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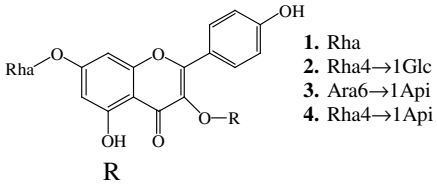
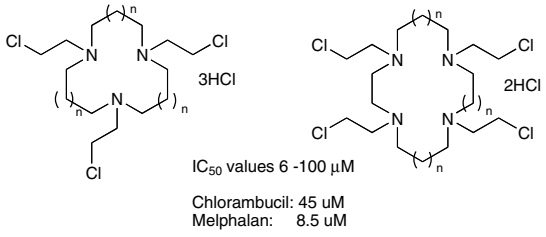
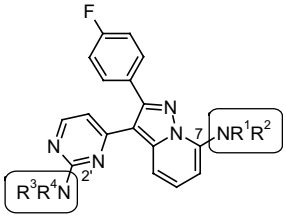
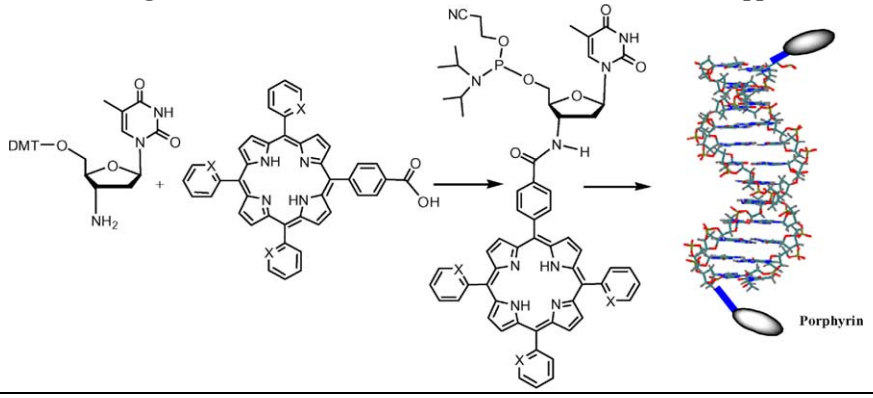


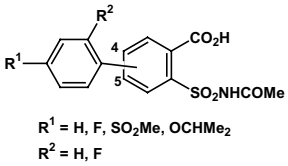
Four kaempferol glycosides were isolated from the leaves of *Cinnamomum osmophloeum*. The compound, kaempferol 3-*O*-β-D-glucopyranosyl-(1→4)-α-L-rhamnopyranosyl-7-*O*-α-L-rhamnopyranoside (**2**), was established by spectral analyses and acid hydrolysis. Compounds **1**–**4** were evaluated for their inhibitory effects on production of nitric oxide (NO) and cytokines (TNF-α and IL-12), in LPS/IFN-γ-activated macrophages.

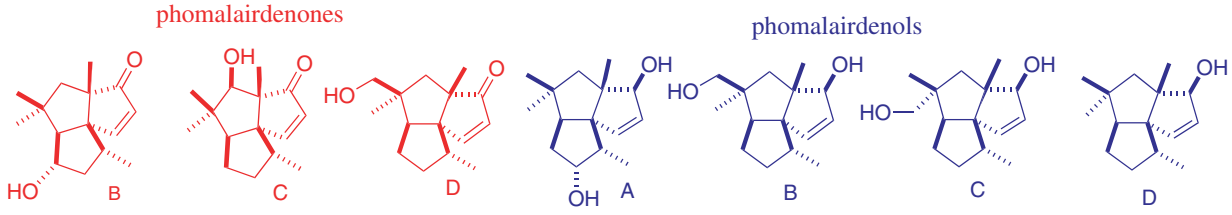
Novel azamacrocyclic nitrogen mustards were highly efficient at cross-linking DNA ($XL_{50} \ll 10$ nM)—up to 10^4 times better than chlorambucil (XL_{50} 100 μM).

