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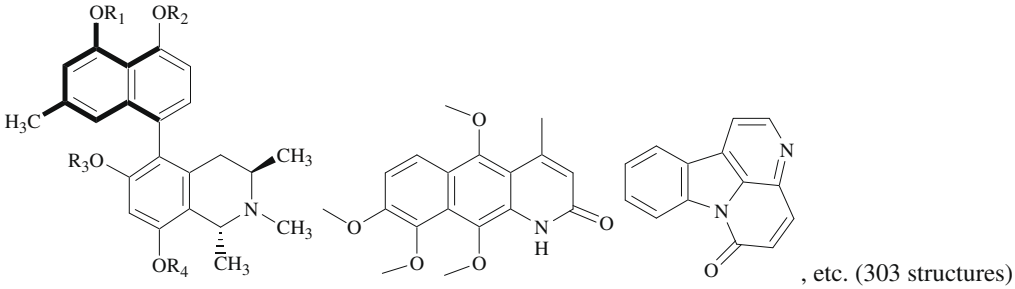
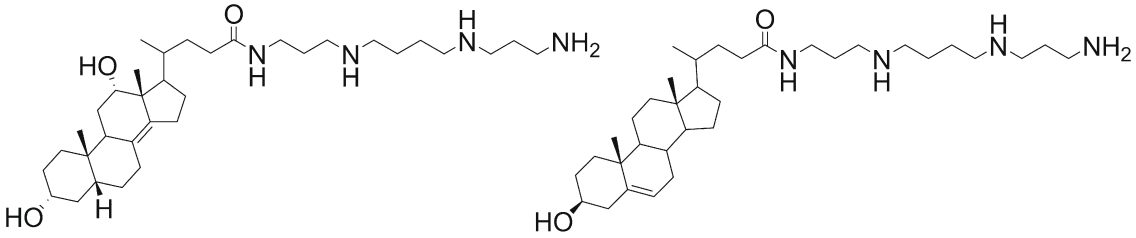
Contents

REVIEW

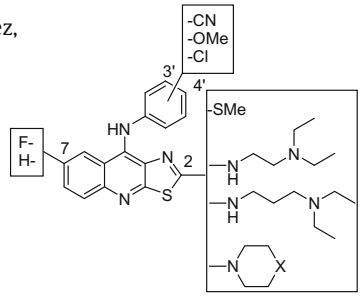


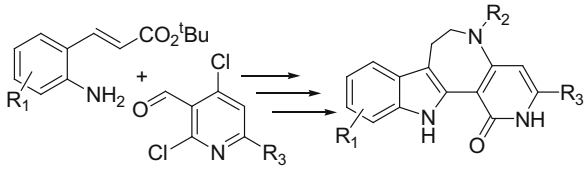
, etc. (303 structures)

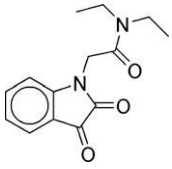
ARTICLES

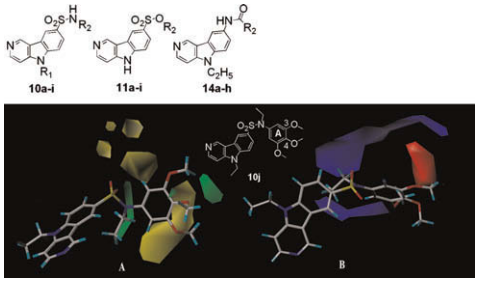


A family of cationic lipids was synthesized and evaluated as plasmid transfection reagents and antibacterials. Double bond incorporation in the sterol moiety significantly enhanced transfection efficiency but not bactericidal activity.

