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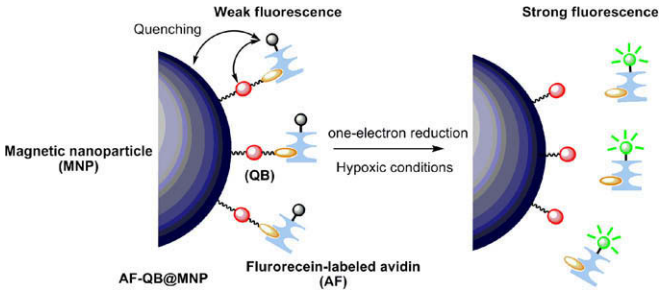
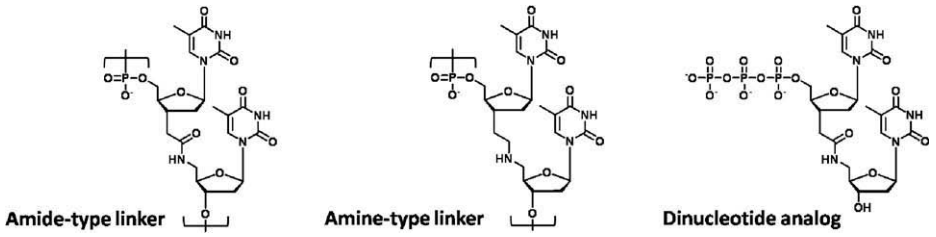
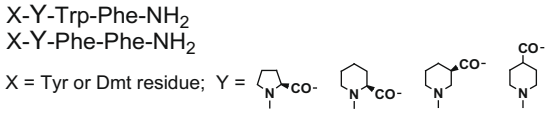
Contents

ARTICLES

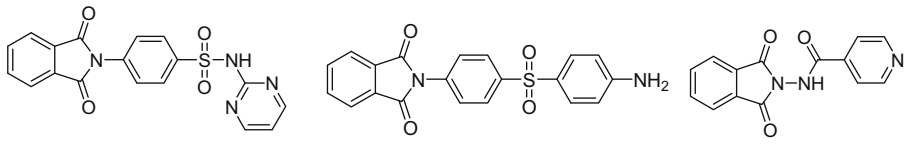




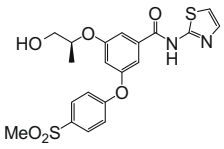
Oligodeoxyribonucleotides (ODN) where the phosphodiester linkage had been replaced with an amide-type linker or an amine-type linker were synthesized to investigate the effect of these backbone modifications on polymerase reactions. A triphosphate analogue of thymidine dinucleotide with the amide-type linker was synthesized and enzymatic insertion into ODN was attempted.



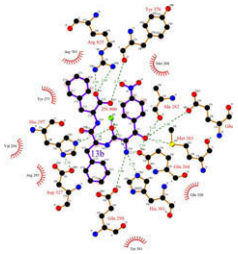
Biological activity of new endomorphin analogs is reported.



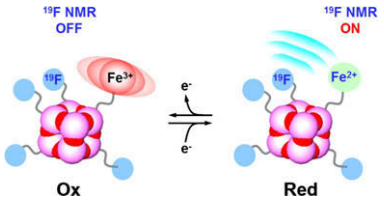
A series of phthalimide derivatives were evaluated against *Mycobacterium tuberculosis* $H_{37}Rv$ and the compounds **3c**, **3i**, and **3l** have the minimum inhibitory concentrations (MICs) of 3.9, 7.8, and 5.0 μg/mL.



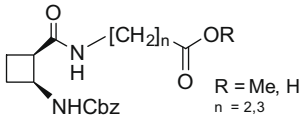
The structure–activity relationships of 3,5-disubstituted benzamide glucokinase activators are described.



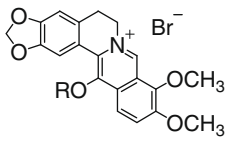
The compound **13b** was built and docked into the active site of APN (PDB code: 2DQM) using SYBYL7.0. The docking result of **13b** is showed by Ligplot.

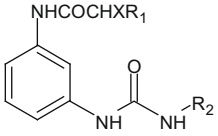


We present the reversible signal regulation system of ^{19}F NMR using the transition metal complex as a switching module. The signal intensities of ^{19}F NMR of the water-soluble fluorinated dendrimers containing ferrocene were reversibly modulated by the oxidation and reduction to the ferrocene moiety.



