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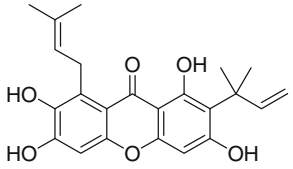
Contents

Identification and synthesis of novel 3-alkoxy-5-phenoxy-*N*-thiazolyl benzamide glucokinase activators are described.



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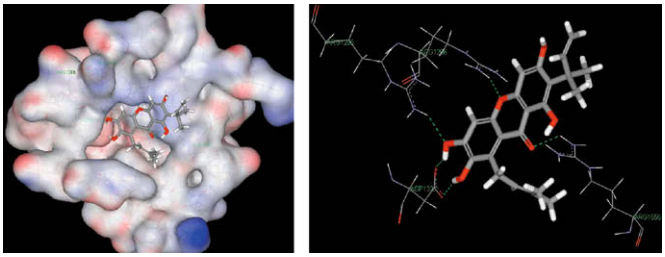
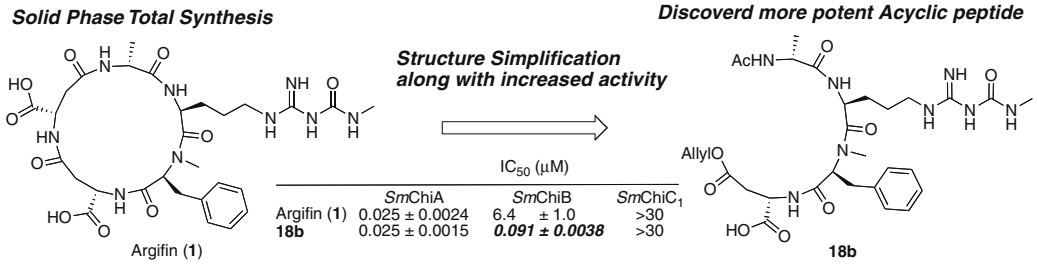
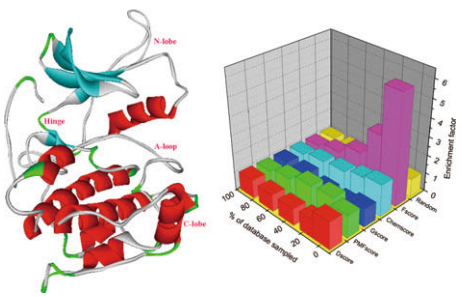
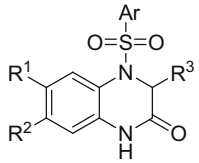


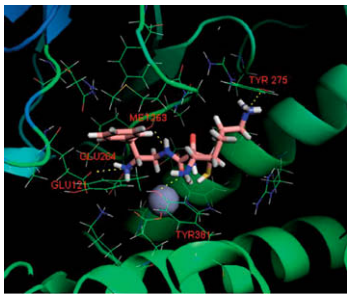
A series of xanthone derivatives from *Cudrania tricuspidata* are shown to display nanomolar inhibitor activity against neuraminidase as well as competitive inhibition modes.



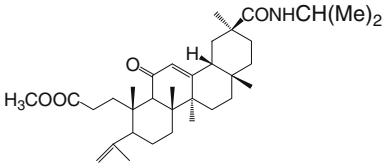




A series of novel N^4-(hetero)arylsulfonylquinoxalinone derivatives were prepared in a straight and efficient way. Of all the synthesized compounds, five compounds exhibited potent anti-HIV-1 replication activities with IC_{50} value at the level of 10^{-7} mol/L. Preliminary structure–activity relationships were studied in details and that will shed light on the discovery of more potent non-nucleoside reverse-transcriptase inhibitors.



The representative compound **B6** was built and docked into the active site of APN (PDB code: 2DQM) using SYBYL7.0. The docking result was showed by PyMOL.



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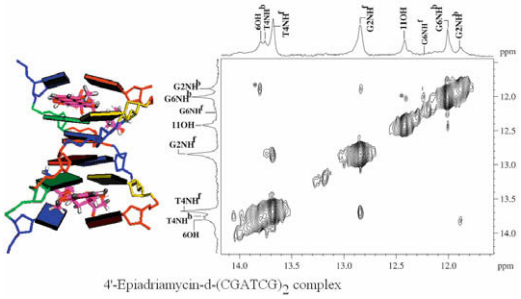
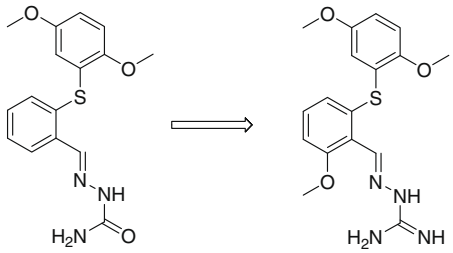
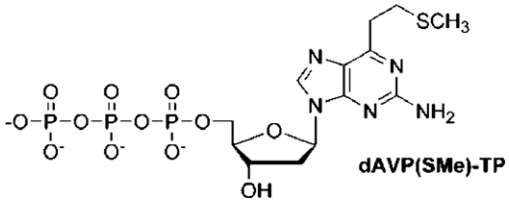
Twenty 18β-glycyrrhetic acid (18β-GA) derivatives **2**–**21** including 13 new 18β-GA derivatives were synthesized and evaluated as anti-inflammatory and antioxidant agents.

