ELSEVIER

Bioorganic &
Medicinal
Chemistry

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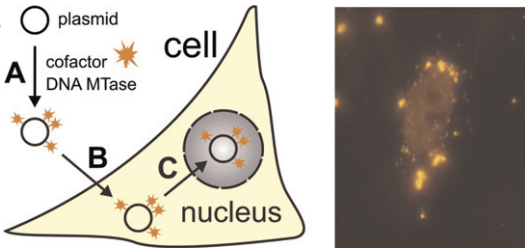
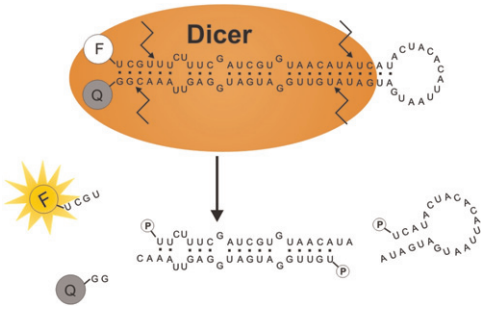
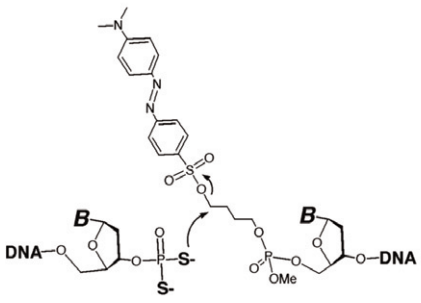
Contents

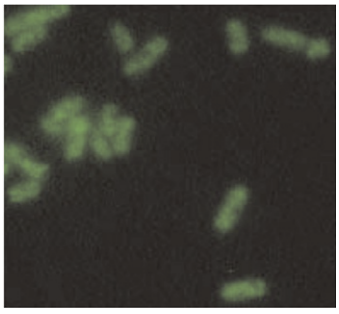


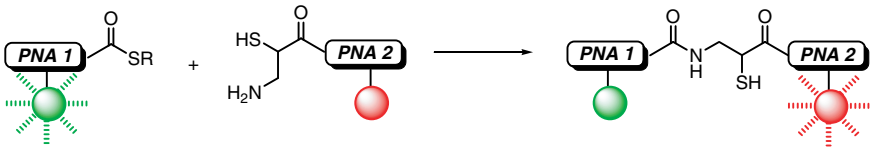
SMILing of plasmid pUC19 DNA with a fluorescent aziridine cofactor and a DNA methyltransferase (MTase) (A), transfection (B) and translocation into the nucleus (C). Cy3 fluorescence of labelled pUC19 inside the nucleus (right).

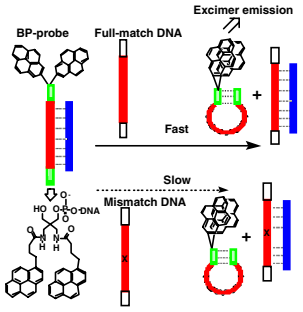


A fluorescence beacon for detecting inhibitors of the miRNA maturation process is reported.

