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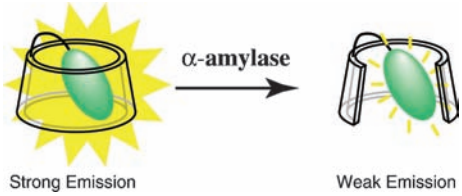
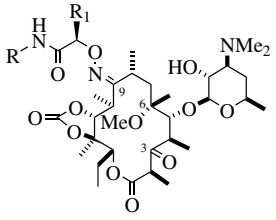
Contents

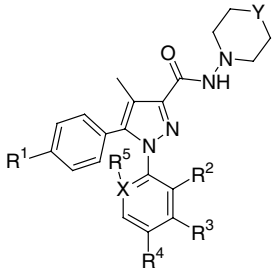
ARTICLES

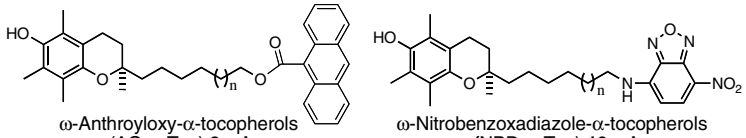


A new type of ketolide bearing an *N*-aryl-alkyl acetamide moiety at the C-9 iminoether and its analogues were prepared, and their antibacterial activities and pharmacokinetic properties were evaluated. We found that the introduction of an (*R*)-alkyl group between the amide and iminoether groups could improve the pharmacokinetic properties while maintaining the activity against erythromycin-resistant *Streptococcus pneumoniae*.

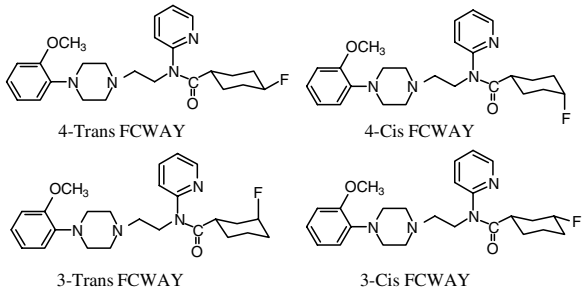


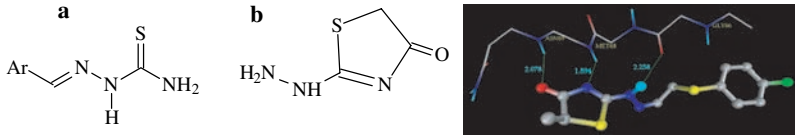
Synthesis, pharmacology, and calculated lipophilicities of a series of 1,5-diarylpyrazole cannabinoid type-1 (CB_1) receptor ligands as prospective radioligands for in vivo imaging.



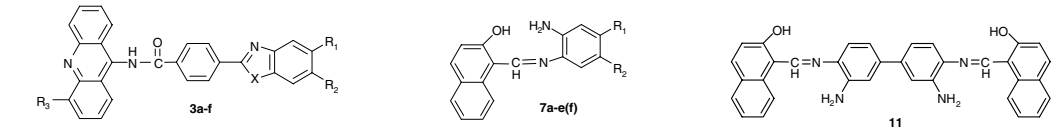


The aim of this work was to prepare and demonstrate the utility of fluorescent analogues of α-tocopherol as probes for use in protein-binding assays, intracellular localization studies, and transfer assays using vesicles and the tocopherol transfer protein, α-TTP. The NBD-α-tocopherols proved to be excellent ligands of recombinant human α-TTP. One AO-α-tocopherol ($n = 4$), while still useful, is limited by its very low solubility in buffers.



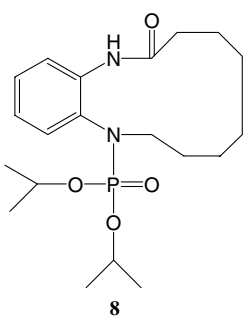


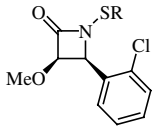
A novel series of thiosemicarbazone and aminoacyl-thiazolidone derivatives were synthesized. Biological evaluation indicates that some of these compounds are able to inhibit the growth of *Trypanosoma cruzi* in concentrations non-cytotoxic to mammalian cells. Docking studies of these compounds for the *T. cruzi* cruzain (TCC) protein showed a significant correlation with experimental data.



