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Letters

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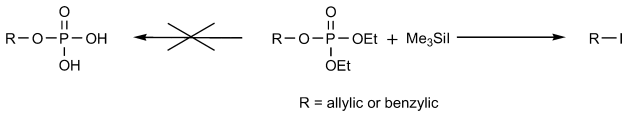
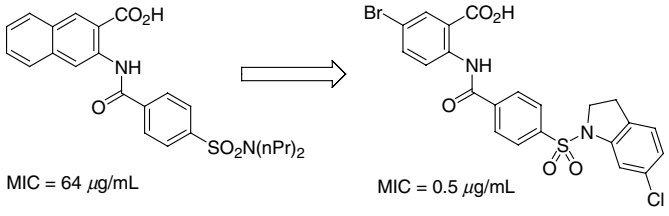
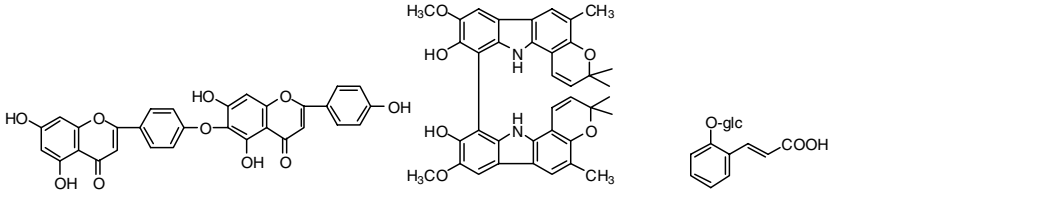
Contents

ARTICLES



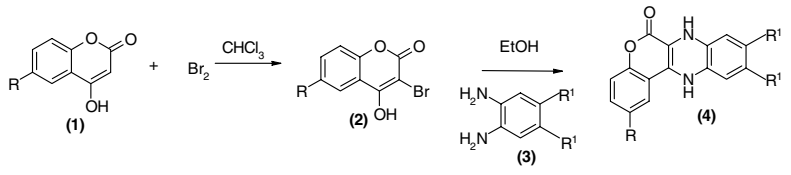
Iodotrimethylsilane (TMSI), routinely used for the dealkylation of ethers and esters, was found to efficiently convert allyl and benzyl phosphotriesters into the corresponding iodides.



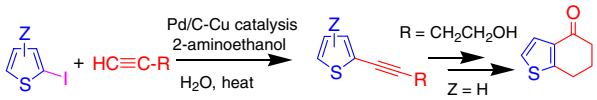


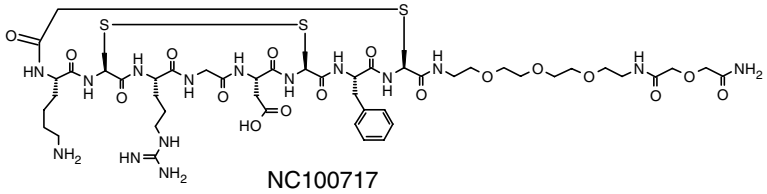
6. (hinokiflavone) **7.** (8, 8''-biskoenigine) **10.** (3-(2'-O-glucophenyl)-2-trans-propenioc acid)

Ten natural inhibitors **1**–**10** were found for human cathepsin K (**1**–**7**), matrix metalloproteinase 9 (**8**–**9**), and integrin $\alpha_V\beta_3$ (**10**), respectively. They are novel natural inhibitors for these three enzymes.



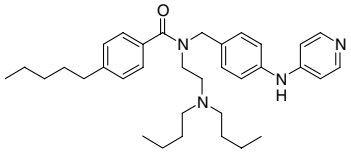
A simple and general method for the preparation of some novel antimicrobial coumarin condensed quinoxaline derivatives is reported.



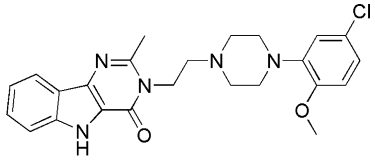


The development of a robust platform for the in vivo targeting of the integrins associated with angiogenesis is described. Derivatization of the core peptide NC-100717 with a range of reporter groups by amide bond formation at the ε-amino group of lysine gave a variety of molecular probes suitable for diagnostic imaging. All conjugates had affinities in the low nanomolar range.