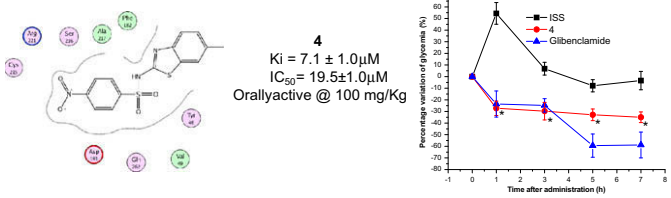




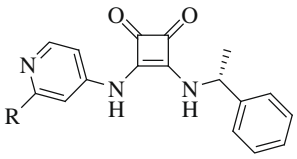




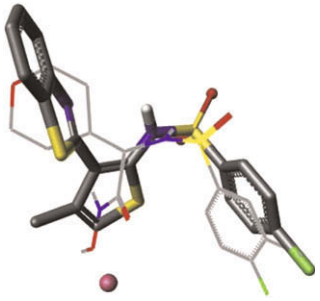
Three series of γ-carboline derivatives were designed, synthesized and tested for their cytotoxic activities in vitro against several human tumor cell lines. CoMFA analysis was carried out, and a statistically reliable QSAR model (r^2 = 0.936, q^2 = 0.581) was established.

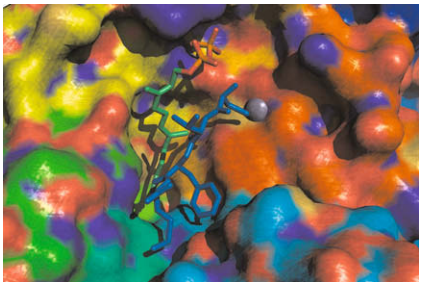


Compound **4** was found as inhibitor against PTP-1B, acting as rapid reversible (mixed-type) inhibitor. Docking results indicate potential hydrogen bond interactions between the nitro group and the catalytic amino acid residues Arg 221 and Ser 216. In a T2DM rat model, **4** shown significant lowering of plasma glucose concentration.



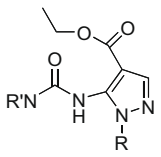
A novel series of inhibitors for mitogen activated protein kinase-activated protein kinase 2 (MK-2) are reported. An MK-2 co-structure was obtained and a structure based approach was followed to optimize potency and selectivity.



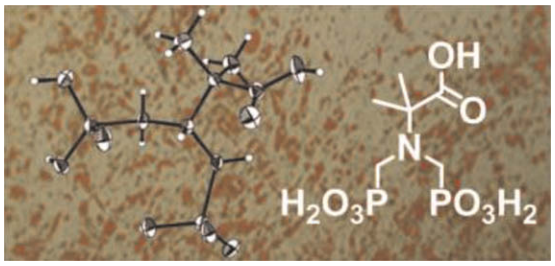


A dynamic portrait of the several stages on the catalytic mechanism of FTase is drawn integrating and complementing the most recent experimental and computational evidence on the field.

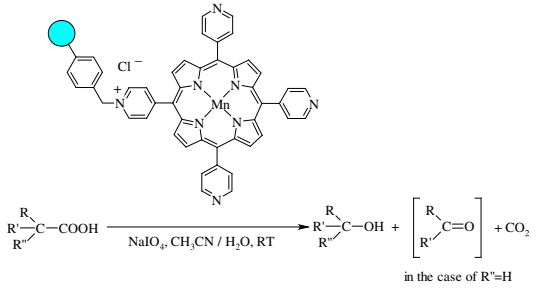
Title compounds showed a very strong inhibition both in the fMLP-OMe induced (IC_{50} in the range 0.19 nM–2 mM) and in IL8-induced (IC_{50} at pM concentration) neutrophil chemotaxis.
The 2-fluoro and 3-fluoroanilino derivatives showed anti-inflammatory activity in vivo, in the mouse model of zymosan-induced peritonitis.

