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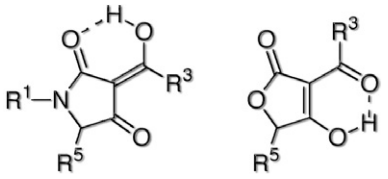
Contents

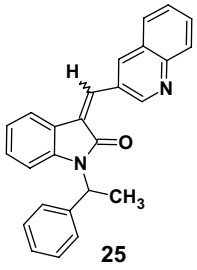
REVIEW



Progress in the field of tetramic acids and tetronic acids since the year 2000 is reviewed with a focus on newly isolated derivatives, on biosynthetic pathways, biological and pharmacological activities and on recent laboratory syntheses.

ARTICLES

Among a series of indolinones synthesized and evaluated for differentiation activity, compound **25** induced completed differentiation of HL-60 cells when combined with all *trans* retinoic acid (ATRA).



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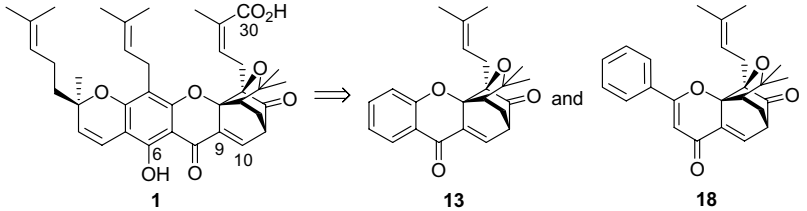
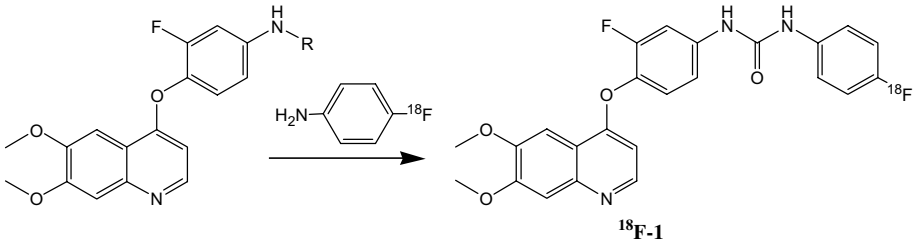
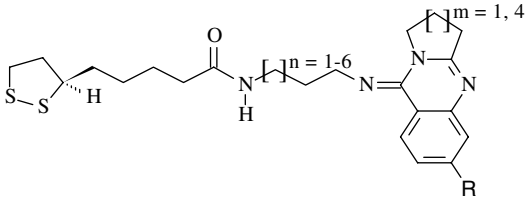


1 **13** **18**

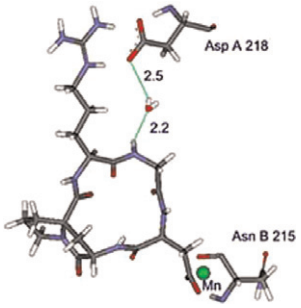


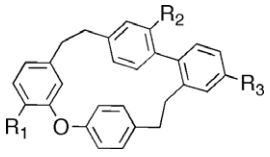
^{18}F-1



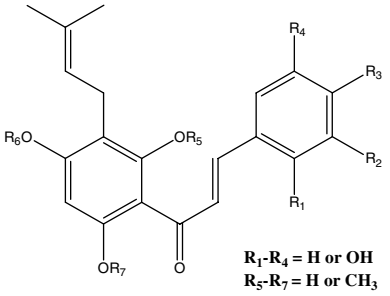
R = Cl; m = 1, n = 6:
IC_{50} (AChE) = 0.47 μM
IC_{50} (BChE) = 5.7 nM
Trolox-equiv: 0.5 ± 0.10

