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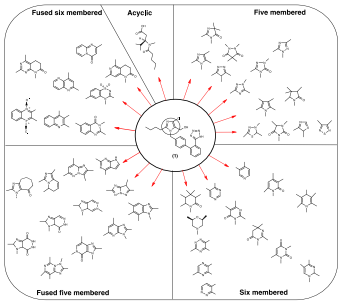
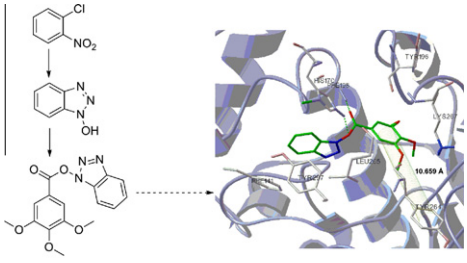
Bioorganic & Medicinal Chemistry Volume 18, Issue 24, 2010

Contents

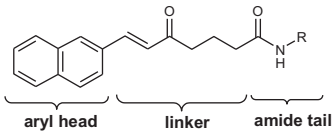
REVIEW



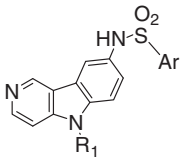
ARTICLES



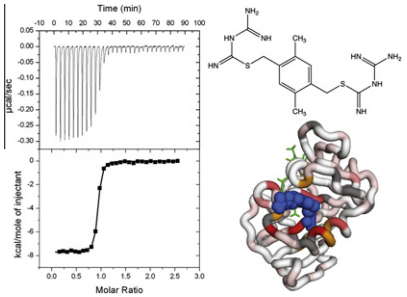
1*H*-benzo[*d*][1,2,3]triazol-1-yl 3,4,5-trimethoxybenzoate
Antiproliferative acitivity: IC_{50} of 1.2-2.4 nM
Histone deacetylase inhibitor: IC_{50} of 9.4 *u*M

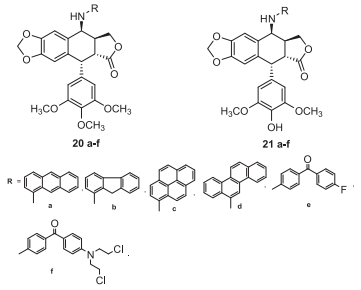


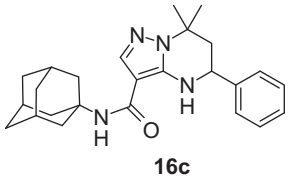
Novel Scaffold for CB2 selective ligands



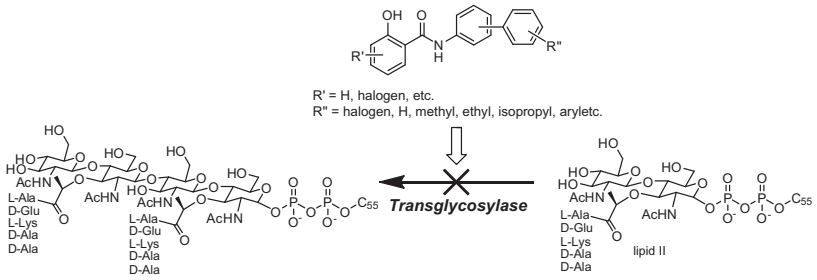
A series of novel *N*-γ-carboline arylsulfonamide derivatives designed, synthesized and evaluated for their cytotoxic activity, cell cycle effects, tubulin polymerization inhibition, and DNA intercalation.

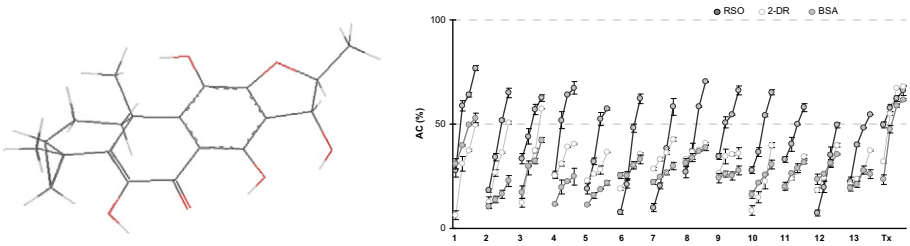




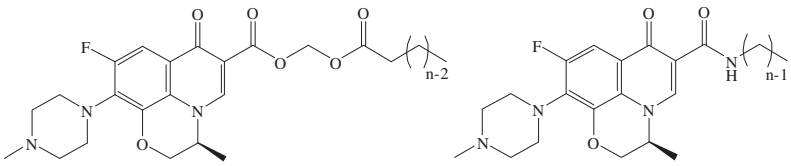


Synthesis and structure–activity relationships of novel tetrahydropyrazolopyrimidine derivatives as calcium-sensing receptor antagonists are reported.



