Bioorg. Med. Chem. 11 (2003) 5311

Photo-Induced DNA Cleavage Reaction Characteristics of Propargylic Sulfones Possessing Anthraquinone Chromophore

Ken-ichi Haruna,[a] Kazuhito Tanabe,[a] Atsushi Ishii,[a] Wei Min-Dai,[b] Hiroshi Hatta[a] and Sei-ichi Nishimoto[a,*]

[a]*Department of Energy and Hydrocarbon Chemistry, Faculty of Engineering, Kyoto University, Kyoto University Katsura, Nishikyo-ku, Kyoto 615-8510, Japan*
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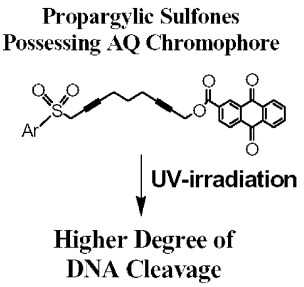
Propargylic sulphones possessing anthraquinone chromophore were investigated to evaluate photoirradiation effect on the DNA-binding and cleavage ability.



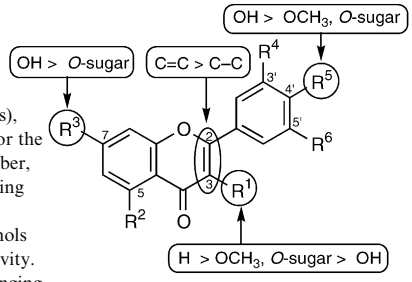
Bioorg. Med. Chem. 11 (2003) 5317

Structural Requirements of Flavonoids for Inhibition of Protein Glycation and Radical Scavenging Activities

Hisashi Matsuda, Tao Wang, Hiromi Managi and Masayuki Yoshikawa*

Kyoto Pharmaceutical University, Misasagi, Yamashina-ku, 2Kyoto 607-8412, Japan

To clarify the structural requirements of flavonoids for formation of advanced glycation end-products (AGEs), various flavonoids were examined. The results suggested the following structural requirements of flavonoids for the inhibition of AGEs formation: (1) as the hydroxyl groups at the 3′-, 4′-, 5-, and 7-positions increased in number, the inhibitory activities became stronger; (2) the activities of flavones were stronger than those of corresponding flavonols, flavanones, and isoflavones; (3) methylation or glucosylation of the 4′-hydroxyl group of flavones, flavonols, and flavanones reduced activity; (4) methylation or glycosylation of the 3-hydroxyl group of flavonols tended to increase activity; (5) glycosylation of the 7-hydroxyl group of flavones and isoflavones reduced activity. In addition, various flavonoids with strong AGEs formation inhibitory activity tended to exhibit strong scavenging activity for 1,1-diphenyl-2-picrylhydrazyl and superoxide anion radicals, with several exceptions.

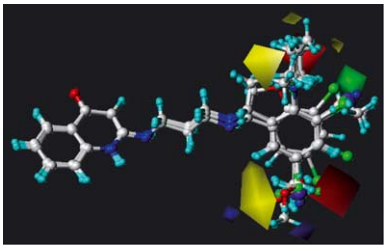


Bioorg. Med. Chem. 11 (2003) 5325

3-D-QSAR Study and Molecular Docking of Methionyl-tRNA Synthetase Inhibitors

Su Yeon Kim and Jeewoo Lee*

Laboratory of Medicinal Chemistry, Research Institute of Pharmaceutical Sciences, College of Pharmacy, Seoul National University, Shinlim-Dong, Kwanak-Ku, Seoul 151-742, South Korea



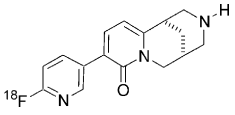
Bioorg. Med. Chem. 11 (2003) 5333

Synthesis of a [2-*Pyridinyl*-^{18}F]-labelled Fluoro Derivative of (−)-Cytisine as a Candidate Radioligand for Brain Nicotinic α4β2 Receptor Imaging with PET

Gaëlle Roger,[a] Béatrice Lagnel,[a] Jacques Rouden,[b] Laurent Besret,[a,c] Héric Valette,[a] Stéphane Demphel,[a] JaganMohan Gopisetti,[b] Christine Coulon,[a] Michele Ottaviani,[a] Lori A. Wrenn,[d] Sharon R. Letchworth,[d] Georg A. Bohme,[e] Jesus Benavides,[e] Marie-Claire Lasne,[b] Michel Bottlaender[a] and Frédéric Dollé[a,*]