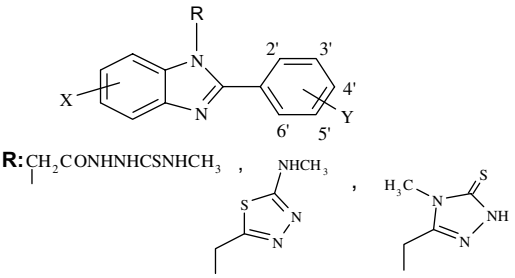
R = H; m = 4, n = 6
IC_{50} (AChE) = no activity
IC_{50} (BChE) = 23 nM
Trolox-equiv: 0.4 ± 0.10

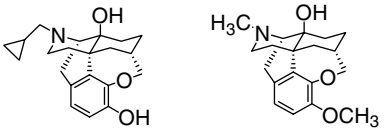




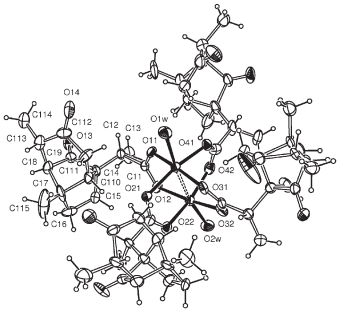
Some typical LXR ligands and α-glucosidase inhibitors were found to possess α-glucosidase-inhibitory activity and LXR-antagonistic activity, respectively. The dual activity elicited by riccardin was seperated by its structural development.

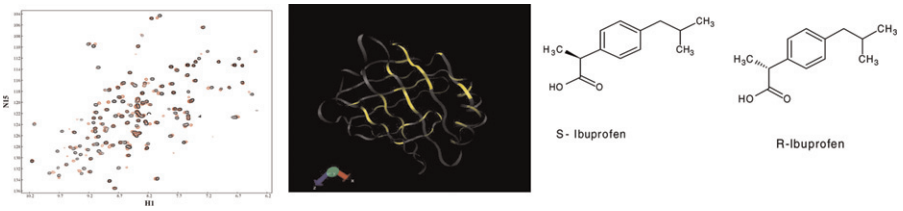


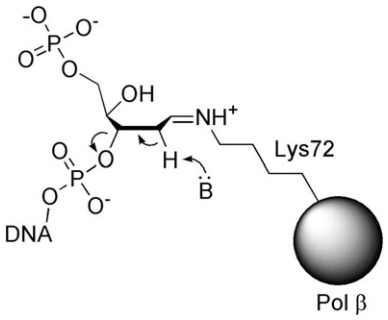


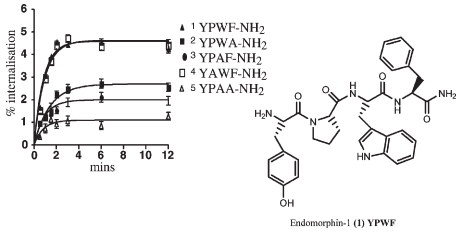


We succeeded in syntheses of 4,6′-epoxymorphinan derivatives. The 4,6′-epoxymorphinan skeleton could be an alternative message site.



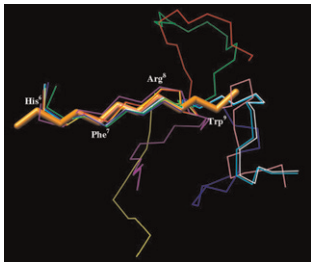


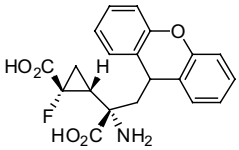


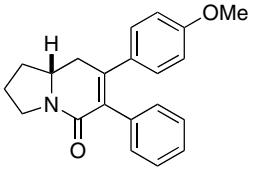


The amount of MOPR internalisation seen in SH-SY5Y cells treated with endomorphin and analogues.

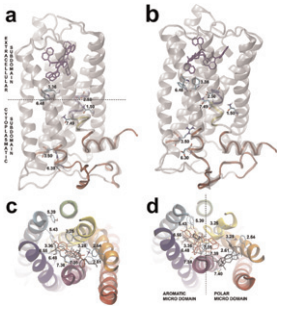
We designed and synthesized 11 α-MSH octapeptide analogues with different residues in the core and in the C-terminal sequences and tested their antifungal activity against *Cryptococcus neoformans*, *Candida albicans* and *Candida tropicalis*.

