ELSEVIER

Bioorganic & Medicinal Chemistry

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Contents

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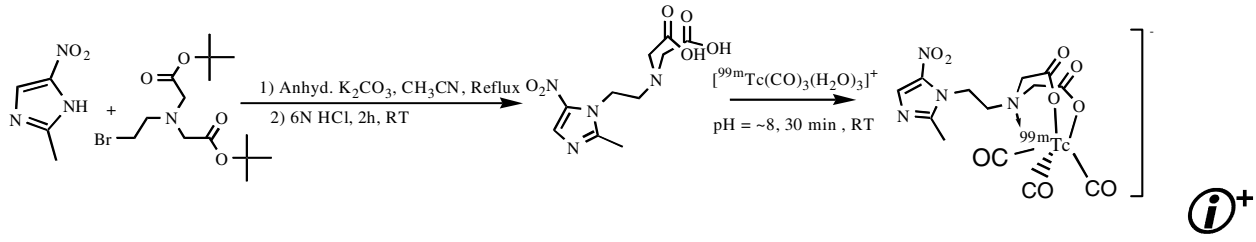
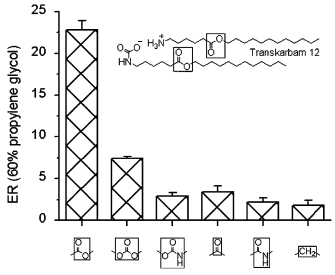
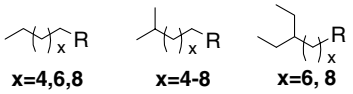
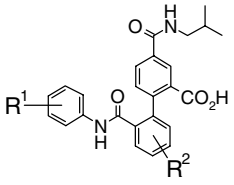


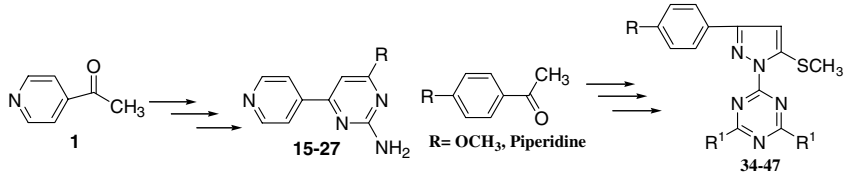




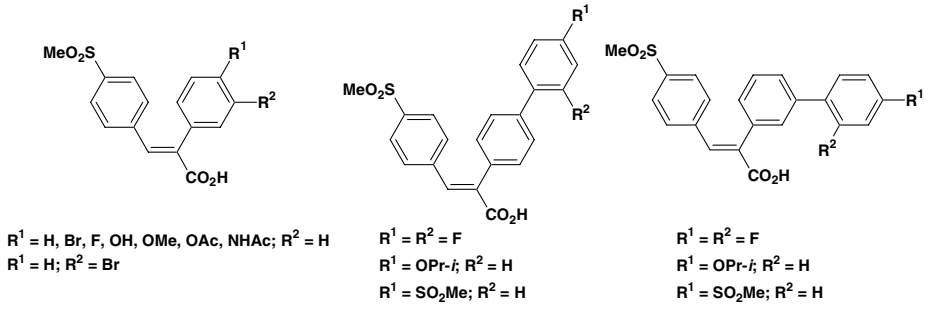
		x=4,6,8	x=4-8	x=6, 8
ER(x=8)	R: CH_2OH	12.16	11.92	18.13
	R: COOH	2.43	4.10	11.45

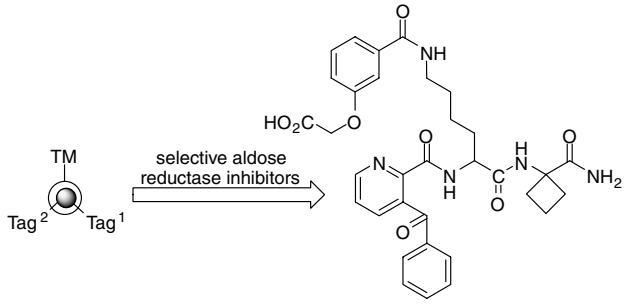


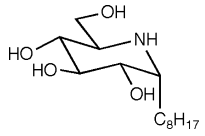
Tissue factor/factor VIIa complex (TF/FVIIa) inhibitors that contain a neutral P1 ligand were prepared and evaluated for inhibitory activity against TF/FVIIa in vitro.