[a]*Service Hospitalier Frédéric Joliot, Département de Recherche Médicale, CEA/DSV, 4 place du Général Leclerc, F-91401 Orsay, France*
[b]*Laboratoire de Chimie Moléculaire et Thio-organique, UMR CNRS 6507, ENSICAEN, Institut des Sciences de la Matière et du Rayonnement, Université de Caen-Basse-Normandie, 6 Boulevard du Maréchal Juin, F-14050 Caen Cedex, France*
[c]*URA CEA/CNRS 2210, Service Hospitalier Frédéric Joliot, Département de Recherche Médicale, CEA/DSV, 4 place du Général Leclerc, F-91401 Orsay, France*
[d]*Targacept, Inc., 200 East First Street, Suite 300, Winston-Salem, NC 27101-4165, USA*
[e]*Aventis Pharma, Centre de Recherches de Paris, Site de Vitry-Alfortville, 13 Quai Jules Guesde, F-94403 Vitry-sur-Seine cedex, France*

(−)-9-(2-Fluoropyridinyl)cytisine has been synthesized and labelled with fluorine-18 ($T_{1/2}$: 109.8 min) as a potential positron emission tomography (PET) tracer for imaging the nicotinic α4β2 receptor.

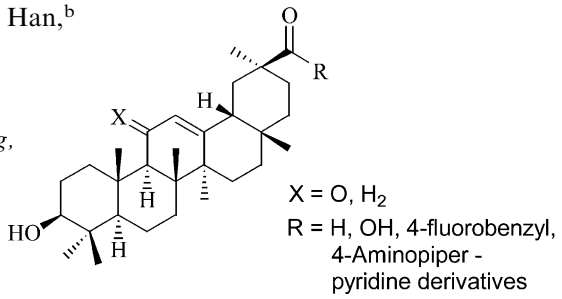


Bioorg. Med. Chem. 11 (2003) 5345

Synthesis of New Glycyrrhetinic Acid (GA) Derivatives and Their Effects on Tyrosinase Activity

Soo-Jong Um,[a,b] Myoung-Soon Park,[b] Si-Ho Park,[b] Hye-Sook Han,[b] Youn-Ja Kwon[b] and Hong-Sig Sin[b,*]

[a]*Department of Bioscience & Biotechnology/Institute of Bioscience, Sejong University, Seoul 143-747, South Korea*
[b]*Chebigen Inc., 305-B, Chungmugwan, Sejong University, 98 Kunja-dong, Kwangjin-gu, Seoul 143-747, South Korea*



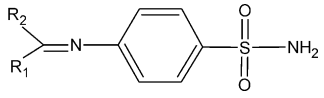
Bioorg. Med. Chem. 11 (2003) 5353

Quantitative Structure–Activity Relationship Study on Sulfanilamide Schiff's Bases: Carbonic Anhydrase (CA) Inhibitors

Vijay K. Agrawal,[a] Shachi Srivastava,[a] Padmakar V. Khadikar[b,*] and Claudiu T. Supuran[c]

[a]*QSAR and Computer Chemical Laboratories, A.P.S. University, Rewa-486 003, India*
[b]*Research Division, Laxmi Fumigation and Pest Control Pvt. Ltd., 3 Khatipura, Indore-452 007, India*
[c]*University of Florence, Dipartmento di Chimica, Laboratorio di Chimica Bioinorganica, Via della Lastruccia, 3, RM.188, Polo Scientifico, 50019-Sesto Fiorentino (Firenze), Italy*

The paper deals with quantitative structure–activity studies on a group of sulfanilamide Schiff's base inhibitors of carbonic anhydrase (CA)using distance-based topological indices. The regression analysis of the data has shown that the activities of the compounds used in inhibiting CAII activity can be modeled excellently in multi-parametric model in that some indicator parameters are also involved. The results are discussed critically.