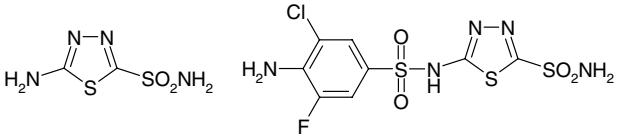
Optimization of a lead compound which showed low nanomolar activity on the isolated enzyme Plasmepsin II but above micromolar activity on a cell-based assay using *Plasmodium falciparum* infected human red blood cells allowed the discovery of compounds with submicromolar activity in the whole cell-based assay and without assay to assay shift.

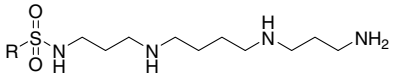


The synthesis and the binding and functional properties for the α_1-AR subtypes of some new pyrimido[5,4-*b*]indole and [1]benzothieno[3,2-*d*]pyrimidine derivatives are reported.



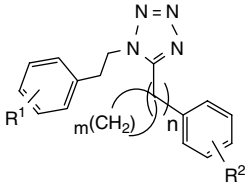
19 (RC24) $pK_i = 9.78$ (α_{1D}-AR)



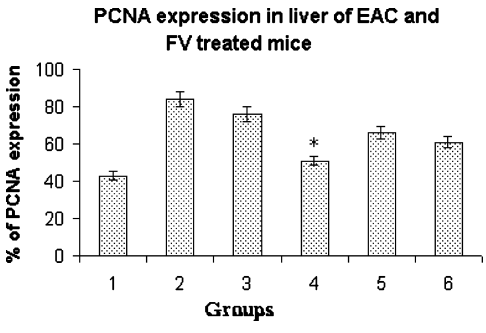


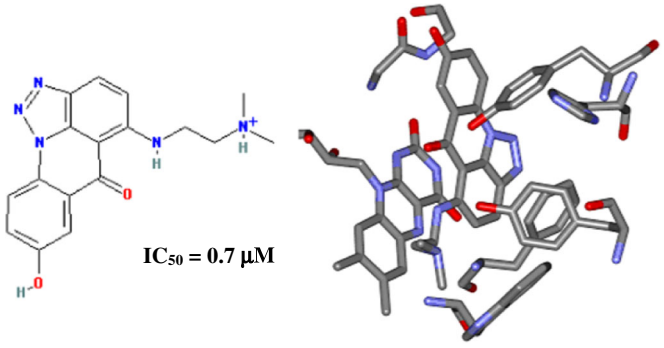
SAR in a series of aryl and aliphatic spermine-sulfonamide analogs showed a strong correlation between hydrophobicity of the substituent and lipopolysaccharide-sequestration activity.

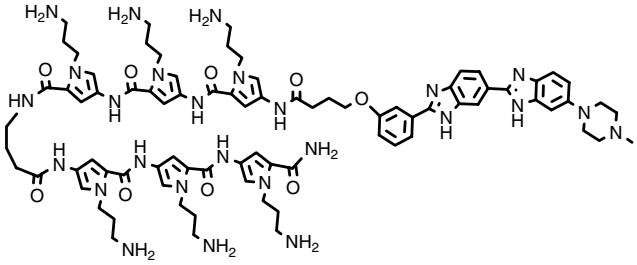
A novel class of tetrazole-derived Kv1.5 blockers is disclosed. In in vitro studies, several compounds had IC_{50} ranging from 180 to 550 nM. In vivo studies indicated that compounds **2f** and **2j** increased right atrial ERP about 40% without affecting ventricular ERP.



