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Bioorganic &
Medicinal
Chemistry

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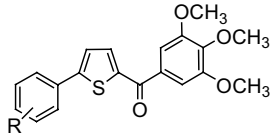
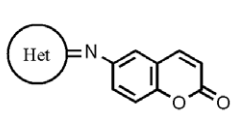
Contents

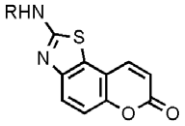
ARTICLES



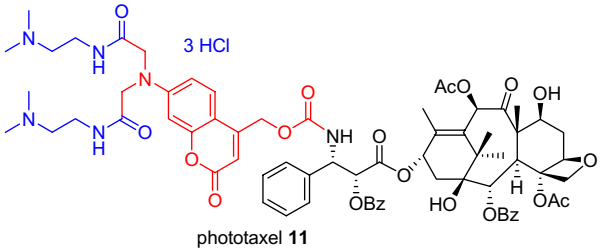
R= halogen, OCH_3, CH_3, NO_2



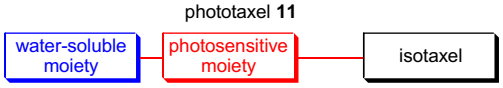
Het=substituted thiazolidin-4-one, substituted thiazoline

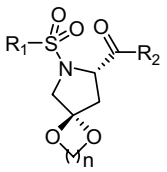


R=CH_3, C_2H_5, C_3H_7 and thiazolidin-4-one

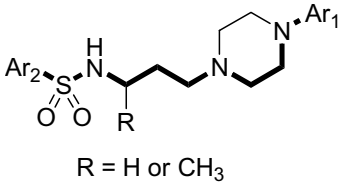


phototaxel **11**

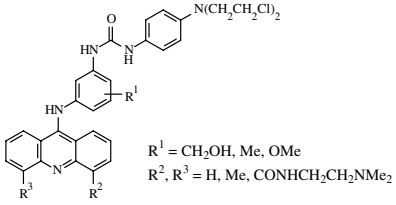




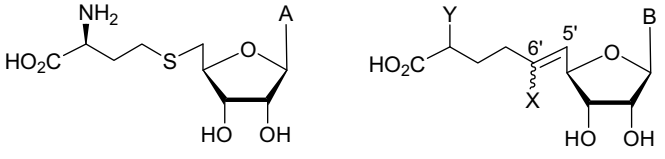
A series of novel sulfonyl pyrrolidine derivatives were designed, synthesized and assayed for their inhibitory activities on matrix metalloproteinase 2 (MMP-2) and aminopeptidase N (AP-N).