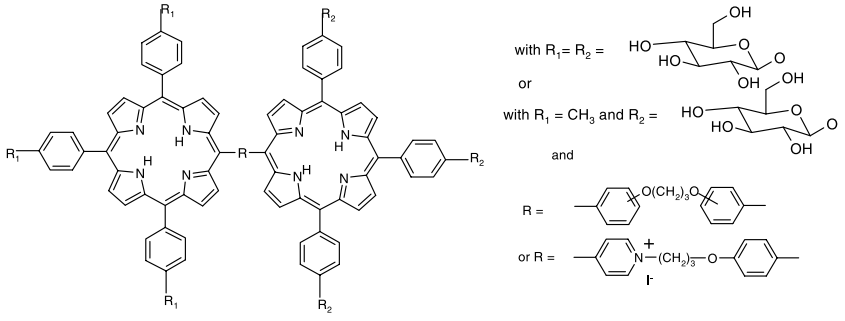
A series of 2,4,6-trisubstituted pyrimidines and triazines have been synthesized and screened for its in vitro antileishmanial activity profile in promastigote model. Nine compounds have shown >94% inhibition against promastigotes at a concentration of 10 μg/mL.

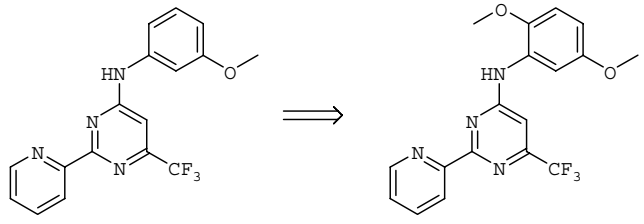


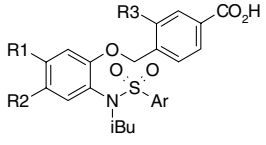




The addition of 20 μM α-1-*C*-octyl-l-deoxynojirimycin to N370S Gaucher cell line for 10 days led to 1.9-fold increase in mutant β-glucocerebrosidase activity without affecting the intracellular α-glucosidase activity.

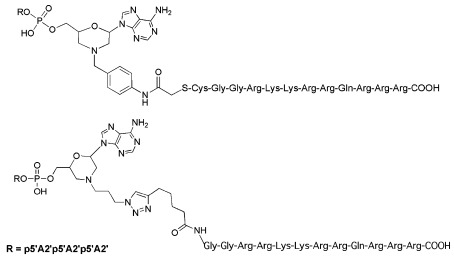


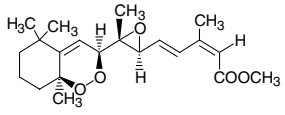


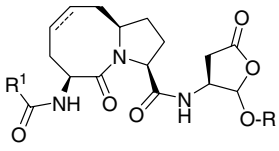


	R1	R2	R3	Ar	IC_{50}, μM
13	-$(CH_2)_3$-		Me	5-Methyl-2-furyl	0.0041
15	Me	Me	H	2-Thiazolyl	0.0035
16	-$(CH_2)_3$-		H	2-Thiazolyl	0.0040
17	Me	Me	Me	2-Thiazolyl	0.0043

Sulfonamide derivatives **13** and **15**–**17** were found to be highly potent and selective EP1 receptor antagonists. *In vivo* EP1 antagonist activity was also determined.







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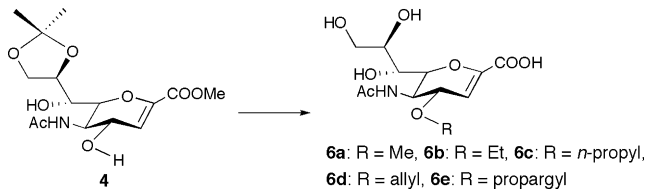
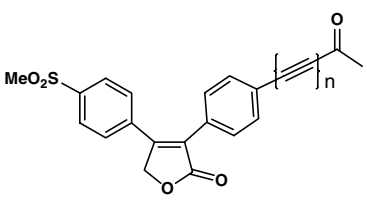
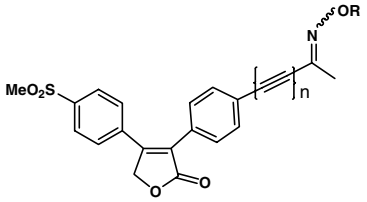