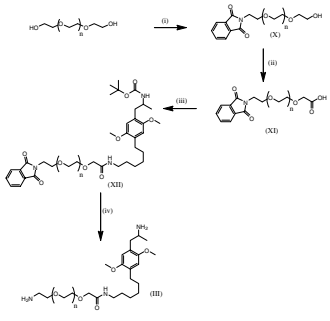
The present study was carried out to assess the effect of *Pterios volitans* venom (mixture of peptides) on Ehrlich's ascites carcinoma (EAC) and its influence on antioxidant status in the liver. Among six groups of albino mice, three were treated with sublethal doses of venom, along with the standard drug, 5-fluorouracil. In EAC-bearing mice, mean life span and antioxidants were significantly decreased, whereas, body weight, tumor volume, viable tumor cell count, lipid peroxidation, and expression of proliferating cell nuclear antigen were significantly increased. These changes were brought back to near normal in treatment groups. The findings are further confirmed by histopathological observations.

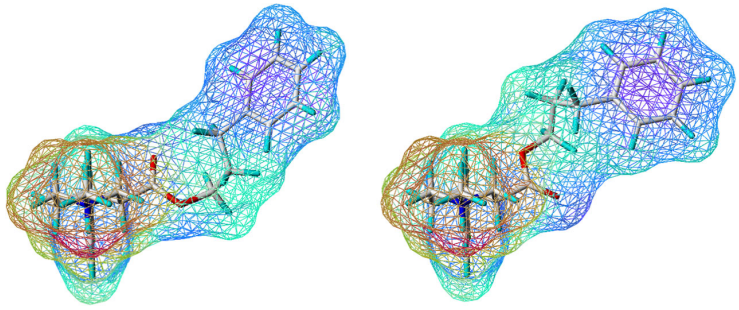




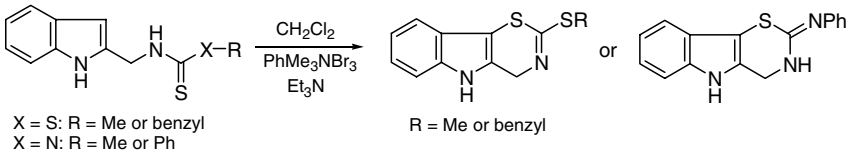


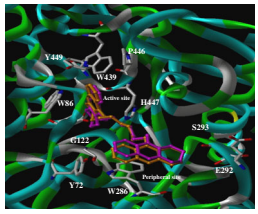
(i) Phthalimide, PPh_3, DIAD; (ii)HNO_3; (iii) DCC, NHS, *tert*-butyl 1-(4-(6-aminohexyl)-2,5-dimethoxyphenyl)propan-2-ylcarbamate; (iv) (a) TFA, (b) hydrazine hydrate.





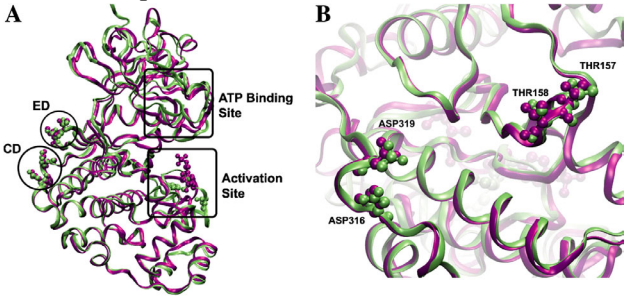
Multiple conformations of the ligands with the flexible 3α-substituent satisfy the same pharmacophore model.

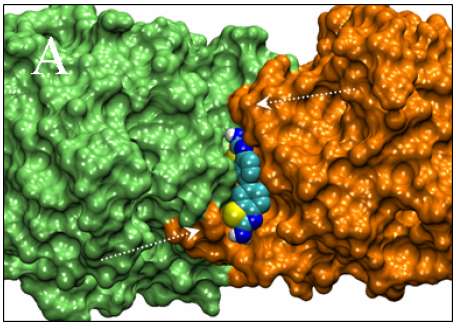


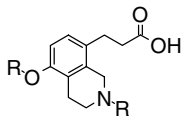


Comparison of the FlexX and FlexiDock, ligand–protein complexes of compound **3B**. The bound inhibitor is shown as ball and stick model. Magenta colored ligand is FlexX docked structure where orange color structure is FlexiDock. The backbone of the protein structure is rendered as shaded ribbon with color by property and the labeled protein residues are in capped stick model with color by atom.