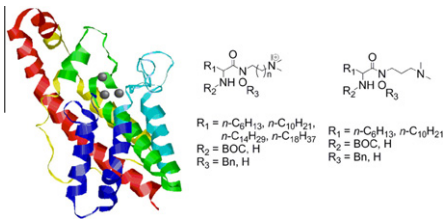


The antioxidant capability in cell-free systems of 13 rearranged abietanes from root methanolic extracts of the medicinal plant *Teucrium polium* is reported.

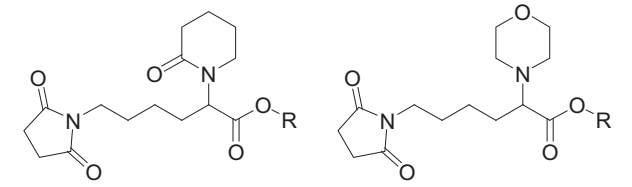


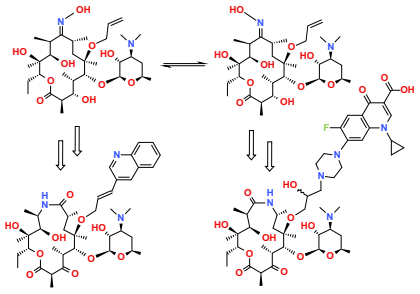
2a-h n=1-15 **4a-h** n = 4-15

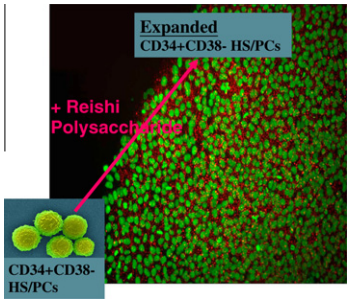
Acyloxymethyl esters and carboxamides derived from levofloxacin were prepared and investigated in vitro for antiproliferative activity against five human cancer cell lines. Nine derivatives displayed mean IC_{50} values in the micromolar range.

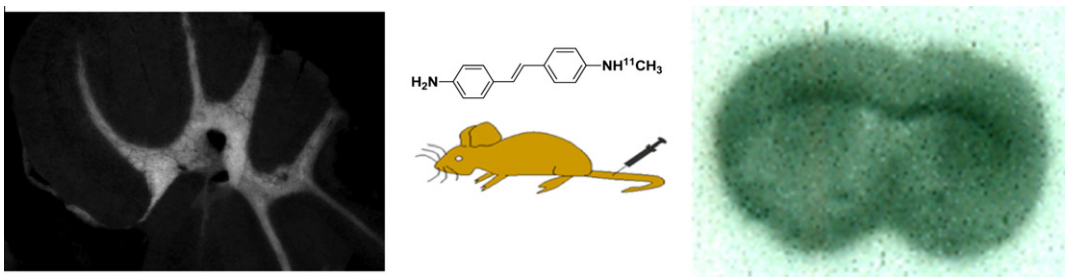


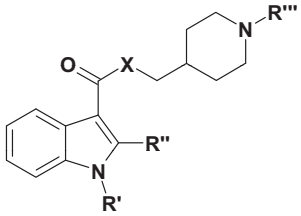
A new class of 2-aminohydroxamic acid derivatives are potent inhibitors of phosphatidylcholine preferred *Bacillus cereus* phospholipase C enzyme (PC-PLC$_{Bc}$) a model for the elusive mammalian PC-PLC.



