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Therapeutic Intervention Targeting Protein–Protein Interactions

Guest Editor: Jean Chmielewski

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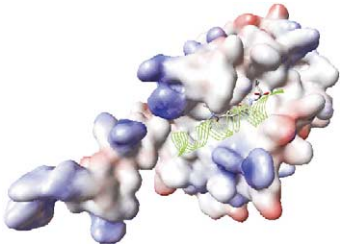
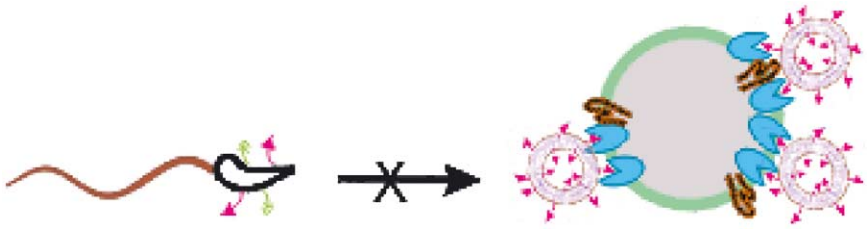
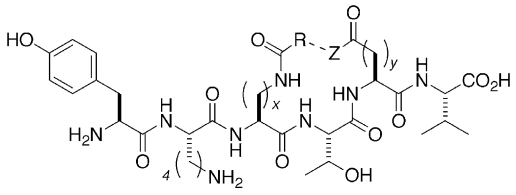
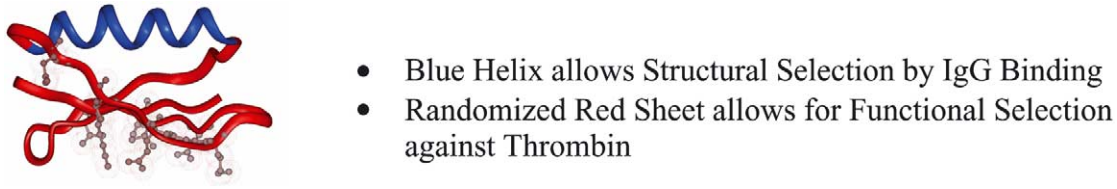


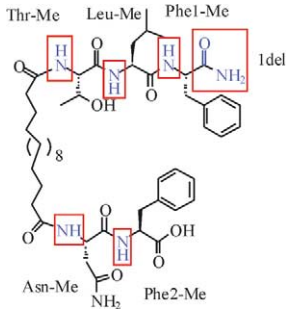




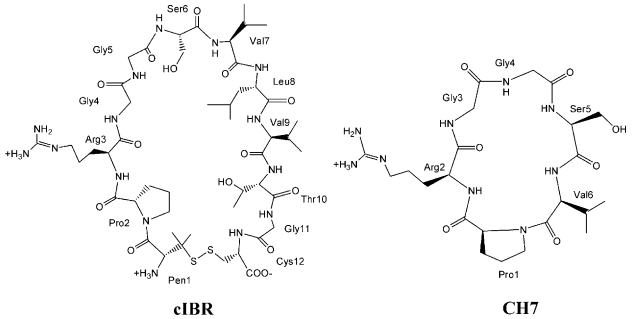
Macrocyclic peptides with varied ring sizes have been prepared as ligands for PDZ3 of the PSD-95 protein and investigated by calorimetry.



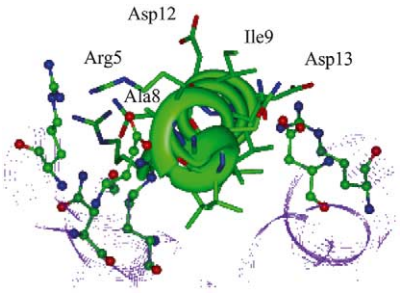
Blue helix allows structural selection by IgG binding. Randomized red sheet allows for functional selection against thrombin.

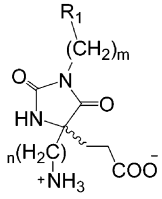


The effects of *N*-methylation on agents that inhibit the dimerization of HIV-1 protease and effects on degradation by proteases are reported.

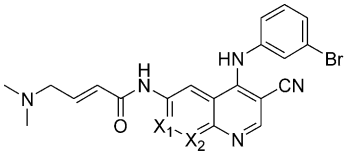


Cyclic peptides cIBR and CH7 were found to inhibit adhesion of T-cells to Caco-2 cell monolayers.