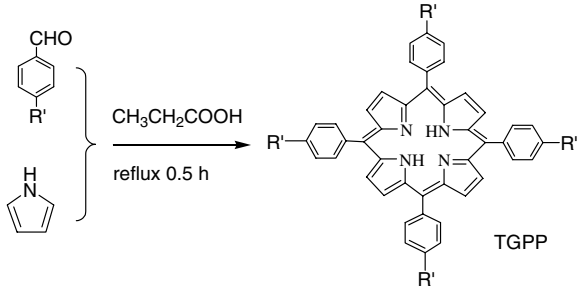
(A) Disruption of ERK interactions with substrates by targeting the CD and ED docking domains. (B) Expanded view of CD (Asp316, 319) and ED (Thr157, 158) docking domains.



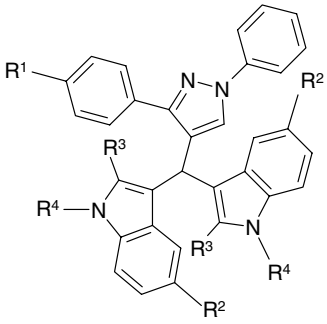


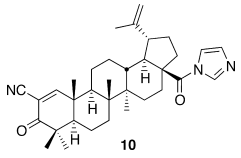


Novel tetrahydroisoquinolines have been developed as potent PPAR ligands.

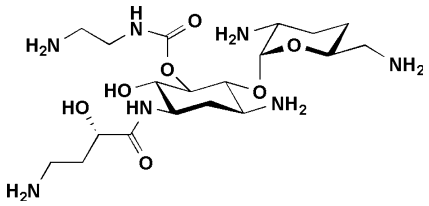


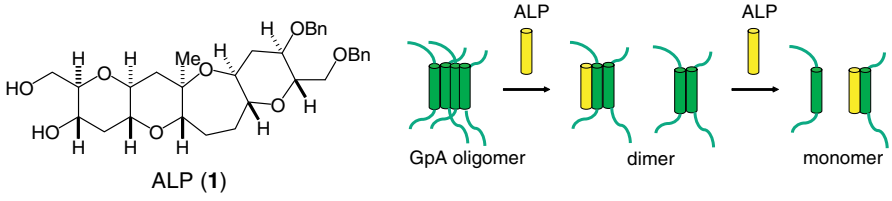
The synthesis of **TGPP**

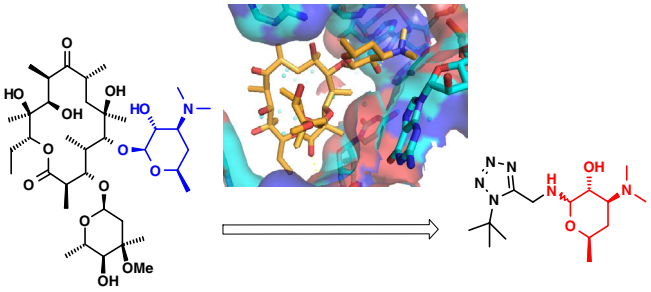




The new betulinic acid analogue **10**, at oral dosing of 2 μM, causes significant induction of the anti-inflammatory, cytoprotective enzyme, heme oxygenase-1, in the liver.







Design, synthesis and screening of desosamine-moiety containing MCR products is reported.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

View of the crystal structure of the DB819-d(CGCGAATTCGCG)$_2$ complex, looking down the minor groove of the DNA (see Campbell, N.H.; Evans, D.A.; Lee, M.P.H.; Parkinson, G.N.; Neidle, S. *Bioorg. Med. Chem. Lett.* **2006**, *16*, 15). The DB819 molecule is shown in space-filling mode. Visualisation produced with the VMD program. [Humphrey, W.; Dalke, A.; Schulten, K. *J. Mol. Graphics* **1996**, *14*, 33.]

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