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Chemistry

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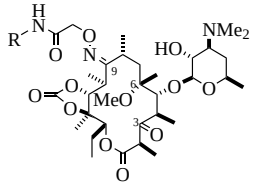
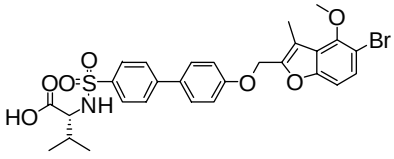
Contents

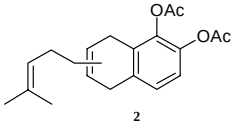
ARTICLES



A new type of ketolides, bearing an *N*-aryl-alkyl acetamide moiety at the C-9 iminoether and a cyclic carbonate at the C-11,12 position, was prepared and the antibacterial activities of the compounds were evaluated.



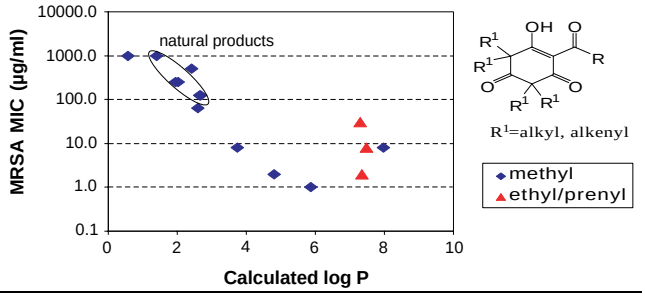
Modification of α-biphenylsulfonamidocarboxylic acids led to potent and selective MMP-13 inhibitors. Compound **16** showed 100% oral bioavailability in rats and demonstrated >50% inhibition of bovine cartilage degradation at 10 ng/mL.

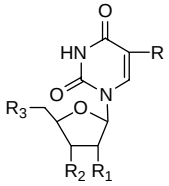


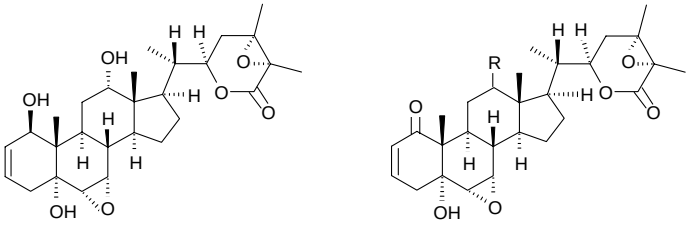
2

A family of prenyl-1,2-naphthohydroquinone derivatives have been synthesized from the acetylated Diels–Alder product **2**, of α-myrcene and 1,2-benzoquinone. This family have been evaluated for their cytotoxicity against A-549, HT-29 and MB-231 neoplastic cell lines.

Antibacterial triketones prepared from acylated phloroglucinols showed a range of activities in vitro against MRSA and other drug-resistant bacteria.

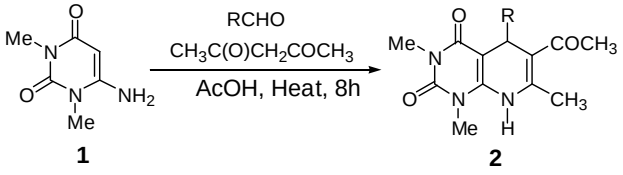




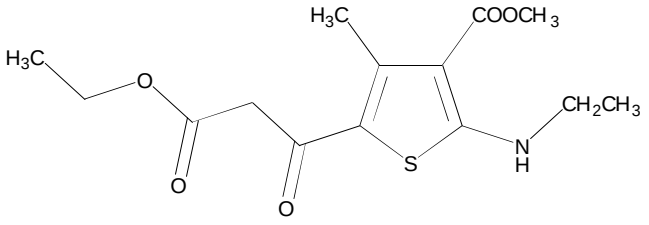


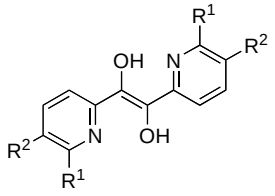
1

2. R = =O
3. R = ·····OH



1 2

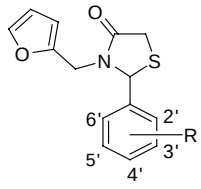


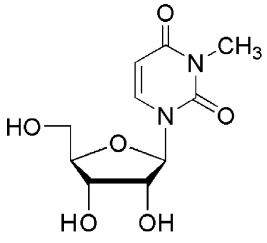


1: $R^1=R^2=H$
2: $R^1=CH_3$, $R^2=H$
3: $R^1=OCH_3$, $R^2=H$
4: $R^1=COCH_3$, $R^2=H$
5: $R^1=H$, $R^2=CH_3$
6: $R^1=H$, $R^2=OCH_3$
7: $R^1=H$, $R^2=COCH_3$

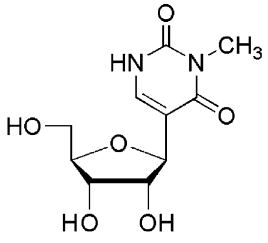
α-Pyridoin (**1**) and its synthetic derivatives **2**–**7** were prepared and their antioxidant activities were evaluated. The derivatives **5** and **6** showed more excellent activity than ascorbic acid.

In the present study, 4-thiazolidinones have been assembled by DCC-mediated three-component reaction of amine, aldehyde and mercapto acetic acid and tested as HIV-RT inhibitors.