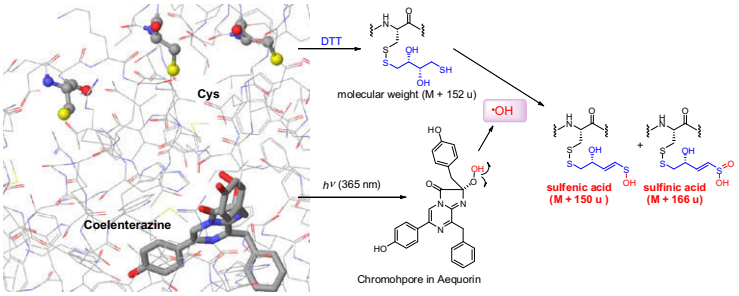


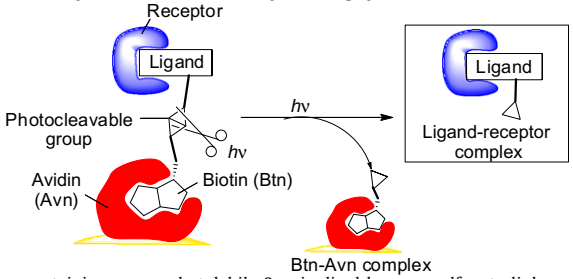
R= CH_3, $CH_3CH(OH)CH_3$, $CH_2CH(OH)C_2H_5$, $CH_2CH(OH)C_3H_7$,$CH_2CH(OH)C_6H_5$
NR'= isopropylamino, benzylamino, N-benzylpiperazino
(*o*,*m*,*p*)F-anilino,α–naphthylamino



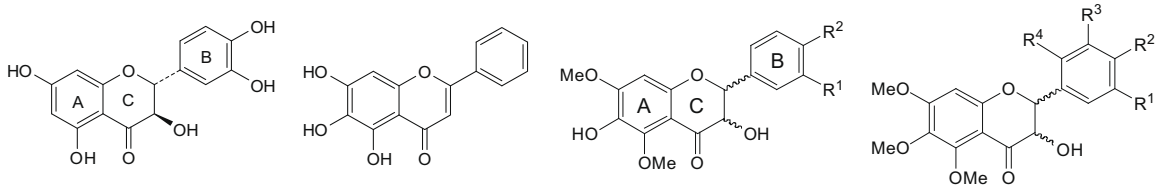
N,N-Bis(phosphonomethyl)amino acids were synthesized in a one step-one pot procedure. Biological studies on the effects on the adipogenic and the osteogenic differentiation of mesenchymal stem cells were performed.

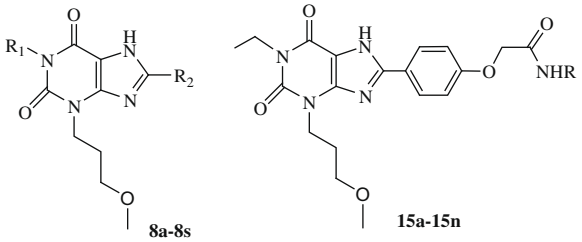


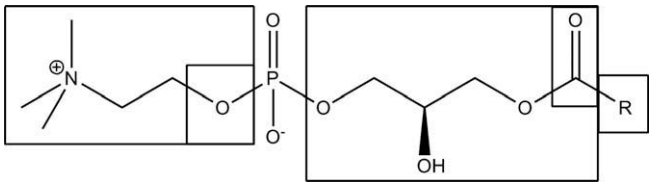




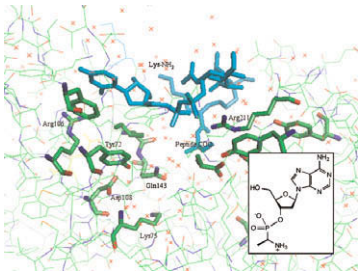
The design and synthesis of a biotinylated dopamine containing a new photolabile 8-quinolinyl benzenesulfonate linker are reported. The photorelease of a dopamine–anti-dopamine antibody complex will be presented, as confirmed by ELISA and Western blot.