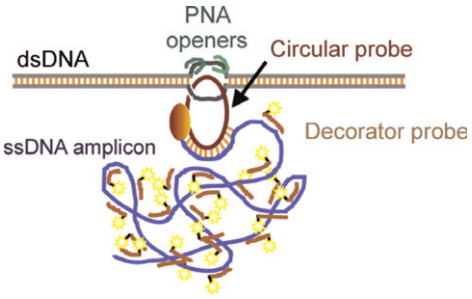


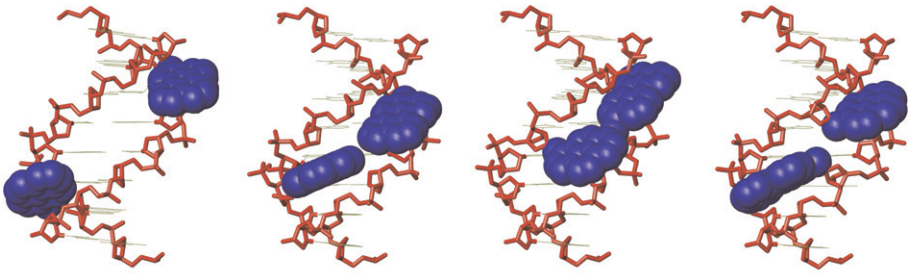


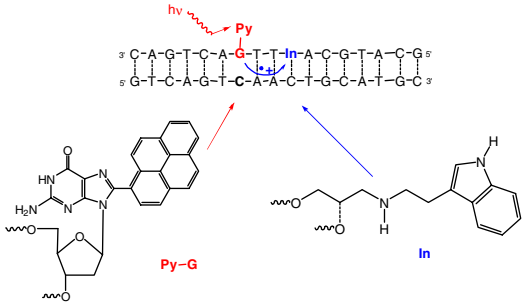


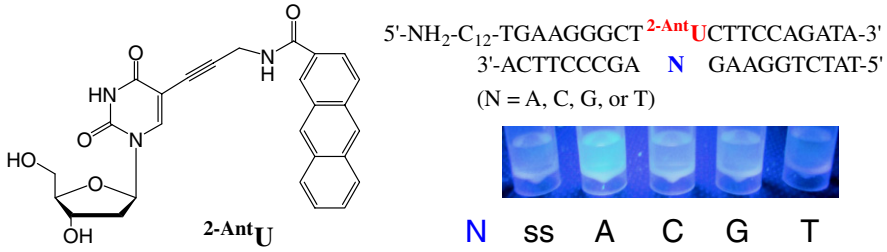


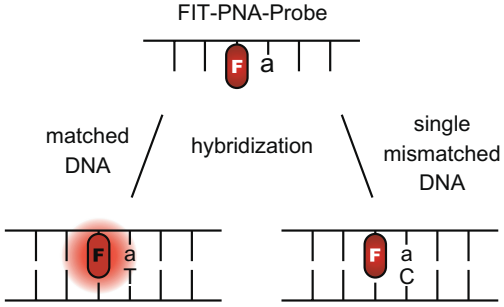
Different formats to detect the presence of short DNA marker sequences (20–30 bp) without denaturation of the DNA sample are presented. Duplex DNA is selectively opened at the marker site by a pair of peptide nucleic acid (PNA) openers, followed by hybridization and circularization of an oligonucleotide probe. Fluorescent detection is, for instance, carried out by rolling-circle amplification in the presence of a fluorophore-tagged decorator probe.



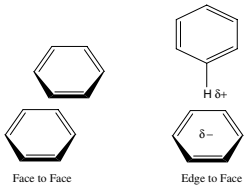




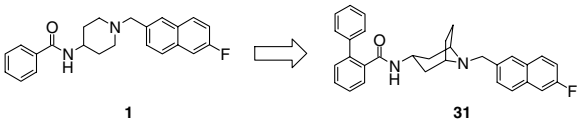




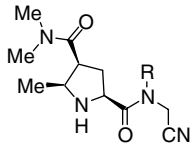
REVIEW



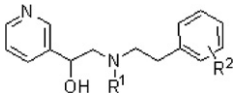
ARTICLES



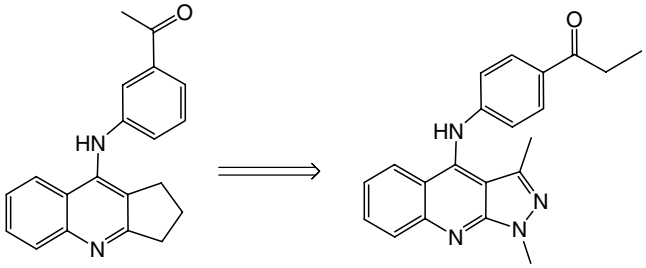
The 6-fluoro-2-naphthylmethyl derivatives were prepared, and their inhibitory activities against CCR3 were evaluated.



