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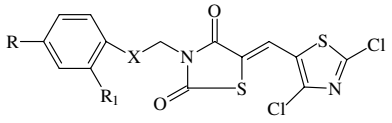
Bioorganic &
Medicinal
Chemistry

Bioorganic & Medicinal Chemistry Vol. 15, No. 18, 2007

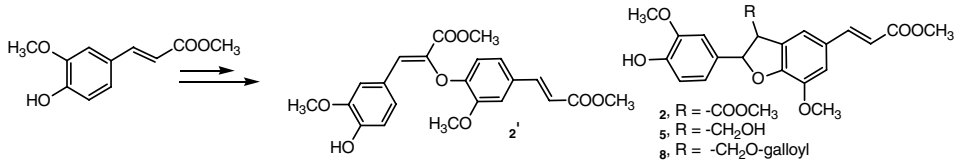
Contents

ARTICLES



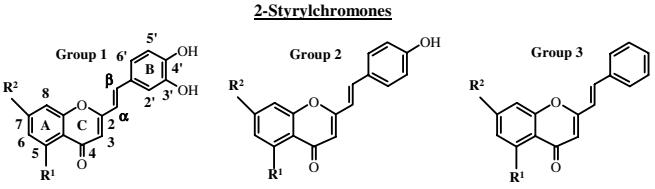
R=H, F, Cl, Br, NO_2 X= - , C=O
R_1=H, Cl

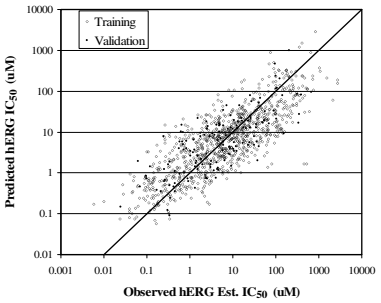
A new series of thiazolyl thiazolidine-2,4-diones were synthesized and tested for their in vitro antimicrobial activities.

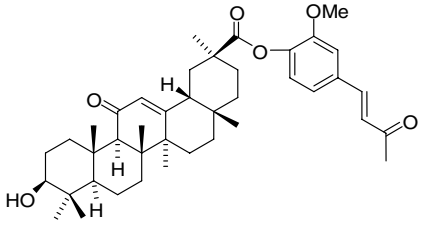


Feruloyl dimeric derivatives were synthetized and screened for their antiatherogenic and antiplasmodial activity.

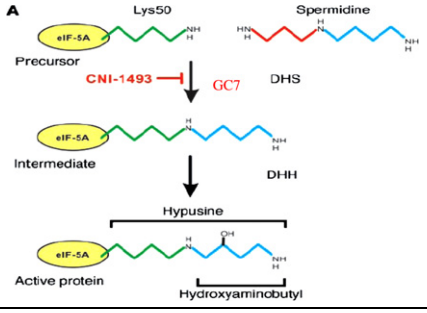
2-Styrylchromones were tested for their scavenging activity against ROS and RNS. Some of the studied compounds proved to be extremely efficient scavengers of the different ROS and RNS, showing, in some cases, IC_{50}s under 1 μM.

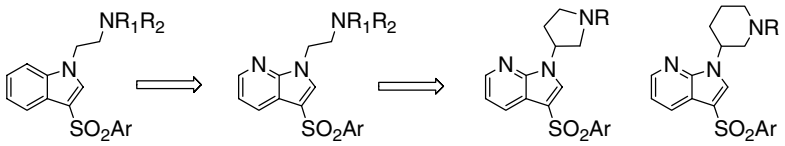






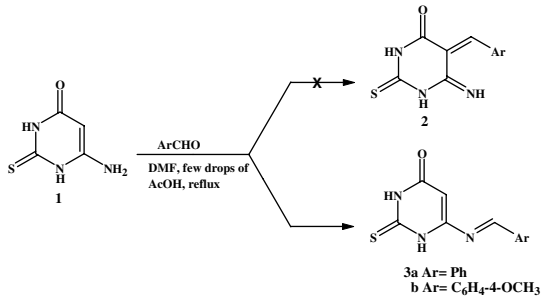
5: GA-DZ

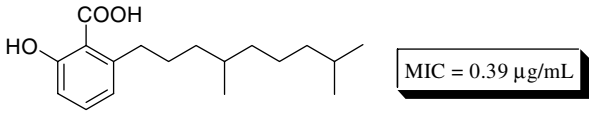




1 **2** **3** **4**

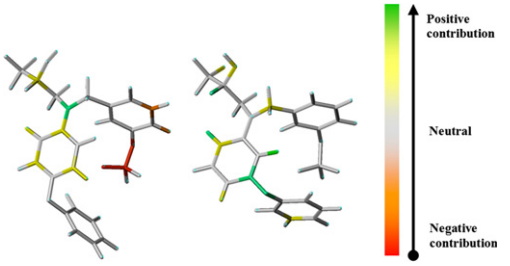
Indoles **1** are 5-HT$_6$ receptor ligands with modest 5-HT$_6$ functional activities. Azaindoles **2** have enhanced 5-HT$_6$ affinities and functional activities. Constraining the basic side chain provided 5-HT$_6$ ligands (**3** and **4**) with high binding affinities.