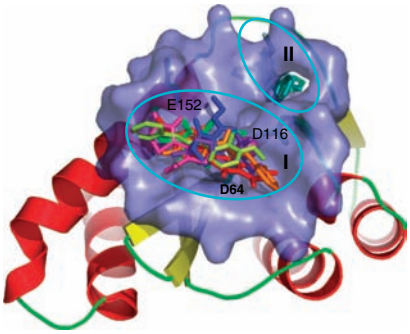
A series of *N*-(acridin-9-yl)-4-(benzo[*d*]imidazol/oxazol-2-yl)benzamides (**3a**–**f**) has been synthesized by the condensation of 9-aminoacridine derivatives with benzimidazole or benzoxazole derivatives. Schiff's bases **7a**–**e(f)**, **9** and **11** were synthesized by microwave irradiation. Compounds **3a**–**f**, **7a**–**e(f)** and **11** were evaluated for anti-inflammatory and analgesic activities. Kinase inhibition assays for all these compounds were carried out against CDK-1, CDK-5 and GSK-3.

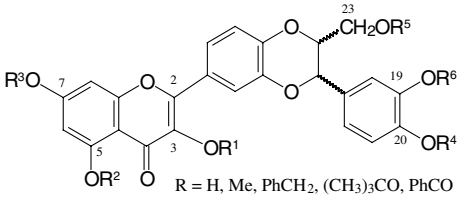
Among the novel kind of nitrogen heterocycle compounds synthesized, compound **8**, a potential anti-tumor agent, has the lowest IC_{50} 20.83 μg/mL, which can induce K562 cell apoptosis through two pathways.

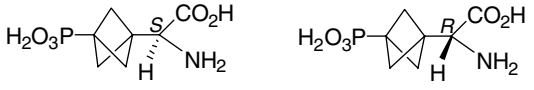


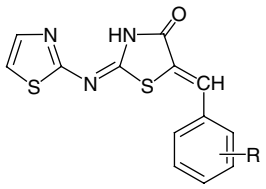


A study on the structure–activity profiles of _N_-thiolated β-lactams are reported which demonstrate the importance of the _N_-organothio moiety on anti-MRSA activity.

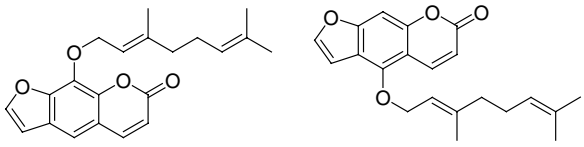








A new series of 2-thiazolylimino-5-arylidene-4-thiazolidinones were synthesized and assayed in vitro for their antimicrobial activity. The designed compounds exhibited a remarkable inhibition of the growth of a wide number of Gram positive bacteria, including penicillin resistant strains, and of Gram negative *Haemophilus influenzae*.



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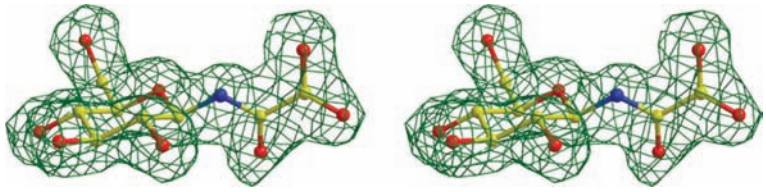
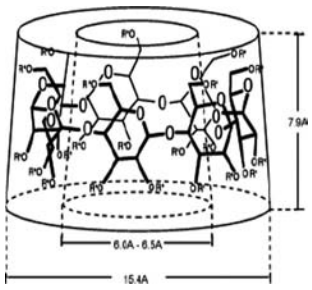
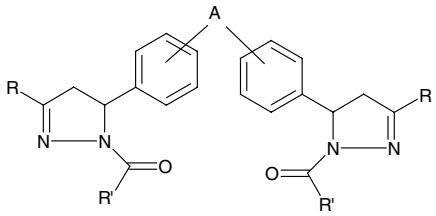
The inhibitory effect of a series of 8-geranylpsoralens (**1**), an analogue of the 5-substituted counterpart bergamottin (**2**), on human CYP3A4 activity is described.