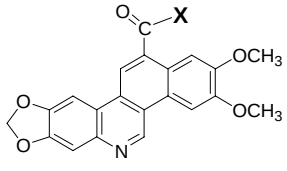




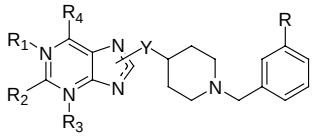
3-methyluridine



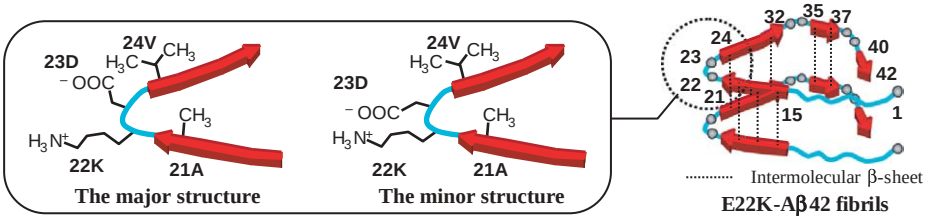
3-methylpseudouridine



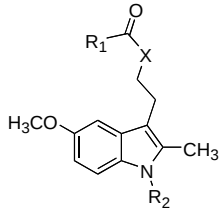
Where X = OR, NHR, NR^1R^2



The synthesis of new *N*-benzylpiperidine–purine derivatives and their dual inhibition of acetyl- and butyrylcholinesterase are presented.

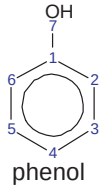


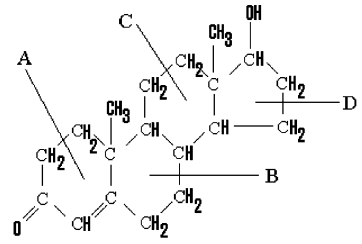
A series of reverse ester/amide derivatives of indomethacin were synthesized and evaluated as selective COX-2 inhibitors. Most of the reverse esters/amides displayed selective, time-dependent COX-2 inhibition in recombinant enzyme systems and in intact cells.



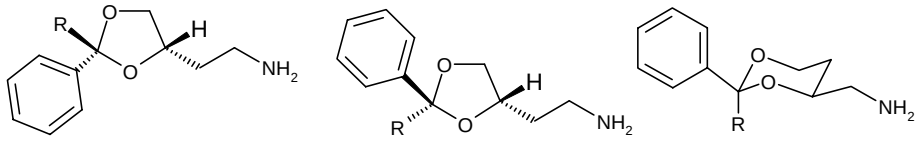
X = O or NH
R_1 = alkyl, aryl, arylalkyl
R_2 = 4-Cl-(C_6H_4)-CO or 4-Br-(C_6H_4)-CH_2

The QSAR study of 50 phenol derivatives against *Tetrahymena pyriformis* is presented with four different methods. The hardness, chemical potential, electrophilicity index, molecular weight, and total energy provide valuable information and have a significant role in the assessment of the toxicity of phenols.



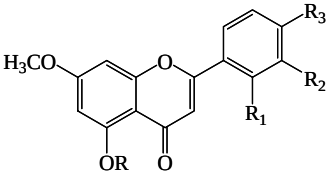


TeBG=F(A,B,C,D)

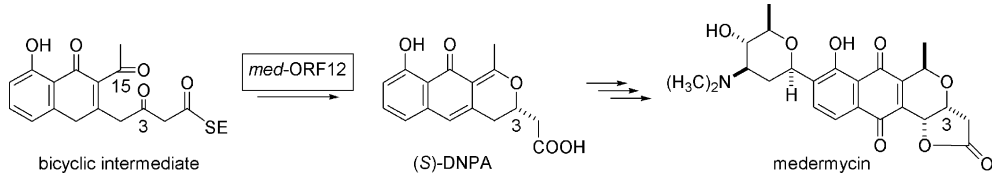


R = H, Et, Ph

A series of 10 flavonoid derivatives has been synthesized by cyclization of substituted chalcones and evaluated for their biological activity. Among them, compounds **1**–**4** and **9** displayed significant growth inhibitory action against a panel of tumor cell lines including Jurkat, PC-3, and Colon 205. On treatment with an equitoxic (IC_{50}) concentration, compounds **1**–**5** and **7**–**9** blocked cells in the G2/M phase of the Jurkat cell cycle, whereas compound **6** blocked the same in the G0/G1 phase.



2. R = Me, R_1 = H, R_2,R_3 = -OCH_2O-
9. R, R_3 = H, R_1,R2 = OMe



bicyclic intermediate (S)-DNPA medermycin

By functional complementation and co-expression, medermycin biosynthetic gene, *med*-ORF12, was proved to encode a stereospecific reductase to control the stereochemistry at C-3 of the pyran ring.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2005: Human liver glycogen phosphorylase A (HLGPa) is an attractive target enzyme for discovering anti-type 2 diabetes drugs. This picture shows the interaction model for a series of indole-2-carboxamides to HLGPa derived from molecular docking simulations [Liu, G.; Zhang, Z.; Luo, X.; Shen, J.; Liu, H.; Shen, X.; Chen, K.; Jiang, H. *Bioorg. Med. Chem.* **2004**, *12*, 4147–4157].

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