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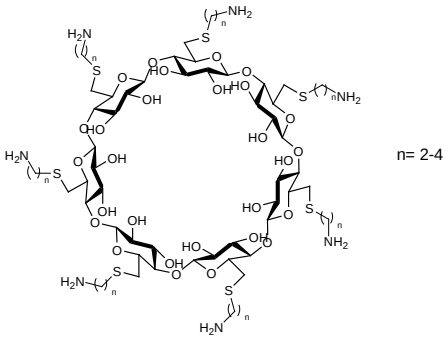
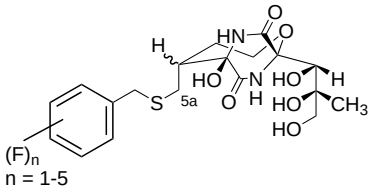
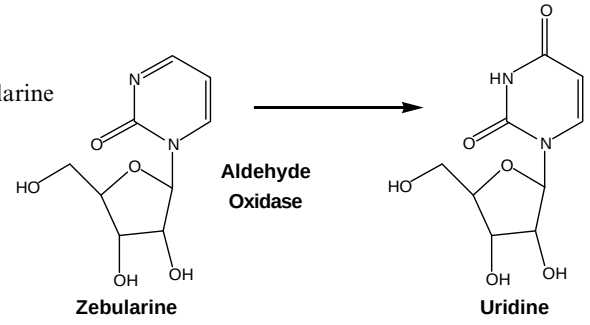
Contents

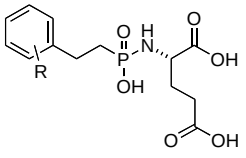
ARTICLES



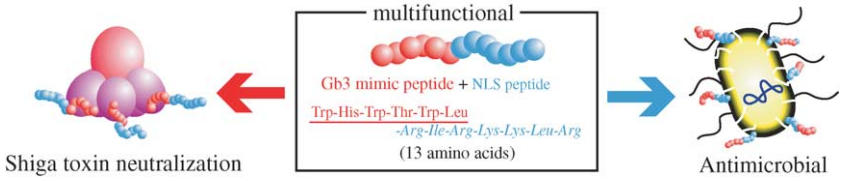


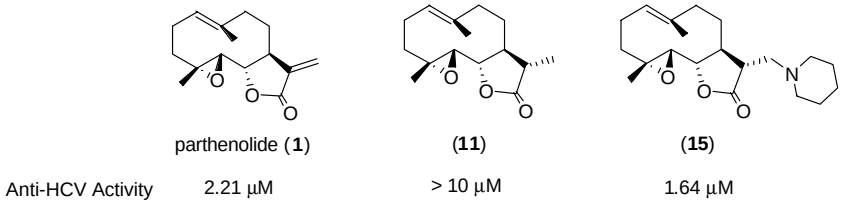
The correlation of the effect of fluorine substitution of 5a-(benzylsulfanyl)-dihydrobicyclomycin with *Escherichia coli* rho inhibitory activity permits the rational prediction of potent inhibitors.

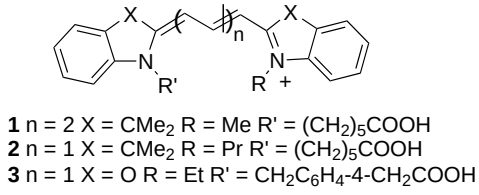




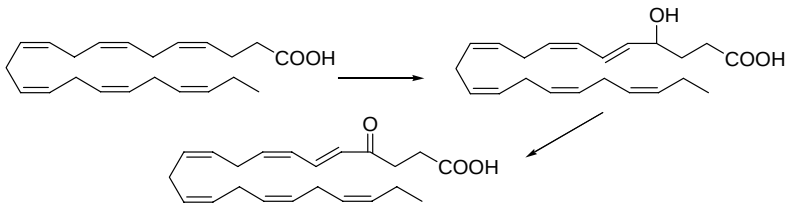
The Topliss batchwise approach successfully led to an *N*-2-phenylethylphosphonyl derivative of glutamic acid with enhanced inhibitory potency against PSMA.