R = H or CH_3

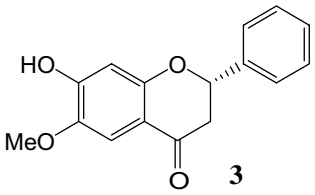


R^1 = CH_2OH, Me, OMe
R^2, R^3 = H, Me, $CONHCH_2CH_2NMe_2$

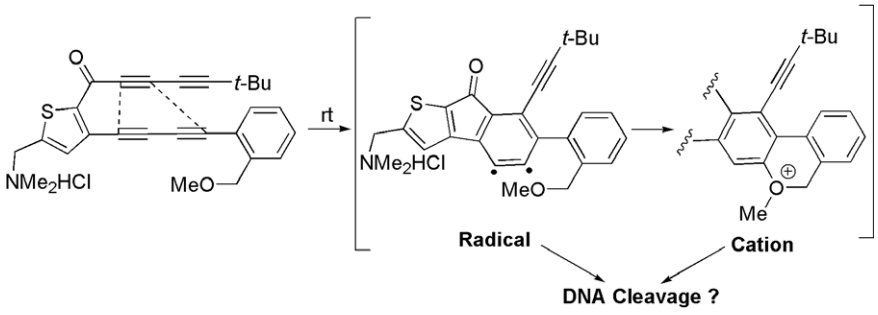


AdoHcy

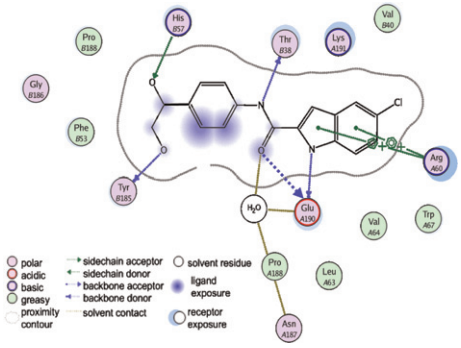
B = A or U
X = F or H
Y = NH_2 or H

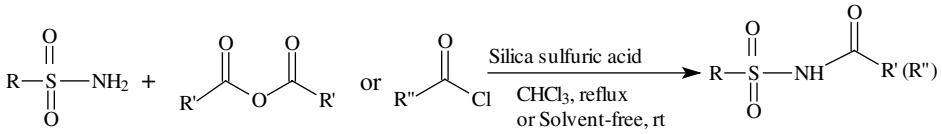


Colon 26-L5	B16-BL6	LLC	A549	HeLa	HT-1080
IC_{50} (μM)					
5.9	6.7	9.3	8.6	5.6	7.9

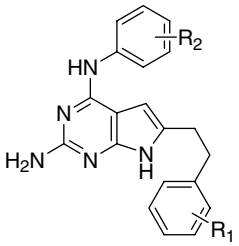


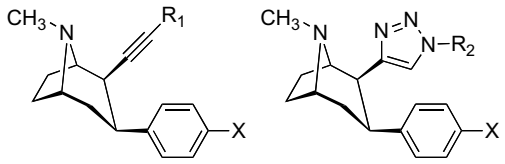
5-Chloro-*N*-[4-(1,2-dihydroxyethyl)phenyl]-1*H*-indole-2-carboxamide and its pyridine analog are potent inhibitors of human liver glycogen phosphorylase *a* (hLGPa) that binds in a solvent cavity at the hLGPa dimer interface.



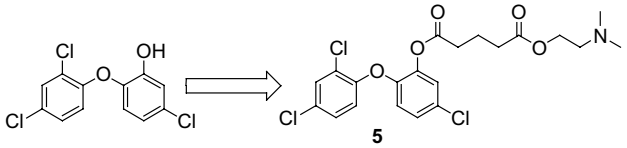


A series of *N*-acylsulfonamides was synthesized using silica sulfuric acid and evaluated for antibacterial activity against Gram-positive and Gram-negative microorganisms and also for inhibition of carbonic anhydrase II.



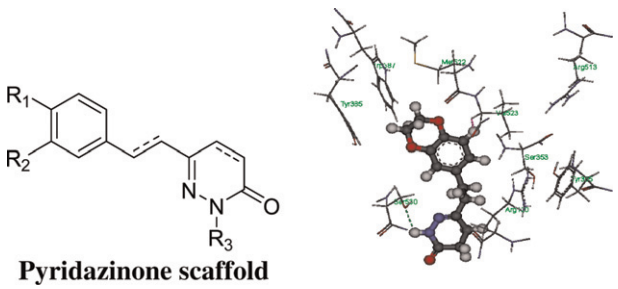


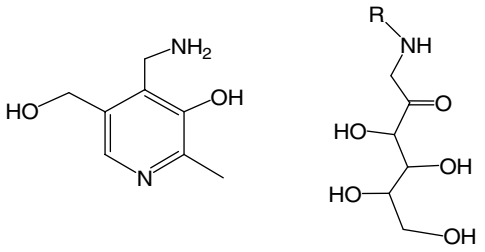
A series of novel 2β-alkynyl and 2β-(1,2,3-triazol)substituted 3β-(substituted phenyl)tropane derivatives have been synthesized and evaluated for their monoamine transporter binding properties.



