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Bioorganic & Medicinal Chemistry

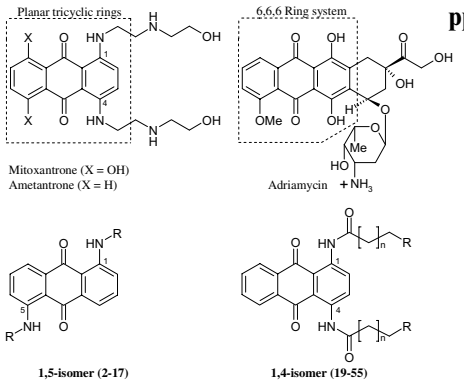
Bioorganic & Medicinal Chemistry Vol. 13, No. 5, 2005

Contents

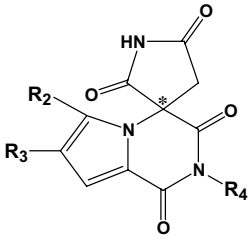
Publisher's Announcement—Tetrahedron Prize for Creativity in Organic Chemistry for 2004 p 1433

ARTICLES

Human telomerase inhibition and cytotoxicity of regioisomeric disubstituted amidoanthraquinones and aminoanthraquinones pp 1435–1444
Hsu-Shan Huang,* Chung-Long Chou, Ching-Long Guo, Chun-Lung Yuan, Yu-Cheng Lu, Fu-Ying Shieh and Jing-Jer Lin

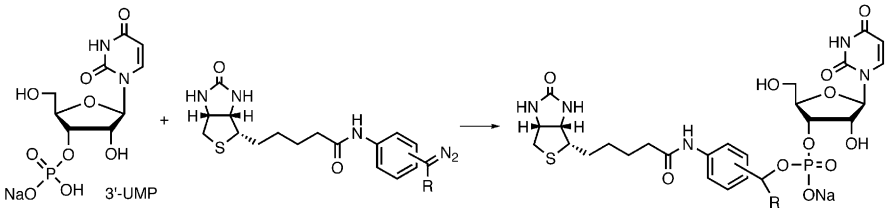


Quantitative structure and aldose reductase inhibitory activity relationship of 1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrazine-4-spiro-3′-pyrrolidine-1,2′,3,5′-tetrone derivatives pp 1445–1452
Kwangseok Ko and Youngdo Won*

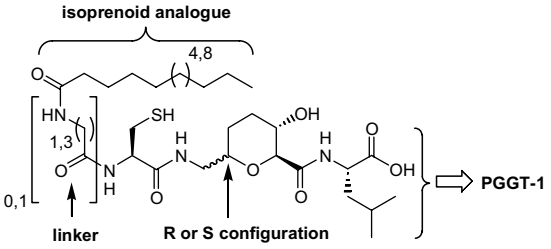


Quantitative structure and aldose reductase inhibitory activity relationship of spirosuccinimide-fused tetrahydropyrrolo[1,2-*a*]pyrazine-1,3-dione derivatives was investigated using physicochemical descriptors derived for racemates.

Biotin-phenyldiazomethane conjugates as labeling reagents at phosphate in mono and polynucleotides pp 1453–1461
Cécile Bourget, Emmanuelle Trévisiol, Isabelle Bridon, Mitsuharu Kotera,* Jean Lhomme and Ali Laayoun*

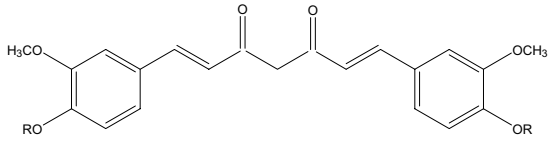


Synthesis and biological evaluation of lipophilic Ca_1a_2L analogues as potential bisubstrate inhibitors of protein:geranylgeranyl transferase-1 pp 1463–1475
Farid El Oualid, Jayand Baktawar, Ingrid M. Leroy, Hans van den Elst, Louis H. Cohen, Gijs A. van der Marel, Herman S. Overkleeft and Mark Overhand*

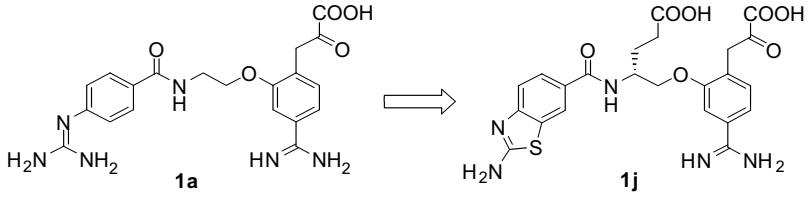


Design, development and synthesis of mixed bioconjugates of piperic acid–glycine, curcumin–glycine/alanine and curcumin–glycine–piperic acid and their antibacterial and antifungal properties pp 1477–1486
Satyendra Mishra, Upma Narain, Roli Mishra and Krishna Misra*

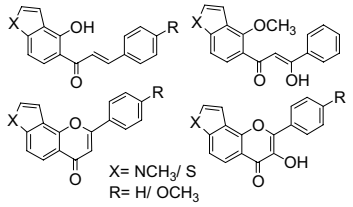
The bioconjugates having curcumin covalently attached to piperic acid, glycine, glycyl-piperic acid, alanine and acetic acid through its free phenolic groups show better antibacterial and antifungal activities via-à-vis curcumin against some common pathogenic microbes viz. *Escherichia coli*, *Pseudomonas aeruginosa*, *Pseudomonas pyocynin*, *Candida krusei GO3* and *Candida albicans* (yeast). These activities have been found to be equivalent to that of the marketed drugs, *Cefepime* (antibacterial) and flucanozole (antifungal).