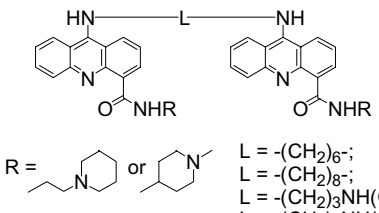




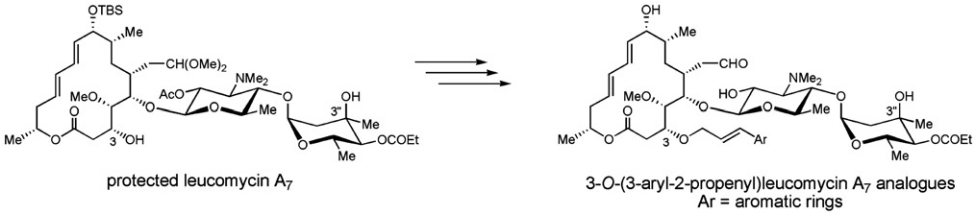


(*R*)-7-(4-methoxyphenyl)-6-phenyl-2,3,8,8a-tetrahydroindolizin-5(1*H*)-one

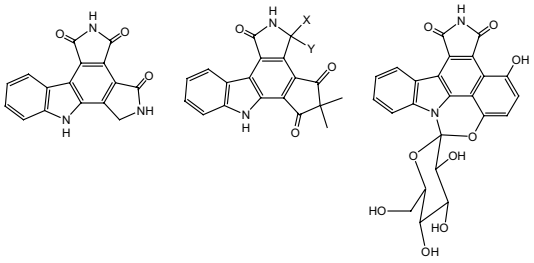




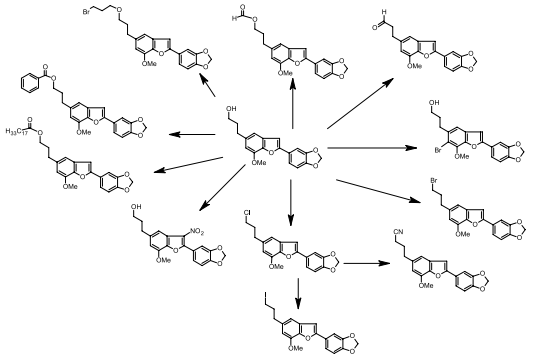
L = -$(CH_2)_6$-;
L = -$(CH_2)_8$-;
L = -$(CH_2)_3NH(CH_2)_3$-;
L = -$(CH_2)_4NH(CH_2)_3$-;
L = -$(CH_2)_2NH(CH_2)_2NH(CH_2)_2$-;
L = -$(CH_2)_2N(CH_2CH_2)_2N(CH_2)_2$-.

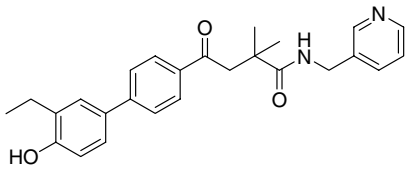


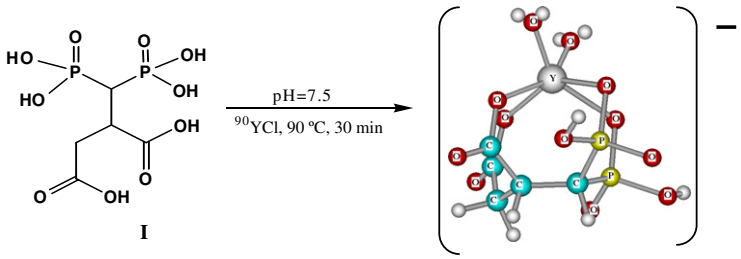
The design and synthesis of 16-membered macrolides modified at the C-3 position are described. 3-*O*-(3-Aryl-2-propenyl)leucomycin A_7 analogues showed improved in vitro antibacterial activities against clinically important pathogens.



