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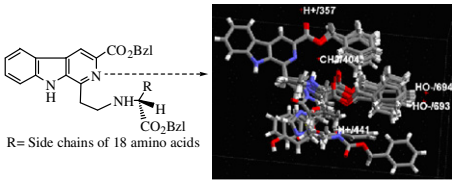
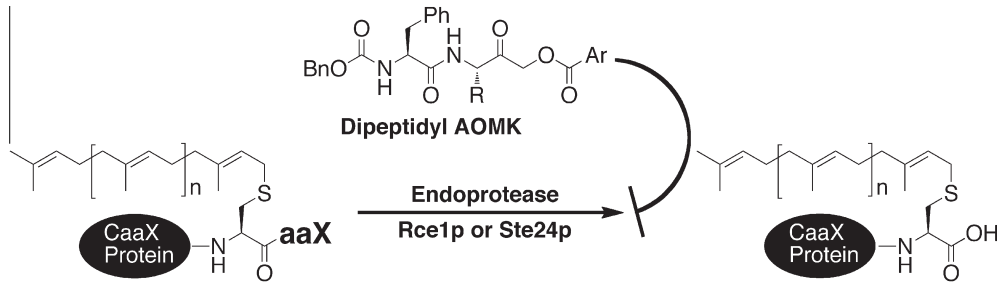
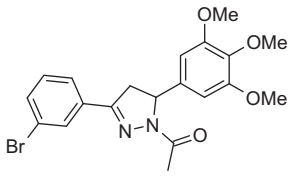
Contents