Optimization of a coagulation factor VIIa inhibitor found in factor Xa inhibitor library pp 1487–1496
Kazuyuki Sagi,* Koichi Fujita, Masayuki Sugiki, Mitsuo Takahashi, Shunji Takehana, Kazumi Tashiro, Takashi Kayahara, Masahiro Yamanashi, Yumiko Fukuda, Seiji Oono, Akiko Okajima, Seinosuke Iwata, Masataka Shoji and Kuniya Sakurai

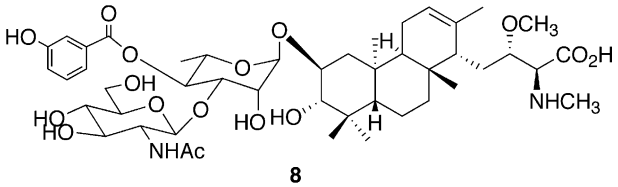


Synthesis of 4-hydroxy-1-methylindole and benzo[*b*]thiophen-4-ol based unnatural flavonoids as new class of antimicrobial agents pp 1497–1505
Prem P. Yadav, Prasoon Gupta, A. K. Chaturvedi, P. K. Shukla and Rakesh Maurya*



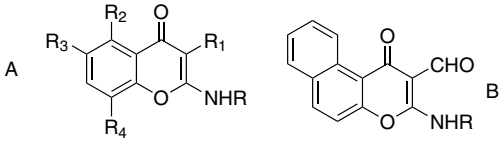
Synthesis of nitrogen and sulfur heterocyclic mimics of furanoflavonoids have been achieved for the first time and screened for antifungal and antibacterial activities.

SAR studies of brasilicardin A for immunosuppressive and cytotoxic activities **pp 1507–1513**
Kazusei Komatsu, Masashi Tsuda, Yasushi Tanaka, Yuzuru Mikami and Jun'ichi Kobayashi*



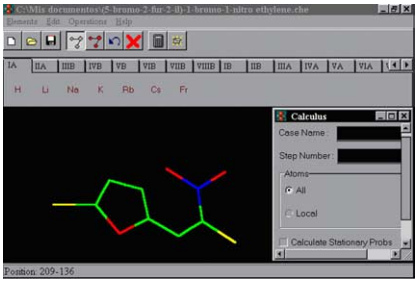
8

Synthesis and hybridization properties of the conjugates of oligonucleotides and stabilization agents. Part 3 **pp 1515–1522**
Enzo Sottofattori, Maria Anzaldi, Mauro Mazzei, Mariangela Miele, Alessandro Balbi,*
Dmitri S. Pyshnyi, Olga D. Zakharova and Tatyana V. Abramova



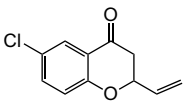
Derivatives of the general structures **A** and **B** were synthesized, attached through the 5′-phosphoramide linkage to heptanucleotide pd(CCAAACA) and tested for their duplex stability.

3D QSAR Markov model for drug-induced eosinophilia—theoretical prediction and preliminary experimental assay of the antimicrobial drug G1 **pp 1523–1530**
Humberto González-Díaz,* Esvieta Tenorio, Nilo Castañedo,
Lourdes Santana and Eugenio Uriarte

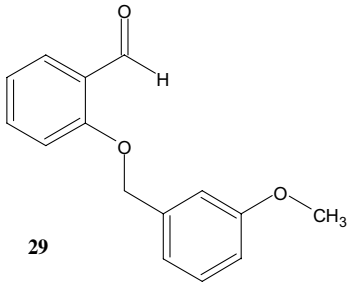


MARCH-INSIDE graphical interface representation of the antimicrobial compound [2-bromo-5-(2-bromo-2-nitroethenyl)furan (G1)].

Synthesis and structure–activity relationships of 2-vinylchroman-4-ones as potent antibiotic agents **pp 1531–1536**
Uwe Albrecht, Michael Lalk* and Peter Langer*

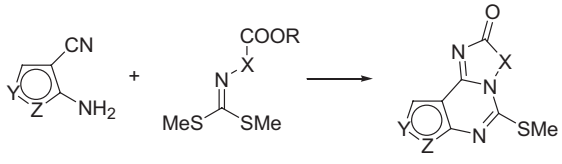


Synthesis and anticancer activity of benzyloxybenzaldehyde derivatives against HL-60 cells **pp 1537–1544**
Chin-Fen Lin, Jai-Sing Yang, Chiung-Yun Chang, Sheng-Chu Kuo, Miau-Rong Lee* and Li-Jiau Huang*



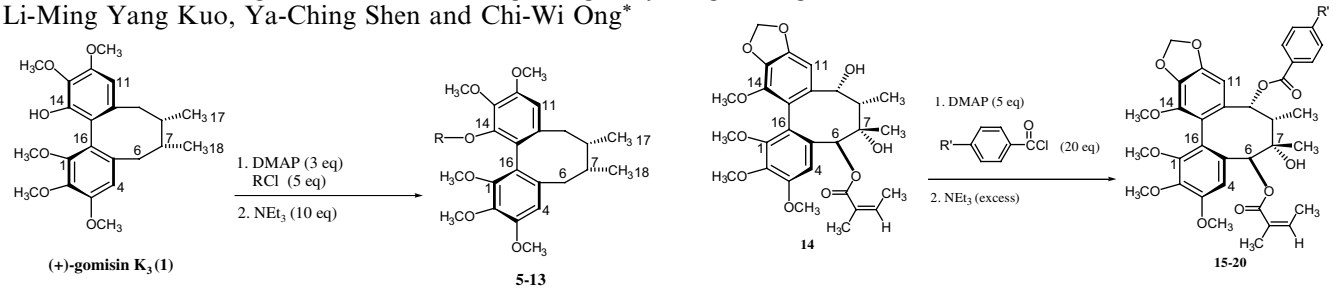
29

Annelated pyrrolo-pyrimidines from amino-cyanopyrroles and BMMAs as leads for new DNA-interactive ring systems **pp 1545–1553**
Antonino Lauria, Marcella Bruno, Patrizia Diana, Paola Barraja, Alessandra Montalbano, Girolamo Cirrincione, Gaetano Dattolo and Anna Maria Almerico*



