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Bioorganic &
Medicinal
Chemistry

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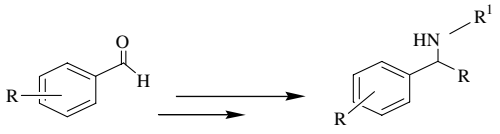
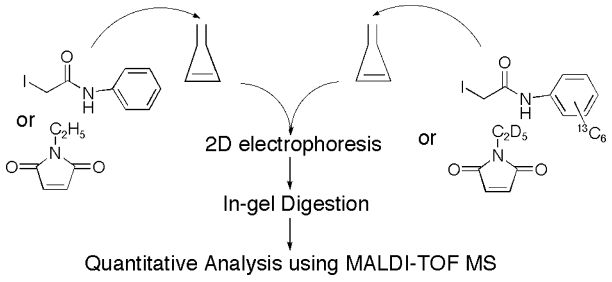
Contents

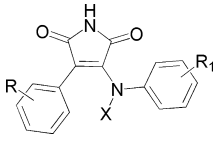
ARTICLES



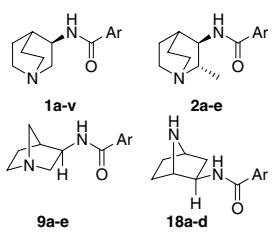
A number of benzyl- and pyridylmethyl amines were synthesized and evaluated against *Mycobacterium tuberculosis H37Rv* strains. The potent compounds were evaluated against clinical isolates of MDR TB and found to be active at one or other concentrations. The MIC was found to be as low as 1.56 μg/mL.

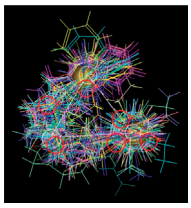
A practical method for quantitative analysis of proteins has been developed applying small organic molecule isotope-labeling reagents and 2D electrophoresis as well as MALDI-TOF-MS.



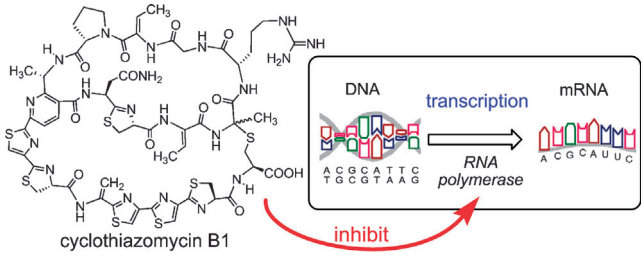


GSK-3 is a potential target for the treatment of Alzheimer's disease, type 2 diabetes, cancer, stroke, bipolar disorder and malaria. A recent study showed that inhibiting the α isoform is most promising in the treatment of Alzheimer's disease. We have prepared a series of robust, systematic, quantitative structure–activity relationship models analyzing important features of GSK-3α inhibition by maleimides.

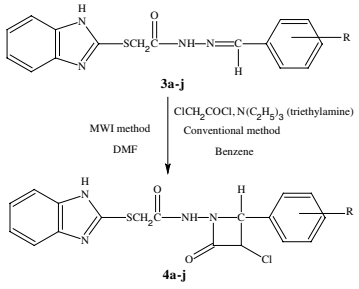


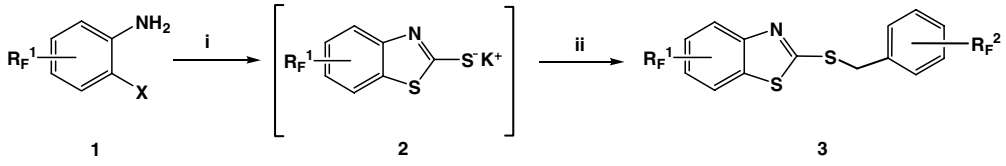


A pharmacophoric model with three biophoric and six secondary sites explaining well the variation in activity and three new antihistamines H_1 with low toxicity and sedation potential are described.