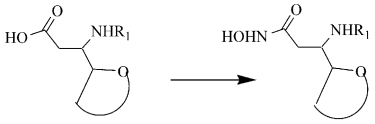
Bioorg. Med. Chem. 11 (2003) 5363

Synthesis of Glycosylated β-Amino Hydroxamates as New Class of Antimalarials

R. C. Mishra,[a] Renu Tripathi,[b] Diksha Katiyar,[a] Neetu Tewari,[a] Deepti Singh[b] and R. P. Tripathi[a,*]

[a]*Division of Medicinal Chemistry, Central Drug Research Institute, Lucknow-226001, India*
[b]*Division of Parasitology, Central Drug Research Institute, Lucknow-226001, India*

A number of glycosylated β-amino hydroxamates were synthesized and evaluated in vitro against *P. falciparum* in vitro. One of the compounds showed good activity at 2 μg/mL.



Bioorg. Med. Chem. 11 (2003) 5375

Ultrasensitive Assay of Azithromycin in Medicine and Bio-Fluids Based on Its Enhanced Luminol–H_2O_2 Chemiluminescence Reaction Using Flow Injection Technique

Zhenghua Song* and Changna Wang

Department of Chemistry, Northwest University, Xi'an 710069, China

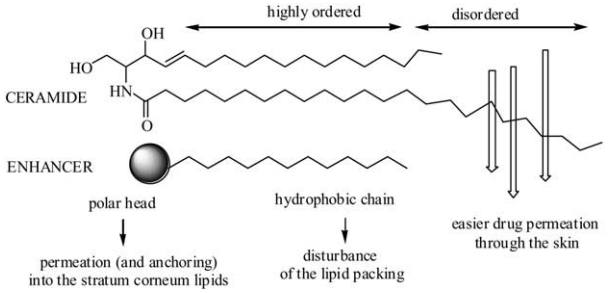
An ultrasensitive chemiluminescence method for the determination of azithromycin in pharmaceutical preparations, human urine and serum was described based on the enhancement of azithromycin in the luminol–H_2O_2 CL reaction. The emission produced by the CL reaction is proportionate to the azithromycin concentration from 0.1 pg mL^{-1} to 1.0 ng mL^{-1} ($r^2 = 0.9988$) with the detection limit of 0.04 pg mL^{-1}.

Bioorg. Med. Chem. 11 (2003) 5381

Synthetic Ceramide Analogues as Skin Permeation Enhancers: Structure–Activity Relationships

Kateřina Vávrová,[a,*] Alexandr Hrabálek,[a] Pavel Doležal,[b] Lucie Šámalová,[b] Karel Palát,[a] Jarmila Zbytovská,[b] Tomáš Holas[a] and Jana Klimentová[a]

[a]*Department of Inorganic and Organic Chemistry, Faculty of Pharmacy, Charles University, Heyrovského 1203, 500 05, Hradec Králové, Czech Republic*
[b]*Department of Pharmaceutical Technology, Faculty of Pharmacy, Charles University, Heyrovského 1203, 500 05, Hradec Králové, Czech Republic*

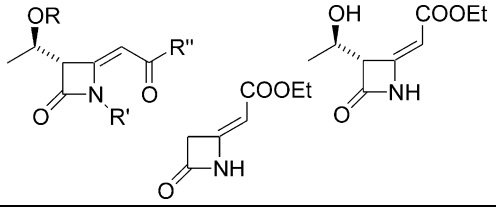


Bioorg. Med. Chem. 11 (2003) 5391

4-Alkylidene-azetidin-2-ones: Novel Inhibitors of Leukocyte Elastase and Gelatinase

Gianfranco Cainelli,[a] Paola Galletti,[a] Spiridione Garbisa,[b,*] Daria Giacomini,[a,*] Luigi Sartor[b] and Arianna Quintavalla[a]

[a]*Department of Chemistry 'G. Ciamician', University of Bologna, Via Selmi 2, I-40126 Bologna, Italy*
[b]*Department of Experimental Biomedical Sciences, Medical School of Padova, Viale G. Colombo 3, 35121 Padova, Italy*



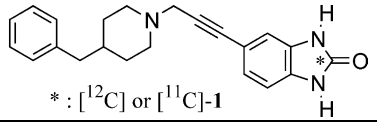
Bioorg. Med. Chem. 11 (2003) 5401

Synthesis, Radiosynthesis and In Vivo Evaluation of 5-[3-(4-Benzylpiperidin-1-yl)prop-1-ynyl]-1,3-dihydrobenzoimidazol-2-[^{11}C]one, as a Potent NR_{1A}/2B Subtype Selective NMDA PET Radiotracer