Z = NR', Y = CR" ; Z = CR", Y = NR'.

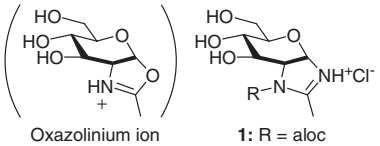
Syntheses of C_{18} dibenzocyclooctadiene lignan derivatives as anti-HBsAg and anti-HBeAg agents **pp 1555–1561**
Yao-Haur Kuo,* Ming-Der Wu, Chia-Cheng Hung, Ray-Ling Huang, Li-Ming Yang Kuo, Ya-Ching Shen and Chi-Wi Ong*



(+)-gomisin K_3 (1) **5-13** **14** **15-20**

Esterified derivatives of (+)-gomisin K_3 (**5**–**13**) and kadsurarin (**15**–**20**) were synthesized and evaluated for anti-HBsAg anti-HBeAg. All (+)-gomisin K_3 derivatives exhibited higher inhibitory activity and lower toxicity.

α-Glucopyranoimidazolines as intermediate analogue inhibitors of family 20 β-*N*-acetylglucosaminidases **pp 1563–1571**
Masahiro Kato, Tetsuya Uno, Jun Hiratake* and Kanzo Sakata



Oxazolinium ion intermediate

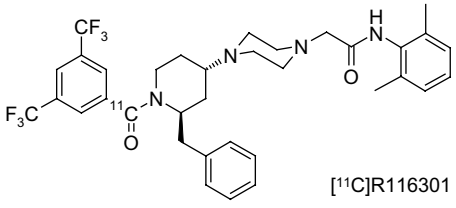
1: R = aloc
2: R = H

β-*N*-Acetylglucosaminidases	1	2
Family 20 (jack bean)	K_i = 0.58 uM	0.087 uM
Family 3	No inhibition	

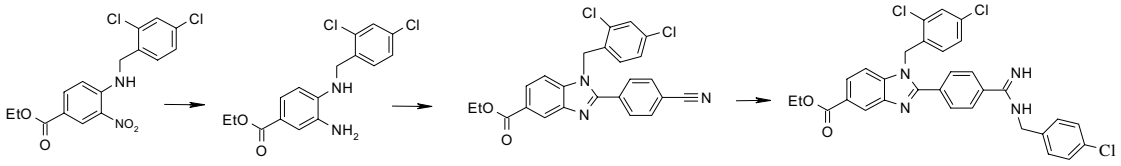
Relevance of molecular weight of chitosan and its derivatives and their antioxidant activities in vitro **pp 1573–1577**
Ronge Xing, Song Liu, Zhanyong Guo, Huahua Yu, Pibo Wang, Cuiping Li, Zhien Li and Pengcheng Li*

Relevance of molecular weight of chitosan and its derivatives and their antioxidant activities in vitro was reported.

Synthesis and biodistribution of [^{11}C]R116301, a promising PET ligand for central NK_1 receptors **pp 1579–1586**
M. Van der Mey,* C. G. M. Janssen, F. E. Janssens, M. Jurzak, X. Langlois, F. M. Sommen, B. Verreet, A. D. Windhorst, J. E. Leysen and J. D. M. Herscheid

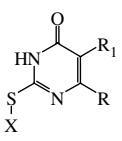


Synthesis and potent antimicrobial activity of some novel methyl or ethyl 1*H*-benzimidazole-5-carboxylates derivatives carrying amide or amidine groups **pp 1587–1597**
Seçkin Özden, Dilek Atabey, Sulhiye Yıldız and Hakan Göker*



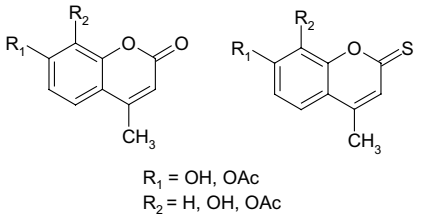
A series of benzimidazole-5-carboxylic acid alkyl ester derivatives carrying amide or amidine group at the position C-2 were synthesized and evaluated for antibacterial and antifungal activities.

Topological models for the prediction of anti-HIV activity of dihydro (alkylthio) (naphthylmethyl) oxopyrimidines **pp 1599–1604**
Viney Lather and A. K. Madan*

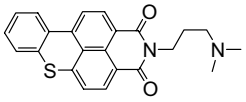


Topological models have been proposed to predict the anti-HIV activity of dihydro (alkylthio) (naphthylmethyl) oxopyrimidines. These models are capable of providing lead structures for development of potent but safe anti-HIV agents.