4

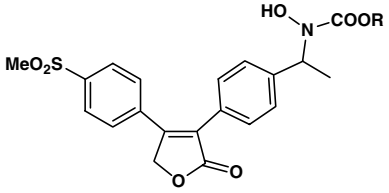
Among the 4-*O*-substituted Neu5Ac2en derivatives **6a**–**e**, the 4-*O*-ethyl-Neu5Ac2en derivative **6b** showed the most potent inhibitory activity (IC_{50} 6.3 μM) against human parainfluenza virus type 1 (hPIV-1) sialidase.



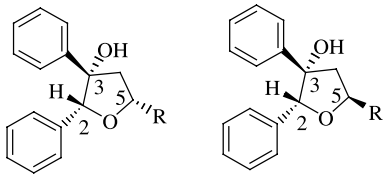
n = 0, 1



n = 0, 1; R = H, Me, CH_2Ph



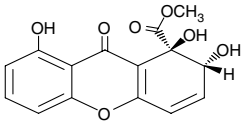
R = $CH(Me)_2$, $CH_2CH(Me)_2$, Ph, CH_2Ph



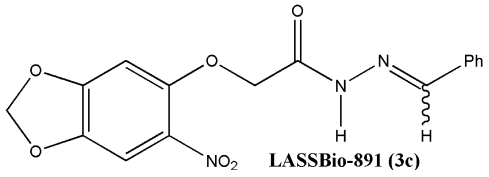
1(a-e) **2(a-e)**

a, R = CH_2OH; **b**, R = COOH; **c**, R = CH_2I;
d, R = $CH_2SCH_2CH_3$; e, R = CH_2NH_2

Compounds **1b** and **2d** show appreciable inhibition and selectivity for COX-2.

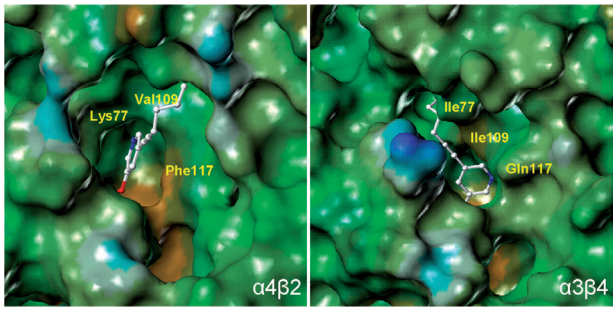


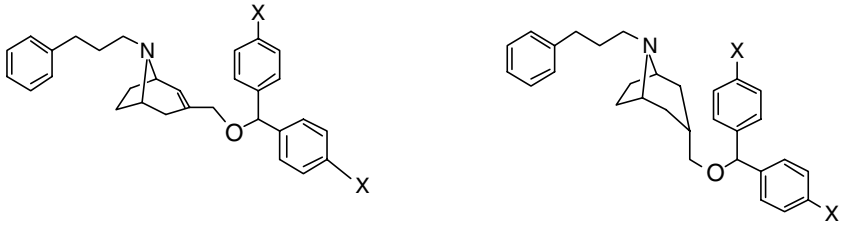
Bioassay-guided fractionation of a cytotoxic EtOAc extract of the plant-associated fungal strain, *Chaetomium globosum*, afforded a new cytotoxic and cell cycle inhibitory xanthenone, globosuxanthone A, and several other structurally related inactive metabolites.

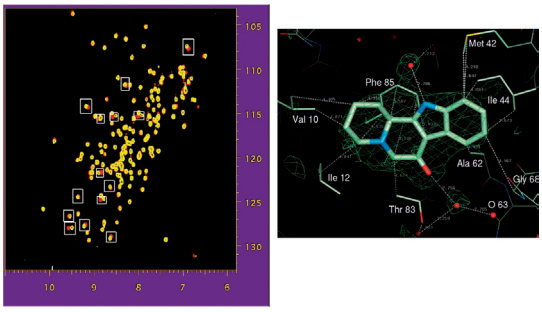


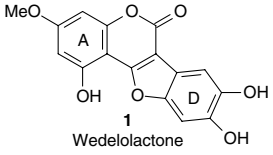
LASSBio-891 (3c)

The discovery of the new powerful analgesic and long-lasting antipyretic *N*-acylhydrazone prototype LASSBio-891 is reported.



