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Synthetic Ion Channels

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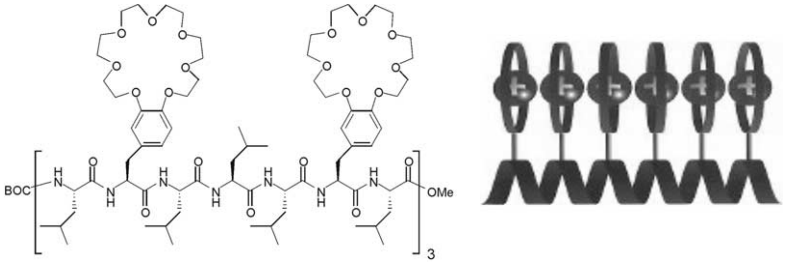
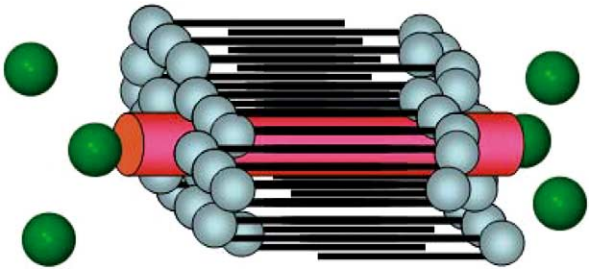
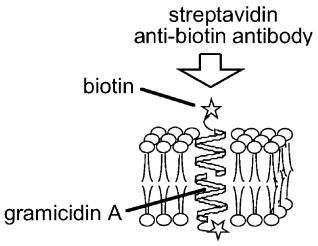
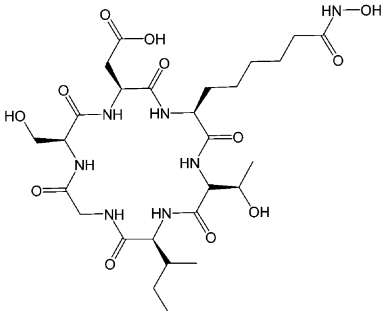


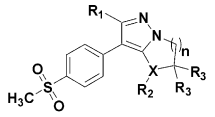




Interaction of the biotin with streptavidin or the anti-biotin antibody was monitored through the channel-current suppression of gramicidin.

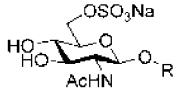
REGULAR ARTICLES





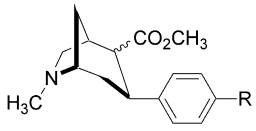
R_1 = cyclohexyl, phenyl, benzyl
R_2 = H, CH_3, $COCH_3$, CH_2COO^tBu, CH_2COOH
R_3 = H, CH_3
X = O, N
n = 1, 2

The synthesis of a series of novel bicyclic-pyrazoles and their in vitro cyclooxygenase-2 (COX-2) inhibitory activity in human whole blood (HWB) are reported.

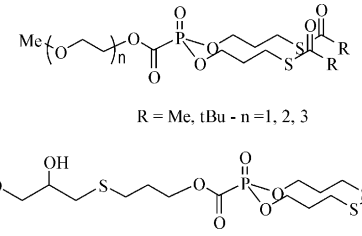


R = *p*-nitrophenyl, naphthyl, propyl, glycerol

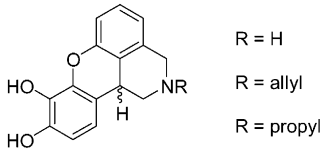
It was determined the carbonyl group frequency in the region of the infrared of *N*-[(dimethylamine)methyl] benzamides 4-substituted (set A) and their hydrochlorides (set B), that had its local anesthetical activity evaluated. The application of the Hammett equation considering the values of the absorption frequency of carbonyl group, $\nu_{C=O,}$ using the electronic constants σ, σ_I, σ_R, $\Im$ and $\Re$ leads to meaningful correlation. The nature and the contribution of substituent group electronic effects on the polarity of the carbonyl group was also analyzed. The use of the $\nu_{C=O}$ as an experimental electronic parameter for QSPR studies was validated.