Novel thiocoumarins as inhibitors of TNF-α induced ICAM-1 expression on human umbilical vein endothelial cells (HUVECs) and microsomal lipid peroxidation **pp 1605–1613**
Sarvesh Kumar, Brajendra K. Singh, Neerja Kalra, Vineet Kumar, Ajit Kumar, Ashok K. Prasad, Hanumantharao G. Raj, Virinder S. Parmar and Balaram Ghosh*

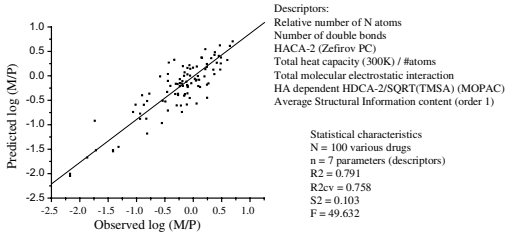


Thio-heterocylic naphthalimides with aminoalkyl side chains: novel alternative tools for photodegradation of genomic DNA without impairment on bioactivities of proteins **pp 1615–1622**
Qing Yang,* Xuhong Qian,* Jianqiang Xu, Yuanshe Sun and Yonggang Li



Thio-heterocylic naphthalimides as nonmetallic and long-wavelength synthetic nuclease photodegraded plasmid and genomic DNA without impairment on proteins' bioactivities.

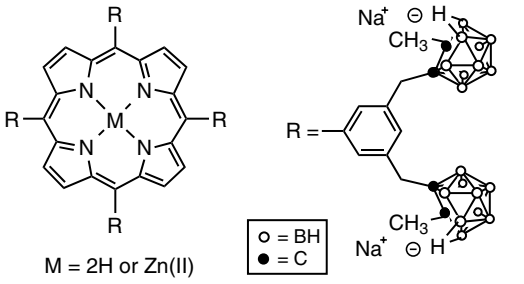
QSAR treatment of drugs transfer into human breast milk **pp 1623–1632**
Alan R. Katritzky,* Dimitar A. Dobchev, Evrim Hür, Dan C. Fara and Mati Karelson



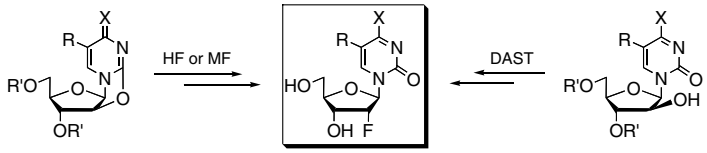
A QSAR treatment has been applied to a data set consists of 100 various drugs to relate the milk to plasma concentration ratio with theoretical molecular descriptors. The treatment using CODESSA PRO descriptors leads to a seven-parameter model with $R^2 = 0.791$ and cross-validated $R^2_{ev} = 0.758$.

Synthesis and cellular studies of an octa-anionic 5,10,15,20-tetra[3,5-(*nido*-carboranylmethyl)phenyl]porphyrin (H_2OCP) for application in BNCT **pp 1633–1640**
Vijay Gottumukkala, Raymond Luguya, Frank R. Fronczek and M. Graça H. Vicente*

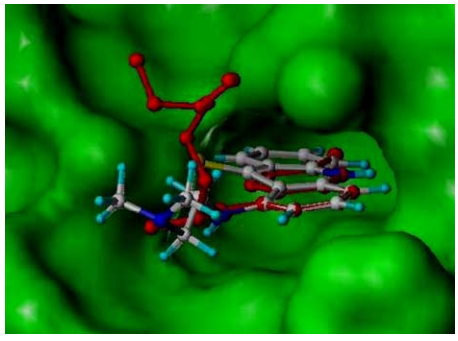
The synthesis, dark cytotoxicity, cellular uptake and intracellular localization of a high boron content octa(*nido*-carboranyl)porphyrin are described and compared with a known tetra(*nido*-carboranyl)porphyrin. The molecular structure of an octa(*closo*-carboranyl)porphyrin is presented.



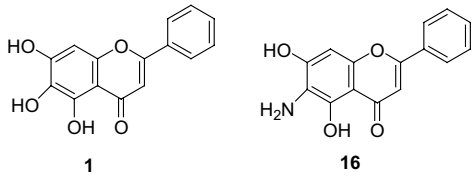
Synthesis and anti-viral activity of a series of D- and L-2′-deoxy-2′-fluororibonucleosides in the subgenomic HCV replicon system **pp 1641–1652**
Junxing Shi,* Jinfa Du, Tianwei Ma, Krzysztof W. Pankiewicz, Steven E. Patterson, Phillip M. Tharnish, Tamara R. McBrayer, Lieven J. Stuyver, Michael J. Otto, Chung K. Chu, Raymond F. Schinazi and Kyoichi A. Watanabe



Antimalarial activity of thioacridone compounds related to the acronycine alkaloid **pp 1653–1659**
James P. Dheyongera, Werner J. Geldenhuys, Theodor G. Dekker, Motlalepula G. Matsabisa and Cornelis J. Van der Schyf*

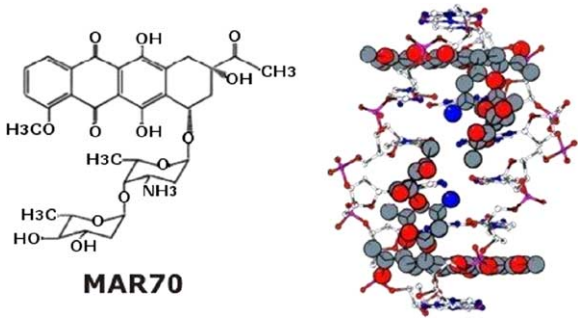


α-Glucosidase inhibition of 6-hydroxyflavones. Part 3: Synthesis and evaluation of 2,3,4-trihydroxybenzoyl-containing flavonoid analogs and 6-aminoflavones as α-glucosidase inhibitors **pp 1661–1671**
Hong Gao and Jun Kawabata*