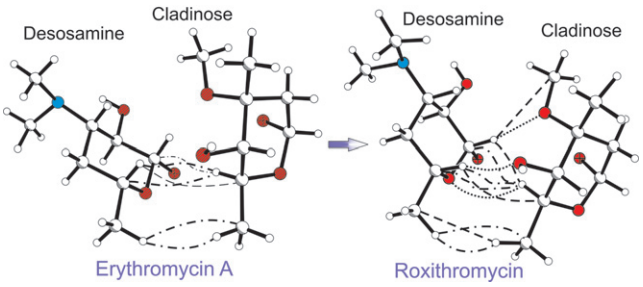
A series of *N*-(cyanomethyl)-*N*-alkyl-L-prolinamide analogs were synthesized and evaluated as structurally new inhibitors of dipeptidyl peptidase IV (DPP-IV).

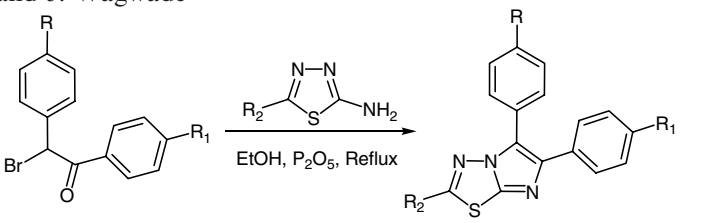


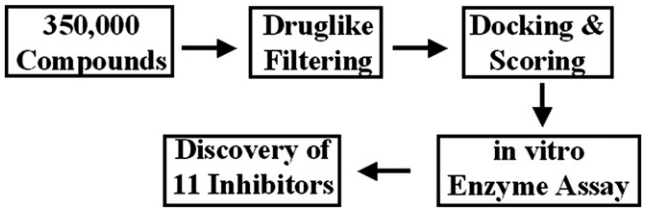
Novel pyridylethanol(phenylethyl)amines were synthesized and screened for cholesterol biosynthesis inhibition in the human hepatoma HepG2 cell assay. The compounds inhibit cholesterol biosynthesis by targeting lanosterol 14α-demethylase (CYP51). SAR of the binding with the overexpressed human CYP51 indicates that the pyridine binds within the heme binding pocket in analogy with the azoles.



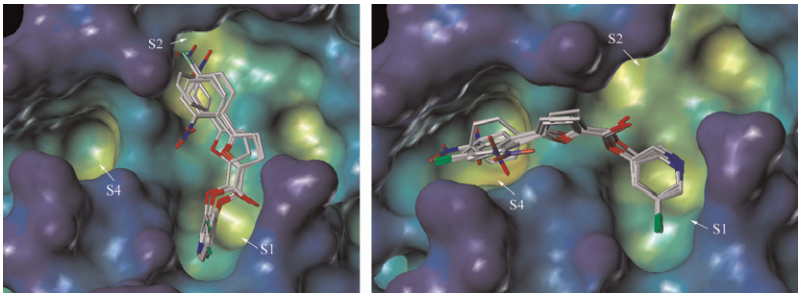
2, hit **6b**, lead



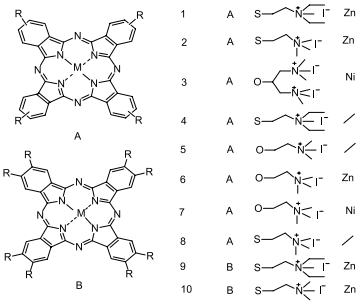


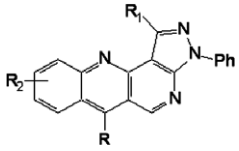


Two predicted binding modes of the inhibitors in active site of SARS-CoV M^{pro}, with the 3-chloropyridine moieties located in the S1 pocket.

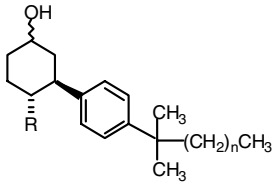


A series of water-soluble cationic phthalocyanine derivatives (**1**–**10**) were designed and synthesized to develop novel and potent telomerase inhibitors. Their inhibiting abilities to telomerase, stabilizing abilities to G-quadruplex were investigated by repeat amplification protocol (TRAP) assay, CD melting.