Binding of 4′-epiadriamycin, an anthracycline anticancer drug, with d-$(CGATCG)_2$ hexamer sequence to understand the drug–DNA interaction which is the primary step to comprehend the potent activity and low toxicity of this drug.

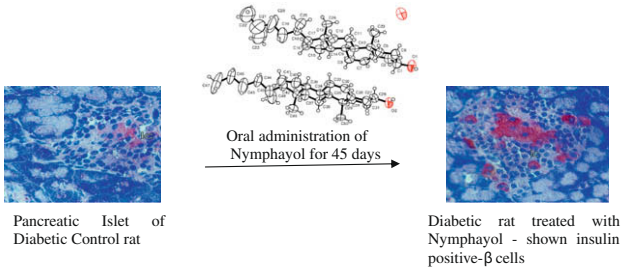


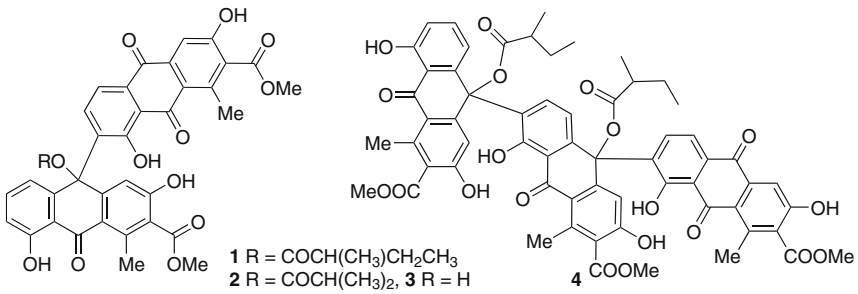
4′-Epiadriamycin-d-$(CGATCG)_2$ complex

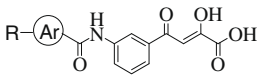




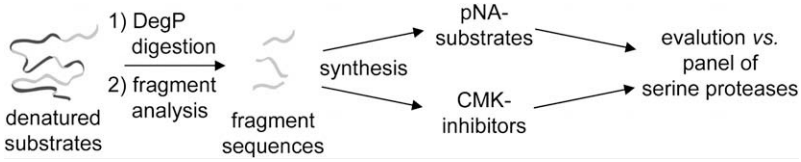
2′-Deoxyribosyl-2-amino-6-(2-methylthioethyl)purine nucleoside 5′-triphosphate (dAVP (SMe)-TP) was examined as a substrate of various DNA polymerases in DNA polymerization reactions to synthesize DNA containing this non-natural functional nucleotide.

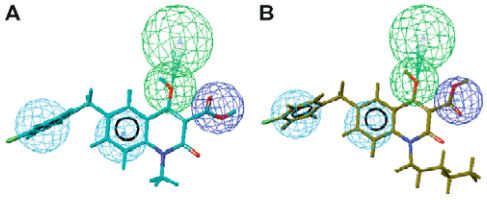






A series of novel amide-containing diketoacids were designed and synthesized to develop potent HIV integrase inhibitors. Their inhibition of HIV integrase was tested and the structure–activity relationships were discussed.





OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

DegP represents an unusual protease-chaperone molecular machine. Substrates and inhibitors of this important enzyme were derived from sequences of digestion fragments and profiled for their selectivity vs. a panel of serine proteases. The figure was created using X-ray data of DegP (PDB code: 1KY9) deposited in the protein data bank from the following publication: Krojer, T., Garrido-Franco, M., Huber, R., Ehrmann, M., Clausen, T. (2002) Crystal structure of DegP (HtrA) reveals a new protease-chaperone machine. Nature 416: 455–459. [Hauske, P.; Meltzer, M.; Ottmann, C.; Krojer, T.; Clausen, T.; Ehrmann, M.; Kaiser, M. *Bioorg. Med. Chem.* **2009,** *17,* 2920–2924].

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