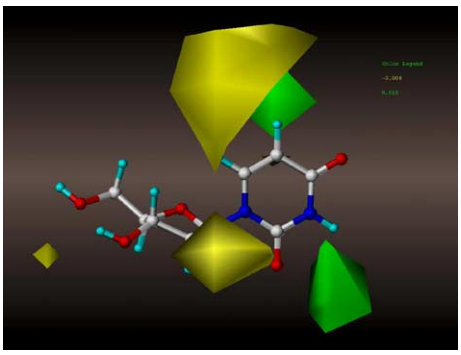
A series of structural analogs of 5,6,7-trihydroxyflavone (baicalein, **1**) and 6-aminoflavones were synthesized and evaluated for inhibition of rat intestinal α-glucosidase. The most potent compound, **16**, uncompetitively inhibited sucrose- and maltose-hydrolyzing activities of the α-glucosidase 20 times higher than **1**.

Role of the amino sugar in the DNA binding of disaccharide anthracyclines: crystal structure of the complex MAR70/d(CGATCG) **pp 1673–1679**
Claudia Temperini, Maurizio Cirilli, Massimiliano Aschi and Giovanni Ughetto*

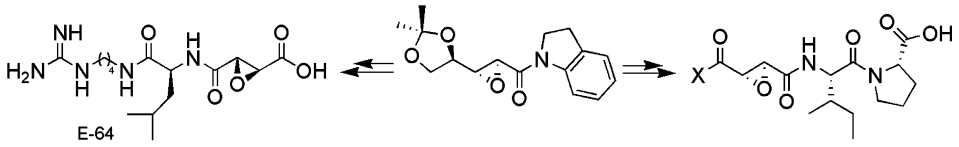


Comparative molecular field analysis and comparative molecular similarity indices analysis of human thymidine kinase 1 substrates pp 1681–1689
Achintya K. Bandyopadhyaya, Jayaseharan Johnsamuel, Ashraf S. Al-Madhoun, Staffan Eriksson and Werner Tjarks*

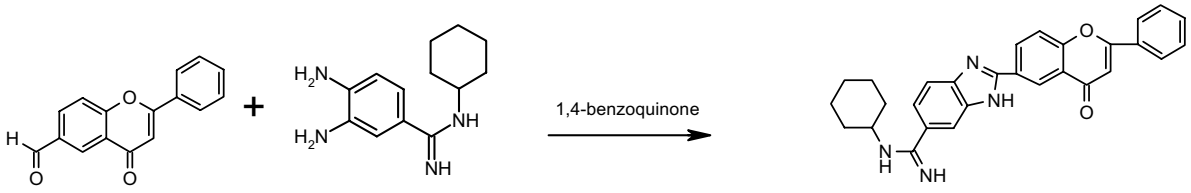
3D-QSAR using CoMFA and CoMSIA techniques have been applied to analyze the phosphorylation capacity of a series of thymidine kinase 1 (TK1) substrates. The derived models showed predictive capabilities and a high level of internal consistency. Contour maps obtained from CoMFA and CoMSIA models correlated with the experimentally developed SAR.



Stereoselective synthesis of E-64 and related cysteine proteases inhibitors from 2,3-epoxyamides pp 1691–1705
Francisco Sarabia,* Antonio Sánchez-Ruiz and Samy Chammaa

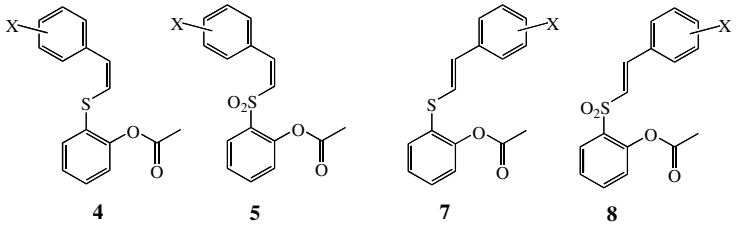


Synthesis and potent antimicrobial activity of some novel 2-phenyl or methyl-4H-1-benzopyran-4-ones carrying amidinobenzimidazoles pp 1707–1714
Hakan Göker,* David W. Boykin and Sulhiye Yıldız

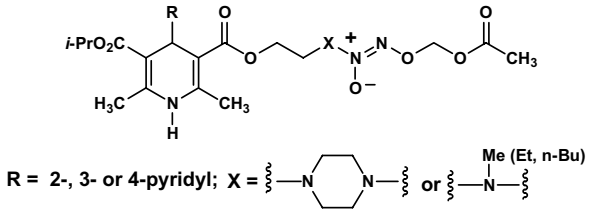


Design, synthesis, and biological evaluation of (*E*)- and (*Z*)-styryl-2-acetoxyphenyl sulfides and sulfones as cyclooxygenase-2 inhibitors pp 1715–1723
M. V. Ramana Reddy, Muralidhar Reddy Mallireddigari, Venkat R. Pallela, Padmavathi Venkatapuram, Rengasamy Boominathan, Stanley C. Bell and E. Premkumar Reddy*

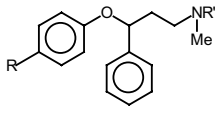
A new series of (*E*)- and (*Z*)-styryl-2-acetoxyphenyl sulfides and sulfones were synthesized and evaluated as specific inhibitors of cyclooxygenase-2 enzyme.