ARTICLES

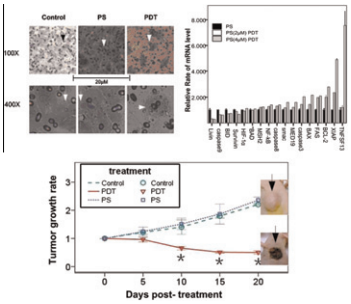


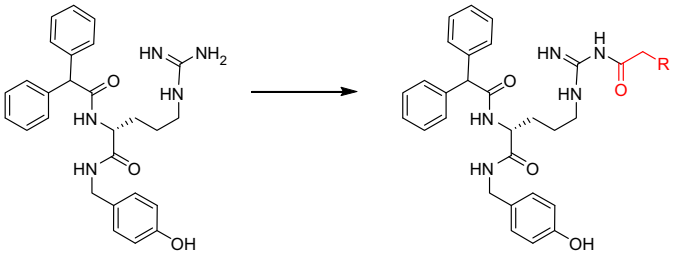


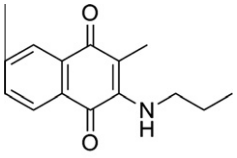


31

GI_{50} (MDA-MB-435): 49 nM
Tubulin Polimerization Inhibition IC_{50}: 5.16 μM

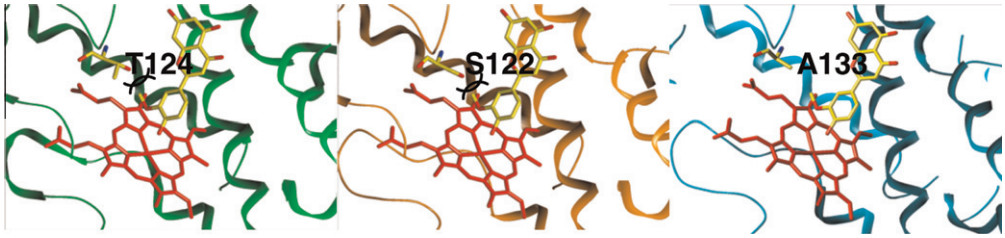




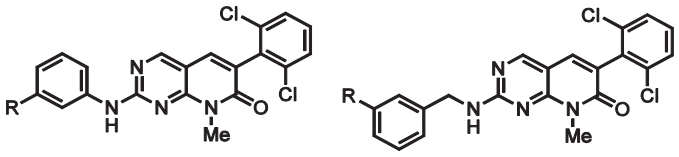


1b

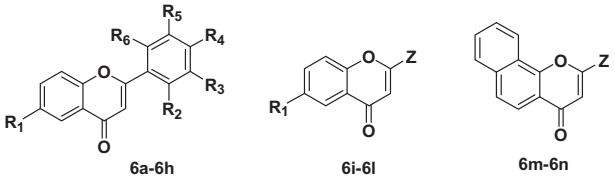
Among the vitamin K derivatives synthesized, **1b** showed the strongest anti-angiogenic effect under both ex vivo and in vitro conditions. Longer alkyl chains weaken anti-angiogenic activity.



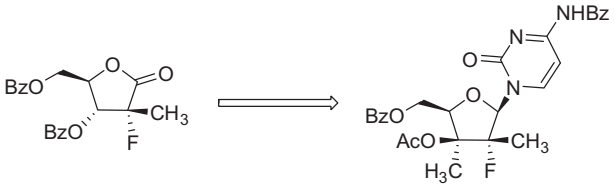
Molecular docking study suggested selective inhibition of human CYP1B1 by methoxyflavonoids.



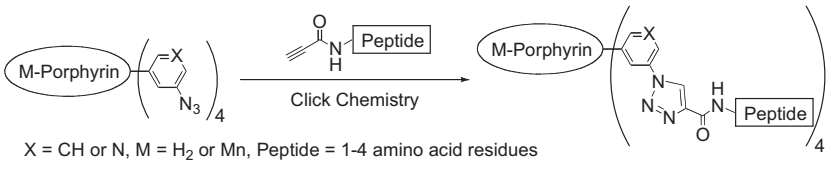
The preparation and testing of several PD173955 analogs is described. Despite its decreased affinity for Abl compared with PD, the PDC analog exhibits a Ki very similar to that reported for Imatinib.



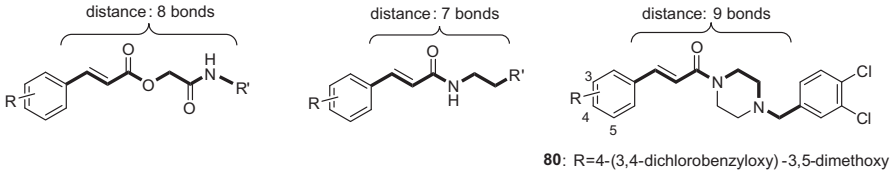
A novel series of flavone derivatives were synthesized and evaluated as potent antitumor activities. Structure–activity relationships and induction of apoptosis are also studied.



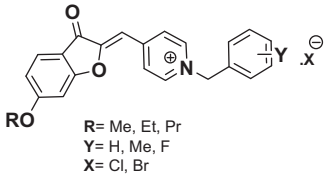
Novel nucleosides were synthesized from di benzoyl fluoro lactone (**1**) and evaluated their anti-influenza viral activity. Compound (**18c**) showed the best antiviral activity among the compounds synthesized.



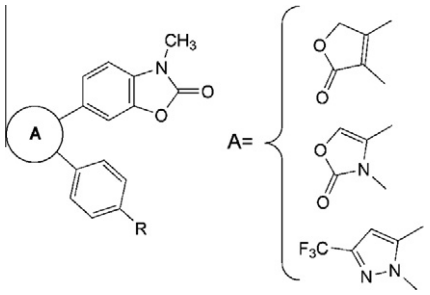
A facile synthetic method for peptide–porphyrin conjugates using click chemistry was developed and used to synthesize nine peptide–porphyrin conjugates, which were evaluated for catalase- and peroxidase-like activities.