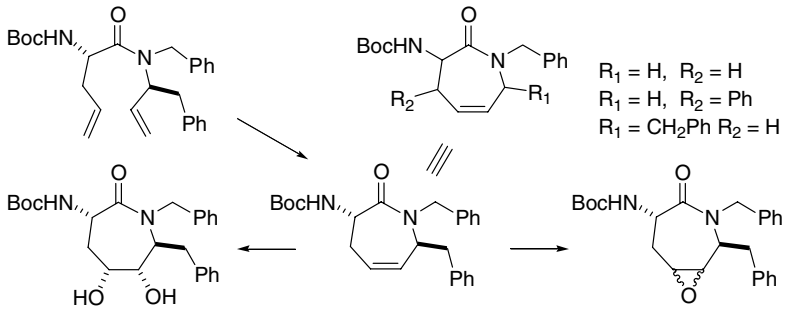


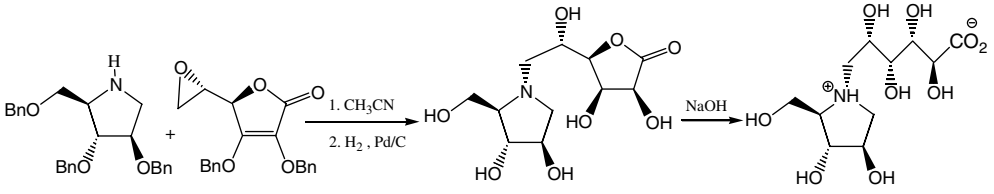
The title compounds were revealed. These potently exhibited DNA-dependent RNA synthesis.

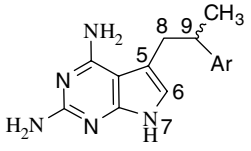


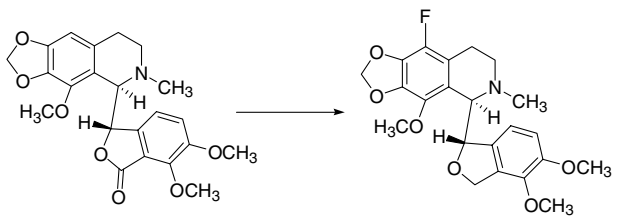


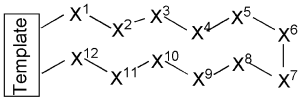
Reagents and conditions: (i) potassium *O*-ethyl dithiocarbonate, DMF, MW, 120 °C; (ii) benzyl bromide, MW, 90 °C.



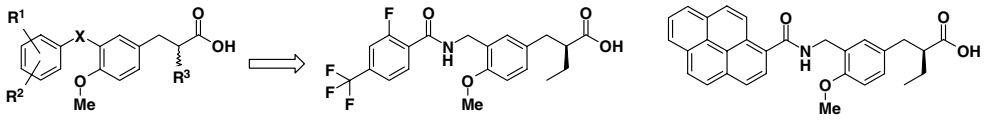


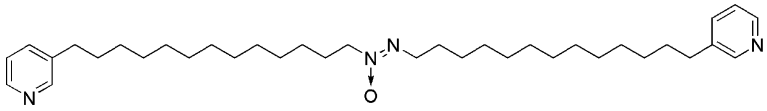






Protein epitope mimetics (PEM) of Polyphemusin II act as highly potent CXCR4 inhibitors with good in vivo pharmacokinetic properties.





Pyrinadine B

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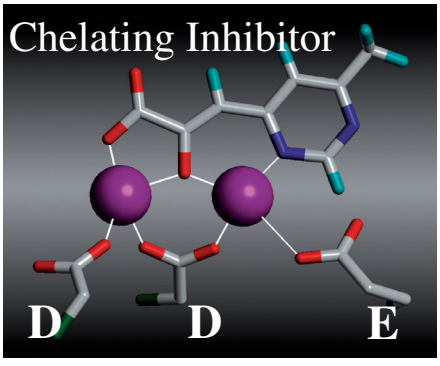
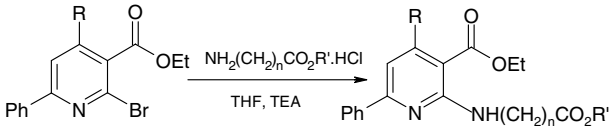
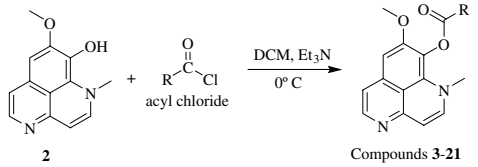
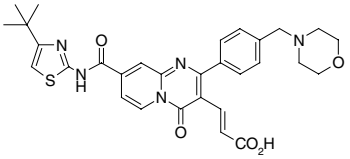
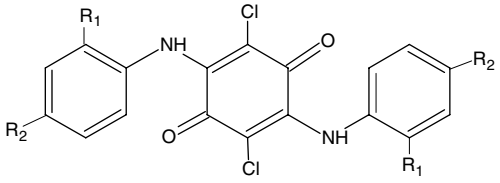


