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Bioorganic & Medicinal Chemistry

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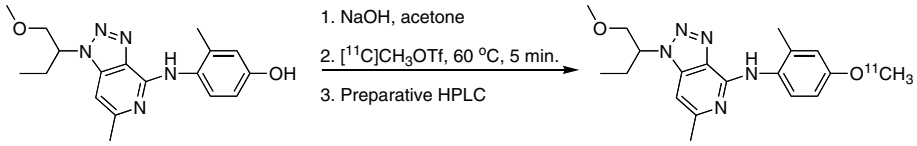
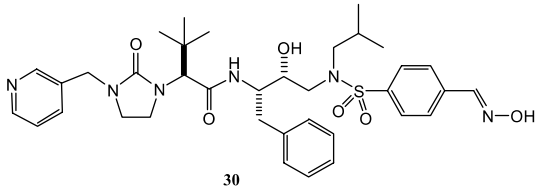
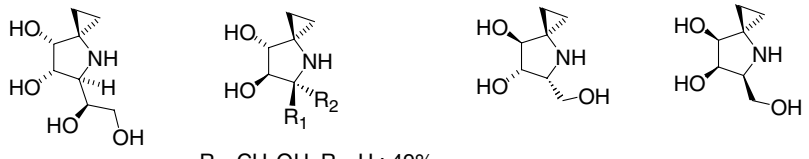
Contents



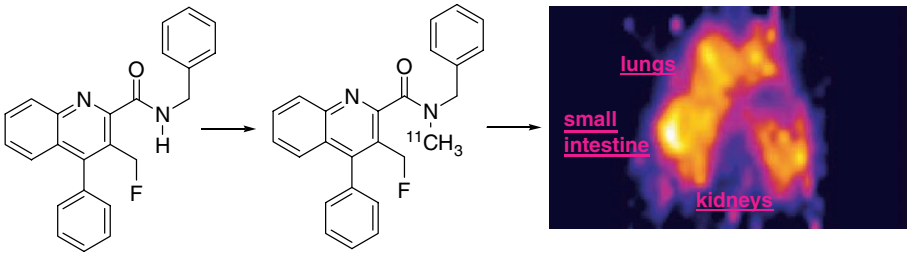


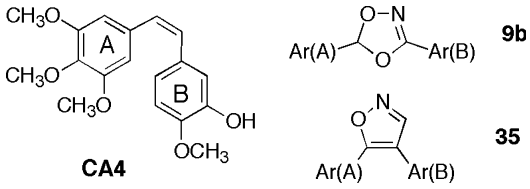
30

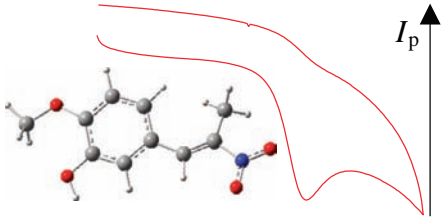
A series of potent inhibitors of HIV-1 protease designed to be equally effective at inhibiting both wild-type virus and a mutant strain of virus (A17) highly resistant to lopinavir is described.

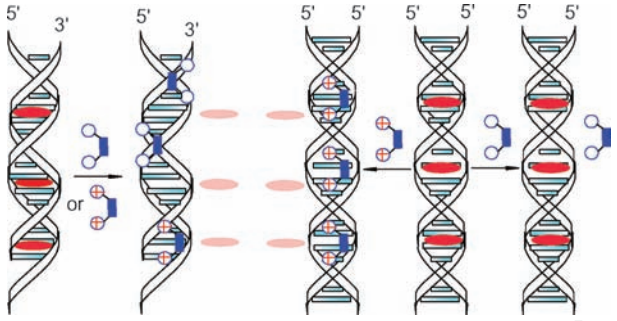


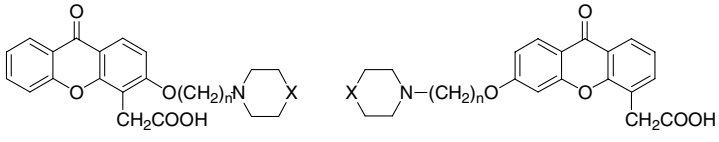
% inhibition of α-L-fucosidase from bovine kidney at 1 mM concentration.

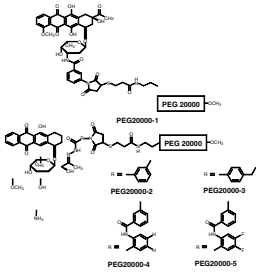


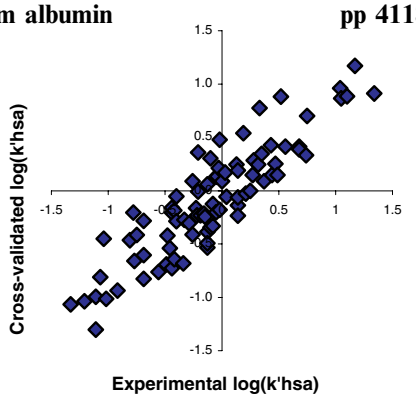


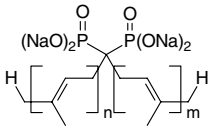




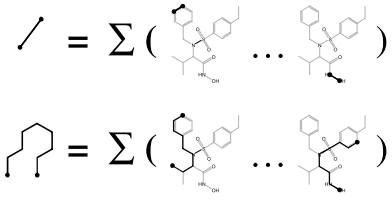




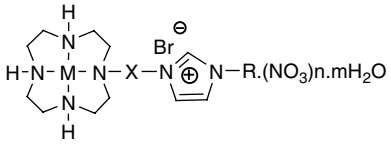




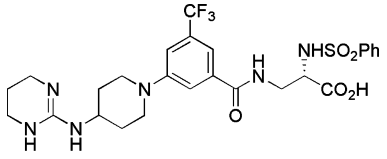
n = 1, 2, or 3
m = 1, 2, or 3



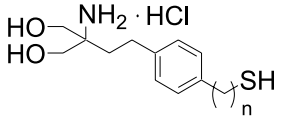
Schematic representation of the 2D autocorrelation vectors used in the QSAR study of *N*-hydroxy-2-[(phenylsulfonyl)amino]-acetamide derivatives as matrix metalloproteinase inhibitors.