Hantzsch 1,4-dihydropyridines containing a diazen-1-ium-1,2-diolate nitric oxide donor moiety to study calcium channel antagonist structure–activity relationships and nitric oxide release pp 1725–1738
Jeffrey-Tri Nguyen, Carlos A. Velázquez and Edward E. Knaus*



Substituted propanolamines and alkylamines derived from fluoxetine as potent appetite suppressants pp 1739–1747
Kalpana Bhandari,* Shipra Srivastava, Girija Shanker and Chandishwar Nath

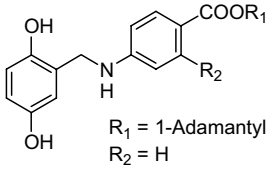


7-26, 28-31

We report the synthesis and biological evaluation of a series of propanolamine and alkylamine analogues of fluoxetine (**7**–**26**, **28**–**31**). Among them compounds **10** and **26** displayed anorexigenic activity better than the parent compound.

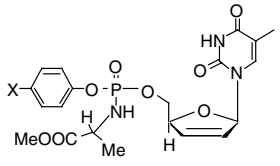
Synthesis, structure–activity relationship, and p210$^{bcr\text{-}abl}$ protein tyrosine kinase activity of novel AG 957 analogs pp 1749–1761
Gurmeet Kaur, Ven L. Narayanan, Prabhakar A. Risbood, Melinda G. Hollingshead, Sherman F. Stinson, Ravi K. Varma and Edward A. Sausville*

A series of novel, sterically hindered lipophilic analogs of AG 957 were designed and synthesized as potential protein tyrosine kinase (PTK) inhibitors. Adaphostin (NSC 680410) showed cell growth inhibition both in vitro and in vivo while maintaining the p210$^{bcr\text{-}abl}$ autokinase activity. Plasma clearance rate of adaphostin was lower than the parent compound resulting in an increase in the mean residence time. Adaphostin (NSC 680410) has emerged as the improved compound with the maximum in vivo anti-leukemia hollow fiber activity.



Adaphostin (NSC D680410)

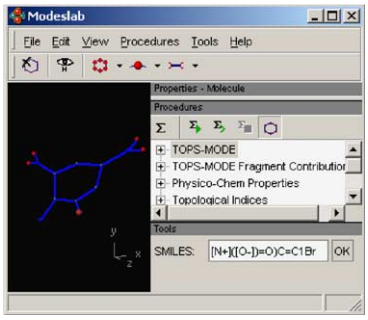
Stereochemical influence on lipase-mediated hydrolysis and biological activity of stampidine and other stavudine phosphoramidates pp 1763–1773
T. K. Venkatachalam, P. Samuel and F. M. Uckun*



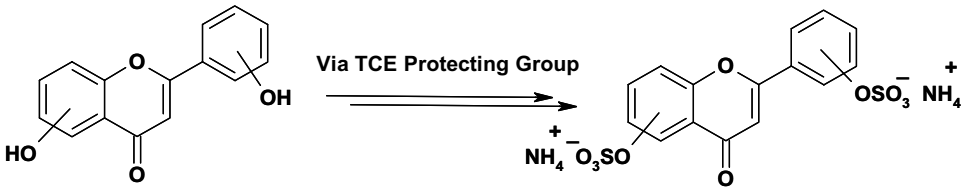
Stampidine and other halogen substituted stavudine phosphoramidates can be activated by lipase-mediated hydrolysis. The target site for the lipase appears to be the methyl ester group of the L-alanine side chain. Accordingly, the D isomers are resistant to lipase-mediated hydrolysis and exhibit substantially less anti-HIV activity. Molecular modeling results indicate that L-configured isomer and not D is preferred in the lipase binding pocket.

Quantitative structure–activity relationship to predict toxicological properties of benzene derivative compounds pp 1775–1781
Maykel Pérez González,* Aliuska Morales Helguera and Miguel Angel Cabrera

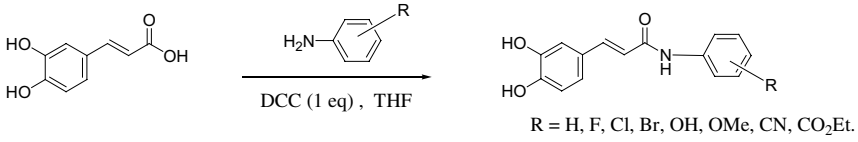
TOPological Sub-structural MOlecular DEsign (TOPS-MODE) was used to assess acute aquatic toxicity of a series of 69 benzene derivatives. The obtained model was able to explain more than 88% of data variance. On the other hand, this model was better than those obtained with Dragon software using the same number of variables. This approach proved to be a very good method to assess acute aquatic toxicity of these king of compounds which could be applied to other series of substances.



Synthesis of per-sulfated flavonoids using 2,2,2-trichloro ethyl protecting group and their factor Xa inhibition potential pp 1783–1789
Gunnar T. Gunnarsson, Muhammad Riaz, Joanna Adams and Umesh R. Desai*



Evaluation of caffeic acid amide analogues as anti-platelet aggregation and anti-oxidative agents pp 1791–1797
Chia-Cheng Hung, Wei-Jen Tsai, Li-Ming Yang Kuo and Yao-Haur Kuo*

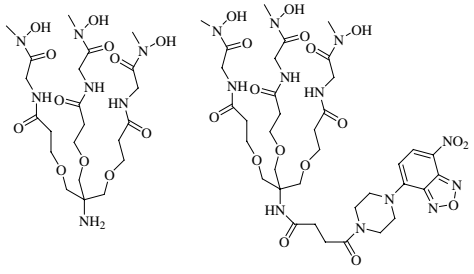


R = H, F, Cl, Br, OH, OMe, CN, CO_2Et.