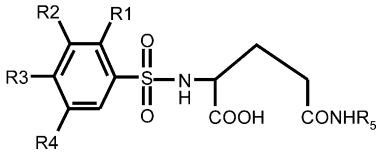


3β-aryl-2-carbomethoxy- 6-azabicyclo[3.2.1]octanes

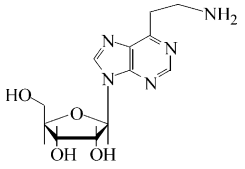


The PFA prodrugs were evaluated in vitro for their activity against human immunodeficiency viruses (HIV-1 and HIV-2).





Synthesis, biological evaluation for% tumor cell count inhibition and QSAR study was performed using physicochemical and topological parameters on some 5-*N*-substituted-2-(substituted benzenesulphonyl)-glutamines as possible anticancer agents.



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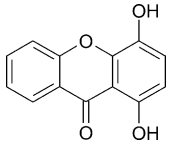
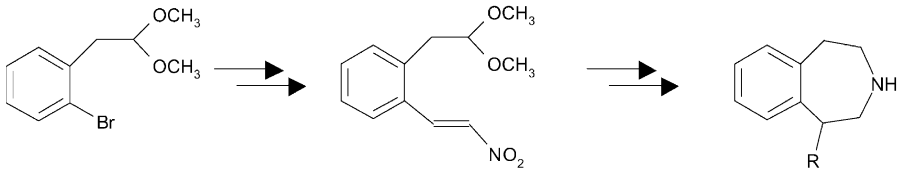
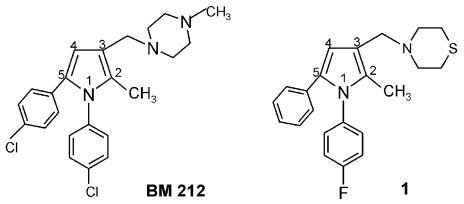
6-Substituted purine and 9-β-D-ribofuranosyl purine analogues were synthesized. Compound **8** exhibited middle inhibition on the growth of HeLa cells (70.21%) and HL-60 cells (70.85%) at 10 μM and the interaction with RNA.

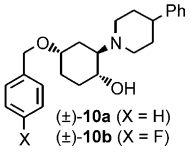


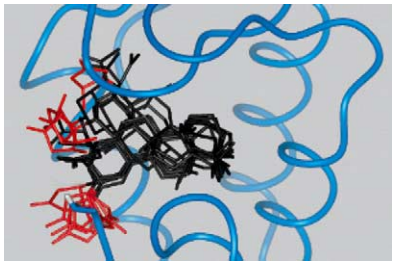
1,4-Dihydroxyxanthone modulates the adhesion of neutrophils to the human endothelial cell monolayer by inhibiting the expression of cell adhesion molecules, such as ICAM-1, VCAM-1 and E-selectin. The inhibition by 1,4-DHX is reversible and time dependent.

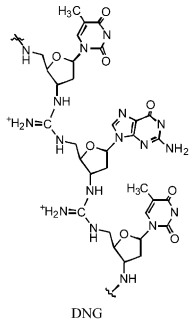


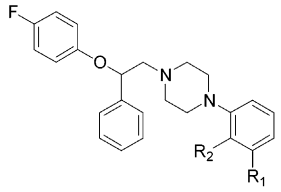


Previously we have identified **BM212** and **1**, two pyrrole derivatives with good in vitro activity against mycobacteria, on the base of a four-feature pharmacophore model individuated by us. These findings prompted us to prepare new pyrrole derivatives in the hope of increasing the activity. The microbiological data showed interesting in vitro activity against *Mycobacterium tuberculosis*.