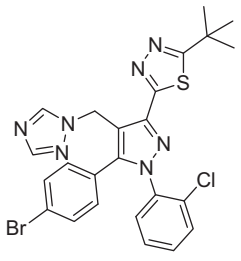
Three series of aza-caffeic acid derivatives were designed and synthesized with potent cytotoxicity especially compound **80** which shows significant cytotoxicity to several cancer cell lines and mitochondria-dependent apoptosis activity in suppressing K562 cell proliferation.



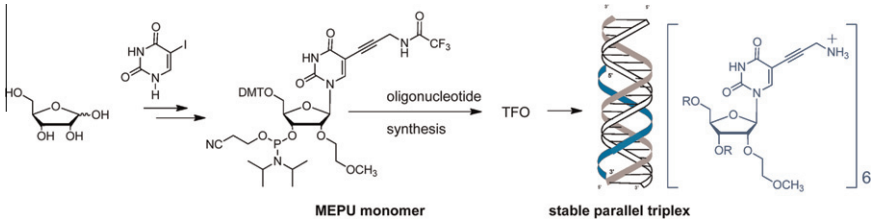
A novel series of benzofuranone-ylidene-methyl benzylpyridinium derivatives were synthesized as acetylcholinesterase inhibitors.



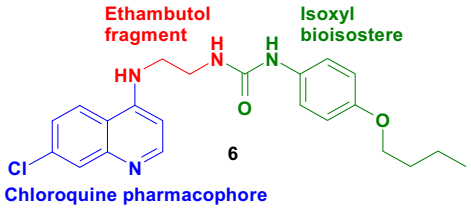
2-(4-((1*H*-1,2,4-Triazol-1-yl)methyl)-5-(4-bromophenyl)-1-(2-chlorophenyl)-1*H*-pyrazol-3-yl)-5-*tert*-butyl-1,3,4-thiadiazole **17s** (GCC2680), a CB1 receptor ligand, has been selected as a preclinical candidate for the treatment of obesity.



17s (GCC2680)
IC_{50} = 0.681 nM, rCB1
hCB2/rCB1 = 807

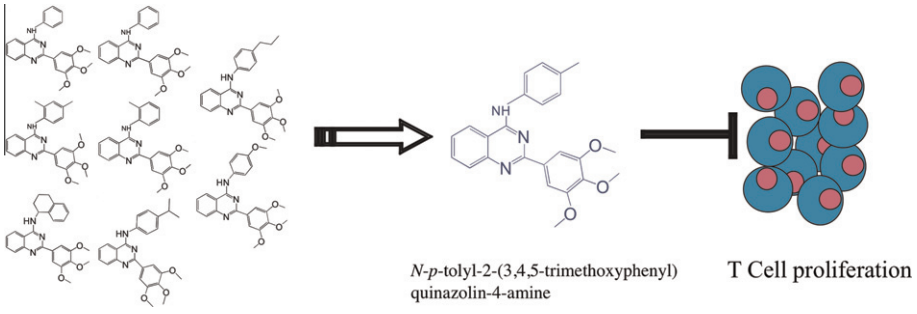


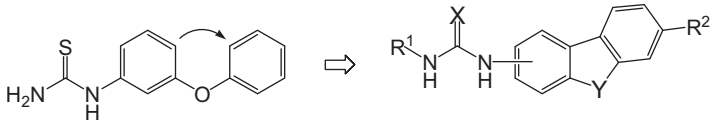
MEPU was synthesized and incorporated into TFOs which formed very stable triplexes with target duplexes.



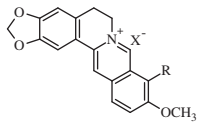
	IC_{50} (μM)
G. intestinalis	0.008
T. vaginalis	8.444
E. histolytica	3.636
L. mexicana	9.900

MIC = 2 μg/mL vs. *M. tuberculosis* $H_{37}RV$

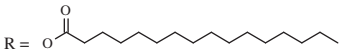




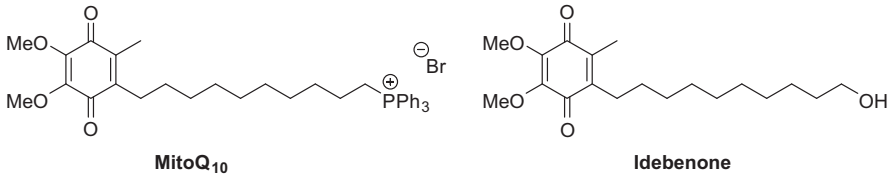
A series of novel conformationally-restricted thiourea analogs were designed, synthesized, and evaluated for their anti-HCV activity and pharmacokinetic properties.



