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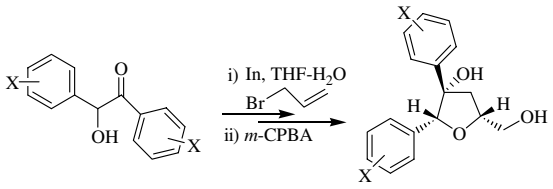
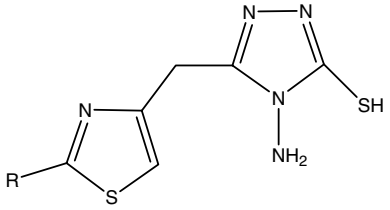
Contents

ARTICLES

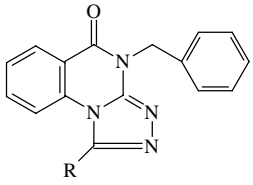


X = 4-Cl, 2-Cl, 4-F, 4-OMe, 4-SO_2Me

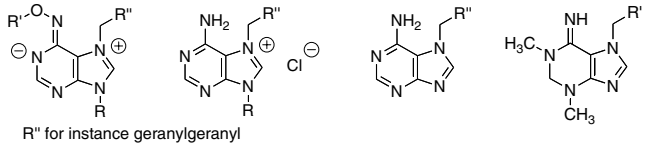
5-Hydroxymethyl-2,3-diaryl-tetrahydro-furan-3-ols have been diastereoselectively synthesized and tested for their anti-cancer activities at 59 human tumor cell lines.



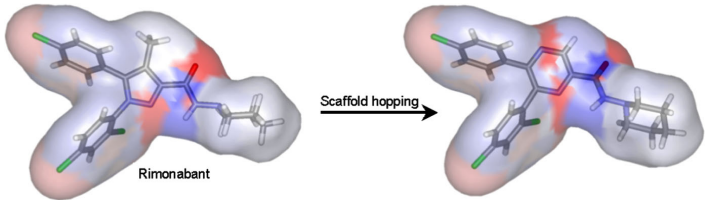
The synthesis of a new series of triazolyl-thiazole derivatives under microwave assisted organic synthesis is described. They were tested as antimycobacterial agents. It was also observed that **8f** and **8g** have shown promising activity, while compounds **8e** and **8h** have shown appreciable antimycobacterial potency. Few compounds were good inhibitors while others were inactive.



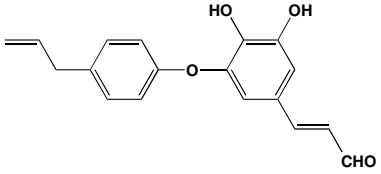
In the present study, a new series of 4-benzyl-1-substituted-4*H*-[1,2,4]triazolo[4,3-*a*]quinazolin-5-ones were synthesized and pharmacological screening studies of their H_1-antihistaminic and sedative-hypnotic activity are described.



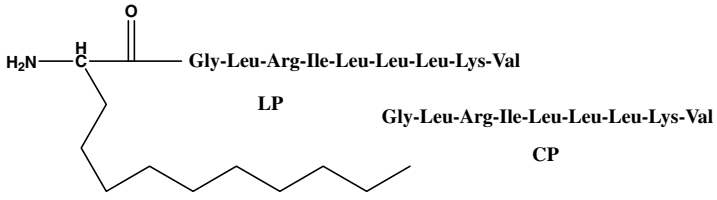
R" for instance geranylgeranyl



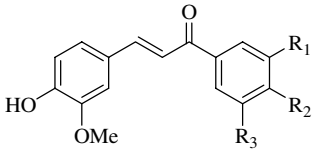
Using a shape-based scaffold hopping approach a novel class of cannabinoid (CB1) receptor antagonists has been identified, which incorporate a six-membered pyrazine ring instead of the five-membered methylpyrazole as central fragment.



Obovatal was isolated from the leaves of *Magnolia obovata*. Obovatal strongly inhibited MMP-2 activity and the migration and invasion of HT1080 cells.

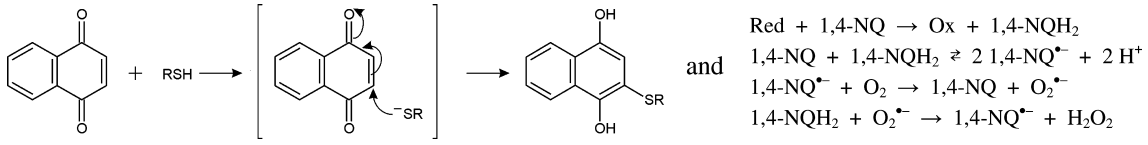


CP and particularly its lipophilic analogue LP have the potential to be used as oligodeoxynucleotide delivery systems.