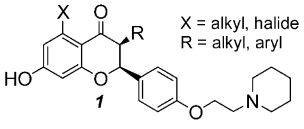




REGULAR COMMUNICATIONS



14: X_1 = CH, X_2 = N, IC_{50} = 2043.6 nM
28: X_1 = N, X_2 = CH, IC_{50} = 1.9 nM
32: X_1 = X_2 = CH, IC_{50} = 37.2 nM



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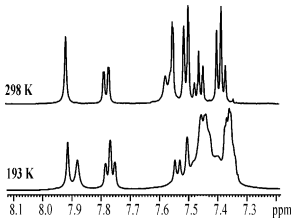
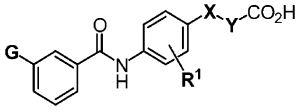
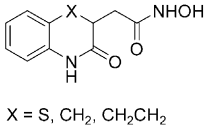
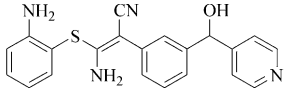




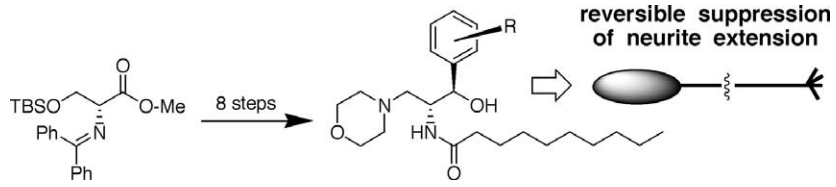


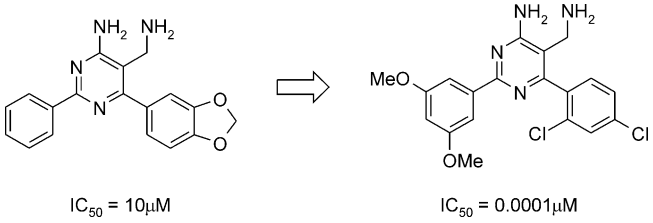
X = S, CH_2, CH_2CH_2

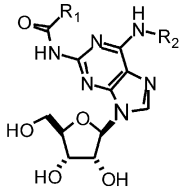
41:



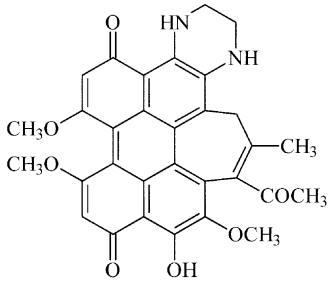
MEK IC_{50} = 12 nM
TPA ear ED_{50} = 5 mg/kg i.p.



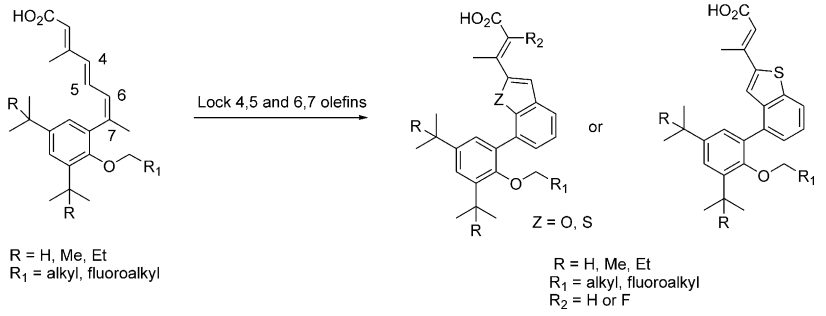


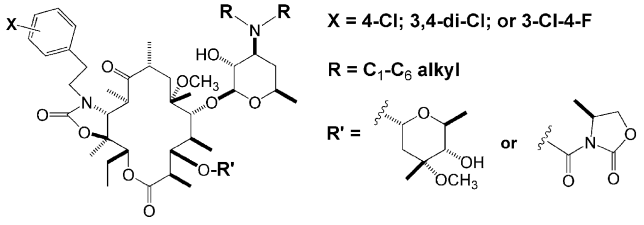


Synthesis and evaluation of 2-(*N*-acyl) and 2-*N*-acyl-N^6-substituted analogues of adenosine are discussed.



A novel diamino substituted hypocrellin derivative was synthesized with significantly enhanced red absorption and active oxygen generation.





Antibacterial results for a series of potent, non-peptide LHRH antagonists derived from erythromycin A are described. Increasing the size of the alkyl amino substituents on desosamine resulted in improved affinity for the LHRH receptor, as well as reduced antibacterial activities against bacterial strains highly susceptible to erythromycin antibiotics.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Cover figure provided by ***Indraneel Ghosh****, Department of Chemistry, University of Arizona.* The cover depicts the **Dual Surface Selection** methodology developed by the author: the blue helix of htB1 (center) allows structural selection with the Fc portion of Immunoglobulin (left), while the residues randomized on the red sheet of htB1 (center) allows for functional selection against thrombin (right) [Rajagopal, S.; Meza-Romero, R.; Ghosh, I. *Bioorg. Med. Chem. Lett*. **2004**, *14*, 1389].

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