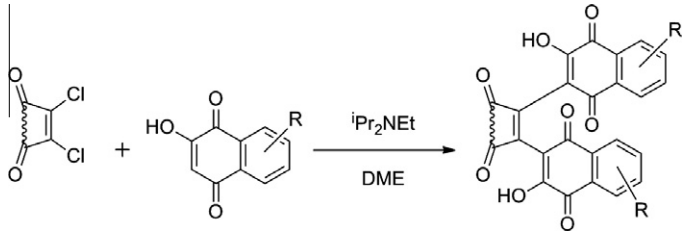
R = Aliphatic ester, aromatic ester, aliphatic acyloxymethylenoxy, aromatic acyloxymethylenoxy.

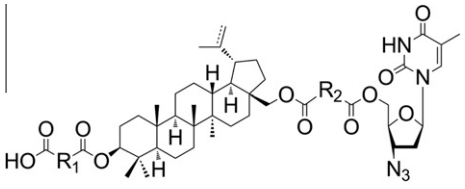


Compound **5g**: Reducing CHO and LDL-c by 35.8% and 45.5% ($p < 0.01$) (100 mg/kg/d, 28 days).

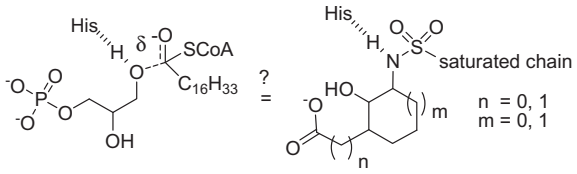


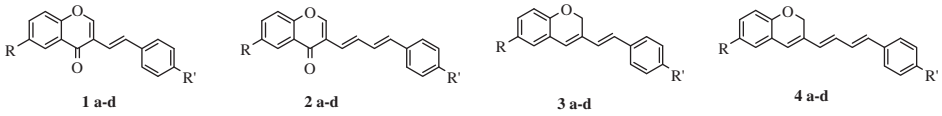
MitoQ$_{10}$ **Idebenone**



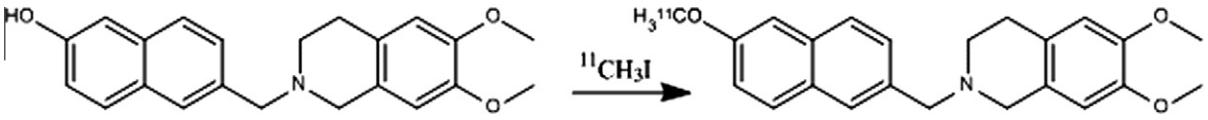


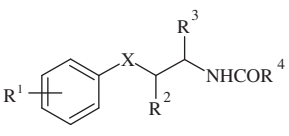
Fourteen novel conjugates of 3,28-di-*O*-acyl-betulins with AZT were prepared as anti-HIV agents. Among them, nine conjugates were equipotent or more potent than bevirimat, which is currently in Phase IIb anti-AIDS clinical trial.