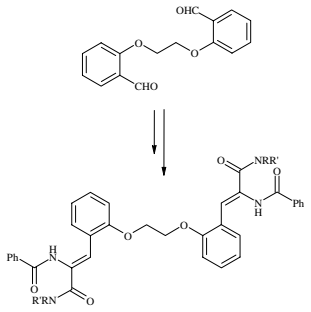


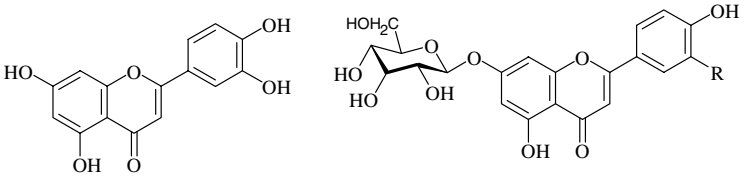




4w: MPC_8: 2 μg/mL, Sol: 140 μg/mL



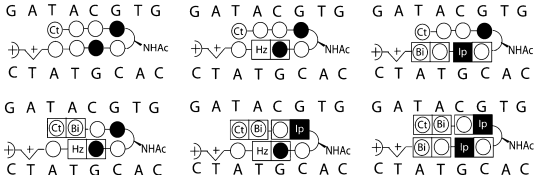


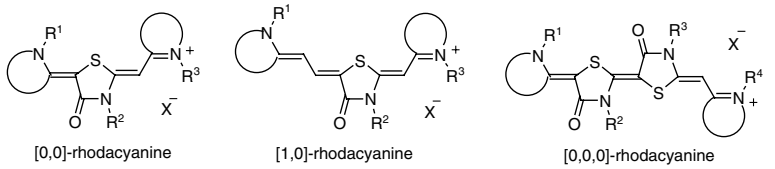


Luteolin

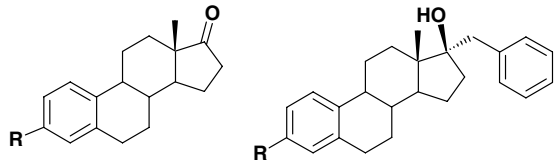
R = OH, Luteolin 7-*O*-glucoside
R = H, Apigenin 7-*O*-glucoside

The phenolic compounds in '*alcaparra*' table olives were determined by reversed-phase HPLC/DAD and a study on its extracts antimicrobial activity is presented.

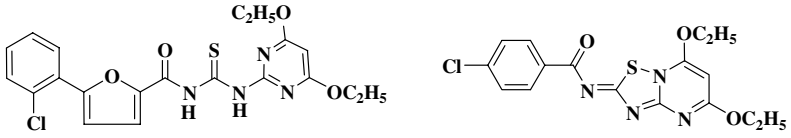




[0,0]-rhodacyanine [1,0]-rhodacyanine [0,0,0]-rhodacyanine

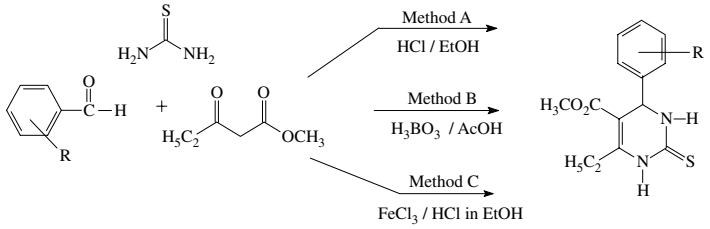


R = $B(OH)_2$, competitive inhibitor of steroid sulfatase K_i = 2.8 μM
R = OH, noncompetitive inhibitor of steroid sulfatase K_i = 63 μM,
R = $B(OH)_2$, noncompetitive inhibitor of steroid sulfatase, K_i = 0.25 μM, pH 7.0
R = OH , noncompetitive inhibitor of steroid sulfatase, K_i = 0.25 μM, pH 7.0

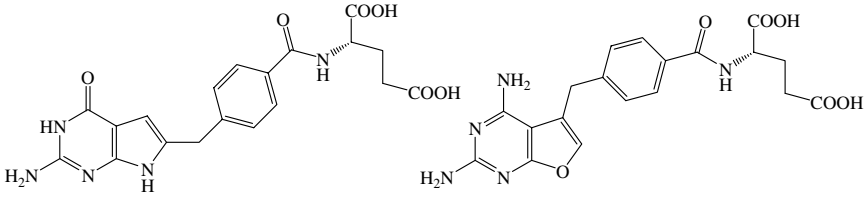


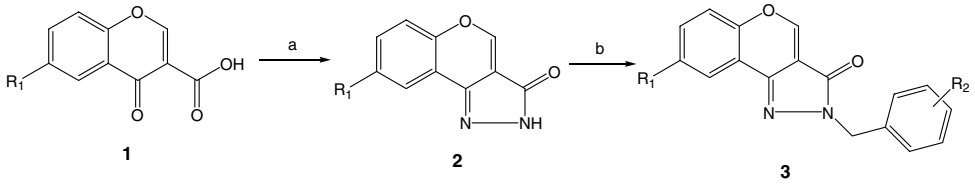
16 IC_{50}=0.08 μM **60** IC_{50} = 0.09 μM

A series of substituted arcy(thio)urea and substituted arcyimine derivatives were designed and prepared. Both of their cell culture and enzymatic activity against influenza virus were investigated and they were evaluated as potent neuraminidase inhibitors. Derivatives **16** and **60** were further investigated as potential candidates for future development.

