Bioorganic & Medicinal Chemistry 20 (2012) 5669–5677

Contents lists available at SciVerse ScienceDirect

Bioorganic & Medicinal Chemistry

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Bioorganic & Medicinal Chemistry Volume 20, Issue 19, 2012

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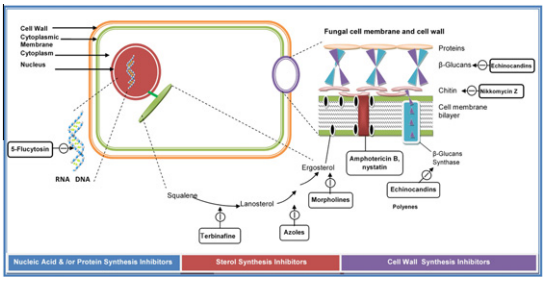
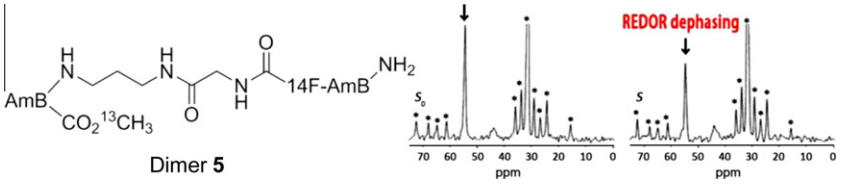
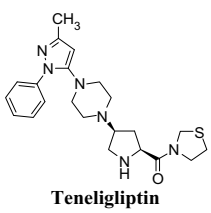
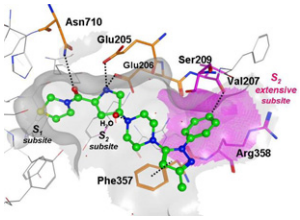
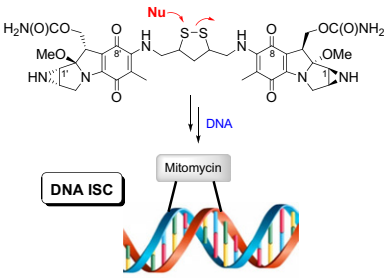


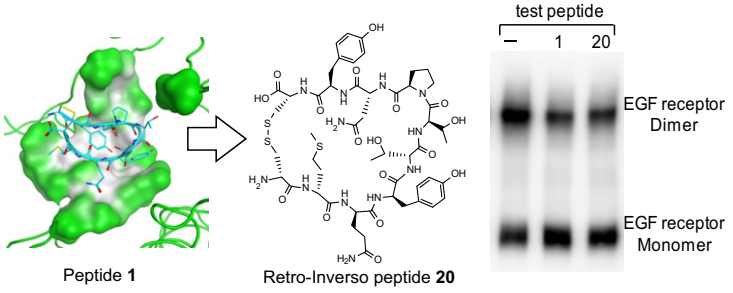


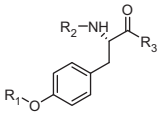




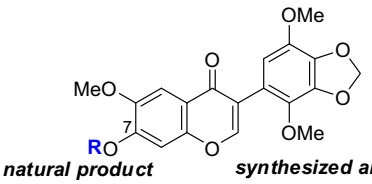


A mitomycin dimer connected by a 1,2-dithiolane (a five-membered cyclic disulfide) linker was highly efficient for nucleophilic activation and corresponding production of DNA interstrand cross-link (DNA ISC) adducts.



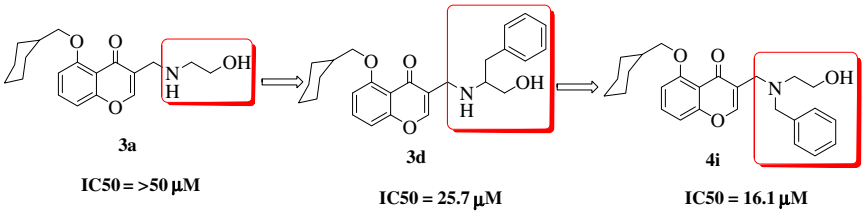


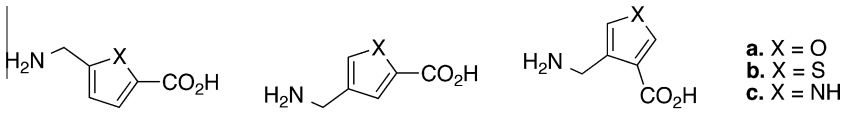
A series of novel L-tyrosine derivatives were designed, synthesized and assayed for their inhibitory activities on matrix metalloproteinase 2 (MMP-2) and histone deacetylase 8 (HDAC-8).