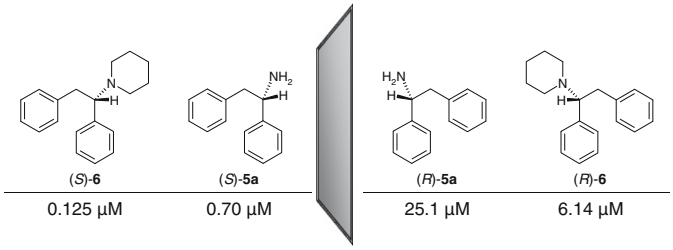




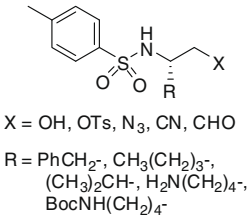


Lysophosphatidylcholine analogs were synthesized and evaluated for autotaxin substrate recognition. The boxed functional groups were modified to investigate the structure–activity relationship of autotaxin substrates.

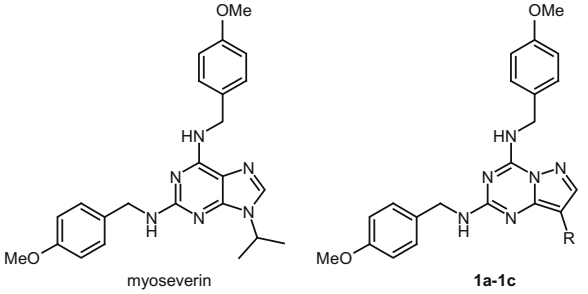




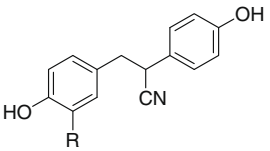
Open-chain analogues of the prominent NMDA channel blocker MK-801 exhibit a high degree of stereoselectivity, inhibiting the binding of [^{3}H]MK-801 to rat brain membranes (K_i).



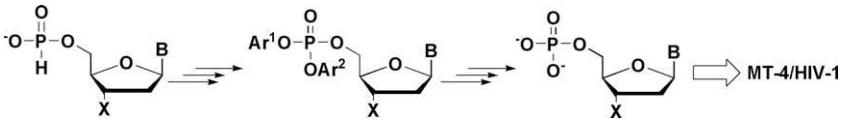
Potential inhibitors of the bacterial cysteine protease IdeS were synthesized and tested. Derivatives with azide, nitrile and aldehyde functionalities showed moderate to high inhibitory activity.



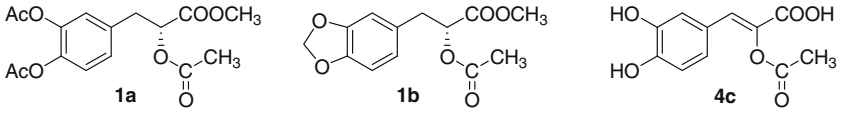
The pyrazolo[1,5-*a*]-1,3,5-triazine derivatives **1a**–**c** constitute a new series of tubulin inhibitors and displayed micromolar antiproliferative activities towards colorectal cancer cell lines.



6d, R = F; RBA ERα = 0.023, ERβ = 6.25, β/α = 272
11a, R = -(CH$_2$)$_2$F; RBA ERα = 0.024, ERβ = 1.38, β/α = 58
11b, R = -(CH$_2$)$_3$F; RBA ERα = 0.020, ERβ = 0.896, β/α = 45
13, R = I; RBA ERα = 0.021, ERβ = 2.95, β/α = 140



Various phosphotriesters, produced in a 'one-pot' approach from nucleosid-5′-yl H-phosphonates and phenols, exhibited significant anti HIV-1 activity, and were found to act, at least partially, as true pronucleotides.



Two novel chiral Danshensu derivatives (**1a**–**b**) and their racemates have been designed and synthesized. Primary pharmacological evaluation showed that these Danshensu derivatives possessed potent cardioprotective activities by blocking oxidative stress and apoptotic pathways.

*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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