Eleven compounds were synthesised from egonol which was isolated from *Styrax officinalis* L. seeds. The antibacterial activity of all the products was evaluated.







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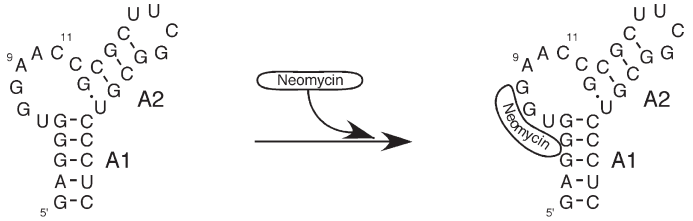
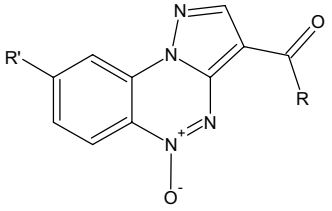
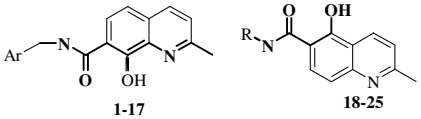


The T box antiterminator model RNA, AM1A, and neomycin B with binding site localized to the 5′ end of the bulge.

Interdependence between the type of heteroaroyl ring at position 3 and the substituent at position 8 is evidenced and binding tests at GABA$_A$/benzodiazepine receptor complex were carried out. Moreover, in vivo pharmacological studies and molecular modeling studies were performed.

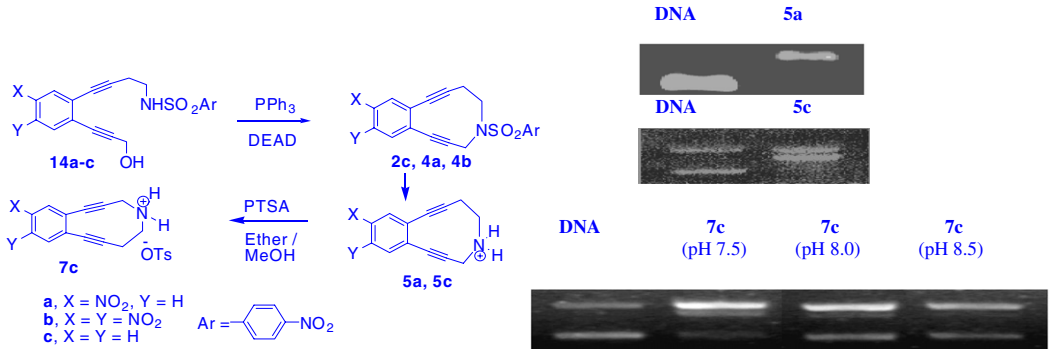


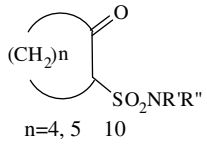
R = H, CH_3, Ar, Het
R' = Cl, OR, OAr



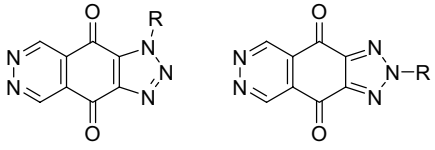
1-17 18-25

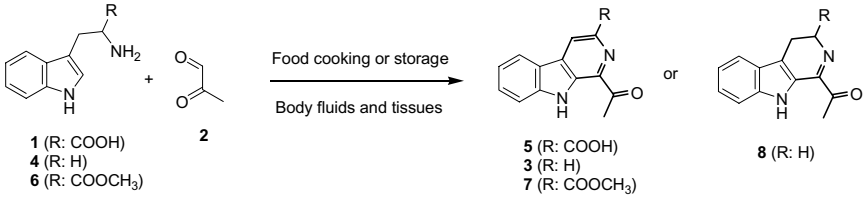
Two series of amides based on quinoline scaffold were designed and synthesized in search for photosynthesis inhibitors.

