Bioorganic & Medicinal Chemistry 18 (2010) 6953–6959

Contents lists available at ScienceDirect

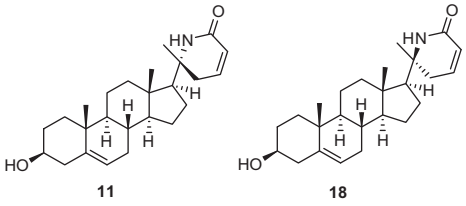
Bioorganic & Medicinal Chemistry

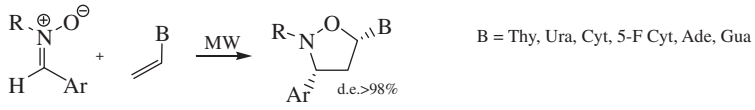
journal homepage: www.elsevier.com/locate/bmc

Bioorganic & Medicinal Chemistry Volume 18, Issue 19, 2010

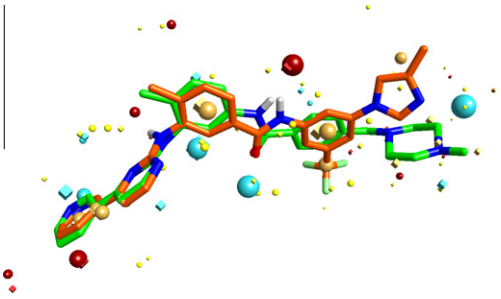
Contents

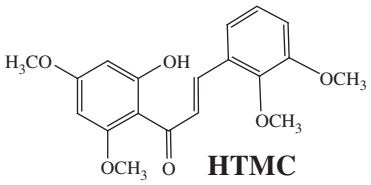
ARTICLES





The synthesis of some new *N,O*-nucleosides is described, based on the 1,3-dipolar cycloaddition of nitrones and unprotected vinyl nucleobases. Promising results were found during their biological evaluation.

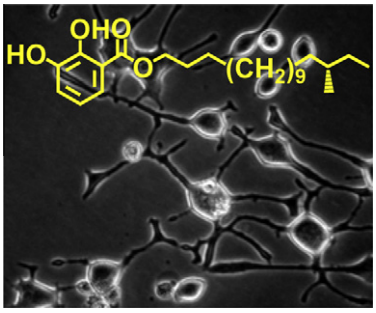




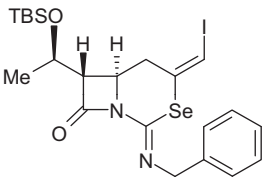
HTMC

Among tested, HTMC was the most potent that inhibited telomerase activity, expression of hTERT and hTERT promoter in A549 cells. HTMC treatment also reduced colony formation of A549 cells. The release of Ca^{2+} was the underlying mechanisms of suppressed telomerase activity and hTERT transcription in A549 cells exposed to HTMC.

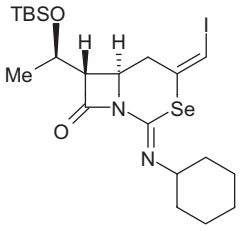
Gentisides C–K are additional members of a novel class of neuritogenic compounds. They exhibited significant neuritogenic activity against PC12 cells. The structure–activity relationships within the gentisides A–K revealed that the alkyl chain length is important for the activity, but structure diversity at the end of alkyl chain is not.



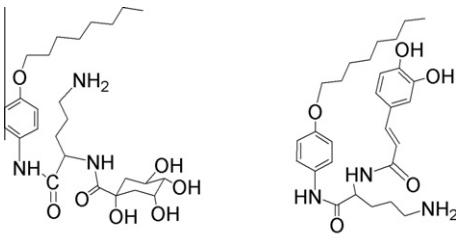
Two organoselenium compounds possess chemopreventive properties in human prostate cancer LNCaP cells.