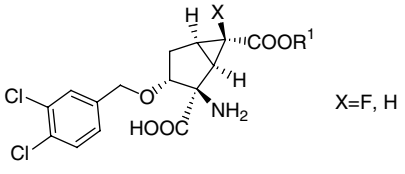
M=Zn^{2+},Co^{2+},Cu^{2+}
n=1,3 or 4, m=0,1 or 3



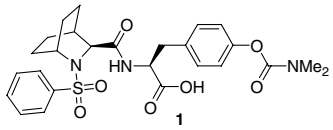
$\alpha_v\beta_3$ IC_{50}: 18 nM
$\alpha_{IIb}\beta_3$ IC_{50}: 2,000 nM
VSMC IC_{50}: 500 nM
$\alpha_v\beta_3/\alpha_{IIb}\beta_3$: 110



n = 4, 8

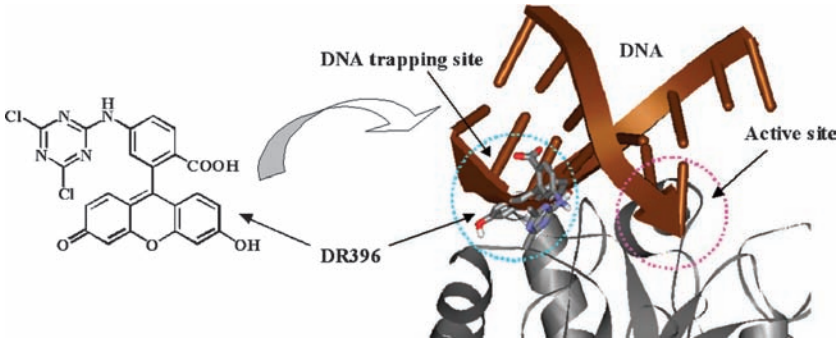


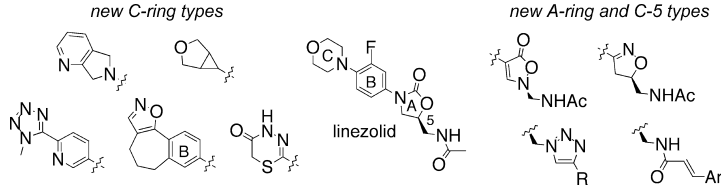
X=F, H



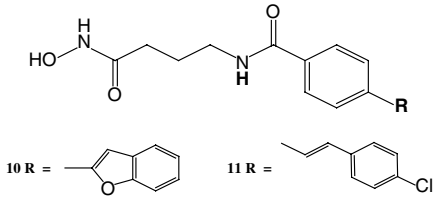
1

A number of potent $\alpha_4\beta_1$ antagonists were evaluated for safety and efficacy, and **1** was selected as a lead candidate. The synthesis of compound **1** was optimized and scaled up, so that it could be evaluated in allergen-sensitive sheep and ovalbumin-sensitized guinea pigs. It was found to block changes in airway resistance, hyper-responsiveness, and inflammatory cell number.



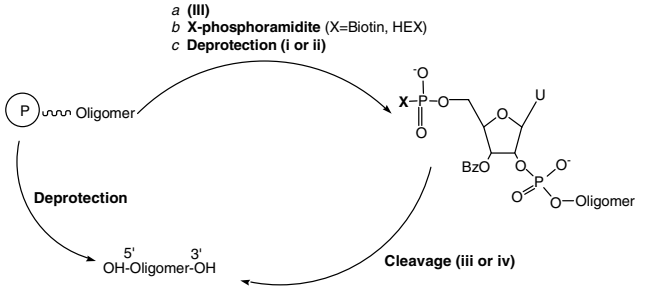


This review describes recent efforts directed at the discovery of new antibacterial oxazolidinones. New structures and structure–activity relationships (SARs) are discussed in the context of earlier work in the field.



A series of *N*-benzoyl γ-aminobutyric hydroxamic acids were synthesized and evaluated as matrix metalloproteinase inhibitors. Optimization of the *N*-benzoyl residue and γ-amino butyric hydroxamic acid moiety resulted in the discovery of two novel MMP inhibitors (compounds **10** and **11**).

A two-step general technique for labeling of synthetic oligonucleotides is projected. To incorporate cleavable property in oligonucleotides, a new universal linker based on uridine nucleoside has been synthesized. The linkage between the label and the oligonucleotide is essentially stable under post-synthesis cleavage.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Docking of (*R*)-*N*-hydroxy-2-(*N*-isopropoxybiphenyl-4-ylsulfonamido)-3-methylbutanamide into MMP-2 [Tuccinardi, T.; Martinelli, A.; Nuti, E.; Carelli, P.; Balzano, F.; Uccello-Barretta, G.; Murphy, G.; Rossello, A. *Bioorg. Med. Chem.* **2006**, *14*, 3987].

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