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Medicinal
Chemistry

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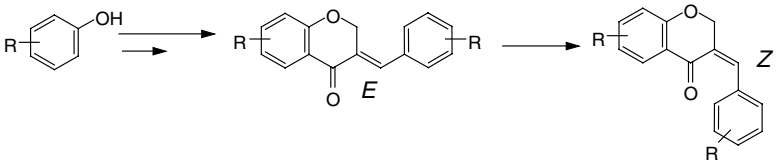
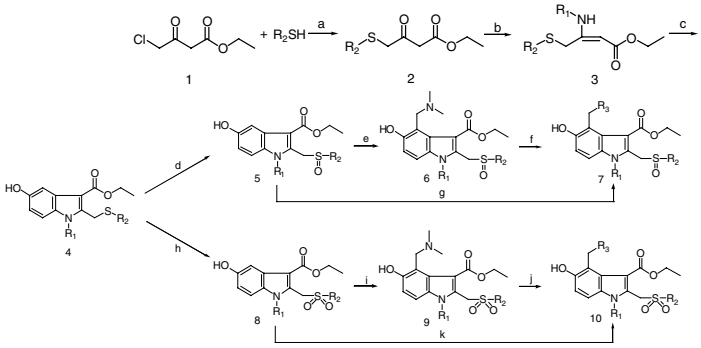
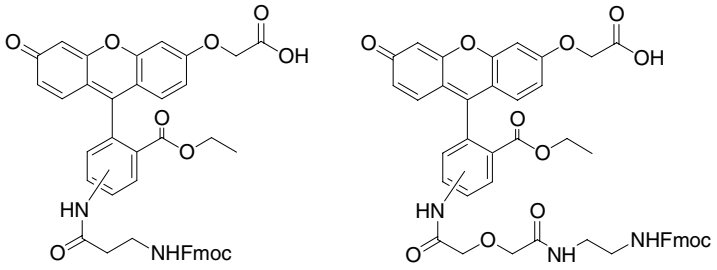
Contents

ARTICLES

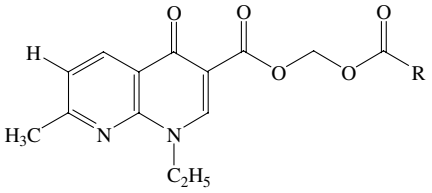


Four naturally occuring homoisoflavonoids and eight analogs were synthesized and evaluated for their antioxidative and 5-LOX inhibitory activities.

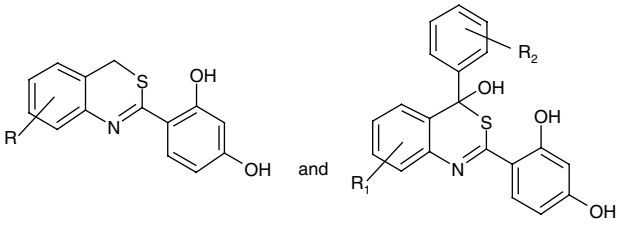


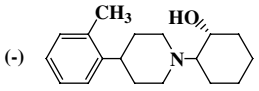


Synthesis, photochemical characterization, and application of new fluorescent amino acids, which can be directly employed in solid phase peptide synthesis, are described.

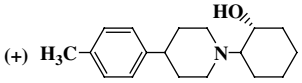


$R = C_nH_{2n+1}$, n = 3, 7, 11, 13, 15 or
$R = C_6H_5\text{-}C_7H_{15}$, $C_6H_5\text{-}O\text{-}C_7H_{15}$

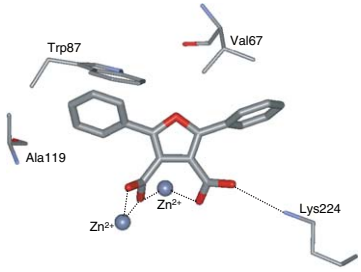


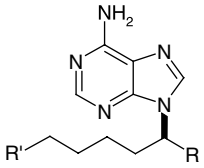


(-)-o-methylvesamicol ((-)-OMV)(13)

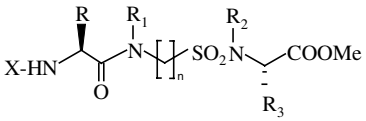


(+)-p-methylvesamicol ((+)-PMV) (16)





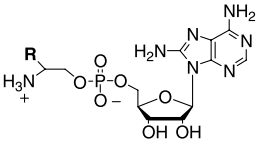
Binding free energy calculations were carried out on a series of inhibitors of adenosine deaminase.