Gaëlle Roger,[a] Béatrice Lagnel,[a] Laurent Besret,[a] Yann Bramoullé,[a] Christine Coulon,[a] Michelle Ottaviani,[a] Michael Kassiou,[b,c] Michel Bottlaender,[a] Héric Valette[a] and Frédéric Dollé[a,*]

[a]*Service Hospitalier Frédéric Joliot, Département de Recherche Médicale, CEA/DSV, 4 Place du Général Leclerc, F-91401 Orsay, France*
[b]*Department of PET and Nuclear Medicine, Royal Prince Alfred Hospital, Missenden Road, Camperdown, NSW 2050, Australia*
[c]*Department of Pharmacology, University of Sydney, NSW 2006, Australia*

5-[3-(4-Benzylpiperidin-1-yl)prop-1-ynyl]-1,3-dihydrobenzoimidazol-2-one (**1**), a selective $NR1_A$/2B subtype antagonist, has been labelled with carbon-11 ($T_{1/2}$: 20.4 min) as a potential positron emission tomography (PET) tracer for imaging the NMDA receptor.



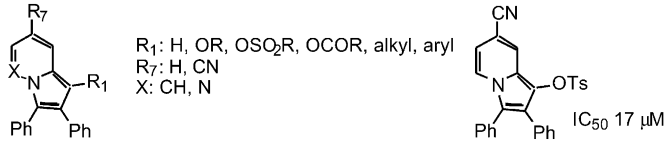
* : [^{12}C] or [^{11}C]-**1**

Bioorg. Med. Chem. 11 (2003) 5409

Indolizines as Novel Potent Inhibitors of 15-Lipoxygenase

Lise-Lotte Gundersen,[a,*] Karl E. Malterud,[b] Ayele H. Negussie,[a] Frode Rise,[a] Solomon Teklu[a] and Ole Benny Østby[a]

[a]*Department of Chemistry, University of Oslo, PO Box 1033, Blindern, N-0315 Oslo, Norway*
[b]*School of Pharmacy, University of Oslo, PO Box 1068, Blindern, N-0316 Oslo, Norway*

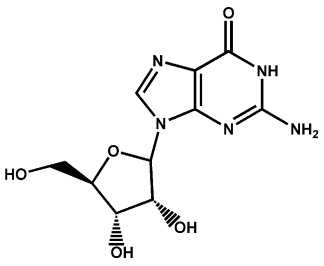


Bioorg. Med. Chem. 11 (2003) 5417

Rat Brain Guanosine Binding Site: Biological Studies and Pseudo-Receptor Construction

Ugo Traversa,[a] Giulia Bombi,[a] Emidio Camaioni,[b] Antonio Macchiarulo,[b] Gabriele Costantino,[b,*] Clara Palmieri,[a] Francesco Caciagli[c] and Roberto Pellicciari[b]

[a]*Dipartimento di Scienze Biomediche — B.R.A.I.N. Center, via L. Giorgieri 7, Università di Trieste, 34127 Trieste, Italy*
[b]*Dipartimento di Chimica e Tecnologia del Farmaco, via de Liceo 1, Università di Perugia, 06123 Perugia, Italy*
[c]*Dipartimentodi Scienze Biomediche, via dei Vestini 31, Università 'G. D'Annunzio Chieti, 66013 Chieti, Italy*



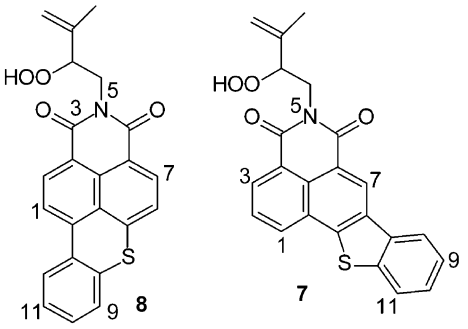
Bioorg. Med. Chem. 11 (2003) 5427

Novel Naphthalimide Hydroperoxide Photonucleases: The Role of Thiocyclic-Fused Area and the Difference in Spectra, Photochemistry and Photobiological Activity

Yufang Xu,[a] Xuhong Qian,[b,*] Wei Yao,[a] Ping Mao[a] and Jingnan Cui[b]

[a]*Shanghai Key Lab. of Chemical Biology, Institute of Pesticides Pharmaceuticals, East China University of Science and Technology, PO Box 544, 130 Meilong Road, Shanghai, 200237, China*
[b]*State Key Laboratory of Fine Chemicals, Dalian University of Technology, PO Box 40, 158 Zhongshan Road, Dalian, 116012, China*

Novel five- and six-membered thiocyclic-fused naphthalimide hydroperoxides (**7**, **8**) as photonucleases were designed, evaluated and synthesized via unusual isomerization in Pschorr cyclization and photooxygenation.