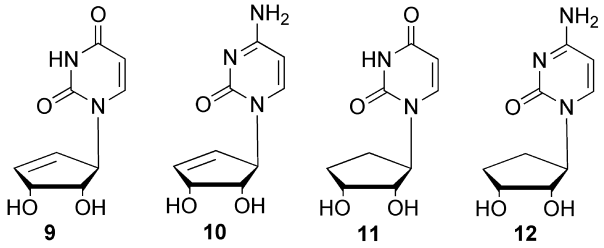


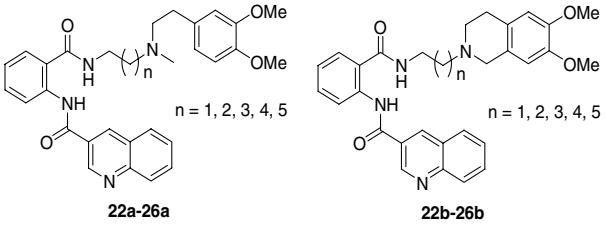


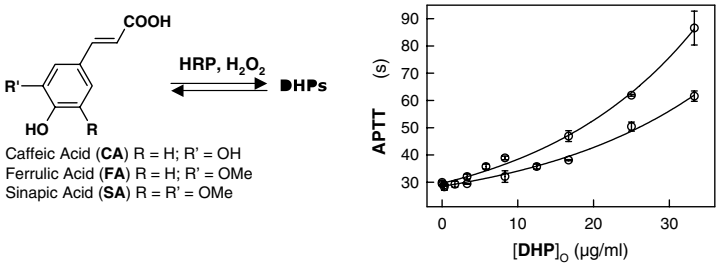


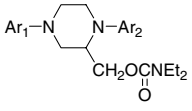
1
Wedelolactone

Wedelolactone and nine coumestan analogues were synthesized and a structure–activity relationship performed for their effect as inhibitors of kidney Na^+,K^+-ATPase and ligands for the central benzodiazepine receptor.

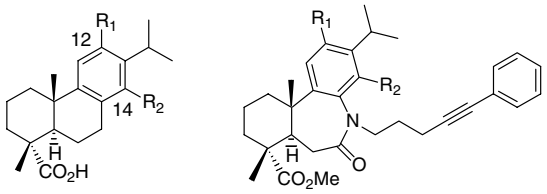


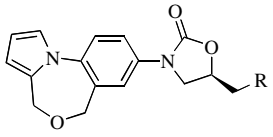






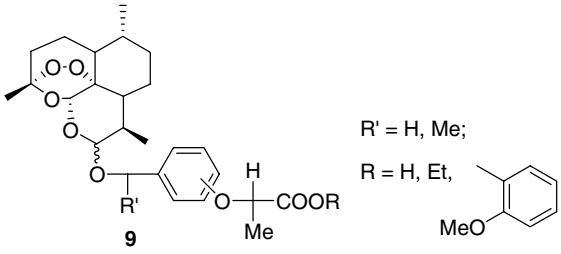
Ar_1 and/or Ar_2 = heterocyclic ring (indole, benzothiophene, quinoleine, etc.); 3,4,5-$(MeO)_3C_6H_2CO$; 3,4,5-$(MeO)_3C_6H_2CH_2$...



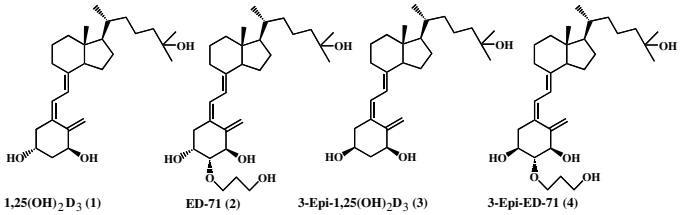


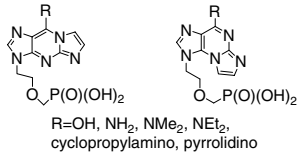
The synthesis of a series of tricyclic oxazolidinones is disclosed. SAR studies on these novel compounds and evaluation of a lead compound are reported.

Novel artemisinin derivatives **9** exhibited inhibitory effects on ConA-induced T cell and LPS-induced B cell proliferation comparable to or more potent than parent artemisinin.

