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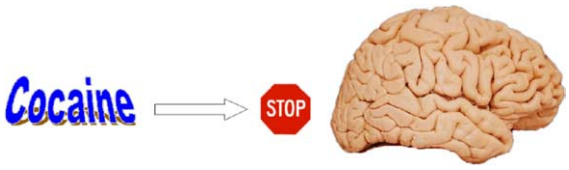
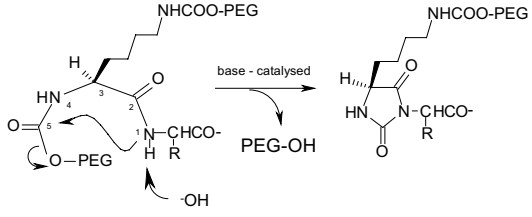
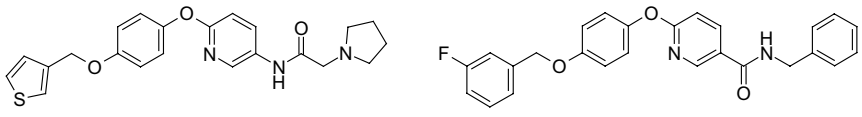
Contents

REVIEW

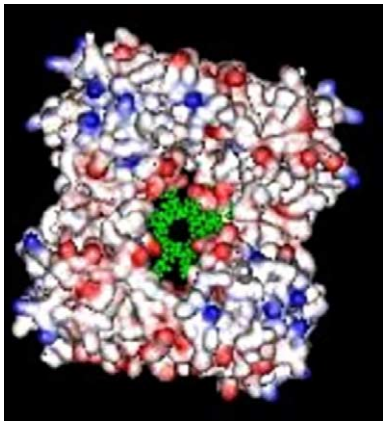


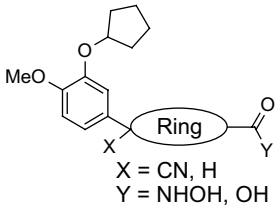
ARTICLES



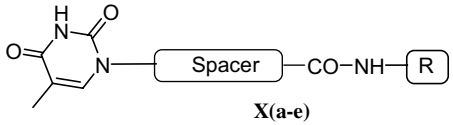


A series of 2-phenoxypyridine derivatives were prepared and evaluated for their inhibitory activity against the reverse and forward modes of the sodium–calcium exchanger (NCX). The structure–activity relationships of these compounds on the inhibitory activity for the sodium–calcium exchanger are discussed.



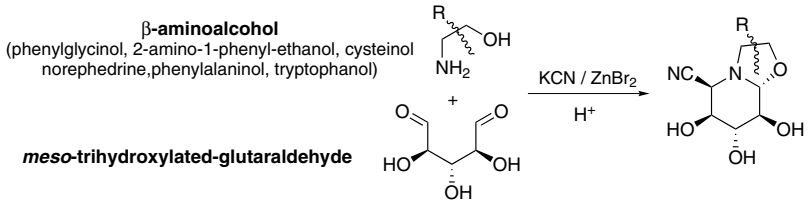


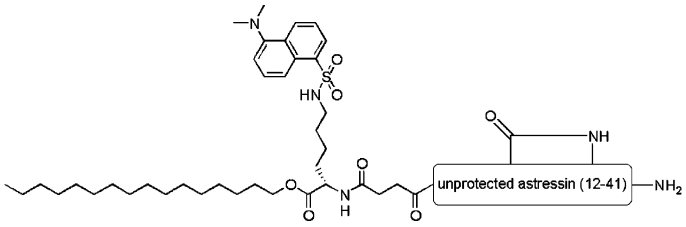
The mode of interaction with enzyme is hypothesized based on the SAR data.

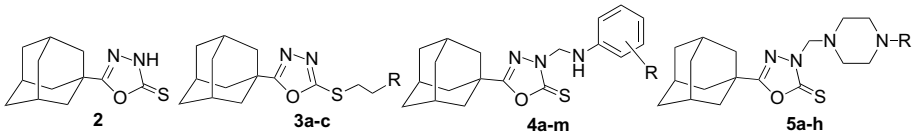


X(a-e)

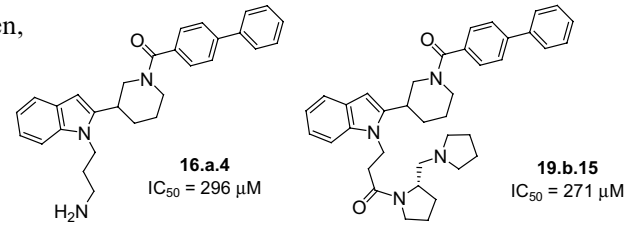
Three series of thymine-derived carboxamides **X**(**a**–**e**) have been prepared by a solution-phase parallel synthesis based on the coupling of thymine-derived acids [4-(thymin-1-yl)butyric acid (**I**), [4-(thymin-1-yl)-butyrylamino]acetic acid (**II**) and 6-(thymin-1-yl)hexanoic acid (**III**)] with different commercially available primary amines that carry cyano and/or phenyl groups. The resulting carboxamides have been tested against TK-2 and related enzymes.

