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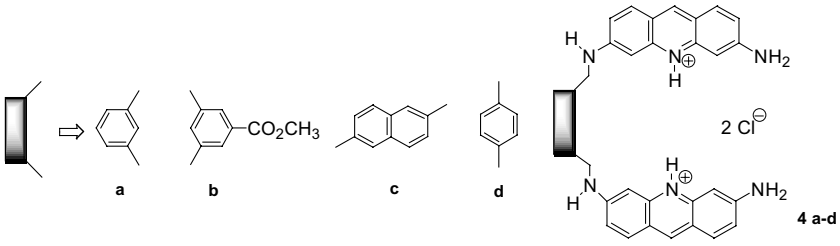
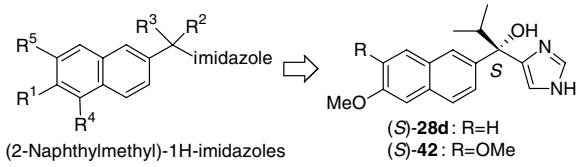
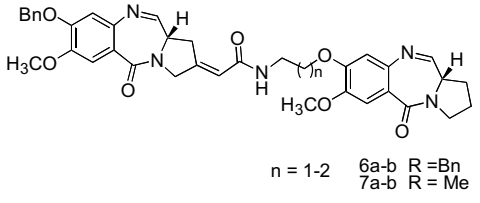
Contents

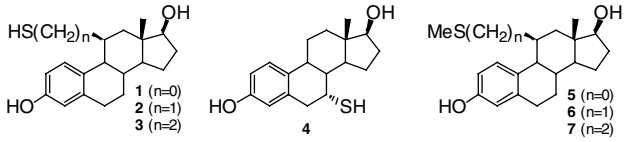
ARTICLES



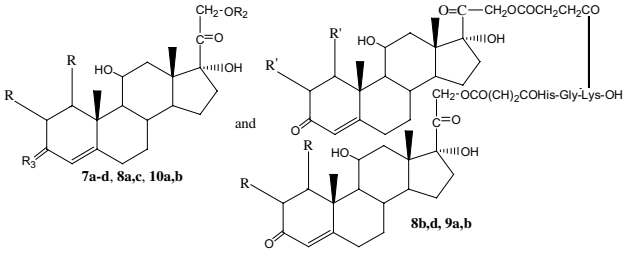
Synthesis of a series of bisacridine derivatives containing rigid aromatic linking chains is described. Their DNA interaction and in vitro cytotoxicity against HT-29 human carcinoma cells is reported.

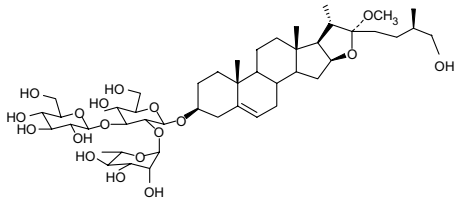




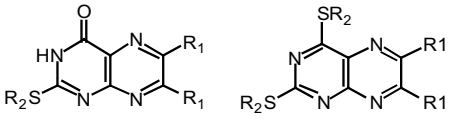


In **7a**,**c** $R_2 = CO(CH_2)_2CO$-Glu-Asp-Gly-OH, $R_3 = O$; in **7b**,**d** $R_2 = CO(CH_2)_2CO$-His-Gly-Glu-OH, $R_3 = O$; in **8a**,**c** $R_2 = CO(CH_2)_2CO$-His-Gly-Lys-OH, $R_3 = O$; in **10a**,**b** $R_2 = H$ R_3 = His-Gly-Lys-NHN; in **7a**,**c**, **8a**, and **10a** R = H; in **7b**,**d**, **8c**, and **10b** both of R together constitute an olefinic bond; in **8b** R = R′ = H; in **8d** both of R and both of R′ together constitute an olefinic bond, respectively; in **9a** R = H and both of R′ together constitute an olefinic bond; in **9b** R′ = H and both of R together constitute an olefinic bond.

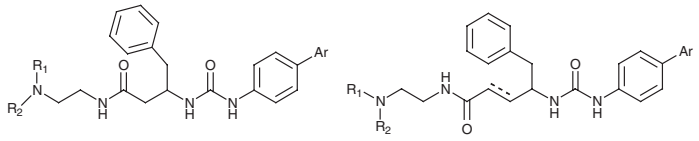




This paper describes the cytotoxic effect induced by a new natural steroidal saponin icogenin on the myeloid leukemia cell line HL-60.



6,7-Diaryl derivatives of mono and di-*S*-glycopyranosylthiolumazines were prepared and tested as nematocides. Monosubstituted derivatives showed higher activity than the unsubstituted derivatives, whilst 2-*S*,4-*S*-di-substituted-pteridines do not show activity. A theoretical study on stability of different tautomers of dithiolumazines was carried out.

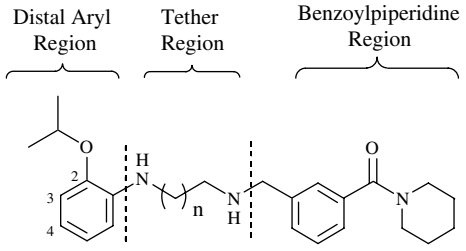


II, β-amino acid derivatives

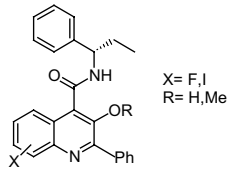
III, γ-amino acid derivatives

A variety of functionalized β- and γ-amino acids were synthesized as GlyT-2 inhibitors and their structure–activity profiles are described.

The design, synthesis, and structure–activity relationships for a series of benzoylpiperidine analogs is described.

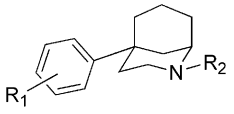


4a, n = 1, IC_{50} (GlyT-2) = 0.54 μM
4b, n = 2, IC_{50} (GlyT-2) = 4.0 μM



1-2

In order to develop radioligands of human NK-3 receptor (hNK-3r) for imaging studies by positron emission tomography (PET) or single photon emission computed tomography (SPECT), a new series of fluoro- and iodo-quinoline carboxamides **1**–**2** were synthesized and evaluated in a target receptor binding assay.



R_1 = *o*-, *m*-, or *p*-OH
R_2 = CH_3 or $CH_2CH_2C_6H_5$

OTHER CONTENTS

*Corresponding author

COVER

2004: Overlaps of the eight known aldolase alpha-beta barrels in 2-deoxyribose-5-phosphate aldolase (DERA). Ribbon model for DERA is shown in green, with key Lys residues capable of Schiff base formation highlighted in stick figure. Reactive Lys167 is shown in yellow. DeSantis, G.; Liu, J.; Clark, D. P.; Heine, A.; Wilson, I. A.; and Wong, C.-H. *Bioorganic & Medicinal Chemistry* **2003**, *11*, 43–52.

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