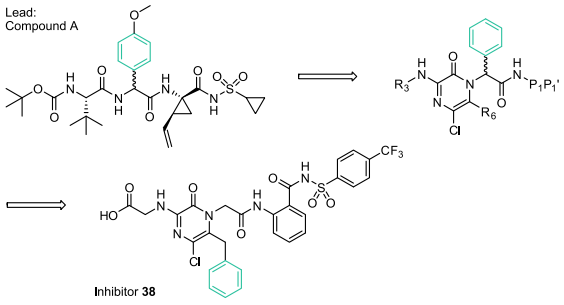
3-Styryl and 3-phenylbuta-1,3-dienyl chromen-4-ones and 2*H*-chromenes were synthesized and evaluated in cell cultures against human rhinovirus serotype 1B and 14 and enterovirus 71. The new compounds interfered with the replication of picornaviruses dose-dependently, although considerable differences were observed in sensitivity of each virus.

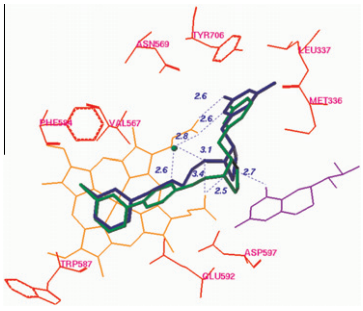


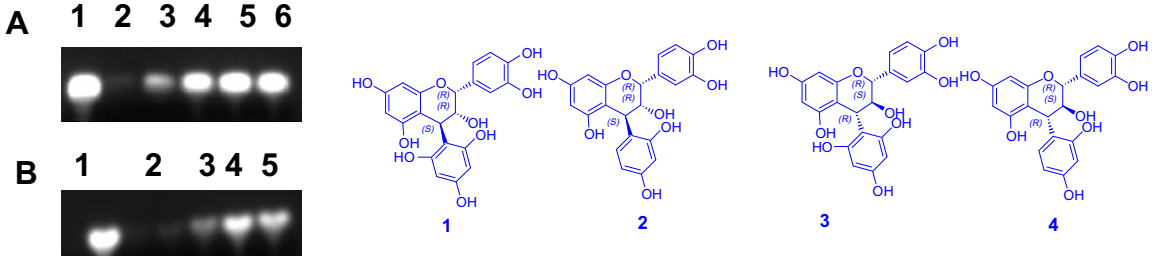


X = O, S
R^1 = H, OMe
R^2, R^3 = H, Me
R^4 = Me, c-Pr, *n*-Pr

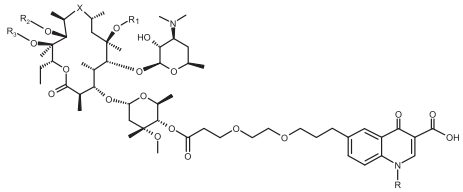
A novel series of phenoxyalkyl and phenylthioalkyl amides were synthesized and their structure–affinity and structure–intrinsic activity relationships for MT_1 and MT_2 receptors were investigated.







(A) Lane1: RNA, Lane 2: RNase A + RNA, Lane 3: RNaseA + Epicatechin + RNA, Lane 4: RNase A + **2** + RNA, Lane 5: RNase A + **1** + RNA, Lane 6: RNase A + 3′-CMP + RNA. (B) Lane 1: RNA, Lane 2: RNase A + RNA, Lane 3: RNase A + Catechin + RNA, Lane 4: RNase A + **3** + RNA, Lane 5: RNase A + **4** + RNA.