A series of caffeic anilides were synthesized that their exhibited potent inhibitory activity against arachidonic acid-induced platelet aggregation and radical scavenging activity assay.

A new route to trihydroxamate-containing artificial siderophores and synthesis of a new fluorescent probe pp 1799–1803
H. Ouchetto, M. Dias,* R. Mornet, E. Lesuisse and J.-M. Camadro

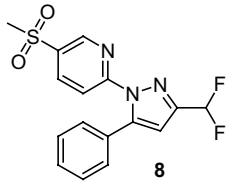
A new trihydroxamate-containing amine was synthesized and was used to have access to a fluorescent labelled artificial siderophore. It behaves as a ferrichrome analogue in various organisms and particularly in *Candida albicans.*



In vitro and in vivo profile of 2-(3-di-fluoromethyl-5-phenylpyrazol-1-yl)-5-methanesulfonylpyridine, a potent, selective, and orally active canine COX-2 inhibitor pp 1805–1809

Jin Li,* Michael P. Lynch, Kristin Lundy DeMello, Subas M. Sakya, Hengmiao Cheng, Robert J. Rafka, Brian S. Bronk, Burton H. Jaynes, Carolyn Kilroy, Donald W. Mann, Michelle L. Haven, Nicole L. Kolosko, Carol Petras, Scott B. Seibel and Lisa A. Lund

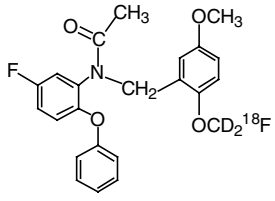
The synthesis of a novel canine COX-2 selective inhibitor, 2-(3-difluoromethyl-5-phenylpyrazol-1-yl)-5-methanesulfonylpyridine, and its in vitro and in vivo profile are described. Pyrazole **8** demonstrated excellent potency and selectivity for canine COX-2 in both in vitro and ex vivo whole blood assays. This novel COX-2 inhibitor also showed a good pharmacokinetic profile (pk) following oral (po), intravenous (iv), and subcutaneous (sc) dosing and demonstrated excellent in vivo efficacy in a canine synovitis model.



8

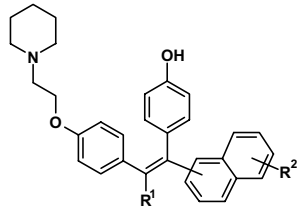
Synthesis and evaluation of *N*-(5-fluoro-2-phenoxyphenyl)-*N*-(2-[^{18}F]fluoromethoxy-d_2-5-methoxybenzyl)acetamide: a deuterium-substituted radioligand for peripheral benzodiazepine receptor pp 1811–1818

Ming-Rong Zhang,* Jun Maeda, Takehito Ito, Takashi Okauchi, Masanao Ogawa, Junko Noguchi, Tetsuya Suhara, Christer Halldin and Kazutoshi Suzuki

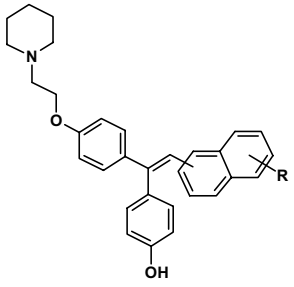


In vitro evaluation of the anti-estrogenic activity of hydroxyl substituted diphenylnaphthyl alkene ligands for the estrogen receptor pp 1819–1828

Jonathan M. Schmidt, Gilles B. Tremblay, Michael A. Plastina, Fupeng Ma, Sanjivanjit Bhal (neé Basra), Miklos Feher, Robert Dunn-Dufault and Peter R. Redden*



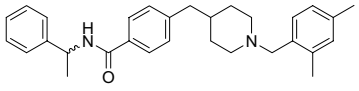
6a, $R^1 = CH_3$, R^2 = 6-OH-naphth-2-yl
6b, $R^1 = CH_3$, R^2 = 6-OH-naphth-1-yl
6c, $R^1 = CH_3$, R^2 = 5-OH-naphth-1-yl
6d, $R^1 = CH_3CH_2$, R^2 = 6-OH-naphth-2-yl
6e, $R^1 = CH_3CH_2$, R^2 = 6-OH-naphth-1-yl
6f, $R^1 = CH_3CH_2$, R^2 = 5-OH-naphth-1-yl



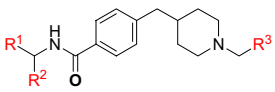
12a, R = 6-OH-naphth-2-yl
12b, R = 6-OH-naphth-1-yl
12c, R = 5-OH-naphth-1-yl

Discovery of melanin-concentrating hormone receptor R1 antagonists using high-throughput synthesis pp 1829–1836

Jing Su,* Brian A. McKittrick, Haiqun Tang, Michael Czarniecki, William J. Greenlee, Brian E. Hawes and Kim O'Neill



1
MCH Ki 2 μM



2
MCH Ki 5 nM

Effect of Chinese medicine alpinetin on the structure of human serum albumin **pp 1837–1845**
Wenying He, Ying Li, Chunxia Xue, Zhide Hu,* Xingguo Chen and Fenling Sheng

Alpinetin is the bioactive component of a traditional Chinese medicine. This study is designed to examine the effect of alpinetin on the solution structure of HSA using UV–visible spectroscopic, circular dichroism (CD), Fourier transform infrared (FT-IR), and fluorescence spectroscopic methods at four temperatures under physiological conditions. Attempts were made to investigate the binding mechanism of alpinetin to HSA and the effect of alpinetin on the protein secondary structure. The partial binding parameters of the reaction were calculated through SGI FUEL workstations.