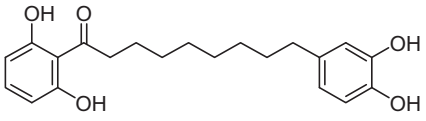
3-Selena-1-dethiacephem 13



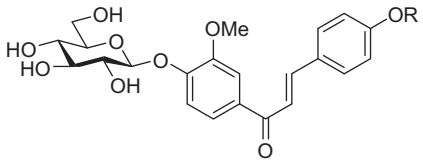
3-Selena-1-dethiacephem 14



Anti-fungal and inhibitory on 1,3-glucan synthase

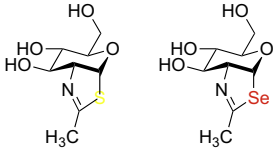


Consistent with its Cu(II)-mediated nuclease property, mal C could efficiently (IC_{50} ~5 μM) induce killing of the MCF-7 human breast cancer cell line via oxidative damage to cellular DNA.



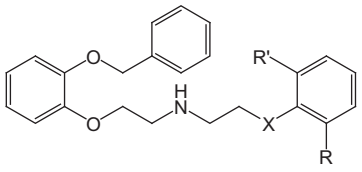
R = H; 4'-*O*-β-D-Glucopyranosyl-4-hydroxy-3'-methoxychalcone (**C1**)

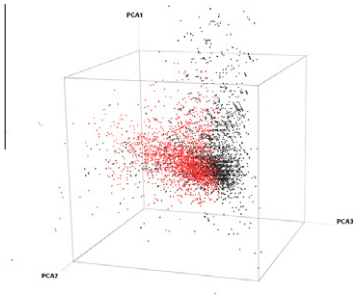
R = Me; 4'-*O*-β-D-Glucopyranosyl-3',4-dimethoxychalcone (**C2**)



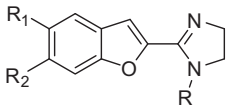
GlcNAc-thiazoline:
K_i vs OGA = 0.01 μM
43% reduction in GLUT4 translocation

GlcNAc-selenazoline:
K_i vs OGA = 0.7 μM
16% reduction in GLUT4 translocation

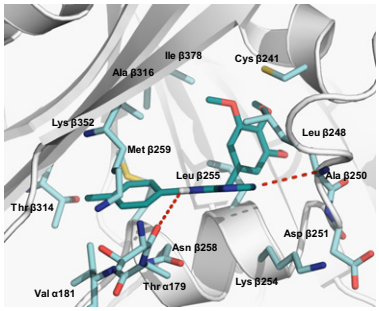


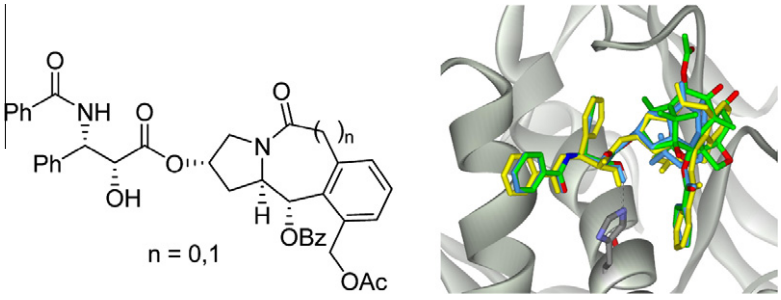


A QSAR model for predicting the solubility of drug-like compounds has been developed based on simple 1D and 2D descriptors. The model does not require any experimentally determined value and it is intended to be used as a decision tool when selecting compounds for drug discovery campaigns.



Based on the well known biological versatility of the imidazoline nucleus, we prepared the novel derivatives **3a**–**k** inspired by 2-BFI scaffold to assess imidazoline molecules as D_2-like dopamine receptor ligands. Conservative chemical modifications of the lead structure, such as the introduction of an hydroxy group in the aromatic ring alone or associated with *N*-benzyl substitution, provided partial (**3f**) or nearly full (**3e** and **3h**) agonists, all endowed with D_2-like potency comparable to that of dopamine.





Design, syntheses and biological evaluations of de novo paclitaxel mimics are reported.

*Corresponding author
Supplementary data available via ScienceDirect

COVER

The cover picture shows the first steroid that acts as complete and selective androgen receptor antagonist. This novel 20-aminosteroid derivative inhibits prostate cancer cell growth by induction of cellular senescence, which is represented by the old, but still alive plant on the edge of a cliff [Fousteris, M. A.; Schubert, U.; Roell, D.; Roediger, J.; Bailis, N.; Nikolaropoulos, S. S.; Baniahmad, A.; Giannis, A. *Bioorg. Med. Chem.* **2010,** *18,* 6960–6969].

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ISSN 0968-0896