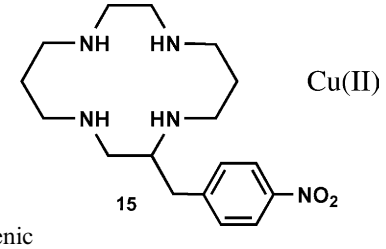




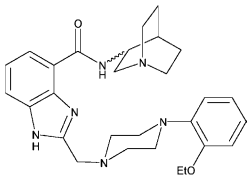


Derivatives of the above structures were synthesized and tested for their in vitro antimicrobial and anti-HIV-1 activity.





A set of novel polyamine hexadentate *cis*,*cis*-1,3,5,-triaminocyclohexane (tach) chelating agents were synthesized and evaluated in conjunction with a selection of both linear and macrocyclic polyamines as copper chelators for novel anti-angiogenic therapy in an in vitro endothelial cell proliferation assay to assess their cytotoxicity and selectivity. Macrocyclic polyamine 15 exhibited the greatest selective activity in this assay while the tach based ligands exhibited cytotoxicity, but no selectivity.



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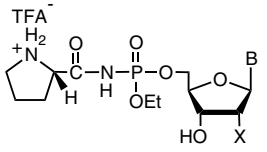
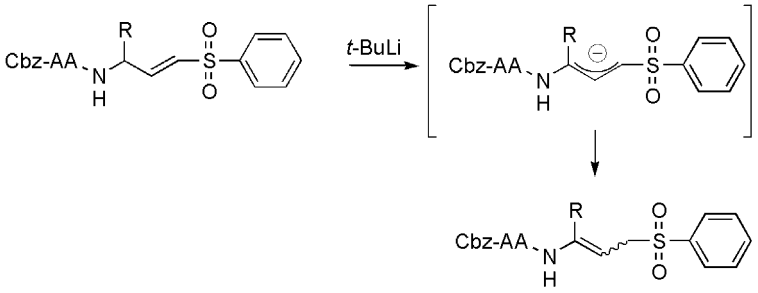
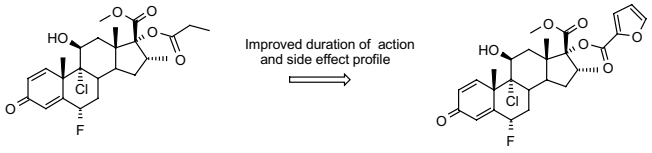
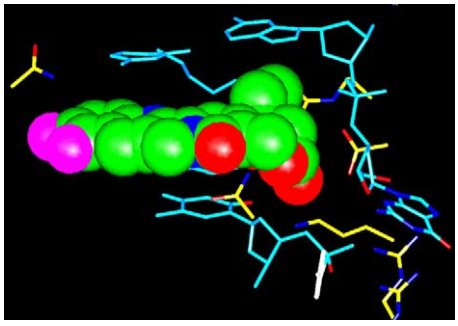


B = Ade, 8-oxo-Ade, 6-*N*-Ac-8-oxo-Ade, Uri, Cyt, Gua
X = H, OH







Human topoisomerase I Tyr723 (white) in covalent complex with DNA (light blue) via the −1 deoxythymidine (bottom), while the +1 deoxyguanosine with a free 5′-OH is rotated out of the helix (right). Homocamptothecin 9,10 diF (green) is docked in the active site (A–E rings, left to right). Active-site residues (yellow) that make H-bond/electrostatic contacts with either inhibitor, or the rotated +1 deoxyguanosine, are shown. Oxygen, red; nitrogen, blue; fluorine, magenta.

OTHER CONTENTS

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COVER

The cover image illustrates some of the therapeutical and immunological strategies that have been explored recently to block the effects of cocaine on the brain. Details can be found in *Bioorganic & Medicinal Chemistry* **2004**, *12*, 5019–5030.

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