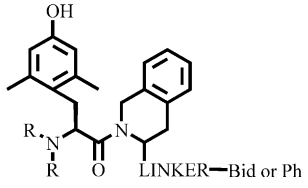


Bioorg. Med. Chem. 11 (2003) 5435

Synthesis and Opioid Activity of *N,N*-Dimethyl-Dmt-Tic-NH-CH(R)-R′ Analogues: Acquisition of Potent δ Antagonism

Gianfranco Balboni,[a] Severo Salvadori,[b] Remo Guerrini,[b] Lucia Negri,[c] Elisa Giannini,[c] Sharon D. Bryant,[d] Yunden Jinsmaa[d] and Lawrence H. Lazarus[d,*]

[a]*Department of Toxicology, University of Cagliary, I-09126, Cagliary, Italy*
[b]*Department of Pharmaceutical Sciences and Biotechnology Center, University of Ferrara, I-44100 Ferrara, Italy*
[c]*Department of Human Physiology and Pharmacology 'Vittorio Erspamer,' University La Sapienza, I-00185 Rome, Italy*
[d]*Peptide Neurochemistry, LCBRA, National Institute of Environmental Health Sciences, Research Triangle Park, NC 27709, USA*



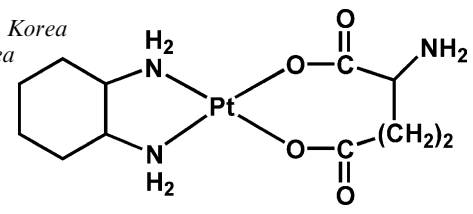
Bioorg. Med. Chem. 11 (2003) 5443

Enhanced Antitumor Activitiy of *trans*(±)-1,2-Diaminocyclohexaneglutamatoplatinum(II) Formulated with Stealth Liposome

Insook Han,[a] Ok Ju Kim,[b] Gab Yong Lee,[b] Young Kwan Sung,[a] Rita Song[c] and Youn Soo Sohn[c,*]

[a]*Trichogene, Inc., Daegu 700-422, South Korea*
[b]*Department of Chemistry, Taegu Catholic University, Kyongsan 712-702, South Korea*
[c]*Division of Nano Science, Ewha Womans University, Seoul 120-750, South Korea*

The platinum(II) compound, [Pt(dach)(Glu)] (dach = *trans*(±)-1,2-diaminocyclohexane, Glu = glutamate), was formulated with a stealth liposome composed of PC/PEG2000-PE/CH [PC = 1,2-diacyl-glycero-3-phosphocholine; PEG2000-PE = poly(ethylene glycol)2000-1,2-diacyl-glycero-3-phosphoethanolamine; CH = cholesterol] involving different acyl moieties of phospholipids such as DO (dioleoyl), DM (dimyristoyl) or DS (distearoyl) group.



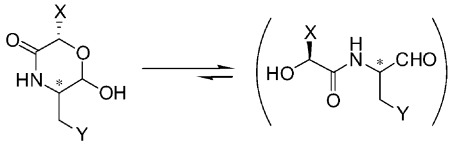
Bioorg. Med. Chem. 11 (2003) 5449

Novel 6-Hydroxy-3-morpholinones as Cornea Permeable Calpain Inhibitors

Masayuki Nakamura,* Hiroyuki Miyashita, Masazumi Yamaguchi, Yoshihisa Shirasaki, Yoshikuni Nakamura and Jun Inoue

Research Laboratory, Senju Pharmaceutical Co., Ltd., 1-5-4 Murotani Nishiku, Kobe 651-2241, Japan

A novel series of 6-hydroxy-3-morpholinones, in which the functional aldehyde and the hydroxy group of P2 site form a cyclic hemiacetal, was identified as calpain inhibitors.



