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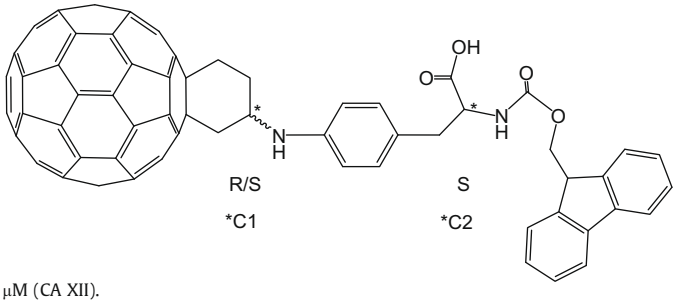
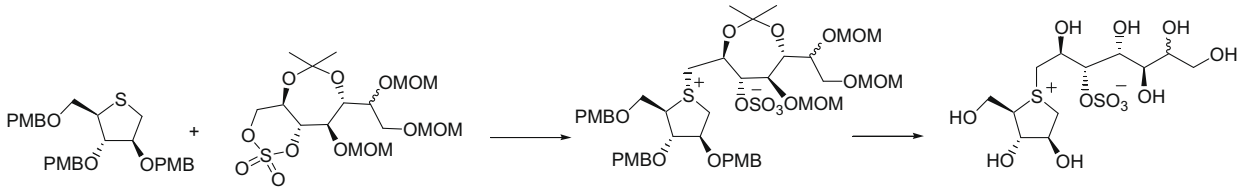
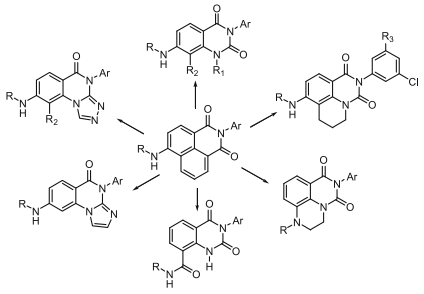
Contents

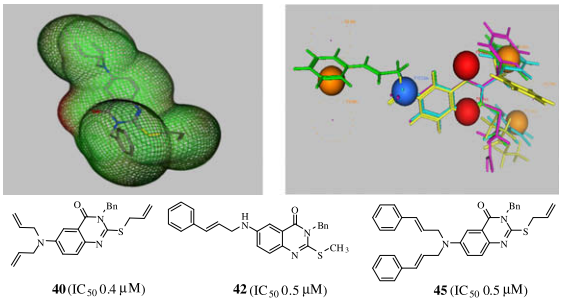
ARTICLES

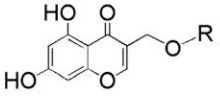


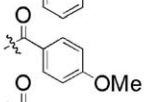
K_I = 8.21 μM (CA II); 9.19 μM (CA IX); 0.79 μM (CA XII).

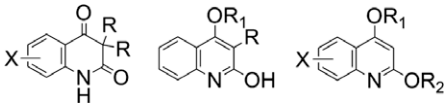




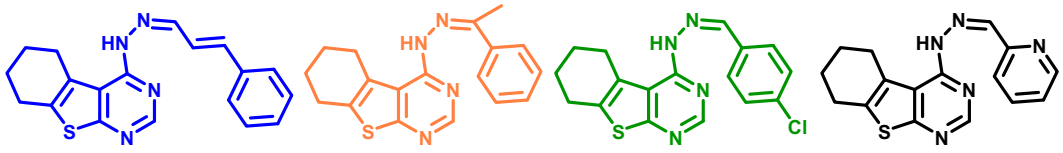




Compd	R	IC_{50} μM	IC_{50} μg/mL
6f		0.35	0.11
6g		0.80	0.27
6h		0.61	0.20



We synthesized 47 alkylated derivatives of quinoline 2,4-diol and tested for anti-HIV activity in human CD4+ T cell line CEM-GFP, infected with HIV-$1_{NL4.3}$. Compounds **6**, **9** and **23** showed IC_{50} of 2.35, 3.23 and 3.89 μM, respectively.

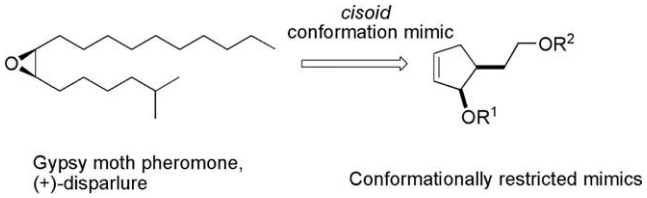


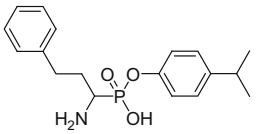
BTP-04
Anti-*T. cruzi*
IC_{50}= 1.4 μM
SI= 14.6

BTP-35
Anti-*M. tuberculosis*
LORA MIC= 8.7 μM
SI= 11.5

BTP-28
Anti-*L. amazonensis*
IC_{50}= 1.7 μM
SI= 85.2

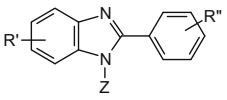
BTP-21
Anticaner
MCF-7 GI_{50}= 0.4 μM
HT-29 GI_{50}= 0.1 μM





IC_{50} = 0.5μM

Structure–activity relationship (SAR) studies of phophonate APN inhibitors.



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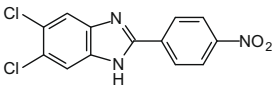

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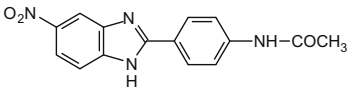
(VV: EC_{50} = 0.1 μM)



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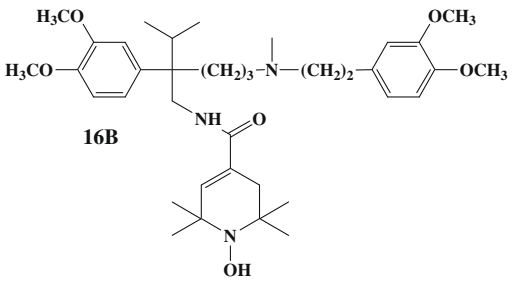
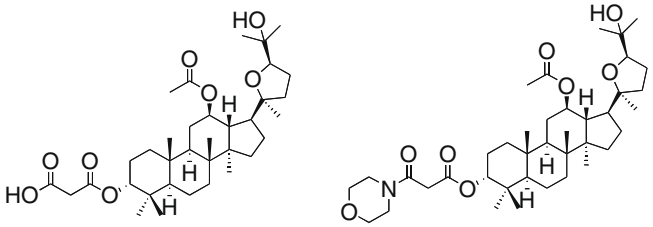
(BVDV: EC_{50} = 0.8 μM)

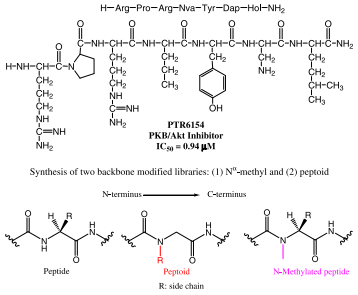
New derivatives of verapamil modified with nitroxides and their precursors were synthesized and screened for reactive oxygen species (ROS)-scavenging activities. Among the new verapamil derivatives compound **16B** proved to be the best ROS scavenger in vitro.



16B



Forty-one derivatives of papyriferic acid were prepared and evaluated for their effect on reversing MDR against KB-C2 cells. Compound **37** significantly reversed the IC_{50} value of colchicine against KB-C2 cells to 0.059 μM (185-fold reversion) at 5 μg/mL.



*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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