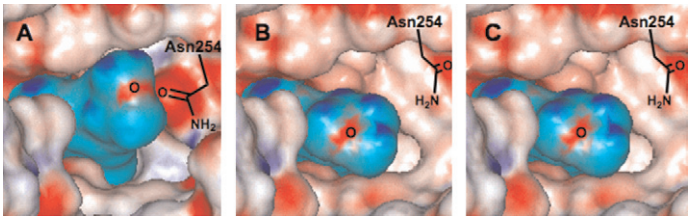


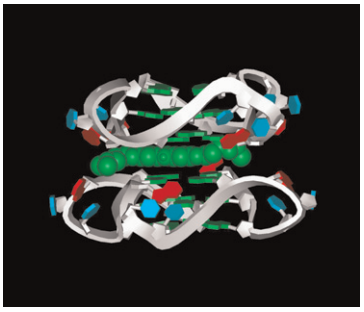


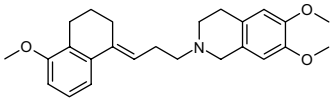
Herein we applied rigid analogue and isosteric replacement approaches to design and synthesize nine new 3*H*-benzo[*b*]pyrazolo[3,4-*h*]-1,6-naphthyridine derivatives (**2a**–**i**). The biological and computational results of these new molecules were compared with 1-hydroxyacridones and confirmed their potential antiviral profile.



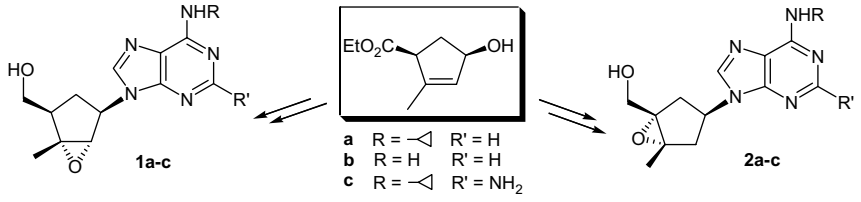
The synthesis and pharmacology of a series of 1-deoxy analogs of CP-47,497 (R = H, *n* = 0–7), both epimeric alcohols and 1-deoxy analogs of CP-55,940 (R = C_3H_6OH, *n* = 0–7), β-hydroxy only, is described. The CB_1 and CB_2 receptor affinities of these compounds are reported.

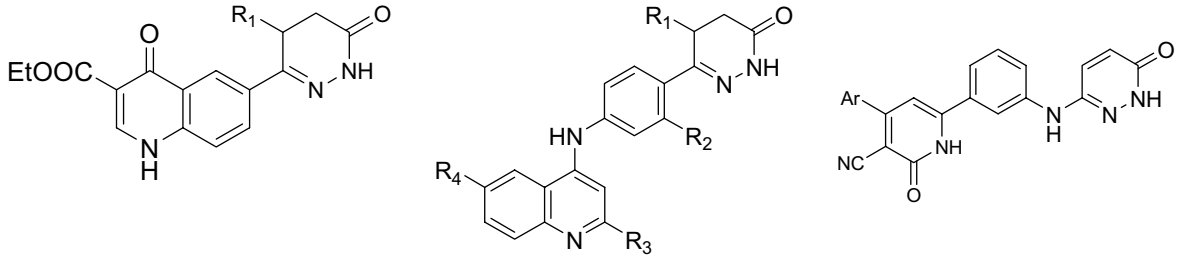


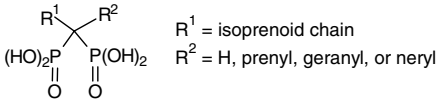


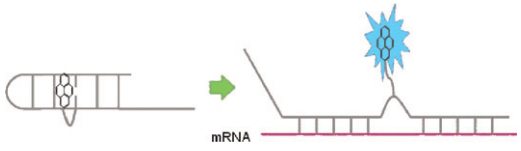


Compound **3c** displayed high MDR reverting activity inhibiting P-glycoprotein in Caco-2 and MCF7/Adr tumor cell lines.

