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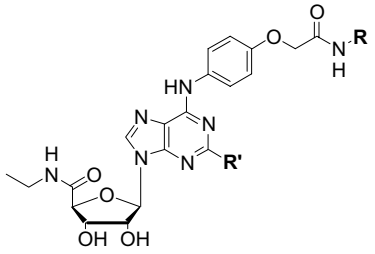
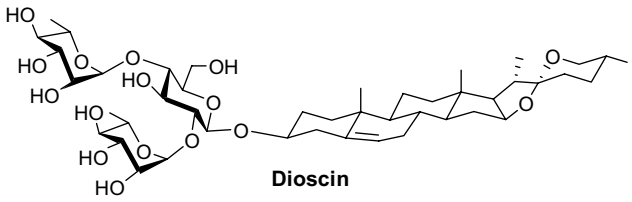
Contents

REVIEW

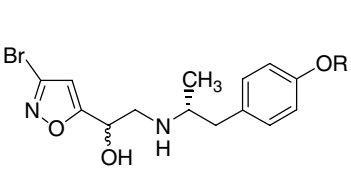
Death of Frédéric Chopin; 1810–1849 (after a painting of Félix Barrias; Bibliothèque Nationale de France; http://ark.bnf.fr/ConsulterElementNum?O=IFN-7720446&E=JPEG&Deb=38&Fin=38&Param=C).

ARTICLES



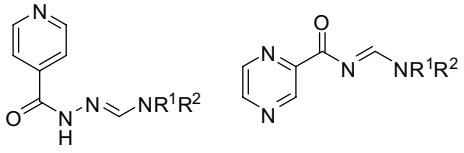


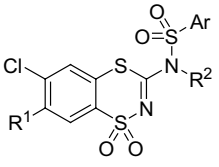
The hemolytic activity of a collection of 63 steroid saponins, mostly congeners of dioscin, was determined, which is highly dependent on their structures and has no correlation with their cytotoxicity.

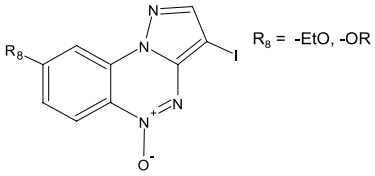


(*S*,*R*)-(–)-**7a**: R = CH_2CO_2H
(*R*,*R*)-(+)-**7b**: R = CH_2CO_2H
(*S*,*R*)-(–)-**8a**: R = CH_2CO_2Et
(*R*,*R*)-(+)-**8b**: R = CH_2CO_2Et
(*S*,*R*)-(–)-**9a**: R = $(CH_2)_3CH_3$
(*R*,*R*)-(+)-**9b**: R = $(CH_2)_3CH_3$

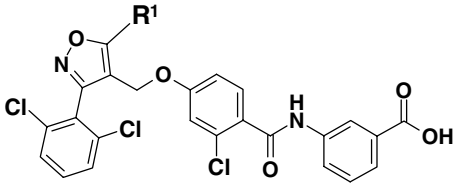
A set of new enantiopure isoxazole derivatives has been prepared and tested for their affinity and efficacy at human β_1-, β_2-, and β_3-adrenergic receptor subtypes.







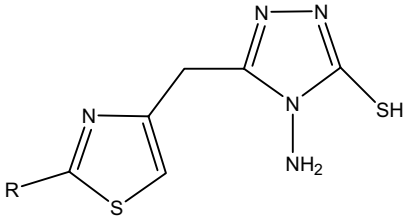
The synthesis, biological, and pharmacological investigation on new 3-iodo-8-alkyloxypyrazolo[5,1-*c*][1,2,4]benzotriazine 5-oxide are reported. The structure–activity relationships for these compounds are discussed. Compounds **5c** and **5′c** emerge for their pro-mnemonic activity from in vivo tests.



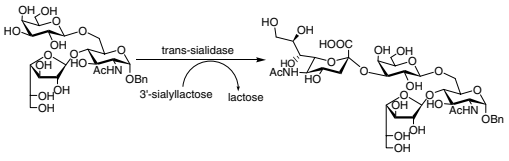
R^1 = 2-naphthyl, 4-biphenyl

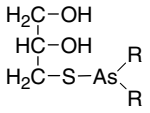
A series of substituted-isoxazole derivatives was prepared as candidate farnesoid X receptor (FXR) antagonists, based on our previously proposed ligand superfamily concept.

The synthesis of a new series of triazolyl-thiazole derivatives under microwave assisted organic synthesis is described. They were tested as cdk5/p25 inhibitors. It was also observed that **8b** possesses 17-fold selectivity for cdk5/cdk2. Compounds **8a** and **8c** have shown appreciable cdk5/p25 inhibitory potency. Few compounds were good inhibitors while others were inactive.