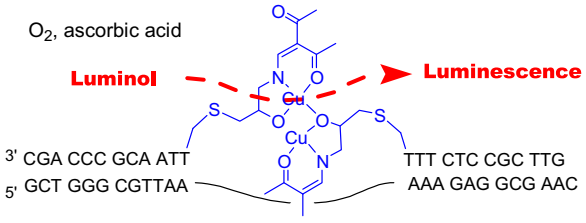




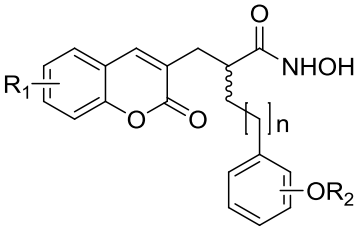


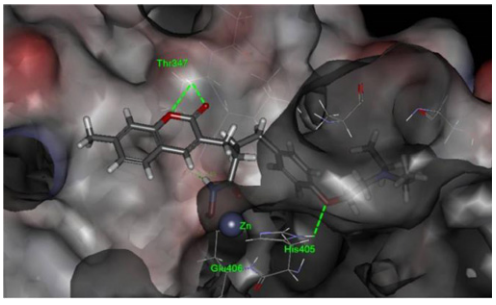


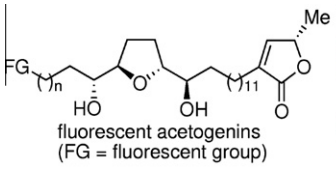
X	R'	R''	R'''	pK_i
O	H	H	-$(CH_2)_3CO_2H$	9.09 ± 0.16
NH	H	H	-$(CH_2)_3CO_2H$	5.44 ± 0.01
NH	-$(CH_2)_3O$-		-$CH_2C_6H_6CO_2H$	8.30 ± 0.15
NH	-$(CH_2)_3O$-		-$(CH_2)_3CO_2H$	8.70 ± 0.08

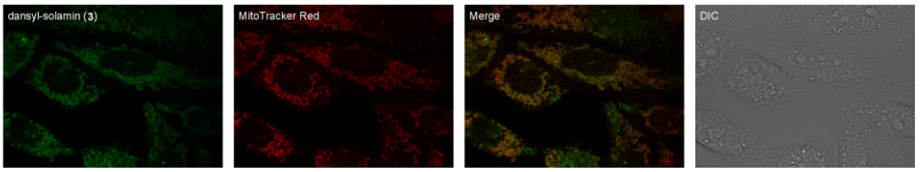


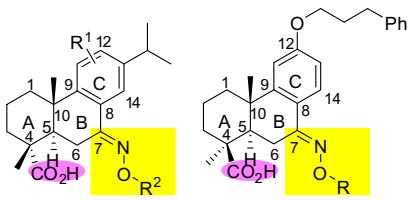
A series of chromen-based TACE inhibitors were designed to bind in S1′ pocket of TACE enzyme based on their docking study. The newly prepared analogues were evaluated in vitro assays and the most potent inhibitor (**15l**) was evaluated in pharmacokinetic model and in vivo pharmacological model. QSAR equation of the chromen-based TACE inhibitors is reliable in internal and external test set and its docking study confirms the equation.



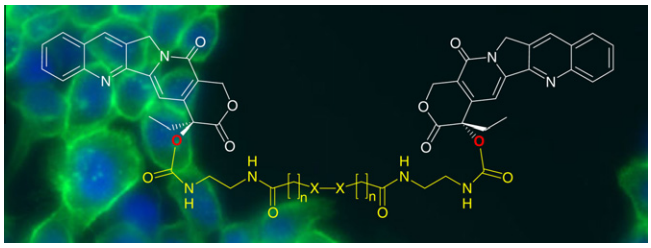


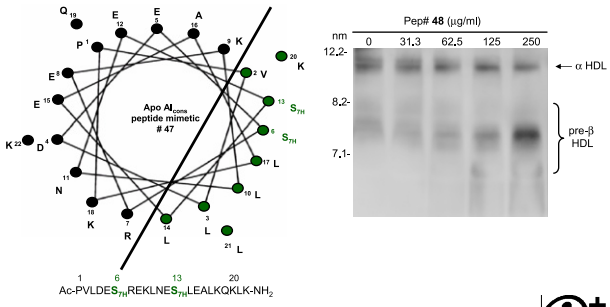


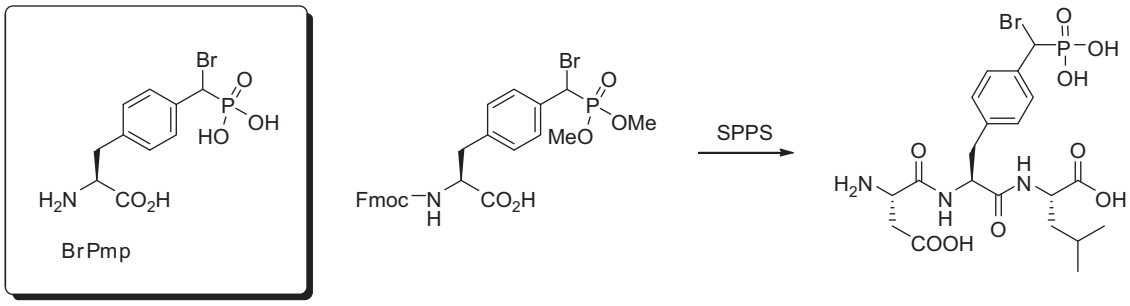




Oxime ether derivatives at the benzylic position of unsubstituted, dichloro, trichloro, and monobromo derivatives of the aromatic C-ring of dehydroabietic acid and podocarpic acid were synthesized and evaluated as BK channel openers in an assay system of CHO-K1 cells expressing hBKα channels.







OTHER CONTENT

*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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