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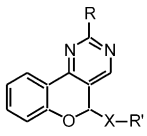
Bioorganic & Medicinal Chemistry

Bioorganic & Medicinal Chemistry Vol. 12, No. 3, 2004

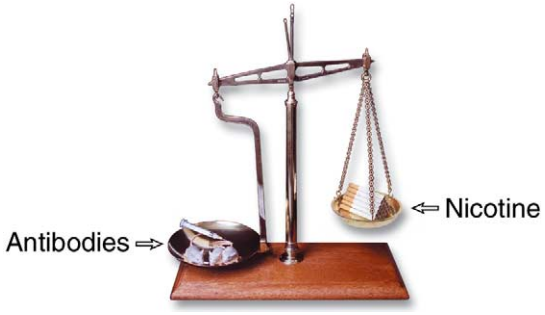
Contents

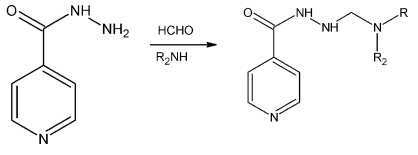
ARTICLES



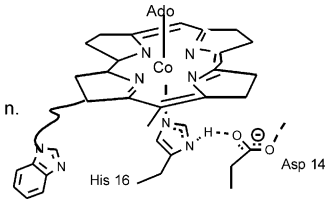
R = SCH_3, OCH_3, SO_2CH_3
R' = CH_3, C_2H_5, $CH(CH_3)_2$, $C(CH_3)_3$, $CH(CH_2)_5$, $CH_2C_6H_5$, C_6H_5
X = O, S

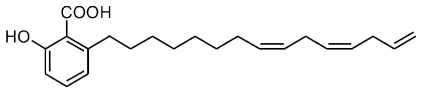
Synthesis and pharmacological screening of new 2-methylthio/2-methanesulfonyl/2-methoxy-5H-[1]benzopyrano[4,3-d]pyrimidines were planned in order to study the effects of the 5-substitution with alkoxy/phenoxy/alkylthio and phenylthio groups both on in vitro antiplatelet and in vivo antinociceptive activities. Antiplatelet activity was assessed in vitro against ADP, Arachidonic acid and U46619 induced aggregation, in rabbit plasma. Anti-inflammatory, analgesic and antipyretic activities were tested in rat paw edema, mouse writhing test and LPS induced rat fever, respectively. Amongst test compounds, 2-methylthio derivatives displayed an ASA-like antiplatelet activity whereas 2-methoxy and, particularly, 2-methanesulfonyl derivatives showed a broad spectrum of antiplatelet action, inhibiting both the ADP- and the AA- and U46619-induced aggregation.



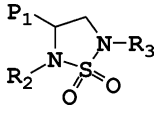


The synthesis and pharmacological evaluation of new isonicotinylhydrazidemethylsulphonamide/amines is reported. The in vitro study of compounds showed significant activities against various pathogenic bacteria.

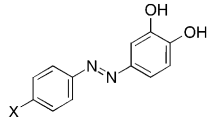




The double bond in C_{15}-anacardic acids is not essential in eliciting the antibacterial activity against *Staphylococcus aureus* ATCC 33591 (MRSA) but is associated with increasing the activity. The synergistic effects decreased with increasing the number of double bonds in the alkyl chain. On the other hand, the antibacterial activity of anacardic acids possessing different alkyl chain lengths against this MRSA strain was a parabolic function of their lipophilicity. Notably, the synergistic effects increased with increasing the alkyl chain length.

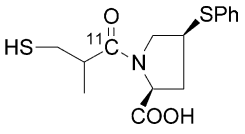


Cyclic sulfamide derivatives were found to inhibit human leukocyte elastase.



X = NO_2, SO_2NH_2, SO_3H, H, OMe

A series of catechol diazo dyes were synthesized and tested as substrates for the enzyme catechol-*O*-methyltransferase with the aim of developing a sensitive HPLC assay method using visible wavelength light detection. A method was developed which allowed for the determination of the two regioisomeric methylated products of the COMT catalyzed reaction of 4-[(3,4-dihydroxyphenyl)azo] benzenesulfonate with *S*-adenosylmethionine (AdoMet). Separation of the assay components was achieved by reverse phase chromatography using an isocratic mobile phase. No pre-preparation of the assay samples was required.



The radiosynthesis and preliminary human evaluation of the ACE inhibitor [^{11}C]Zofenoprilat is described.

OTHER CONTENTS

*Corresponding author

Supplementary data available via ScienceDirect

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 & Medical Chemistry* **2003**, *11*, 43–52.

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ISSN 0968-0896