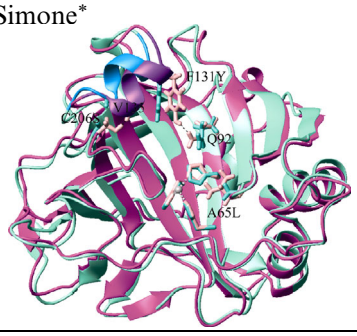


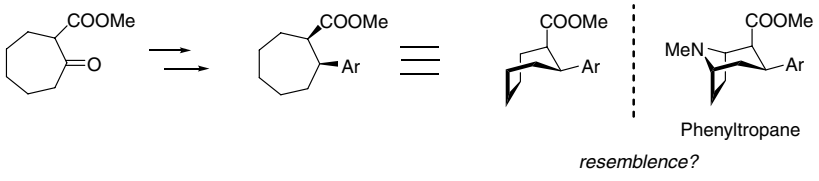
R_1=R_2=R_3=H, alkyl, halogens, alkoxy

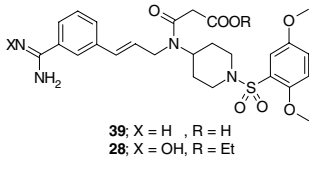
A simple synthesis and biological properties of 1,3-diphenyl-2-propen-1-ones **18**–**22** and **25**–**26** are described.



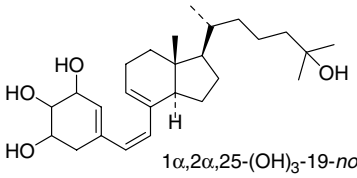
1,4-Naphthoquinone inhibits urease by a mixed mechanism that involves direct arylation of enzyme thiol groups and their oxidation by redox cycling-generated H_2O_2.







Parallel synthesis identified potent and selective fXa inhibitors with a benzenesulfonyl group as an S4 binding element. Masking of their hydrophilic groups led to related prodrugs with oral anticoagulant effects.

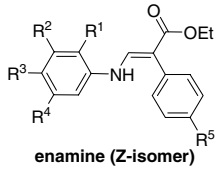


1α,2α,25-$(OH)_3$-19-*nor*-pre-D_3
1β,2α,25-$(OH)_3$-19-*nor*-pre-D_3
1α,2β,25-$(OH)_3$-19-*nor*-pre-D_3
1α,2α,25-$(OH)_3$-3-*epi*-19-*nor*-pre-D_3

The substitution reactions of Pt(II) complexes with some biologically relevant molecules were studied using UV–vis, stopped-flow spectrophotometry and ^{1}H NMR spectroscopy.

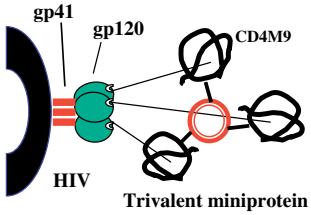
$$cis\text{-}[Pt(NH_3)_2Cl_2] + L \rightleftharpoons cis\text{-}[Pt(NH_3)_2Cl(L)] + Cl^- \quad L = 5'\text{-GMP and GSH} \qquad (1)$$

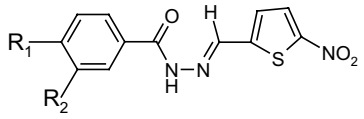
$$[Pt(terpy)X]^+ + L \rightleftharpoons [\,Pt(terpy)L]^{z+} + X^- \qquad X = Cl^-,\ \text{L-cysteine and guanosine}, \quad L = GSH,\ S\text{-cys},\ 5'\text{-GMP},\ \text{tu, sts, dedtc} \qquad (2)$$



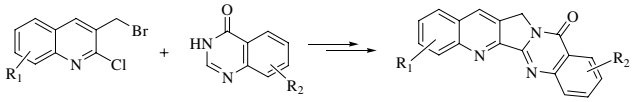
enamine (Z-isomer)

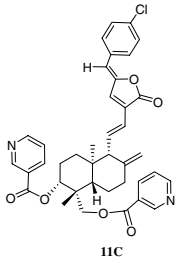
The tested enamines were shown more effective to inhibiting growth of bacteria than fungi. An *E*-isomer exhibited higher antibacterial activity than the corresponding *Z*-isomer and four compounds (**9b**, **11b**, **12b** and **15b**) showed considerable antibacterial activities against *Staphylococcus aureus*.





In this study it was evaluated the antibacterial activity of 14 acid [(5-nitro-thiophen-2-yl)-methylene]-hydrazides (Fig. 1) against multidrug-resistant (3SP/R33) *Staphylococcus aureus* strain. Compounds were designed according to physicochemical properties and all of them exhibited significant bacteriostatic activity. It was observed bactericidal activity for some compounds tested. These new compounds confirming the potential of nifuroxazide analogs as antimicrobial agents and represent an alternative for the development of promising antibacterial drugs.