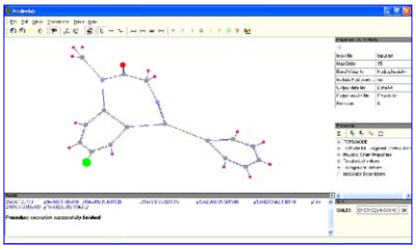




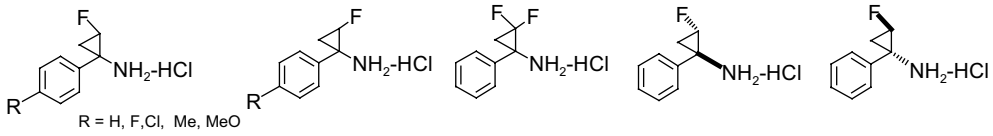


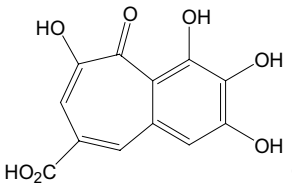




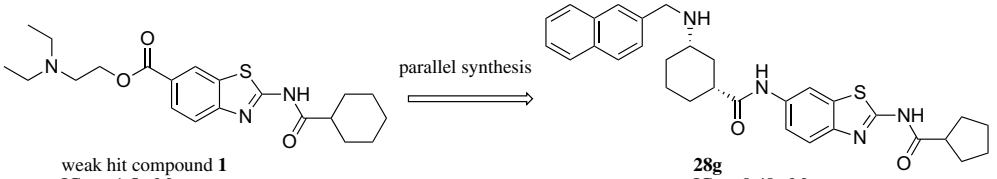


The rodent carcinogenic activity was investigated by using a topological substructural molecular design approach (TOPS-MODE). The in silico model obtained explained more than 76% of variance and it was successfully validated. This methodology evidenced the role of hydrophobicity and the dipole moment of the molecules in the prediction of carcinogenicity.



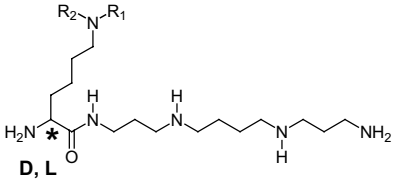


Compound **2**, IC_{50} = 0.3 μM

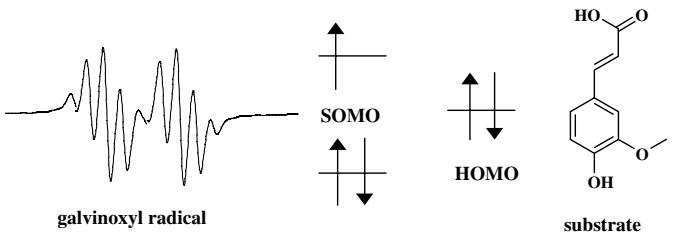


weak hit compound **1**
IC_{50} : 1.5 μM

28g
IC_{50} : 0.49 nM

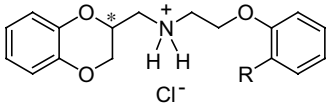


D, L

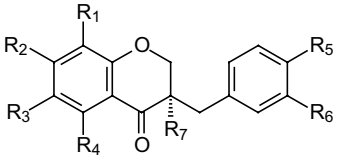


galvinoxyl radical

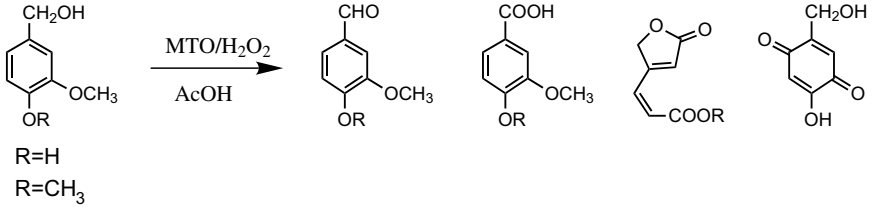
substrate



1 R = H **2** = Me **3** = Et **4** = *t*-Bu **5** R = CN **6** = CF_3 **7** = COMe **8** = F **9** R = Cl **10** = SMe **11** = SOMe **12** = SO_2Me **13** R = NO_2 **14** = NHCOMe **15** = NH_2 **16** = OMe

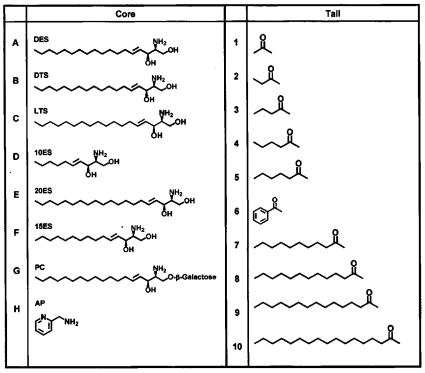


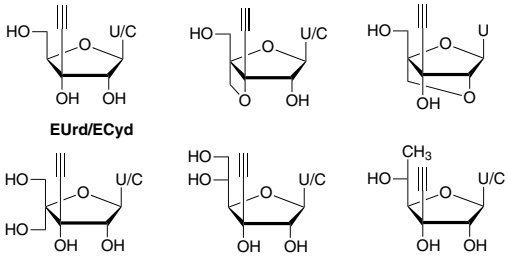
Twenty-two homoisoflavanones and structurally related compounds of natural and synthetic origin were screened for anti-inflammatory activity. A QSAR study yielded three equations with significant prediction values for the anti-inflammatory activity of the compounds investigated.