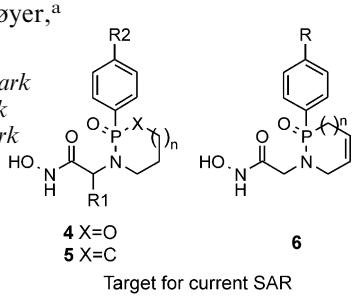
Bioorg. Med. Chem. 11 (2003) 5461

Cyclic Phosphinamides and Phosphonamides, Novel Series of Potent Matrix Metalloproteinase Inhibitors with Antitumour Activity

Morten Dahl Sørensen,[a,*] Lars K. A. Blæhr,[a] Mette K. Christensen,[a] Thomas Høyer,[a] Scilla Latini,[b] Pernille-Julia V. Hjarnaa[c] and Fredrik Björkling[a]

[a]*Medicinal Chemistry Research, LEO Pharma, Industriparken 55, DK-2750 Ballerup, Denmark*
[b]*Department of Biochemistry, LEO Pharma, Industriparken 55, DK-2750 Ballerup, Denmark*
[c]*Department of Pharmacology, LEO Pharma, Industriparken 55, DK-2750 Ballerup, Denmark*

The design, synthesis, and SAR of a series of novel cyclic phosphon- and phosphinamide-based hydroxamic acids as potent MMP inhibitors are presented. Furthermore, in vivo tumour growth reducing effects are observed for selected compounds in a xenograft cancer model in mice.



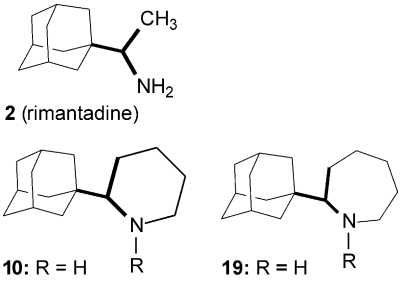
Bioorg. Med. Chem. 11 (2003) 5485

Heterocyclic Rimantadine Analogues with Antiviral Activity

George Stamatiou,[a] George B. Foscolos,[a,*] George Fytas,[a,*] Antonios Kolocouris,[a] Nicolas Kolocouris,[a] Christophe Pannecouque,[b] Myriam Witvrouw,[b] Elizaveta Padalko,[b] Johan Neyts[b] and Erik De Clercq[b]

[a]*Department of Pharmacy, Division of Pharmaceutical Chemistry, University of Athens, Panepistimioupoli-Zografou GR-15771 Athens, Greece*
[b]*Rega Institute for Medical Research, Katholieke Universiteit Leuven, Minderbroedersstraat 10, B-3000 Leuven, Belgium*

Synthetic aminoadamantanes **6**, **10** and **19** include the 1-aminoethyl pharmacophore group of Rimantadine **2** in a saturated nitrogen heterocycle (pyrrolidine, piperidine and hexahydroazepine, respectively). Rimantadine analogues **6** and **10** were respectively **6** and **4**-fold more potent than Rimantadine **2**, whereas azepine **19** was inactive; heterocyclic ring enlargement from 5 atoms (pyrrolidine) or 6 (piperidine) to 7 atoms (hexahydroazepine) dramatically reduced the anti-influenza virus A activity. Substitution of piperidine **10** with dialkylaminoethyl groups led to **15a**, which was active against influenza A virus, whereas **15a** and **15b** were active against HIV-1 as well.



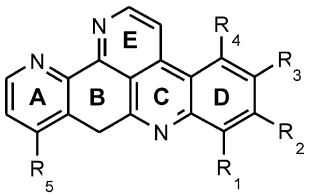
Bioorg. Med. Chem. 11 (2003) 5493

QSAR Study on Some Pyridoacridine Ascididemin Analogues as Anti-tumor Agents

Bikash Debnath, Shovanlal Gayen, Subrata Bhattacharya, Soma Samanta and Tarun Jha*

Department of Pharmaceutical Technology, Division of Medicinal and Pharmaceutical Chemistry, PO Box No. 17020, Jadavpur University, Kolkata-700 032, India

QSAR study was performed using physicochemical parameters and ETSA index on some ascididemin analogues to find out physicochemical and structural features for their anti-tumor activity.