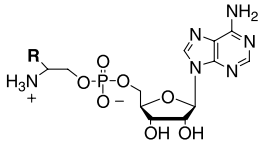
4a-f) X = Boc **5a-f) X = for**

4/5	R	R_1	R_2	R_3	n
a	CH_3	H	H	CH_2Ph	2
b	$(CH_2)_2SCH_3$	H	H	CH_3	2
c	CH_2Ph	H	H	$(CH_2)_2SCH_3$	2
d	$(CH_2)_2SCH_3$	H	CH_3	CH_2Ph	2
e	$(CH_2)_2SCH_3$	CH_3	H	CH_2Ph	2
f	$(CH_2)_2SCH_3$	H	H	CH_2Ph	3

A short and efficient route for the synthesis of aminoalkyl 8-aminoadenylates, potential aminoacyl-tRNA synthetase inhibitors, is presented. Aminoalkyl 8-aminoadenylates were synthesized using a 5′-*H*-phosphonate strategy involving minimal protecting group manipulations and a single final deprotection step.

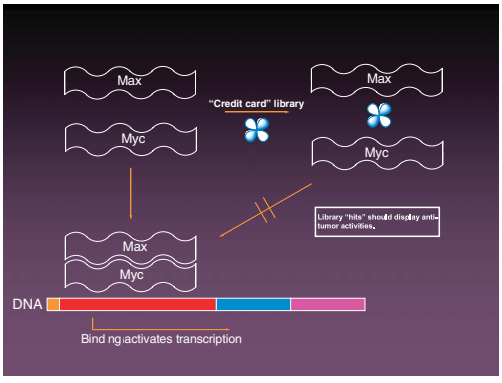


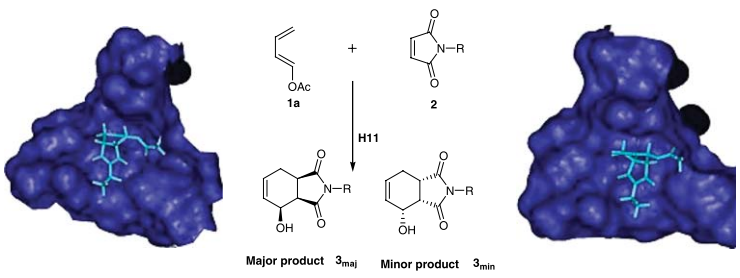
i) **R** = $CH_2CH_2SCH_3$
ii) **R** = $CH(CH_3)CH_2CH_3$
iii) **R** = CH_2(imidazole)

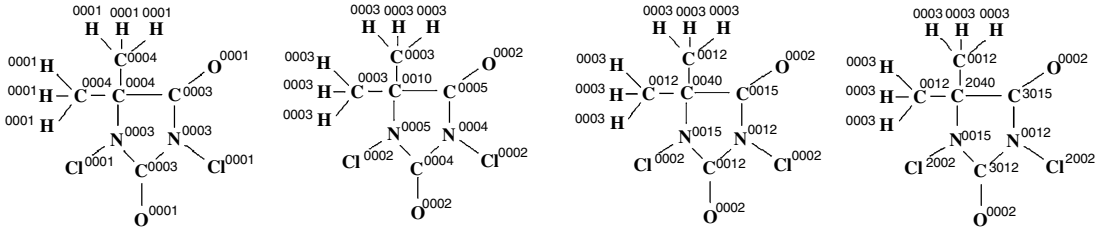


i) **R** = $CH(CH_3)CH_2CH_3$

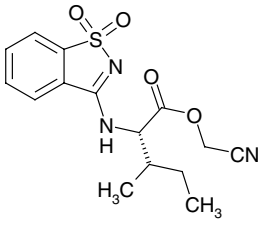
Protein–protein interfaces are prominent in many therapeutically important targets. Using small organic molecules to disrupt protein–protein interactions is a current challenge in chemical biology. Herein, we report a new strategy for the disruption of protein–protein interactions that has been corroborated through the design and synthesis of a small parallel library termed 'credit-card' library. From this 285 membered library, several hits were obtained that disrupted the c-Myc–Max interaction and cellular functions of c-Myc. This strategy for disrupting protein–protein interactions should prove applicable to other families of proteins.