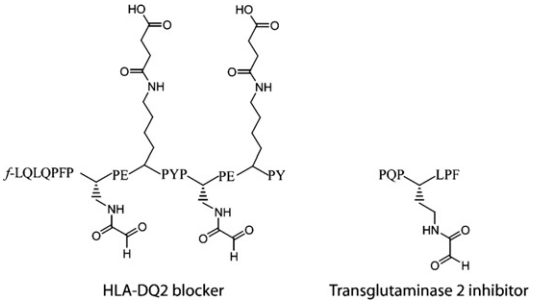


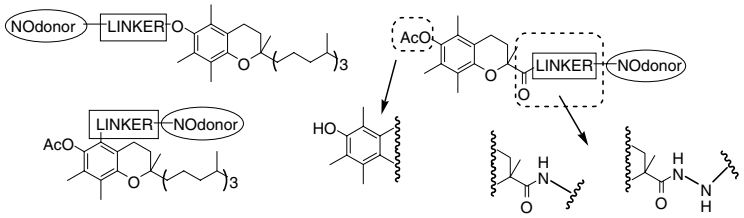
6-(4',8'-Dimethylnonyl)salicylic acid (**14**)

Classical 2D QSAR (r^2 = 0.76, q^2 = 0.72) and hologram QSAR (r^2 = 0.88, q^2 = 0.70) models were developed for a series of 85 CETP inhibitors (*N*-*N*-disubstituted trifluoro-3-amino-2-propanol derivatives). These models are complementary in nature and highlight important structural features for the design of novel CETP inhibitors with improved potency.

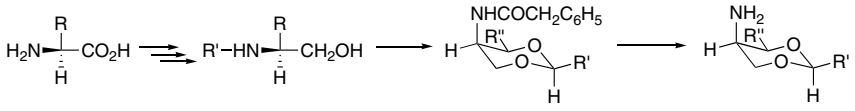


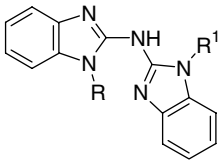
A series of aldehyde-functionalized gluten peptides were synthesized as inhibitors of HLA-DQ2 and transglutaminase 2, two human proteins that play a critical role in gluten induced pathogenesis of celiac sprue.



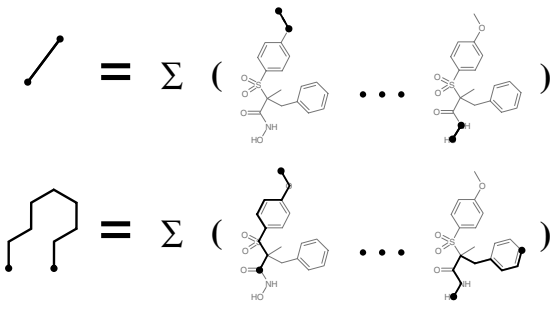


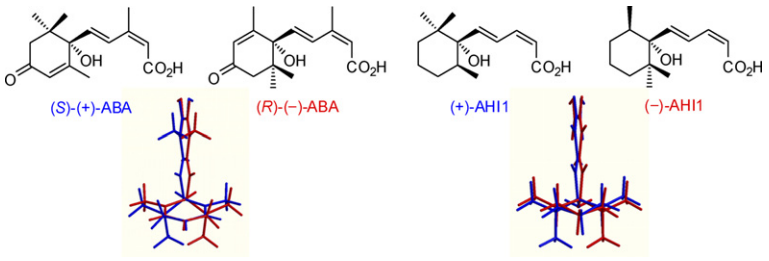
Synthesis, physicochemical, and biological characterization of second generation series of products obtained by coupling α-tocopherol or analogs through appropriate spacers with the NO-donor either nitrooxy or furoxan moieties were described.



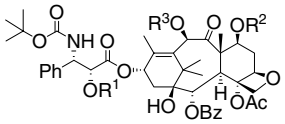


New derivatives of 2-aminobenzimidazole were synthesized using two methods and studied for antitrichinellosis activity. The in vitro and in vivo screening of the intestinal phase of *Trichinella spiralis* exhibited significant effectiveness of the investigated compounds.





The synthesis and evaluation of docetaxel esters of malic acid is reported. 2′-Malyl docetaxel and their sodium salts behave as prodrugs, and compound **3a** demonstrated enhanced antitumor activity in vitro when compared to docetaxel and showed the inhibitory effect on tumor growth in vivo.



2a R^1 = DL-malyl, R^2 = H, R^3 = H **3a** sodium salt of **2a**
2b R^1 = L-malyl, R^2 = H, R^3 = H **3b** sodium salt of **2b**
2c R^1 = D-malyl, R^2 = H, R^3 = H **3c** sodium salt of **2c**
4 R^1 = DL-malyl, R^2 = DL-malyl, R^3 = DL-malyl
5 R^1 = H, R^2 = DL-malyl, R^3 = H

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Terfenadine (an antihistamine pulled from the market in 1997) bound to a model of an open form of the homo-tetrameric pore domain of hERG, produced using Schrödinger's ''Induced Fit Docking'' technology [Farid, R.; Day, T.; Friesner, R. A.; Pearlstein, R. A. *Bioorg. Med. Chem*. **2006,** *14*, 3160–3173].

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