P. fal = 0.62 μM (IC_{50})
E. coli = 0.26 μM (IC_{50})

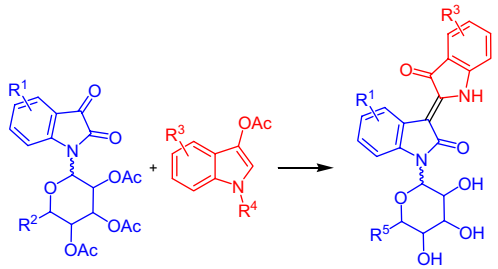
Novel triclosan-conjugated analogs bearing biodegradable ester linkage have been synthesized and evaluated for their antimalarial and antibacterial activities against *Plasmodium falciparum* and *Escherichia coli*. Many of the compounds were found to possess potent activities against *P. falciparum* and *E. coli* cultures.



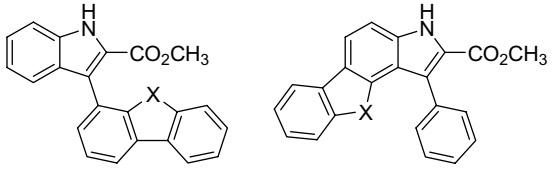


Pyridoxamine **Amadori type compound**

The blocking and chelating effect of pyridoxamine on Amadori type compounds have been analyzed in this work.

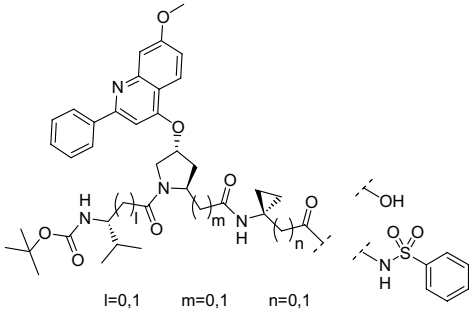


R^1: H, Me; R^2: CH_3, CH_2OAc; R^3: H, Cl; R^4: H, Ac; R^5: CH_3, CH_2OH

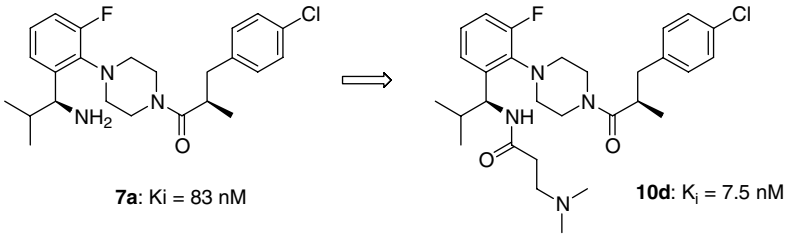


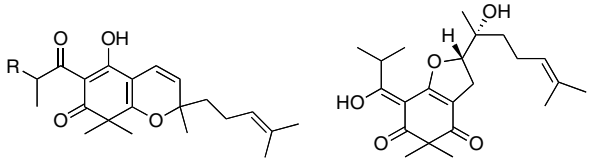
X = S, O

The growth inhibitory effect of three of the new compounds prepared was evaluated on the in vitro growth of three human tumor cell lines.



