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Chemistry

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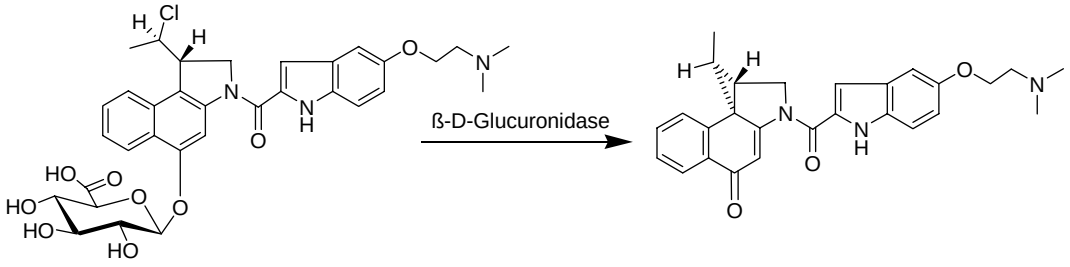
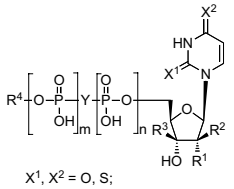
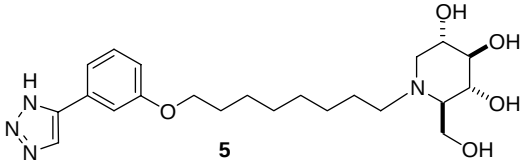
Contents

ARTICLES



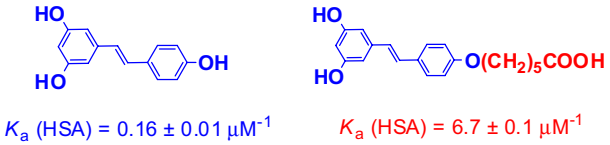


X^1, X^2 = O, S;
Y = O, CH_2, CCl_2, CF_2;
R^1 = H, OH, $NHCONH_2$;
R^2 = H, OH, CH_3;
R^3 = H, CH_3;
R^4 = H, alkyl, aryl, sugar, nucleoside
m, n = 1, 2



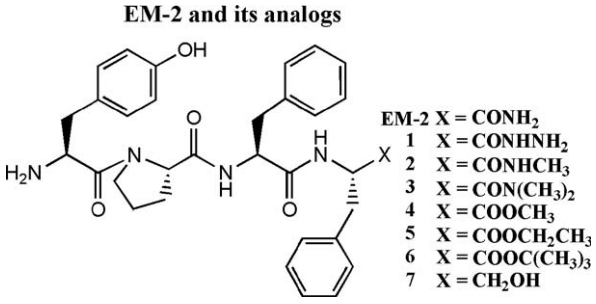
5

The synthesis and biological properties of **5** is reported.

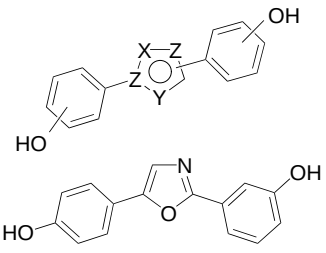


Resveratrol aliphatic acids were prepared and the binding of the compounds with human serum albumin (HSA) was investigated using fluorescence, UV–vis and NMR spectroscopies.

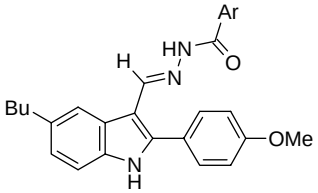
Schematic diagram of EM-2 and its analogs by substitution of the Phe^4–NH_2 group with –$NHNH_2$, –$NHCH_3$, –$N(CH_3)_2$, –OCH_3, –OCH_2CH_3, –$OC(CH_3)_3$, and –CH_2–OH investigated in this study.



A series of 19 azoles were synthesised and 17β-HSD1 inhibition determined. Compound **18** showed highest activity in cell free and T-47D assays, excellent selectivity towards 17β-HSD2, ERα and ERβ and medium CaCo-2 permeability.

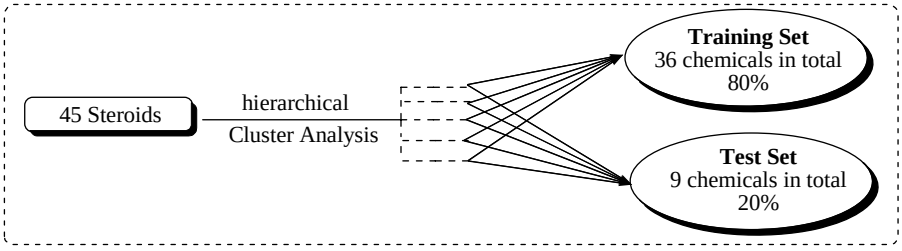


18
X, Y, Z = N, NH, CH, CSH, O.



Ar = C_6H_4R, pyridyl

5-Butyl-2-(4-methoxyphenyl)indole-3-carbaldehyde aroyl hydrazones strongly inhibit the growth of human breast cancer cells (IC_{50}, 19–115 nM) by cell cycle arrest in G_2/M phase and apoptosis.



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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