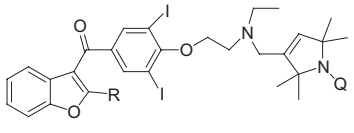


Methyltrioxorhenium was found a powerful and promising catalyst for the oxidation of lignins and lignin model compounds by use of hydrogen peroxide as primary oxidant.

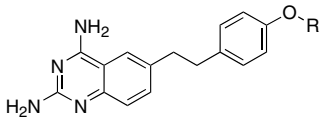
We synthesized five types of bridge-linked bis-quaternary ammonium compounds (bis-QACs), and we examined the relationship between the cytotoxicity and the anti-bacterial activity against *E. coli* of these bis-QACs. 5DEBT-4,8 should be a significant useful compound tested in the present study.



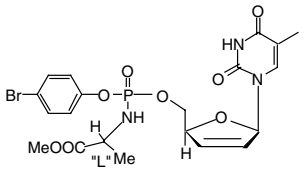




$R = CH_3$, n-C_4H_9; Q = H, OH, O$^{\cdot}$

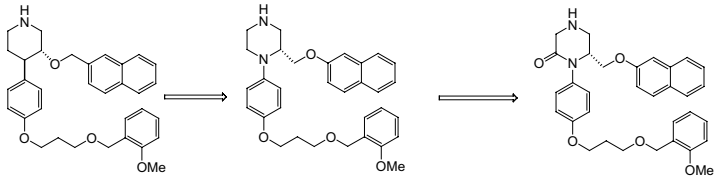


Mammalian proteases have not been implicated in the metabolism of any nucleoside phosphoramidate prodrug. The results presented herein provide unprecedented and conclusive experimental evidence that mammalian proteases are capable of hydrolyzing stavudine phosphoramidates. Specifically, cathepsin B and proteinase K are able to metabolize stampidine and other phosphoramidate derivatives of stavudine. Additionally, cathepsin B exhibits chiral selectivity at the phosphorus center. The elucidation of the metabolic pathways leading to activation of stampidine may provide the basis for pharmacologic interventions aimed at modulating the metabolism and thereby improving the therapeutic window of stampidine as an anti-HIV agent.

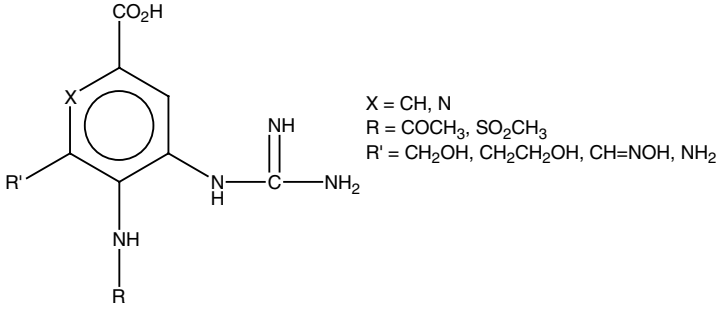


(Stampidine)

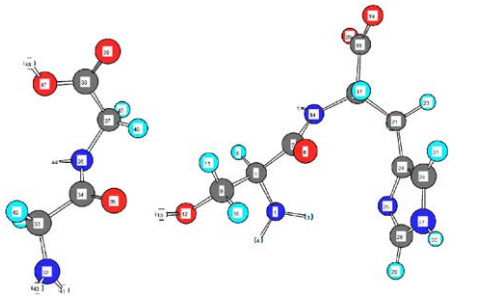
Ketopiperazine **2** was designed from a previously published analog. Compound **2** was shown to be a novel, potent inhibitor of renin that, when administered orally, lowered blood pressure in a hypertensive double transgenic (human renin and angiotensinogen) mouse model. Compound **2** was further optimized to sub-nanomolar potency by designing an analog that addressed the S3 sub-pocket of the renin enzyme.



C, IC_{50}: 2 nM **1**, IC_{50}: 180 nM **2**, IC_{50}: 54 nM



X = CH, N
R = $COCH_3$, SO_2CH_3
R' = CH_2OH, CH_2CH_2OH, CH=NOH, NH_2



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2005: Human liver glycogen phosphorylase A (HLGPa) is an attractive target enzyme for discovering anti-type 2 diabetes drugs. This picture shows the interaction model for a series of indole-2-carboxamides to HLGPa derived from molecular docking simulations [Liu, G.; Zhang, Z.; Luo, X.; Shen, J.; Liu, H.; Shen, X.; Chen, K.; Jiang, H. *Bioorg. Med. Chem.* **2004**, *12*, 4147–4157].

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