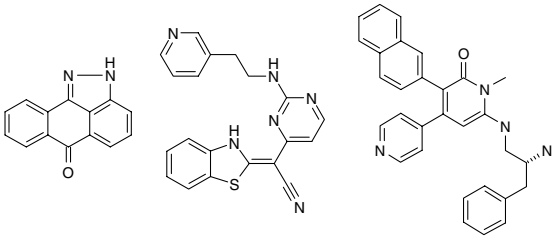




11C

A novel family of α-glucosidase inhibitors were prepared. Among them, **11c** was a very potent inhibitor against α-glucosidase with an IC_{50} value of 6 μM.

Two-dimensional molecular descriptors in combination with binary QSAR methodology were used to build classification models of JNK3 ligands. The best model was obtained at 100 nM IC_{50} activity threshold with van der Waals surface based descriptors and reached an overall accuracy of 98%.



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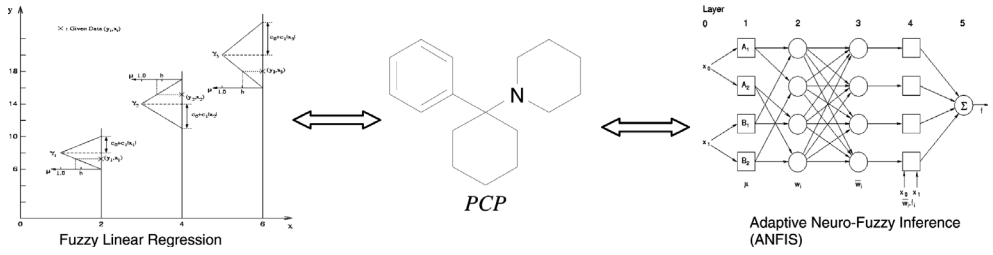

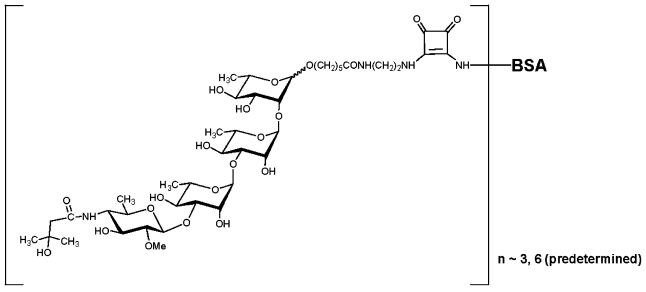

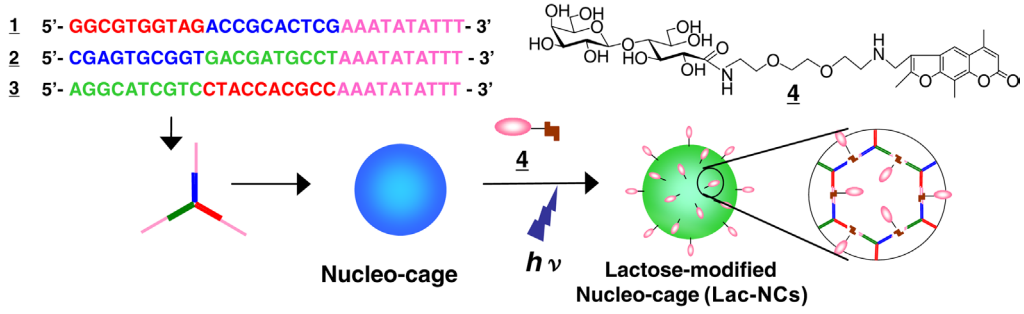

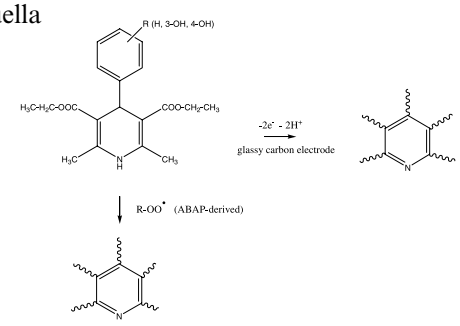

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Sunshine is a significant source of vitamin D3, recognized as important biological metabolite for therapeutic applications. To investigate the mechanism of action of the later, locked previtamin D_3 analogues have been synthesized. Potency in inhibiting cell proliferation and binding to VDR and BDP were evaluated [Sánchez-Abella, L.; Fernández, S.; Verstuyf, A.; Verlinden, L.; Ferrero, M.; Gotor, V. *Bioorg. Med. Chem.* **2007**, *15*, 4193–4202].

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