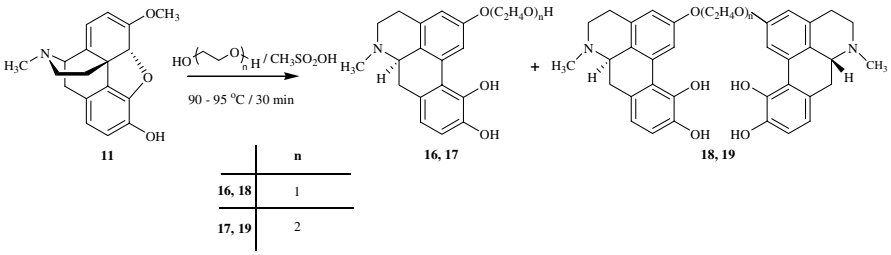




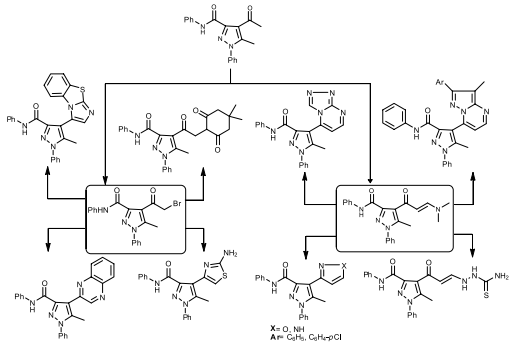
Synthesis, fungicidal and antitumor activities of 2-oxocycloalkylsulfonamides are reported.

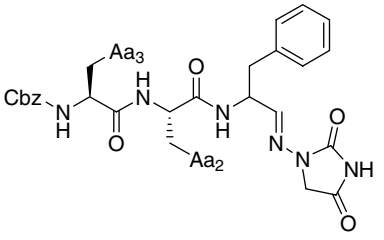




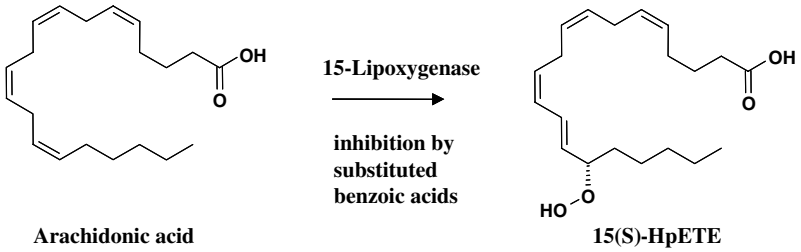


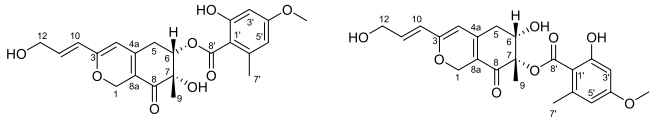
We have synthesized novel 2-*O*-substituted apomorphines with both different lengths of lipophilic alkyl chains and alkyl chains carrying free hydroxyl groups. Two bis-apomorphines formed as side products of the reactions with diols were isolated and characterized as well. The neuropharmacological profile of all these new compounds was investigated with respect to their binding affinities and activities to dopamine D_2 and D_1 receptors. The obtained data pointed to the fact that, in the examination of dopaminergic activities of 2-substituted apomorphines, the lipophilicity of the substituent is more important than its spatial parameters.





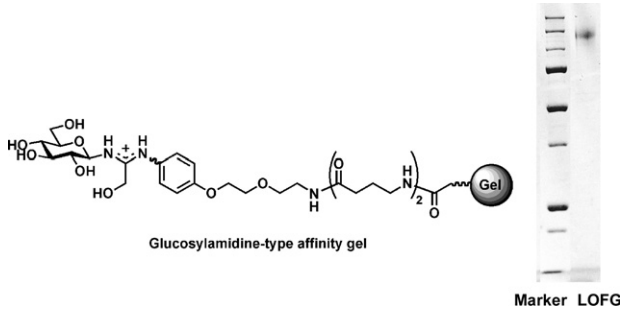
Z-Trp-Trp-Phe-cyclic semicarbazone peptides inhibit the chymotryptic activity of the human proteasome at nanomolar concentrations.