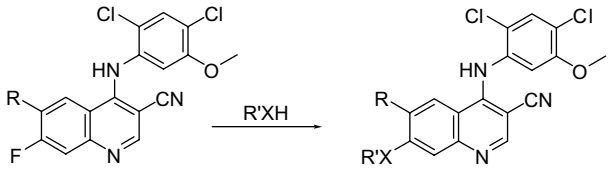




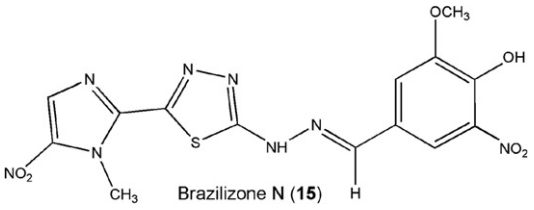




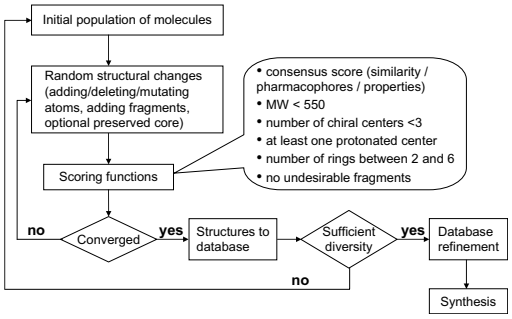
A labeling probe containing a pyrenecarboxamide-tethered modified DNA base has been designed for fluorometric detection of RNA poly(A) tracts.

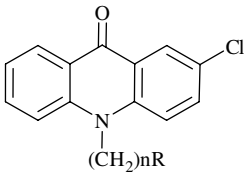


We describe herein a 3D-QSAR model constructed with trypanocidal agents structurally-related to Brazilizone A (**2**) and the discovery of the 1,3,4-thiadiazole-2-arylhydrazone derivative (**15**), named Brazilizone N, as a novel potent antichagasic lead-candidate.

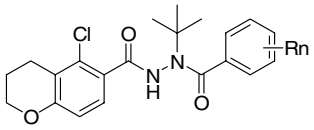


Brazilizone N (**15**)

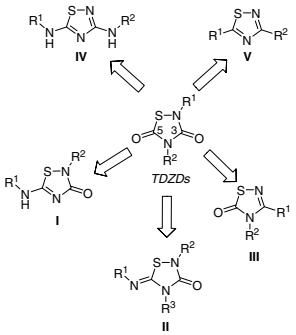


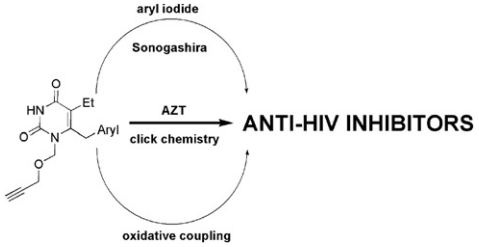


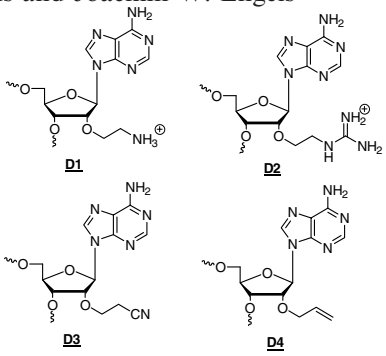
M'ultidrug resistance (MDR) has become a major obstacle to the clinicians for the treatment of cancer. In an attempt to find clinically useful chemosensitizers, **17** chloroacridones were synthesized and evaluated for anti-MDR activity. Five compounds were able to reverse completely the 25-fold resistance of $KBCh^{R}$-8-5 cells to VLB and therefore, these compounds constitute the promising anti-MDR agents that should be further tested in animal model systems.