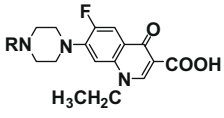
Among other peptides evaluated, these compounds were active and selective. Aromatic stacking plays an important role in the binding to the active site of the target enzymes.





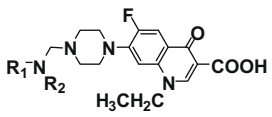
R_2 = CH_2CH_2Cl, $COCH_2CH_2Cl$, $COCH_3$, CHO, $COCH_2Br$, $COCHBrCH_3$, phenyl, 3,4,5-trimethoxyphenyl; R_1 = H, CH_3; X = Br, I

The phenylurea derivatives were designed, synthesized and evaluated for the anticancer activities as a class of β-tubulin ligands. However, they change the mode of action from tubulin-based mechanism to the one different from their parent compounds.



2,3 a-c

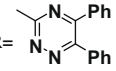
2,R = -CHO

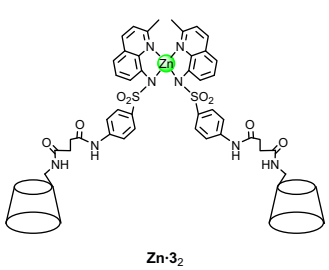


4a-f

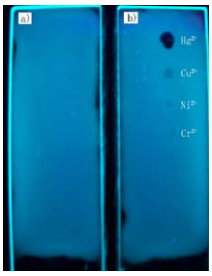
3a,R = 

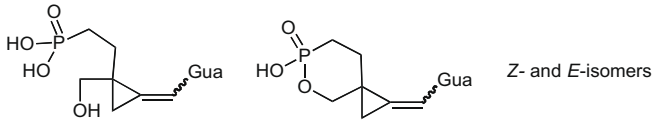
3b, R = 

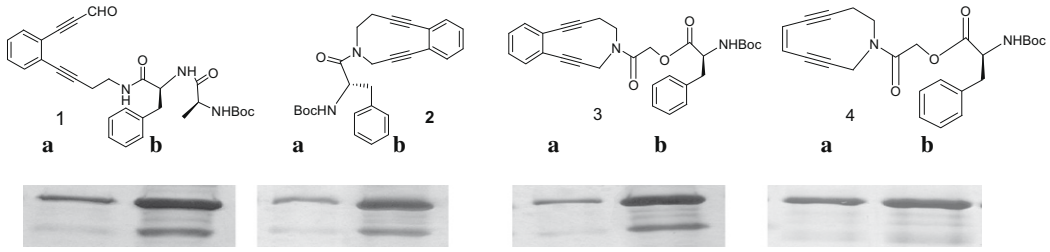
3c, R= 

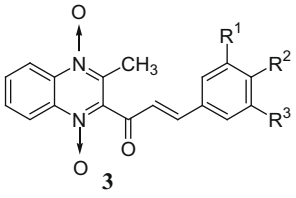


Zn·3₂

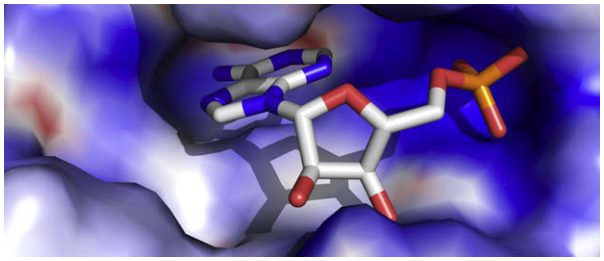


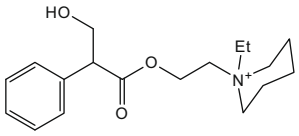






The quinoxaline derivatives **3** possess one or more of the following features, namely antiproliferative activities, selective cytotoxicity to neoplasms than normal cells and MDR-revertant properties.





(K_i = 2.0 nM, Atropine = 4.4 nM)

We correlated experimental and calculated molecular properties of 15 α-substituted 2,2-diphenylpropionate antimuscarinics using quantum chemical and pharmacophore generation methods and used the model to identify new antimuscarinic in our in-house database. Six new compounds not previously reported as antimuscarinics were characterized. The graphic shows the most potent compound, twofold greater than atropine, which was derived by our pharmacophore model and yet is not a 2,2-diphenylpropionate.

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (http://www.pymol.org). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

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