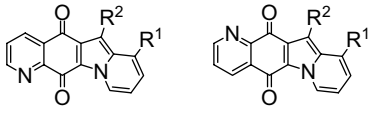




1 KasanosinA 2 KasanosinB

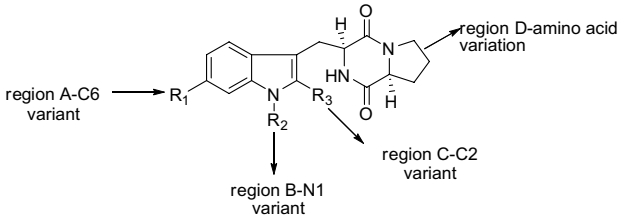
Kasanosins A (**1**) and B (**2**) are novel azaphilones isolated from cultures of *Talaromyces* sp. derived from seaweed, and their structures were determined by spectroscopic analyses. These compounds selectively inhibited the activities of eukaryotic DNA polymerases β and λ in family X of pols.

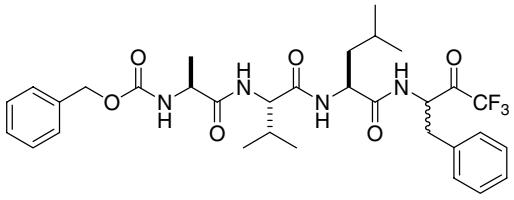




A series of indolizinoquinoline-5,12-dione derivatives are synthesized and evaluated for cytotoxic activities. The synthetic compounds show significant cytotoxic activities against tumor cells. The structure-activity relationships and topoisomerase I inhibitory activity of target compounds are discussed.

Analogues of tryprostatin A modified in the regions A–D were synthesized and evaluated for their antitumor activity.





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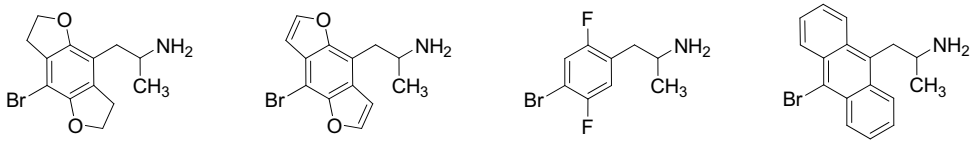
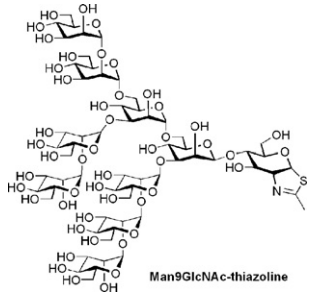
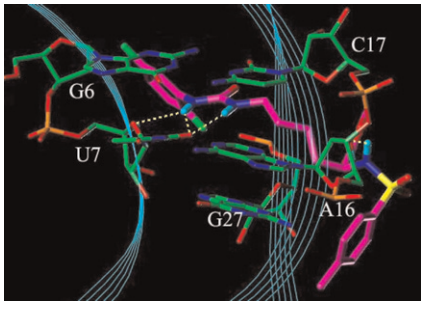




Man9GlcNAc-thiazoline

An RNA pseudoknot is one of the essential components of the viral −1 ribosomal frameshifting (−1RF) system. The control of pseudoknot stability is important in regulating the efficiency of −1RF. We conducted the virtual screening of a chemical database targeting the X-ray crystal structure of biotin-bound RNA pseudoknot to identify ligands that may regulate an −1RF system containing biotin-aptamer as an RNA pseudoknot component. After docking screening of about 80,000 compounds, 58 high-ranked hits were selected and their activities were examined by in vitro and cell-based −1 frameshifting assays. Six compounds increased the efficiency of −1 frameshifting, and these are novel small molecule compounds that regulate the −1RF.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (http://www.pymol.org). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

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