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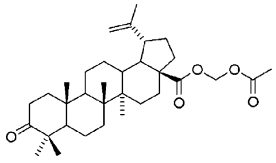
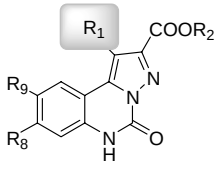
Contents

REVIEW

ARTICLES

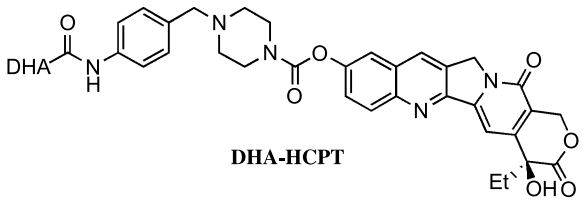


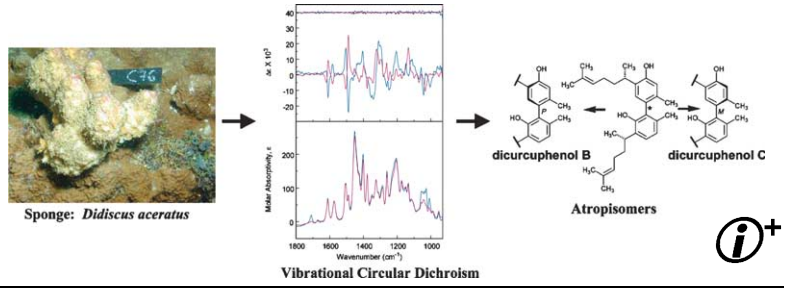
The aim of this work was to find an optimal ester group for preparation of lupane derivatives connecting high cytotoxicity with good chemical and pharmacological properties. Activities of methyl-, pivaloyloxymethyl- (Pom-), and acetoxymethyl- (Acm-) esters were compared with the activity of free acids. Although the methyl- and Pom-esters were generally less active than free acids, some Acm-esters had cytotoxicity similar to or even better than the starting compounds. Cytotoxic activity was measured in five cancer cell lines.

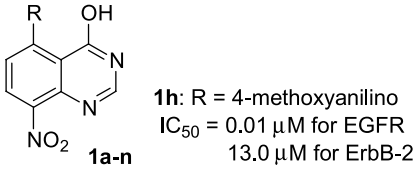


R_1 = COOEt, Cl, Br, CH_3, COOH
R_2 = Et, H
R_8, R_9 = H, Cl

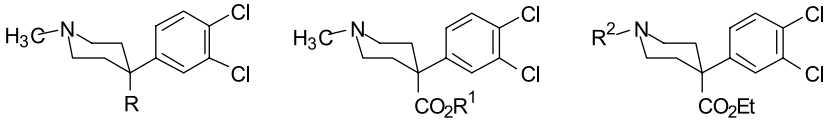
The synthesis and Gly/NMDA, AMPA, and KA receptor binding affinity of a new set of 5,6-dihydro-pyrazolo[1,5-*c*]quinazoline-2-carboxylates bearing different substituents at position-1 are described. A molecular modeling study has been carried out to better understand receptor affinity and selectivity of these new pyrazoloquinazoline derivatives.

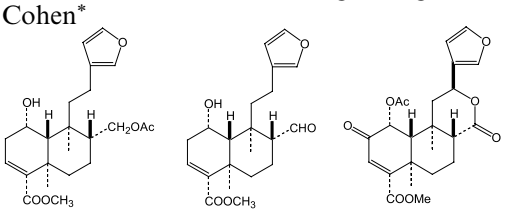




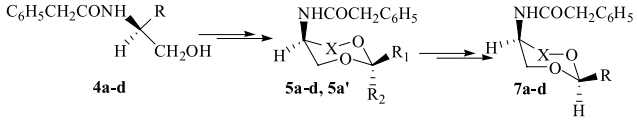


A series of novel 5-substituted-4-hydroxy-8-nitroquinazolines was synthesized and evaluated as inhibitors of EGFR/ErbB-2-overexpressing tumor cell lines proliferation, providing new promising templates for further development of potent inhibitors targeting both EGFR and ErbB-2.