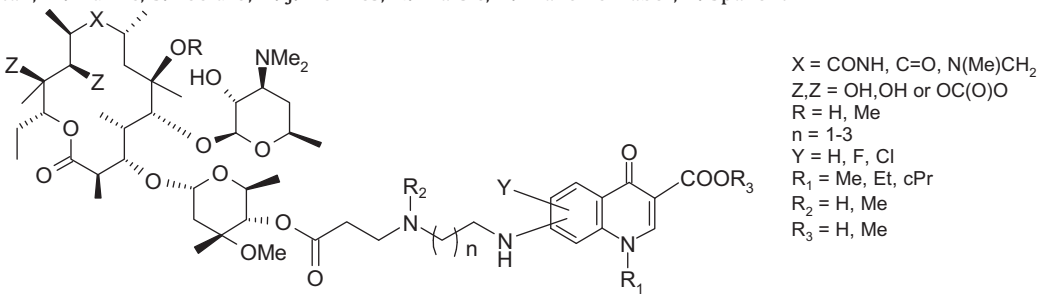


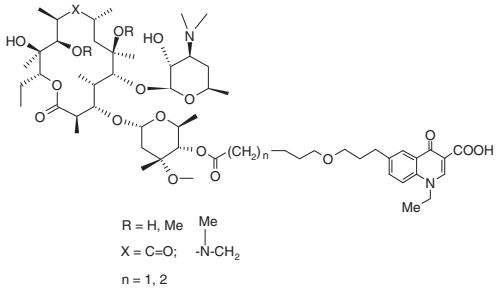
X = -C(=O)-NH-, -C(=O), -N(-CH_3)-CH_2-, -C(=N-OCH_2CH_3)-, -C(=N-$OCH_2OCH_2CH_2OCH_3$)-

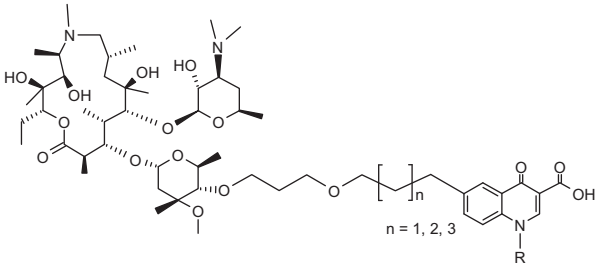
R_1 = H, CH_3

R_2 = R_3 = H or R_2R_3 = -CON(H or CH_3)-

R = alkyl, cycloalkyl







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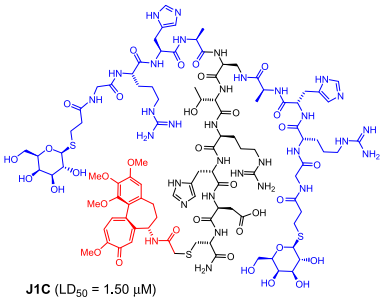

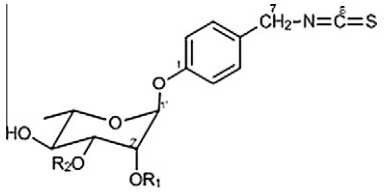

1; R_1 = Ac, R_2 = H
2; R_1 = H, R_2 = Ac

Bioassay-guided isolation and purification of the ethyl acetate extract of *Moringa oleifera* fruits yielded three new phenolic glycosides; 4-[(2′-*O*-acetyl-α-L-rhamnosyloxy)benzyl]isothiocyanate (**1**), 4-[(3′-*O*-acetyl-α-L-rhamnosyloxy)benzyl]isothiocyanate (**2**), and *S*-methyl-*N*-{4-[(α-L-rhamnosyloxy)benzyl]}thiocarbamate (**3**). The anti-inflammatory activity of isolated compounds were investigated on lipopolysaccharide (LPS)-induced murine macrophage in RAW 264.7 cell line.

*Corresponding author
Supplementary data available via ScienceDirect

COVER

Crystal lattice is shown in CPK model, target compound is shown in green and yellow and solvent molecules are in atom colored mode. Small pictures shown in the upper right and lower left corner are target molecule and parent azithromycin shown as Connolly surface colored by lipophilic potential (lipophilic-green, polar- pink). [Škugor, M. M.; Štimac, V.; Palej, I.; Lugarić, D.; Paljetak, H. C.; Filić, D.; Modrić, M.; Dilović, I.; Gembarovski, D.; Mutak, S.; Eraković Haber, V.; Holmes, D.J.; Ivezić-Schoenfeld, Z.; Alihodžić, S. *Bioorg. Med. Chem.* **2010,** *18,* 6547–6558].

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