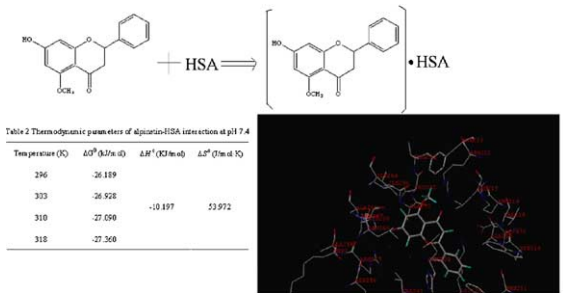
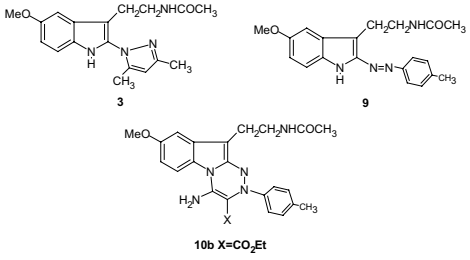


Table 2 Thermodynamic parameters of alpinetin-HSA interaction at pH 7.4

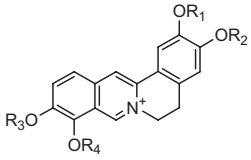
Temperature (K)	ΔG^0 (kJ/mol)	ΔH^0 (KJ/mol)	ΔS^0 (J/mol·K)
296	-26.189		
303	-26.928	-10.197	53.972
310	-27.090		
318	-27.360		

Cardioprotective activity of melatonin and its novel synthesized derivatives on doxorubicin-induced cardiotoxicity **pp 1847–1857**
Hanaa H. Ahmed, Fathia Mannaa, Gamal A. Elmegeed* and Senot H. Doss

The synthesis and the cardioprotective activity of some novel synthesized melatonin analogs against doxorubicin-induced cardiac toxicity in rats is investigated in comparison with the parent melatonin. Treatment with melatonin and its derivatives **3** and **10b** could reduce the markers of oxidative stress and restore the activity of the antioxidative enzymes in the heart tissue. In conclusion, the cardioprotective effect of melatonin and its derivatives may be mediated through the antioxidant and free radical scavenging activity of these compounds. Compound **10b** has the strongest antioxidant activity, which exceeds that of the parent reference, melatonin, followed by compound **3** then **9**.



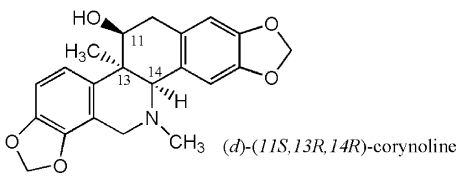
Spectrometric studies of cytotoxic protoberberine alkaloids binding to double-stranded DNA **pp 1859–1866**
Wen-Hua Chen,* Yong Qin, Zongwei Cai, Chi-Leung Chan, Guo-An Luo and Zhi-Hong Jiang*



1: R_1-R_2 = -CH_2-, R_3 = R_4 = Me
2: R_1 = R_2 = R_3 = R_4 = Me
3: R_1 = R_3 = R_4 = Me, R_2 = H
4: R_1-R_2 = -CH_2-, R_3-R_4 = -CH_2-
5: R_1-R_2 = -CH_2-, R_3 = Me, R_4 = H

The noncovalent complexes of five protoberberine alkaloids **1**–**5** with several double-stranded oligodeoxynucleotides were investigated systematically by using ESI-MS and fluorescence spectrometric methods.

Cell adhesion inhibitory activity of (*d*)-corynoline, a hexahydrobenzo[*c*]phenanthridine-type alkaloid, and its structure–activity relationship, studied by X-ray crystal structure analysis and molecular docking study **pp 1867–1872**
Miyoko Kamigauchi,* Yuko Noda, Jujiro Nishijo, Katsuhide Iwasaki, Kenji Tobetto, Yasuko In, Koji Tomoo and Toshimasa Ishida



(*d*)-(*11S,13R,14R*)-corynoline

QSAR studies—potent benzodiazepine γ-secretase inhibitors **pp 1873–1878**
A. Ravi Keerti,* B. Ashok Kumar, T. Parthasarathy and V. Uma

$$\beta \text{ Secretase} + \gamma \text{ Secretase} + \text{APP} \rightarrow A_{42} \text{ (AD causing peptide)}$$

This toxic amyloidogenic pathway is to be stopped and to achieve this γ-secretase has been targeted. Making its effect on APP impotent it is possible to curb this toxic product $A\beta_{42}$.

$$\gamma \text{ Secretase (active form)} \xrightarrow[\text{inhibition}]{\text{Benzodiazepine}} \gamma \text{ Secretase (inactive form)}$$

This prevents the formation of $A\beta_{42}$ and hence could prevent Alzheimer's disease.

OTHER CONTENTS

Corrigendum **p 1879**
Contributors to this issue **pp I–II**
Instructions to contributors **pp III–VII**

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover illustrates the biotin-phenyldiazomethane conjugates used as reagents for labeling the phosphate group in mono and poly nucleotides. They were used successfully in the labeling step of DNA and RNA analyses using high density DNA-chips technology [Bourget, C.; Trévisiol, E.; Bridon, I.; Kotera, M.; Lhomme, J.; Laayoun, A. *Bioorg. Med. Chem.* **2005**, *13*, 1453–1461].

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ISSN 0968-0896