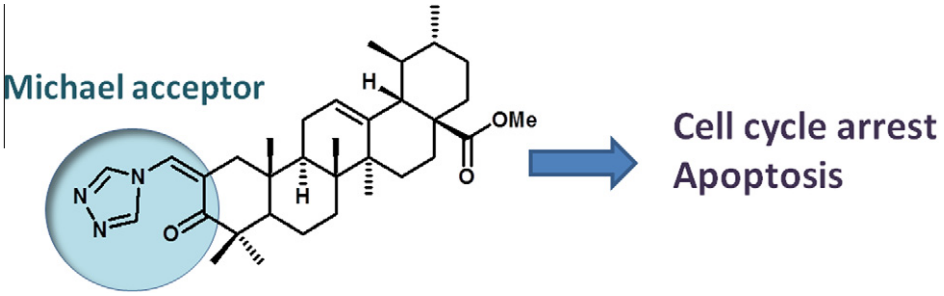


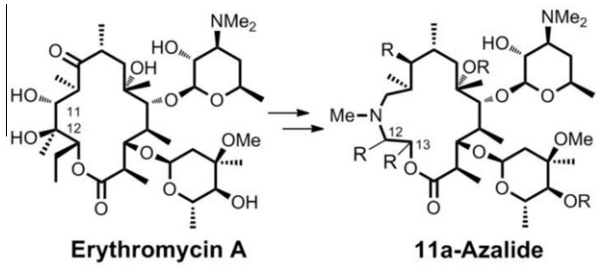
natural product
glaziovianin A
R = Me : IC_{50} = 0.59 μM

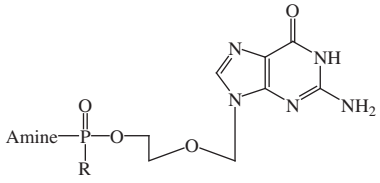
synthesized analogue
R = allyl : IC_{50} = 0.46 μM
R = Bn : IC_{50} = 0.19 μM
R = propargyl : IC_{50} = 0.17 μM

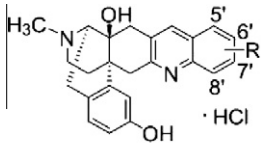




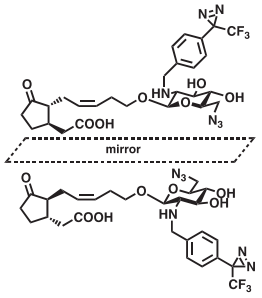


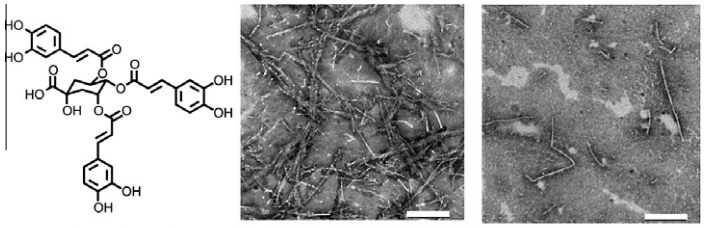


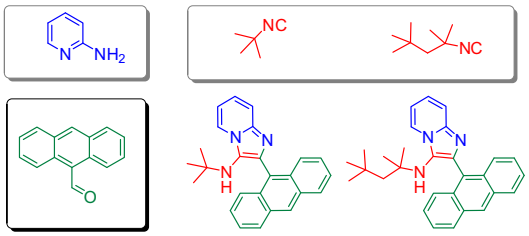


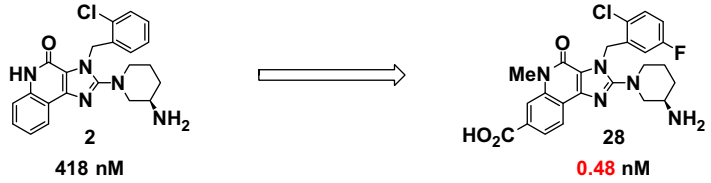


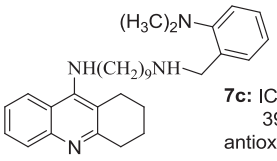
The study of the structure–activity relationship of KNT-127 derivatives showed that the 5′- and 8′-positions on the quinoline ring would be the most appropriate sites for modification to enhance the affinity and selectivity for the δ receptor.