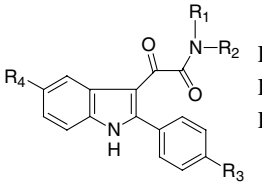


Five oxalyl derivatives of β-D-glucopyranosylamine were tested for inhibition of and binding to glycogen phosphorylase b. The structural basis of inhibition is presented by analysing the crystal structures of the enzyme in complex with the five inhibitors at a resolution of 1.93–1.96 Å.

Cyclodextrins, cyclic oligosaccharides, present a prevailingly hydrophobic cavity. They can form inclusion complexes having 1:1 stoichiometry. The length of the alkyl chain of the guest and the size of the macrocycle mostly determine the kind of forces ruling the association process.



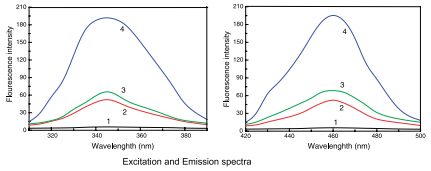




R_1 and R_2 = hexyl,propyl,ethyl,methyl
R_3 = Br or I
R_4 = H or Cl

The synthesis of a series of *N*,*N*-dialkyl-2-phenylindol-3-ylglyoxylamides and their in vitro binding affinities for the peripheral benzodiazepine binding sites and the central benzodiazepine receptors are presented.

Tranilast can give off fluorescence in organic solvent, but it showed a yield in the aqueous solution. When ethylenediamine linked β-CD dimer was added to the aqueous solution of tranilast, ethylenediamine linked β-CD dimer reacted with tranilast to form an inclusion complex, we can observe an obvious increase in fluorescence intensity of tranilast. However, the fluorescence intensity did not obviously enhance when β-CD was added to the aqueous solution of tranilast. So the spectrofluorimetric study of the interaction between ethylenediamine linked β-CD dimer and tranilast was carried out.

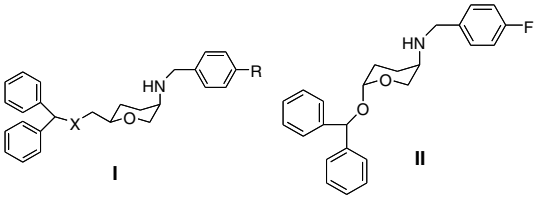


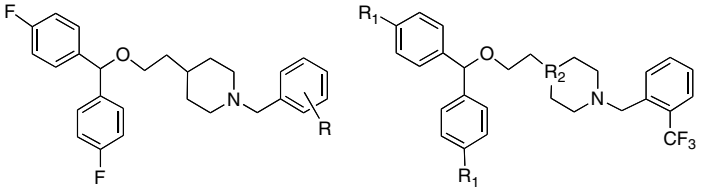
Excitation and Emission spectra

1. reagent blank; 2. tranilast solution; 3. tranilast +β-CD; 4. tranilast +β-CD dimer

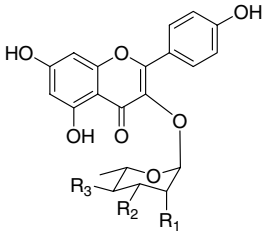
$C_{tranilast}$ =2.00 μgml^{-1}; pH=2.00; $C_{β\text{-}CD}$ =4.00×10^{-4}mol l^{-1}; $C_{β\text{-}CDdimer}$=2.00×10^{-4} mol l^{-1}

The possible process of the supramolecular interaction





R = F, Cl, Br, I, CH_3, CF_3, CN, or NO_2 R_1 = H, R_2 = CH; R_1 = F, R_2 = N



	R_1	R_2	R_3
1	OAc	OH	OAc
2	OH	OAc	OAc
3	OH	OH	OAc

OTHER CONTENTS

*Corresponding author

ⓘ+ Supplementary data available via ScienceDirect

COVER

Inhibition of glycogenolysis has been proposed as a therapeutic strategy for the treatment of type 2 diabetes. Members of a novel class of oxalyl derivatives of β-D-glucopyranosylamine were identified as inhibitors of glycogen phosphorylase. The illustration gives a ball-and-stick representation of inhibitors and the lead compound *N*-acetyl-β-D-glucopyranosylamine (shown in red) bound at the catalytic site of the enzyme [Hadjiloi, T.; Tiraidis, C.; Chrysina, E. D.; Leonidas, D. D.; Oikonomakos, N. G.; Tsipos P. and Gimisis T. *Bioorg. Med. Chem.* **2006,** *14,* 3872–3882].

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