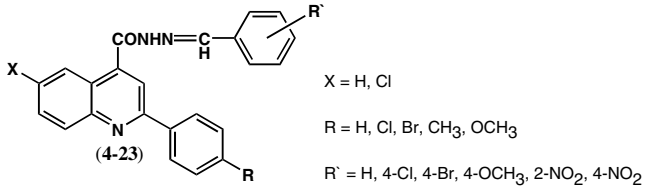


4-Aryl-3,4-dihydropyrimidin-2(1*H*)-thiones were synthesized by Lewis acid-catalyzed Biginelli condensation (Methods B and C). Dihydropyrimidin-2-thiones were obtained in significantly better reaction yields and shorter reaction times than those utilizing the conventional HCl/ethanol method (Method A). The calcium channel blocker activity of the compounds was evaluated.

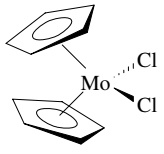




Reagent and condition: (a) $NH_2NH_2 \cdot H_2O$, HOAc, MW; (b) substituted benzyl chloride, base, MW.



Binding interaction studies of antitumor molybdenocene dichloride (**1**) and self-complementary oligonucleotide CGCATATATGCG were accomplished using NMR spectroscopy and cyclic voltammetry in order to elucidate possible interactions between DNA and the organometallic species at physiological pH in buffer solutions. NMR spectroscopic studies showed molybdenocene binds the oligonucleotide weakly and has no preference for guanine over adenine bases. Cyclic voltammetry corroborates in a quantitative manner that the interaction in fact is weak, showing only 4.9% of molybdenocene–oligoncleotide binding. This implicates that DNA cannot be completely ruled out as a target place but the mechanism is more complex than *cis*-platin.



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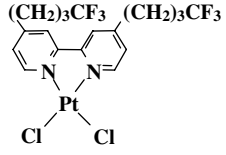
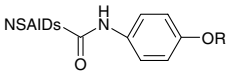


1

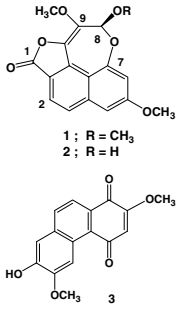
The synthesis of dichloro[4,4′-bis(4,4,4-trifluorobutyl)-2,2′-bipyridine]platinum (**1**), a cisplatin analog, and a preliminary study of its cytotoxicity are reported. Compound **1** is 14 to 125 times more effective than cisplatin in inducing apoptosis in breast, lung, and prostate cancer cell lines.

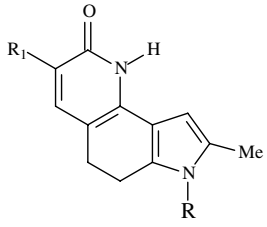


Where: R = H, $COCH_3$

New chemical entities from paracetamol and NSAIDs were synthesized and evaluated for bioactivity. All the synthesized *p*-amidophenol derivatives showed improved antipyretic activity than paracetamol with retention of anti-inflammatory activity and no ulcerogenicity.

Eight compounds [two naphthofuranoxepins (**1**, **2**), one phenanthraquinone (**3**), three steroids (**4**–**6**), and two steroidal saponins (**7**, **8**)] were isolated from the ethanolic extract of *Dioscorea membranacea* rhizomes and tested for anti-allergic activities. The results showed that dioscorealide B (**2**) possessed the highest activity with an IC_{50} value of 5.7 μM, followed by dioscoreanone (**3**, IC_{50} = 7.7 μM), dioscorealide A (**1**, IC_{50} = 27.9 μM), and diosgenin (**9**, IC_{50} = 29.9 μM). Structure–activity relationship studies of naphthofuranoxepins on anti-allergic activity revealed that the hydroxylation at position 8 conferred higher activity than methoxylation. For diosgenin derivatives, the aglycone was found to possess higher activity than the diglucosylated molecule; whereas substitution with rhamnoglucosides apparently results in loss of activity. Furthermore, effects of dioscorealide A (**1**), dioscorealide B (**2**), and dioscoreanone (**3**) on antigen-induced release of TNF-α and IL-4 were also examined.





OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2006: The cover figure shows a synthetic multifunctional pore that is composed of rigid-rod staves (para-octiphenyls, tan) and beta-sheet hoops (arrows) and can be activated with external ligands (fullerenes, golden spheres) and closed with internal blockers (alpha-helix, red ribbon) [Gorteau, V.; Bollot, G.; Mareda, J.; Pasini, D.; Tran, D.-H.; Lazar, A. N.; Coleman, A. W.; Sakai, N.; Matile, S. *Bioorg. Med. Chem.* **2005**, *13*, 5171–5180].

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