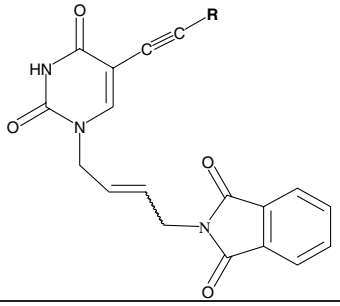
l=0,1 m=0,1 n=0,1



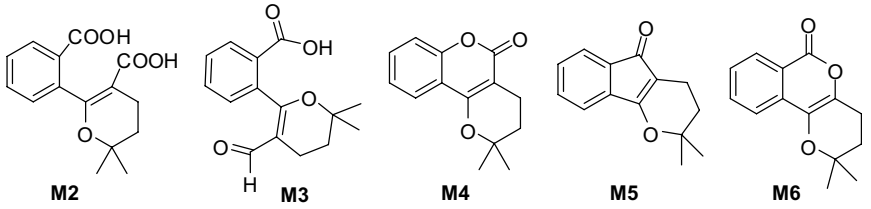


petiolin A: R = Me
petiolin B: R = Et

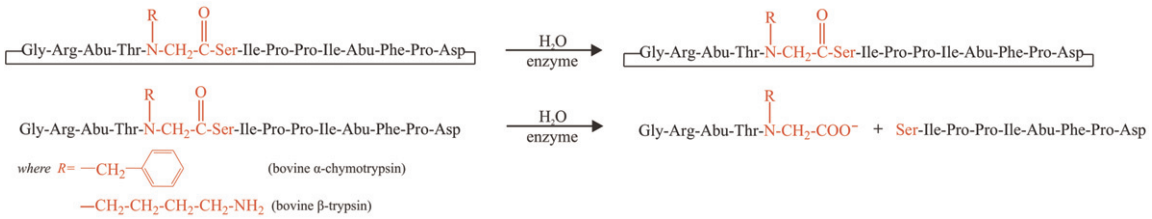
petiolin C

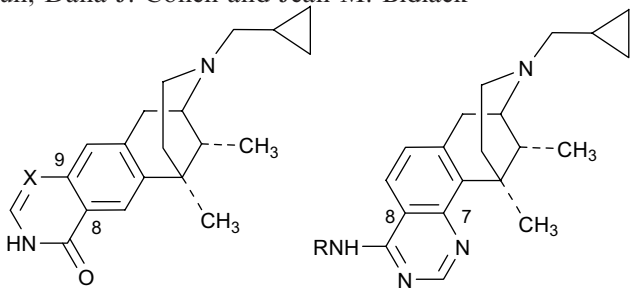


R
$-(CH_2)_3-CH_3$
$-(CH_2)_7-CH_3$
$-(CH_2)_2-$
$-$Br
$-CH_3$
$-(CH_2)_3-CH_3$
$-(CH_2)_4-CH_3$



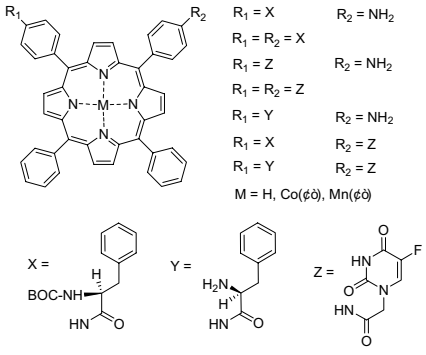
Synthesis of human blood metabolites (**M2**–**M6**) of ARQ 501 is described.

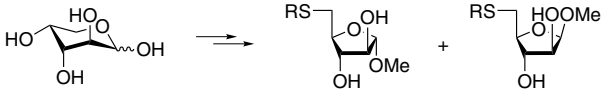




Novel 7,8- and 8,9-fused-heterocyclic variants of 8-carboxamidocyclazocine have high affinity for opioid receptors.

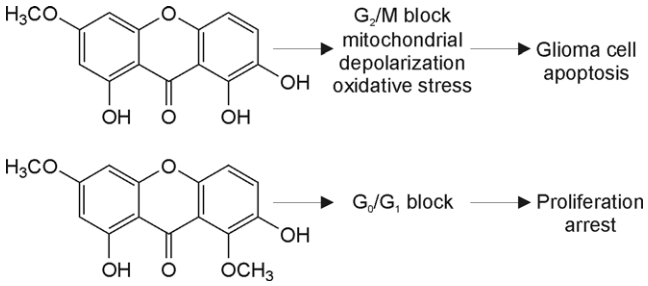
Miscellanous porphyrins with L-phenylalanine and 5-Fu for photodynamic theraphy.