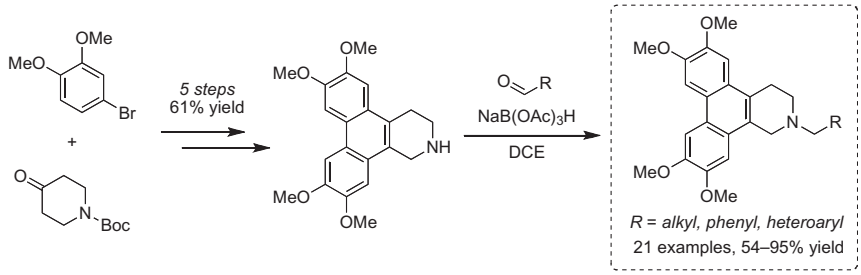


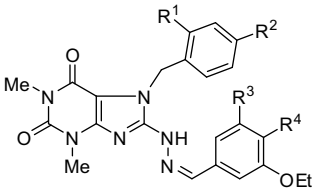


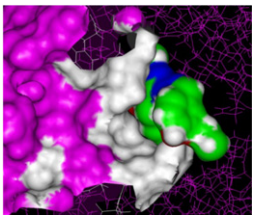


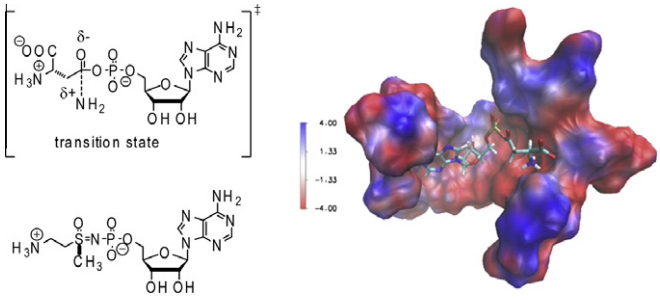
7c: IC_{50} of 0.55 nM for AChE, 2.65 nM for BuChE; 39.4 % inhibition for $A\beta_{1-42}$ at 20µM; 1.22 Trolox equivalents as antioxidant; good biometal chelation ability.

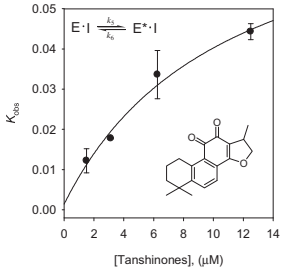
A series of hybrid molecules were synthesised by reacting N-(aminoalkyl)tacrine with salicylic aldehyde or derivatives of 2-aminobenzaldehyde. All of the hybrids are potential biometal chelators, and in addition, most of them were better antioxidants and inhibitors of cholinesterases and amyloid-β (Aβ) aggregation than the lead compound tacrine. Compound **7c** has the potential to be a candidate for AD therapy: it is a much better inhibitor of acetylcholinesterase (AChE) than tacrine (IC_{50}: 0.55 nM vs 109 nM), has good biometal chelation ability, is able to inhibit Aβ aggregation and has moderate antioxidant activity (1.22 Trolox equivalents).



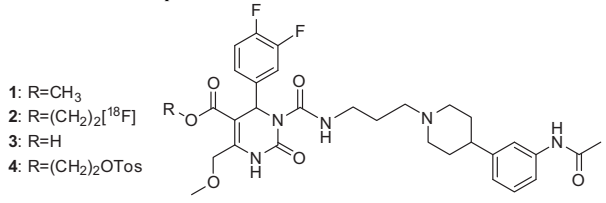








This is first report to describe the specific and selective inhibition effects of tanshinones against SARS-CoV $3CL^{pro}$ and PL^{pro} with deubiquitination. In detail kinetic mechanism, tanshinones manifest a slow-binding inhibition profile.



SNAP-7941 derivatives **1-4** (**1**: SNAP-7941; **2**: [^{18}F]FE@SNAP; **3**: SNAP-acid; **4**: Tos@SNAP).