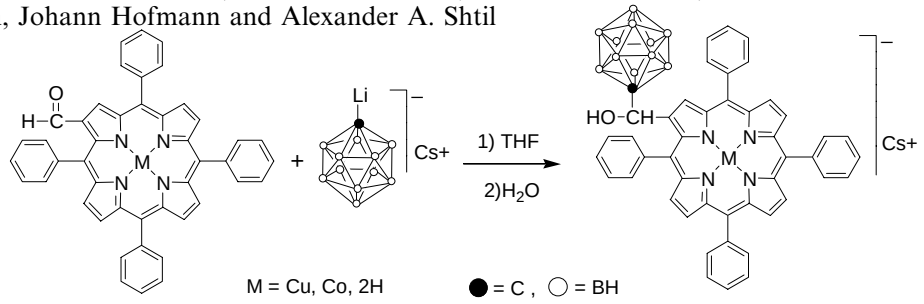


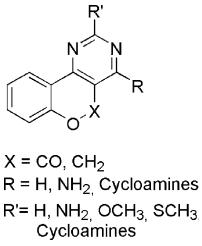


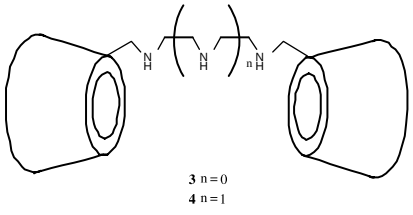


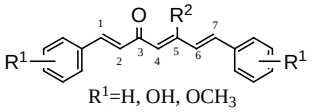
1 n = 2 X = CMe_2 R = Me R' = $(CH_2)_5COOH$
2 n = 1 X = CMe_2 R = Pr R' = $(CH_2)_5COOH$
3 n = 1 X = O R = Et R' = $CH_2C_6H_4$-4-CH_2COOH



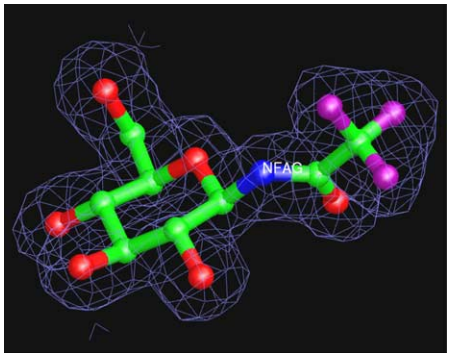


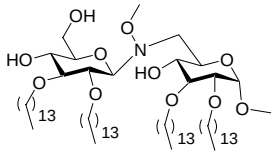






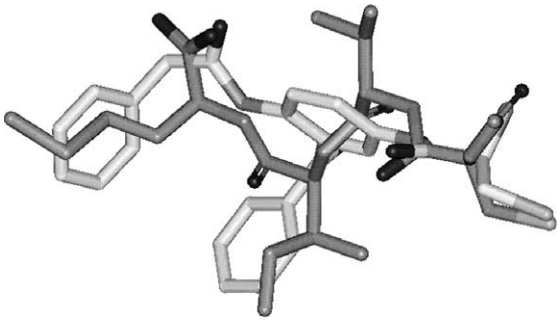
The 80% aqueous acetone extract from the rhizomes of *Alpinia officinarum*, a Chinese medicinal herb, were found to inhibit nitric oxide (NO) production in lipopolysaccharide (LPS)-activated mouse peritoneal macrophages. Through bioassay-guided separation, two diarylheptanoids [7-(4′-hydroxy-3′-methoxyphenyl-1-phenylhept-4-en-3-one and 3,5-dihydroxy-1,7-diphenylheptane] and a flavonol constituent (galangin) substantially inhibited LPS-induced NO production with IC_{50} values of 33–62 μM. To clarify structure–activity relationships of diarylheptanoids, related diarylheptanoids from *Curcuma zedoaria* were examined. Results indicate that the double bond or enone moiety at the 1–7 positions is important for the activity.

