

## Contents

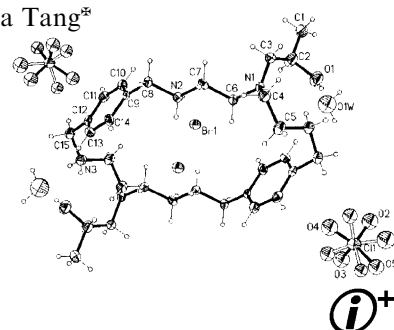
### ARTICLES

**The interaction of glycine, aspartic acid, and lysine by the protonated macrocyclic ligand 6,19-bis(2-hydroxypropyl)-3,6,9,16,19,22-hexaaza-tricyclo-[22.2.2.2<sup>11,14</sup>]triaconta-11,13,24,26,27,29-hexaene**

pp 529–535

Jin Huang, Shu-An Li, Dong-Feng Li, De-Xi Yang, Wei-Yin Sun\* and Wen-Xia Tang\*

A new hexaaza macrocyclic ligand bearing two 2-hydroxypropyl pendants (L1), 3,6,9,16,19,22-hexaaza-6,19-bis(2-hydroxypropyl)-tricyclo[22,2,2,2<sup>11,14</sup>]triaconta-1,11,13,24,27,29-hexaene has been synthesized. Potentiometric studies of the macrocyclic ligand and three types amino acids have been performed. The order of increasing abundance of various binary species was found to be lysine < glycine < aspartic acid.



**Cytotoxic and antimalarial constituents from the roots of *Eurycoma longifolia***

pp 537–544

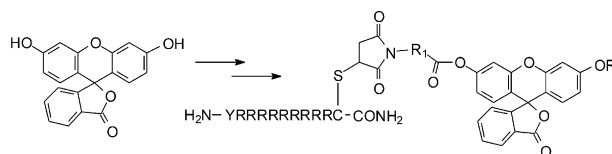
Ping-Chung Kuo, Amooru G. Damu, Kuo-Hsiung Lee and Tian-Shung Wu\*

Four new quassinoid diterpenes, eurycomalide A (1), eurycomalide B (2), 13 $\beta$ , 21-dihydroxyeurycomanol (3), and 5 $\alpha$ , 14 $\beta$ , 15 $\beta$ -trihydroxyklaineanone (4) and sixty-one known constituents were isolated from the roots of *Eurycoma longifolia*. Some of these isolates demonstrated cytotoxicity.

**General strategy for the preparation of membrane permeable fluorogenic peptide ester conjugates for in vivo studies of ester prodrug stability**

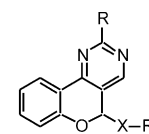
pp 545–552

Xiaoxu Li and John Stephen Taylor\*



### Synthesis and pharmacological evaluation of 5H-[1]benzopyrano[4,3-d]pyrimidines effective as antiplatelet/analgesic agents

Olga Bruno,\* Chiara Brullo, Silvia Schenone, Francesco Bondavalli, Angelo Ranise, Massimiliano Tognolini, Vigilio Ballabeni and Elisabetta Barocelli



R =  $\text{SCH}_3$ ,  $\text{OCH}_3$ ,  $\text{SO}_2\text{CH}_3$   
 R' =  $\text{CH}_3$ ,  $\text{C}_2\text{H}_5$ ,  $\text{CH}(\text{CH}_3)_2$ ,  $\text{C}(\text{CH}_3)_3$ ,  
 $\text{CH}(\text{CH}_2)_5$ ,  $\text{CH}_2\text{C}_6\text{H}_5$ ,  $\text{C}_6\text{H}_5$   
 X = O, S

pp 553–561

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.

### Investigations using immunization to attenuate the psychoactive effects of nicotine

pp 563–570

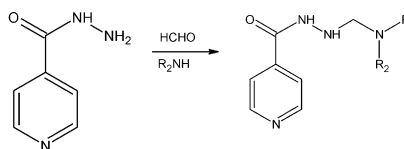
M. Rocío A. Carrera, Jon A. Ashley, Timothy Z. Hoffman, Shigeki Isomura, Peter Wirsching, George F. Koob and Kim D. Janda\*



### In vitro study of some medicinally important Mannich bases derived from antitubercular agent

pp 571–576

Sheela Joshi,\* Navita Khosla and Prapti Tiwari

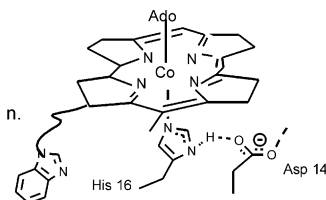


The synthesis and pharmacological evaluation of new isonicotinylhydrazidemethylsulphonamide/amines is reported. The in vitro study of compounds showed significant activities against various pathogenic bacteria.