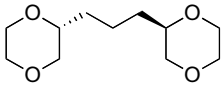


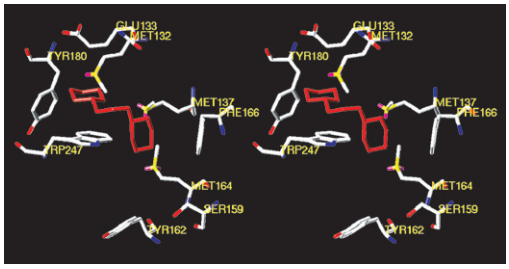
The presence of galactofuranose in the oligosaccharides from mucins of *Trypanosoma cruzi* does not impair their acceptor properties. The oligosaccharides inhibit sialylation of *N*-acetyllactosamine with IC_{50} values between 0.6 and 4 mM. A representative reaction is shown:



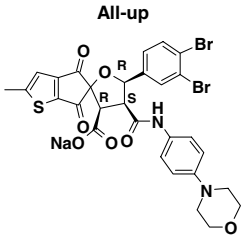


Some *S*-dialkylarsenic compounds have been synthesized and most of them display anticancer activity and especially towards leukemia, renal cancer and prostate cancer cell lines.





Linear and non-linear QSAR for the antifungal potency of 96 heterocyclic ring derivatives, using Forward Stepwise Regression and the Replacement Method. Different parallelisms are argued between the activities and the molecular descriptors involved. Antifungal activity = function (molecular structural descriptors).



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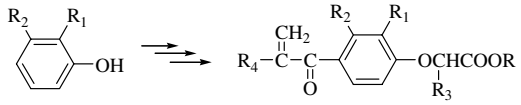
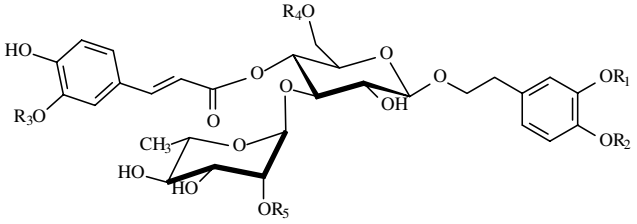
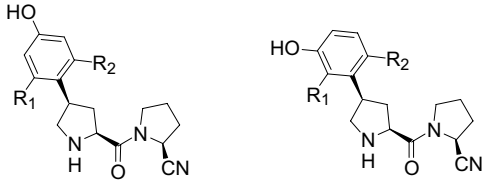
HPV11 E1/E2/DNA IC_{50} = 0.006 μM



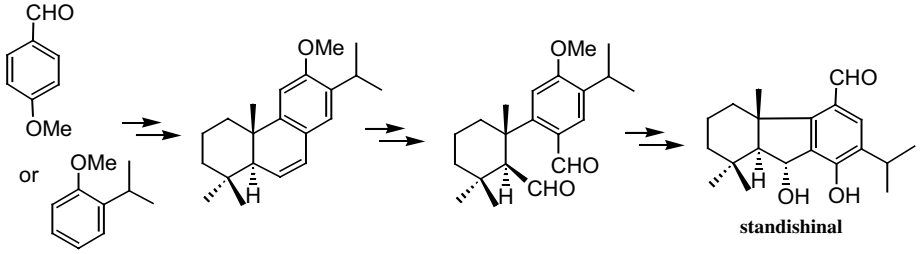
Twenty-one novel ethacrynic acid (EA) derivatives are synthesized and their inhibitory effects of on GSTP1-1 activity and growth of HL-60 cells are determined.

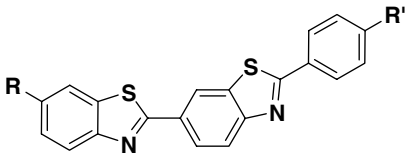


Forty-five secondary metabolites isolated from *Marrubium velutinum* and *Marrubium cylleneum* belonging to the classes of flavonoids, phenylethanoid glycosides, phenolic acids and lignan glycosides were screened for their inhibitory activity against mushroom tyrosinase, a key enzyme in the production of melanins in plants and animals.



The 4β-[4-(hydroxyphenyl)prolyl]prolinenitriles were synthesized and evaluated as inhibitors of dipeptidylpeptidase IV (DPP-IV). Metabolic formation of the corresponding phenol glucuronates was found to contribute to their long duration of action.





R = H, OCH_3, Cl, F, R' = NH_2, $NHCH_3$, $N(CH_3)_2$

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Death of Frédéric Chopin; 1810–1849 (after a painting of Félix Barrias; Bibliothèque Nationale de France; 38641706) [Janin, Y. L. *Bioorg. Med. Chem.* **2007**, *15*, 2479–2513].

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