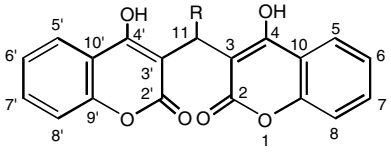


9



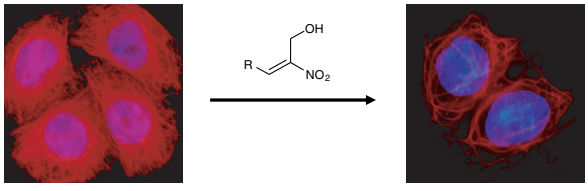


A series of novel tricyclic etheno analogs of acyclic nucleoside phosphonates PMEG and PMEDAP was synthesized and evaluated for their cytostatic and antiviral activity.

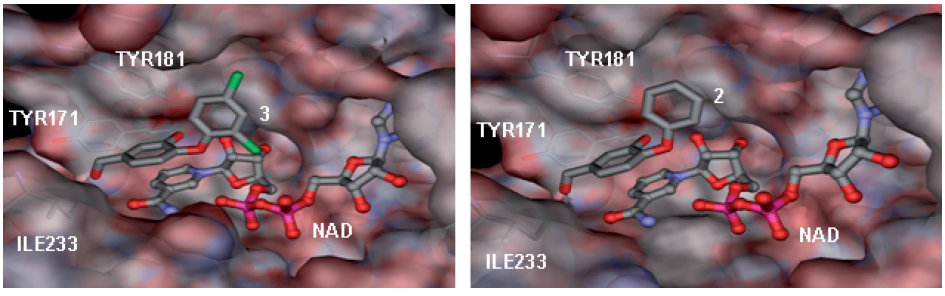


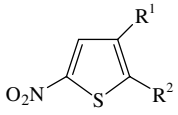
A series of biscoumarin derivatives were screened for their cytotoxicity and enzyme inhibition activity against phosphodiesterase 1 from snake venom, and human nucleotide pyrophosphatase phosphodiesterase-1. K_i and IC_{50} values of biscoumarins were found to be in the range of 50 to 1000 and 164 to >1000 μM against the human recombinant phosphodiesterase 1 enzyme, and 8.0 to 1150 and 9.44 to >1000 μM against the snake venom phosphodiesterase 1. Most of the active compounds were found to be non-cytotoxic and non-competitive inhibitors.

α-Hydroxymethylated conjugated nitroalkenes, synthesized by the Morita–Baylis–Hillman (MBH) reaction, inhibited HeLa cancer cell proliferation at low micromolar concentrations. The tested nitroalkenes depolymerized cellular microtubules through tubulin binding.

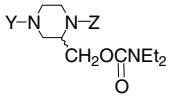


Novel diphenyl ether compounds inhibit the enoyl-acyl carrier protein reductase of *Plasmodium falciparum* and *Escherichia coli*. Some of these compounds also show potency against in vitro cultures of the two pathogens.

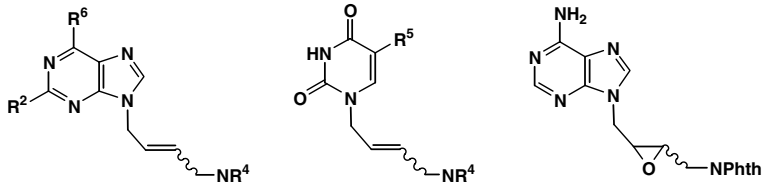




Calculated properties of nitrothiophenes versus their biologicalactivity The calculated properties of 2-nitrothiophenes show a reasonable correlation with their experimental activity against *Escherichia coli* and *Micrococcus luteus*.



Y and/or Z = aromatic, polyaromatic or heterocyclic ring containing moities



R^2 = H, NHAc R^4 = H, Phth
R^6 = NH_2, O, Cl R^5 = H, F, CF_3

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

α-hydroxymethylated nitroalkenes inhibit cancer cell proliferation apparently by depolymerizing microtubules. Shown are microtubules (red) and chromosomes (blue). Control cells are on the left and nitroalkene treated cells are on the right. [Mohan, R.; Rastogi, N.; Namboothiri, I. N. N.; Mobin, S. M.; Panda, D. *Bioorg. Med. Chem.* **2006**, *14,* 8069.]

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