### The role of the conserved histidine-aspartate pair in the 'base-off' binding of cobalamins

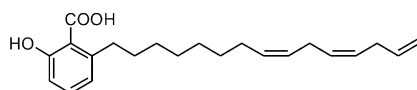
pp 577–582

Hao-Ping Chen,\* Feng-Di Lung, Chiu-Chang Yeh, Hui-Lan Chen and Shih-Hsiung Wu



**Synergistic effects of anacardic acids and methicillin against methicillin resistant *Staphylococcus aureus*****pp 583–587**

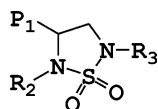
Hisae Muroi, Ken-ichi Nihei, Kazuo Tsujimoto and Isao Kubo\*



The double bond in C<sub>15</sub>-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.

**Design, synthesis, and in vitro evaluation of inhibitors of human leukocyte elastase based on a functionalized cyclic sulfamide scaffold****pp 589–593**

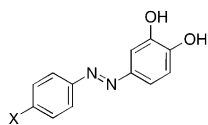
Jiaying Zhong, Xiangdong Gan, Kevin R. Alliston and William C. Groutas\*



Cyclic sulfamide derivatives were found to inhibit human leukocyte elastase.

**A colorimetric assay for catechol-*O*-methyltransferase****pp 595–601**

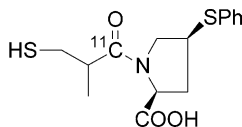
Karl Bailey, Rebecca Cowling, Eng Wui Tan\* and Daniel Webb

X = NO<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>3</sub>H, 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.

**<sup>11</sup>C-Radiosynthesis and preliminary human evaluation of the disposition of the ACE inhibitor [<sup>11</sup>C]zofenoprilat****pp 603–611**

Mario Matarrese, Aldo Salimbeni, Elia Anna Turolla, Damiano Turozzi, Rosa Maria Moresco, Davide Poma, Fulvio Magni, Sergio Todde, Claudio Rossetti, Maria Teresa Sciarrone, Giuseppe Bianchi, Marzia Galli Kienle and Ferruccio Fazio\*

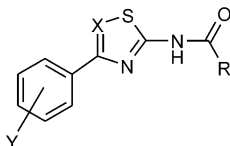


The radiosynthesis and preliminary human evaluation of the ACE inhibitor [<sup>11</sup>C]Zofenoprilat is described.

**Structure–activity relationships of thiazole and thiadiazole derivatives as potent and selective human adenosine A<sub>3</sub> receptor antagonists**

pp 613–623

Kwan-Young Jung, Soo-Kyung Kim, Zhan-Guo Gao, Ariel S. Gross, Neli Melman, Kenneth A. Jacobson and Yong-Chul Kim\*

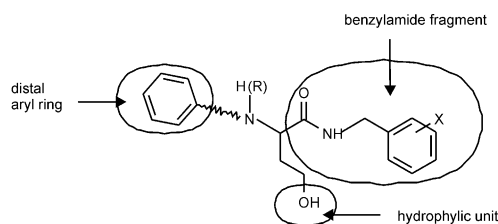


Potent and selective human adenosine A<sub>3</sub> receptor antagonists have been developed through synthesis and structure activity relationship studies of thiazole and thiadiazole analogues including molecular modeling approaches.

**Investigation into new anticonvulsant derivatives of  $\alpha$ -substituted *N*-benzylamides of  $\gamma$ -hydroxy- and  $\gamma$ -acetoxybutyric acid. Part 5: Search for new anticonvulsant compounds**

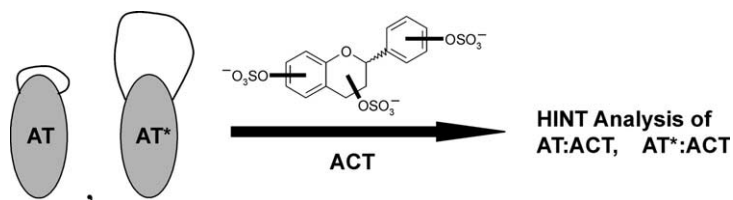
pp 625–632

Barbara Malawska,\* Katarzyna Kulig, Agnieszka Śpiewak and James P. Stables


**Hydropathic interaction analyses of small organic activators binding to antithrombin**

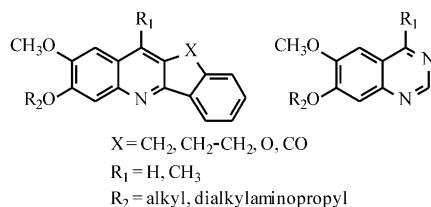
pp 633–640

Gunnar T. Gunnarsson and Umesh R. Desai\*


**Synthesis of condensed quinolines and quinazolines as DNA ligands**

pp 641–647

Natacha Malecki, Pascal Carato, Benoît Rigo, Jean-François Goossens, Raymond Houssin, Christian Bailly and Jean-Pierre Hénichart\*



Among new condensed quinolines and quinazolines the design of which were inspired by anti-cancer DNA-binding alkaloids such as camptothecin and batracyclin, DNA binding tests identify the 8-methoxy-7-piperazinylpropoxyindeno[1,2-*b*]quinolin-11-one tetracyclic system as a new motif for DNA recognition.


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**OTHER CONTENTS**

Contributors to this issue  
Instructions to contributors

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\*Corresponding author

+ Supplementary data available via ScienceDirect

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**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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