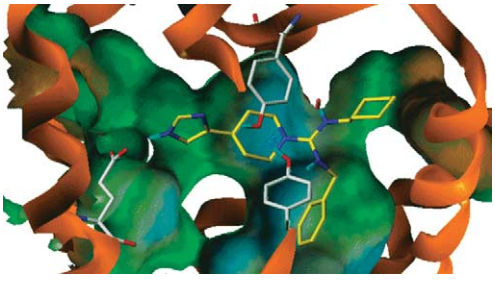


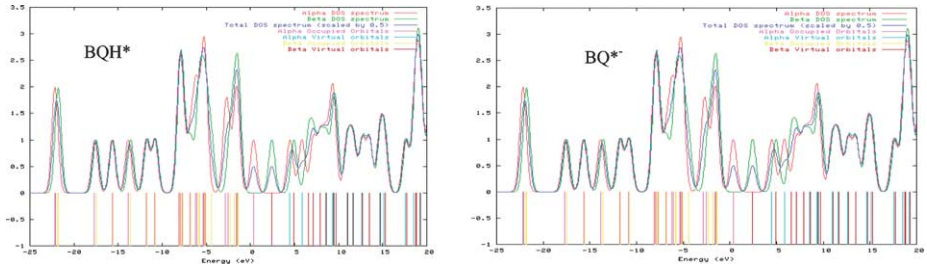


Three new neoclerodane diterpenoids—divinatorin D, divinatorin E, and salvinorin G, along with 10 known terpenoids, were isolated from the leaves of *Salvia divinorum*. All these compounds were evaluated for their binding affinities to the human κ opioid receptors.

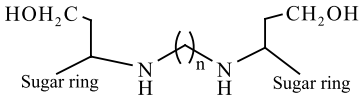


In **4a**: R = CH_2OH; **4b**: R = $CH(CH_3)OH$; **4c**: R = CH_2CH_2OH; **4d**: R = $CH_2CH_2CH_2OH$, **5a**: X = CH_2, $R_1 = CH_2CH(OCH_3)_2$, R_2 = H; **5a′**: X = CH_2, R_1 = H, $R_2 = CH_2CH(OCH_3)_2$; **5b**: X = (*S*)-$CHCH_3$, $R_1 = CH_2CH(OCH_3)_2$, R_2 = H; **5c**: X = CH_2CH_2, $R_1 = CH_2CH(OCH_3)_2$, R_2 = H; **5d**: X = $CH_2CH_2CH_2$, $R_1 = CH_2CH(OCH_3)_2$, R_2 = H; **7a**: X = CH_2, R = $CH_2CH(OCH_3)OC_2H_5$; **7b**: X = CH_2, R = 1,3-dioxapentan-2-yl-methylene; **7c**: X = (*S*)-$CHCH_3$, R = (2*S*,4*S*,5*S*)-4-methyl-5-phenylacetamino-1,3-dioxan-2-yl-methylene; **7d**: X = CH_2CH_2, R = (2*S*′,5′*S*)-5-phenyl-acetamino-1,3-dioxacyclooctan-2-yl-methylene.

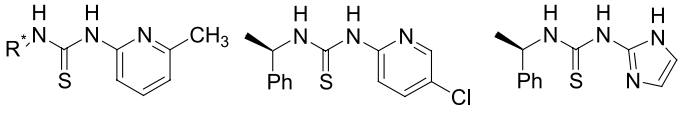




Occupied molecular orbital and unoccupied molecular orbital of BQH and BQ^-.



A number of bis-glycosylated diamino-alcohols were synthesized and evaluated against *M. tuberculosis*, and many of them were found to have good in vitro activity.



The potential of novel and known pyridyl thiourea derivatives (non-nucleoside inhibitors of HIV reverse transcriptase) as bifunctional organic catalysts in the asymmetric Strecker synthesis was investigated.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2005: Human liver glycogen phosphorylase A (HLGPa) is an attractive target enzyme for discovering anti-type 2 diabetes drugs. This picture shows the interaction model for a series of indole-2-carboxamides to HLGPa derived from molecular docking simulations [Liu, G.; Zhang, Z.; Luo, X.; Shen, J.; Liu, H.; Shen, X.; Chen, K.; Jiang, H. *Bioorg. Med. Chem.* **2004**, *12*, 4147–4157].

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