis of the glycosidic C–N bond of adenosine, previously known to be subject to hydrolysis in acid and base, also proceeds through a pH-independent mechanism with a rate constant of $4 \times 10^{-12} \text{ s}^{-1}$ at 25 °C.

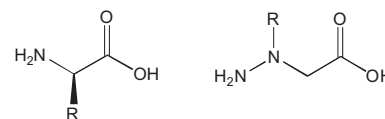


Aza-β³-amino acid containing peptidomimetics as cAMP-dependent protein kinase substrates

pp 229–233

Ksenija Kisseljova, Aleksei Kuznetsov, Michèle Baudy-Floc'h and Jaak Järvi*

Peptidomimetics, synthesized by replacement of natural amino acids (left) by their aza-β³ analogs (right) in peptide RRASVA were phosphorylated by cAMP-dependent protein kinase catalytic subunit. The impact of the peptide backbone modification was dependent upon its location along the substrate molecule, and seems to be in correlation with the specificity pattern for peptide side chains.

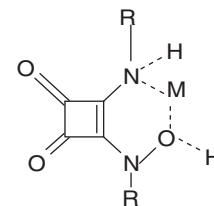


The binding site of zinc and indium metal to amino acid derivatized squarate complexes – Implications in inhibitor and mediator designs

pp 234–241

Natasha Ramroop-Singh,* Dyer Narinesingh, Gurdial Singh, Christopher T. Seto and Anthony B. Comeau

Three novel metal squaric acid–peptide complexes, SQI–SQIII were prepared by addition of indium triflate or zinc chloride to the previously reported compounds [1], 3-(hydroxymethylamino)-4-(L-isoleucine methyl ester)-3-cyclobutene-1,2-dione (squarate 1), and 3-(hydroxymethylamino)-2-(L-isoleucine methyl ester)-4-thioxo-2-cyclobutene-1-one (squarate 2). The structures of SQI–SQIII were elucidated using NMR analysis. The electrochemical applications of two of these metal–squaric acid systems (SQI and SQII) were also investigated. Incorporation of SQII as a mediator, in the previously optimized Pt/p(HEMA)/p(pyrrole)/GOx electrode using the ionic liquid [bmim][BF₄] as the solvent medium, produced a biosensor with enhanced properties, namely a sensitivity of 175.9 mA/M D-glucose, working potential of +200 mV, large linear range (0–12 mM) and a detection limit of $1 \times 10^{-6} \text{ M}$.

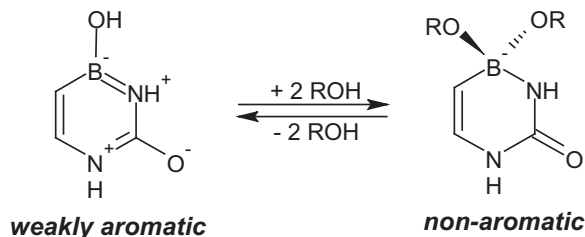


The aromaticity of 5,6-dihydroboraauracil, boraauracil and benzoboraauracil systems

pp 242–245

Tomasz Ruman,* Adam Jarmuła and Wojciech Rode

The nucleus-independent chemical shift (NICS) indices of aromaticity have been calculated and discussed for four boron compounds: 4-hydroxy-5,6-dihydroboraauracil, 4-hydroxyboraauracil, borazine, 4-hydroxybenzoboraauracil and also for uracil. The NMR properties of the compounds mentioned are also shown along with the discussion of aromaticity in those heterocyclic systems. The NMR experimental data suggest the existence of unique solvent-dependent aromaticity of 4-hydroxyboraauracil.



* Corresponding author