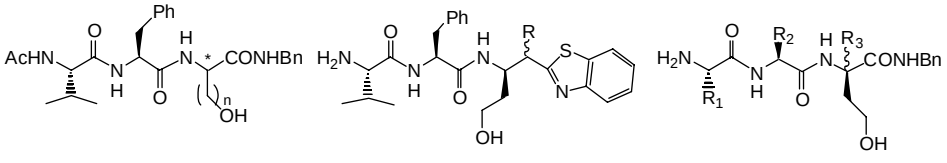




A novel lipid A mimetic with a methoxyamino glycosidic linkage presents antagonist activity against bacterial LPS.

Alignment between a peptidomimetic farnesyltransferase inhibitor and the enzyme-bound conformation of *N*-acetyl-Cys-Val-Ile-selenoMetOH (PDB 1QBQ)

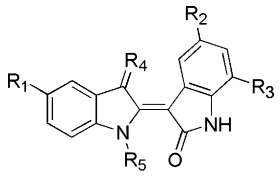


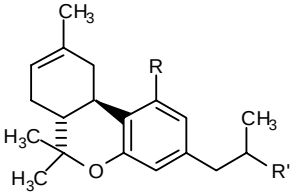


n = 1, 2 and 3

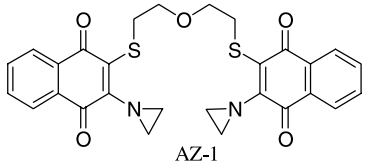
R = =O, and -OH

$R_1 = R_2 = R_3$ = Variuos substitutions



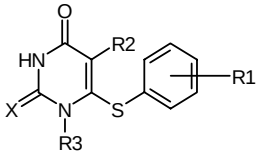


The enantioselective synthesis and pharmacology of two series of (2′*R*)- and (2′*S*)-methyl-Δ8-THC ligands are described. R = H, OCH_3; R′ = methyl to *n*-pentyl.

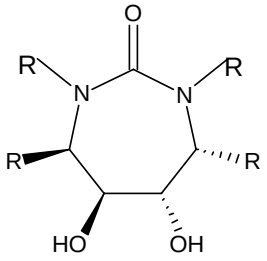


AZ-1

Bis-type bioreductive compound AZ-1 was synthesized to study the mechanism of death effect in oral cancer cell (OEC-M1). The AZ-1 compound induced two of oral cancer cells, with LC_{50} = 0.72 μM in OEC-M1 cell and with LC_{50} = 1.02 μM in KB cell, and with less cytotoxicity to normal fibroblast cell (SF with LC_{50} = 5.6 μM) which was still with over 90% of survival rate as high as 2 μM of AZ-1. There is significant difference between oral cancer cells (OEC-M1 and KB cells) and normal fibroblasts. The AZ-1 compound induced the cell death of OEC-M1 that was mediated through the G2/M phase arrest of cell cycle first at 24 h and into apoptosis pathway at 48 h which might be associated with p53 protein and bcl-2 protein expression.



4D QSAR method has been used for the investigation of the HEPT series.



OTHER CONTENTS

*Corresponding author
ⓘ† Supplementary data available via ScienceDirect

COVER

Schematic diagram of the target enzyme showing the position of the catalytic site with bound inhibitor *N*-trifluoracetyl-β-D-glucopyranosylamine, in ball-and-stick representation. Close-up: Details of the interactions of the inhibitor, well defined in the $2F_o-F_c$ electron density (blue) by X-ray crystallography at 1.8 Å resolution, with protein residues. E. Anagnostou, M. N. Kosmopoulou, E. D. Chrysina, D. D. Leonidas, T. Hadjiloi, C. Tiraidis, S. E. Zographos, Z. Gyorgydeak, L. Somsak, T. Docsa, P. Gergely, F. N. Kolisis and N. G. Oikonomakos. © 2005 Elsevier Ltd.

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