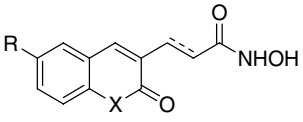


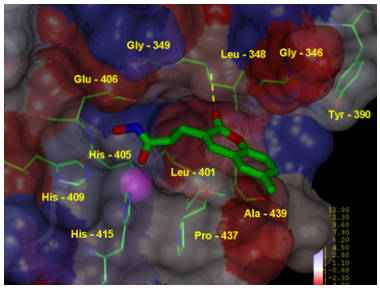
A series of novel *N*′-*tert*-butyl-*N*′-substitutedbenzoyl-*N*-5-chloro-6-chromanecarbohydrazide derivatives were synthesized, and their larvicidal activities against Oriental armyworm were evaluated. The results of bioassays indicated that most of these title compounds exhibit higher larvicidal activities than RH-5849, and several of them somewhat lower than the commercial insecticide tebufenozide. The larvicidal activities are strongly associated with the types and patterns of substitution on the benzene, and 3,5-dimethyl, 2-nitro-4-chloro, and 3-methyl derivatives are most prominent in increasing activity. Toxicity assays indicated that these derivatives could induce a premature, abnormal, and lethal larval moult.

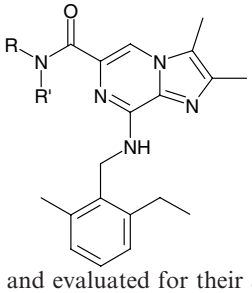


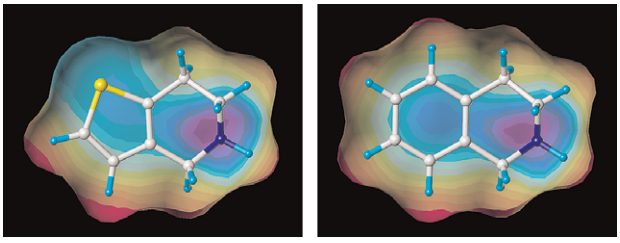


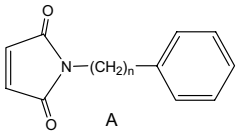






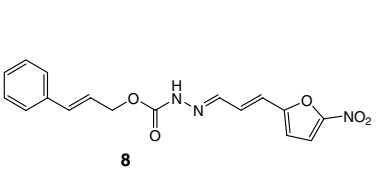






A

N-Phenyl and *N*-phenylalkylmaleimides of the general structure A with $n = 0–4$ showed potent fungistatic and fungicide activities against a standardized strain as well as clinical isolates of *Candida albicans* and non-*albicans Candida* spp. Stability studies using biological and spectrophotometric methods showed that all maleimides tested maintain intact their maleimide ring during the time required for killing fungi. Antifungal properties of their open derivatives along with the type of interaction between maleimides and maleamic acids are reported too.



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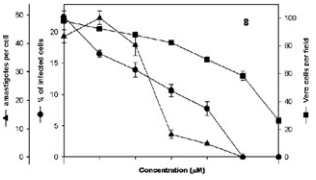
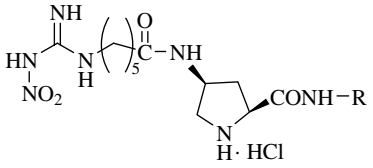


A new series of 5-nitrofuranes with relevant in vitro activity against *Trypanosoma cruzi* are described. Some of them act through a dual molecular mechanism, producing oxidative stress and inhibiting membrane sterol biosynthesis.



A series of 4-(6-(3-nitroguanidino)hexanamido)pyrrolidine derivatives were synthesized and evaluated for their abilities to inhibit inducible nitric oxide synthase (iNOS) isoform. The preliminary pharmacological test showed that three compounds, **17**, **21**, and **30**, have the good potency which are compared to the NOS inhibitor N^G-nitroarginine(*L*-NNA), and could be used as lead compounds for exploring new iNOS inhibitors in the future.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover shows a fluorescent PNA-probe in complex with a DNA-target. The space-filled structure rendered in red highlights a cyanine dye, which has been linked to PNA as a base surrogate in order to probe the integrity of adjacent base-pairs. Bethge et al. investigated various intercalator dyes of the thiazol orange familiy and describe the expansion of the repertoire of colors [Bethge, L.; Jarikote, D. V.; Seitz, O. *Bioorg. Med. Chem*. **2008**, *16*, 114–125].

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