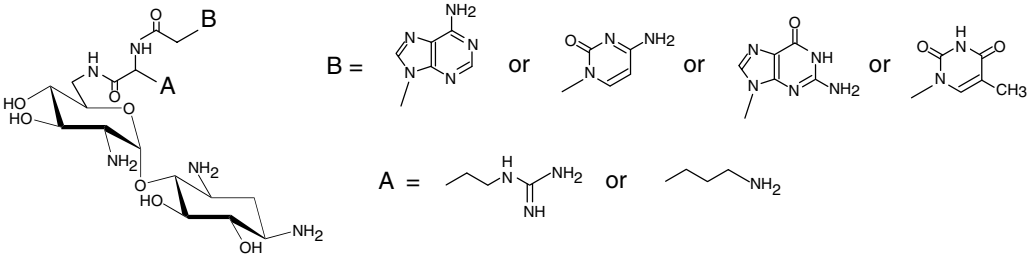


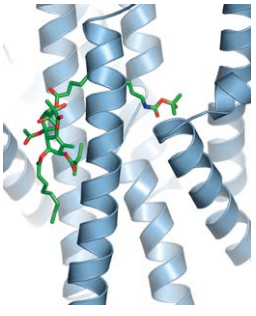


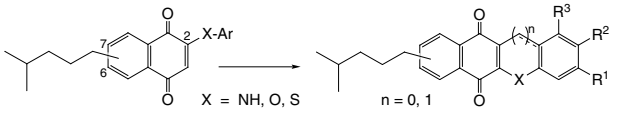
^{0}EC P2 LI = ^{0}EC*P2 V = $^{(N,O)}$NNC + LI



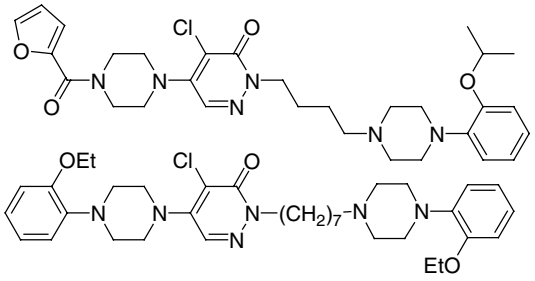
Pseudosaccharinamine derivatives were synthesized and evaluated for inhibitory activity against elastase. K_i = 0.8 μM against HLE





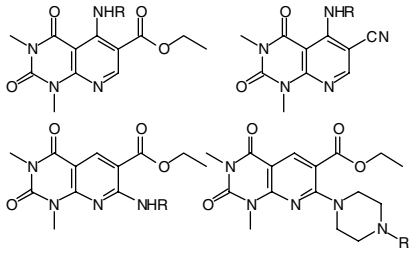


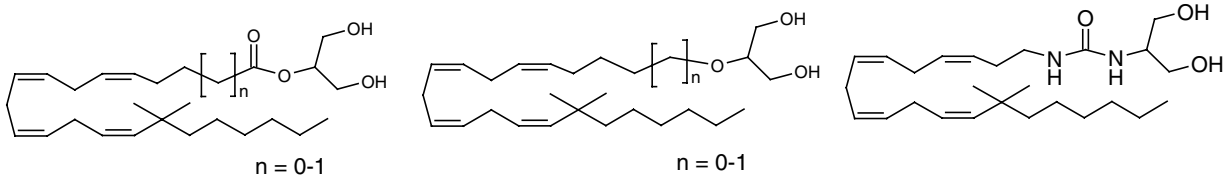
Several heterocyclic terpenylnaphthoquinones have been prepared by palladium (II)-catalyzed oxidative cyclization of the corresponding substituted naphthoquinones and evaluated as antineoplastics.



5: 0.35 nM (α_1-AR), 203 (α_2-AR/α_1-AR ratio)

17: 0.28 nM (α_1-AR) 111 (α_2-AR/α_1-AR ratio)





*Corresponding author

ⓘ+ Supplementary data available via ScienceDirect

COVER

2006: The cover figure shows a synthetic multifunctional pore that is composed of rigid-rod staves (para-octiphenyls, tan) and beta-sheet hoops (arrows) and can be activated with external ligands (fullerenes, golden spheres) and closed with internal blockers (alpha-helix, red ribbon) [Gorteau, V.; Bollot, G.; Mareda, J.; Pasini, D.; Tran, D.-H.; Lazar, A. N.; Coleman, A. W.; Sakai, N.; Matile, S. *Bioorg. Med. Chem.* **2005**, *13*, 5171–5180].

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