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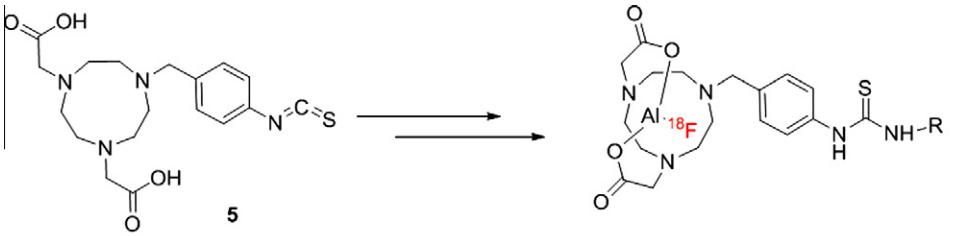
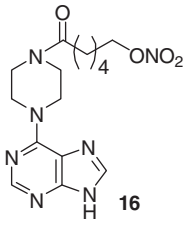
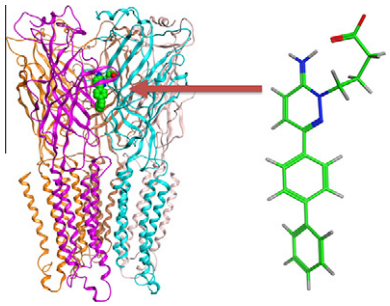
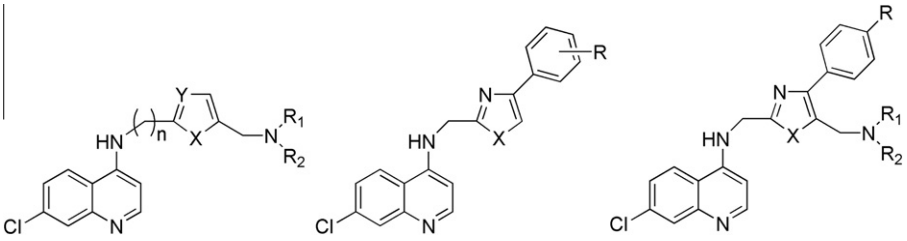
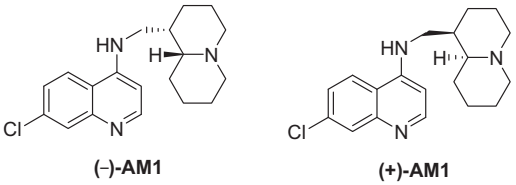


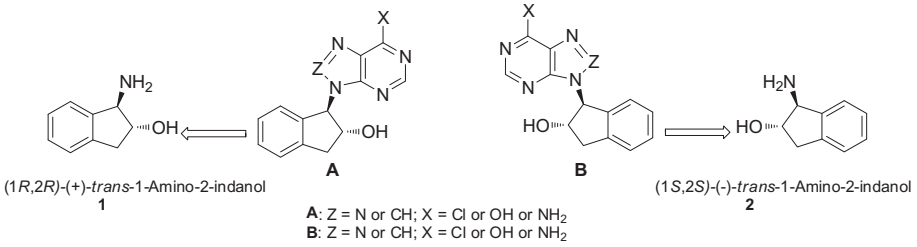


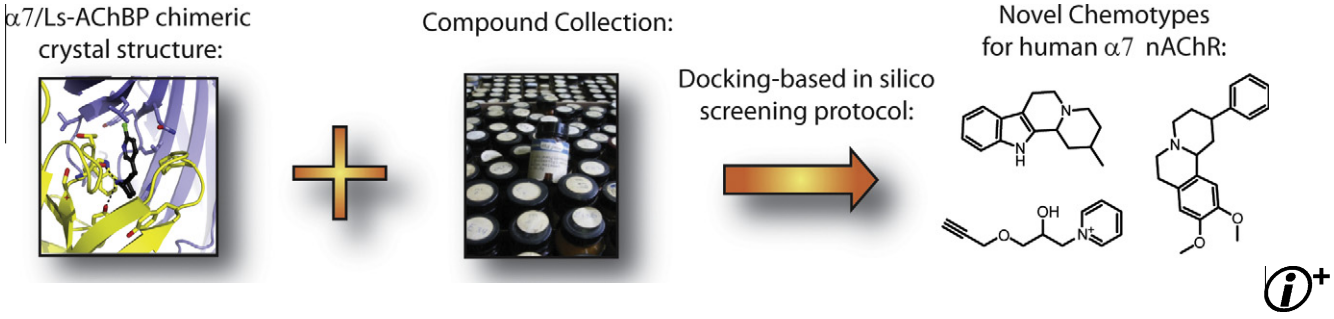
Administration of the analogue **16** in anesthetized rabbits, at a dose of 3.8 μmol/kg, resulted on a significant reduction of infarct size, compared to PostC group (13.4±1.9% vs 26.4±2.3%).











OTHER CONTENTS

*Corresponding author
Supplementary data available via SciVerse ScienceDirect

COVER

The cover image shows the structures of (-)-7-chloro-4-(*N*-lupinyl)aminoquinoline, a semisynthetic derivative of the natural alkaloid (-)-lupinine isolated from *Lupinus luteus*, and of its enantiomer, which are new potential antimalarial compounds, exhibiting potent activity against different strains of *Plasmodium falciparum*. [Rusconi, C.; Vaiana, N.; Casagrande, M.; Basilico, N.; Parapini, S.; Taramelli, D.; Romeo, S.; Sparatore, A. *Bioorg. Med. Chem.*, **2012**, *20*, 5979–5984.]

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ISSN 0968-0896