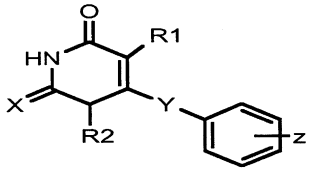


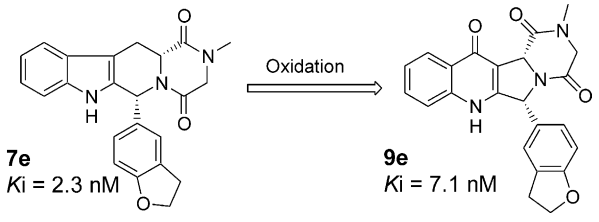






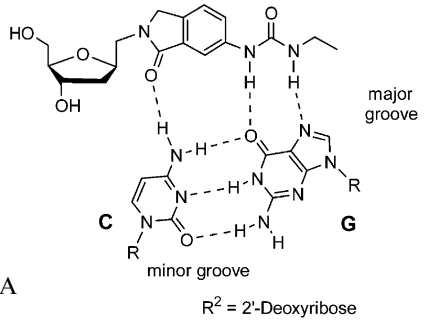
7 R_1 = Cl, R_2 = H
8 R_1 = H, R_2 = OCH_3
9 R_1 = CF_3, R_2 = H

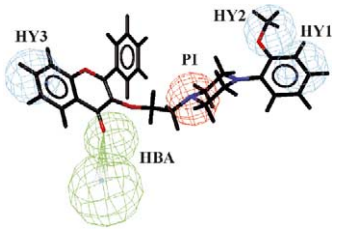


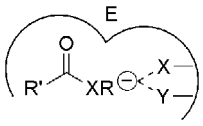


Synthesis of pyrroloquinolones **9a**–**9i** and their biological activities towards PDE5 were described.

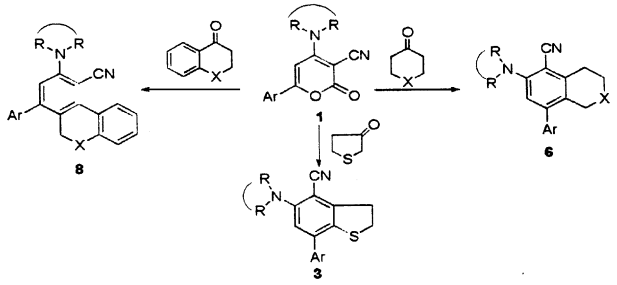
In an effort to construct non-natural bases to be used in triplex-based antigene DNA recognition strategies, a uriedo-isoindolin-1-one homo-*N*-nucleoside base was designed to bind the cytosine-guanine (CG) base pair. An organic soluble analogue of this base was shown to effectively complex CG ($K_{assoc} = 740\ M^{-1}$) in chloroform through formation of three hydrogen bonds (Mertz, E.; Mattei, S.; Zimmerman, S. C. *Org. Lett.* **2000**, *2,* 2931–2934). The novel nucleoside base was synthesized and successfully incorporated into oligonucleotides which were used in triple helix melting temperature studies. Low melting temperatures were observed when the isoindolin-1-one base was paired opposite CG as well as GC, TA, and AT, thus indicating that despite favorable recognition in model studies, the artificial base did not effectively recognize duplex DNA to form pyrimidine-purine-pyrimidine type triple helices.



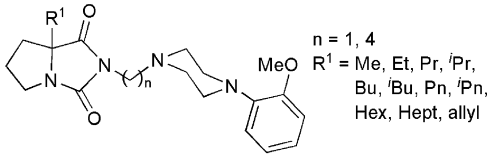


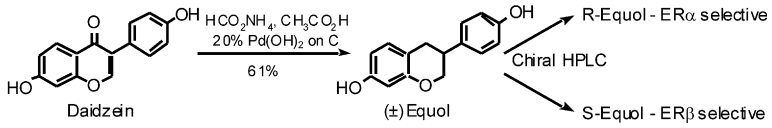


Optimization of interactions between the anionic leaving group and the enzyme active site.



Synthesis of bicyclic biaryls as glucose-6-phosphatase inhibitors is described.





(±)-Equol was prepared in one step from daidzein and separated into enantiomers by chiral HPLC. Binding and transcriptional activity through estrogen receptors α and β was determined.

OTHER CONTENTS

*Corresponding author

COVER

2004: Overlaps of the eight known aldolase alpha-beta barrels in 2-Deoxyribose-5-phosphate aldolase (DERA). Ribbon model for DERA is shown in green, with key Lys residues capable of Schiff base formation highlighted in stick figure. Reactive Lys167 is shown in yellow. DeSantis, G.; Liu, J.; Clark, D. P.; Heine, A.; Wilson, I. A.; and Wong, C.-H. *Bioorganic & Medical Chemistry* **2003**, *11*, 43–52.

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