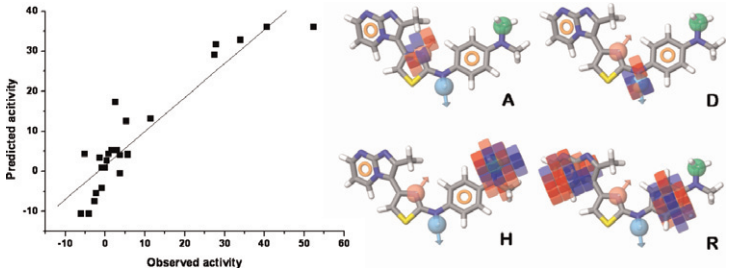


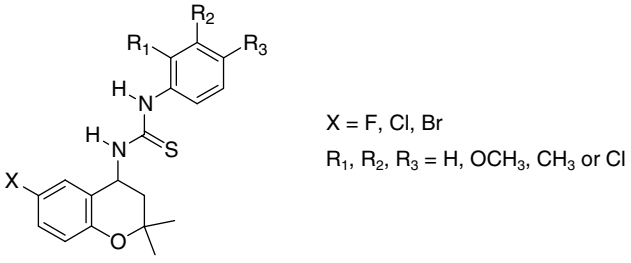


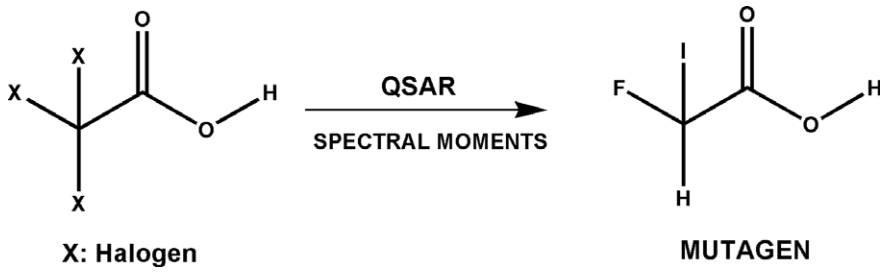
8 R = C_8H_{17} **11** R = C_8H_{17}

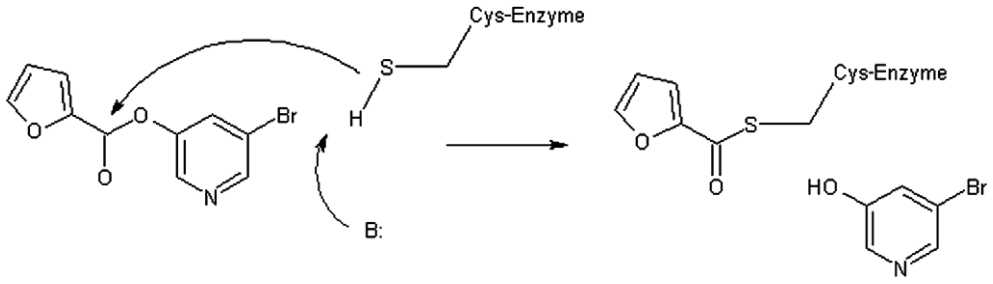
Methyl 5-*S*-alkyl-5-thio-D-arabinofuranosides were synthesized as potential inhibitors of mycobacterial antigen 85 complex. The most potent compounds **8** and **11** showed MICs of 256 and 512 μg/mL, respectively, against *Mycobacterium smegmatis* ATCC 14468.

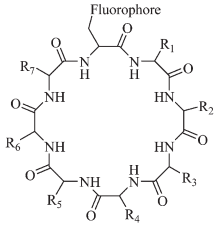




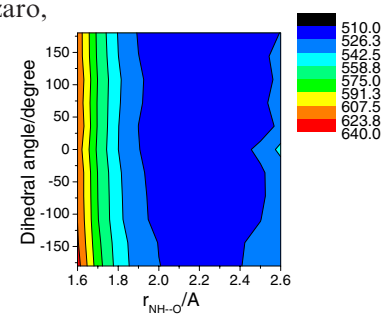








CP1: D-Glu-Glu-D-Glu-Glu-D-Leu-Leu-D-Leu-**Trp**
CP2: Glu-D-Leu-Glu-D-Leu-Glu-D-Leu-Glu-D-**Trp**
CP3: Glu-D-Leu-Cys-D-Leu-Glu-D-Leu-Cys-D-**Trp**



A series of novel tetrapeptidic HTLV-I protease inhibitors were prepared using an hydroxymethylcarbonyl isostere. Most inhibitors showed high HTLV-I and HIV-1 proteases inhibitory activity.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (http://www.pymol.org). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

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