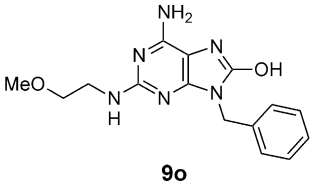
Bioorg. Med. Chem. 11 (2003) 5501

Synthesis and Structure–Activity Relationships of 2-Amino-8-hydroxyadenines as Orally Active Interferon Inducing Agents

Ayumu Kurimoto,[a,*] Tetsuhiro Ogino,[a] Shinji Ichii,[a] Yoshiaki Isobe,[a] Masanori Tobe,[a] Haruhisa Ogita,[a] Haruo Takaku,[a] Hironao Sajiki,[b] Kosaku Hirota[b] and Hajime Kawakami[a]

[a]*Research Division, Discovery Research Laboratories II, Sumitomo Pharmaceuticals Co. Ltd., Konohana-ku, Osaka 554-0022, Japan*
[b]*Laboratory of Medicinal Chemistry, Gifu Pharmaceutical University, Mitahora-higashi, Gifu 502-8585, Japan*

Compound **9o** exhibited potent IFN inducing activity both in vitro and in vivo. Compound **9o** induced IFN from the dosage of 0.1 mg/kg in mouse, and showed a good oral bioavailability ($F=81\%$).



9o

Bioorg. Med. Chem. 11 (2003) 5509

Synthesis of 4-Amino-6-(hetero)arylalkylamino-1,2,4-triazolo[4,3-*a*]quinoxalin-1-one Derivatives as Potent A_{2A} Adenosine Receptor Antagonists

Vittoria Colotta,[a,*] Daniela Catarzi,[a] Flavia Varano,[a] Guido Filacchioni,[a] Claudia Martini,[b] Letizia Trincavelli[b] and Antonio Lucacchini[b]

[a]*Dipartimento di Scienze Farmaceutiche, Polo Scientifico, Università di Firenze, Via Ugo Schiff, 6, 50019 Sesto Fiorentino (FI), Italy*
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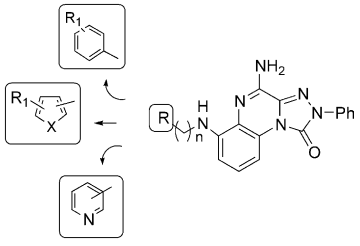
Synthesis and A_1, A_{2A} and A_3 adenosine receptor binding activities of some 4-amino-6-(hetero)arylalkylamino-2-phenyl-1,2,4-triazolo[4,3-*a*]quinoxalin-1-ones are reported. Most of the newly synthesized compounds are inactive at the A_3 receptors while showing nanomolar A_{2A} affinities and different degrees of A_{2A} versus A_1 selectivity.



Bioorg. Med. Chem. 11 (2003) 5519

QSAR Study on 5-Lipoxygenase Inhibitors Using Distance-Based Topological Indices

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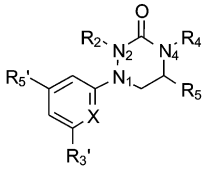
QSAR study on a large set of 5-lipoxygenase inhibitors has been carried out using distance-based topological indices. Regression analysis of the data has indicated that an excellent model is obtained when these topological indices are combined with some classical molecular descriptors. The obtained models are critically discussed and examined on the basis of cross-validation parameters.



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1,2,4-Thiadiazole: A Novel Cathepsin B Inhibitor

Regis Leung-Toung,[a] Jolanta Wodzinska,[b] Wanren Li,[a] Jayme Lowrie,[b] Rahul Kukreja,[b] Denis Desilets,[a] Khashayar Karimian[a] and Tim Fat Tam[a,*]

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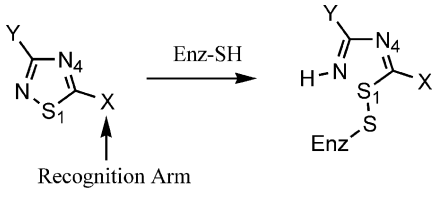
A novel class of Cathepsin B inhibitors has been designed based on an electrophilic 1,2,4-thiadiazole heterocyclic pharmacophore. These compounds inactivate Cat B in a time-dependent, irreversible manner. The inhibition of the enzyme is brought about by disulfide bond formation between the active site cysteine thiol and the sulfur atom of the heterocycle.



Bioorg. Med. Chem. 11 (2003) 5539

Discovery of 2-Phenyl-3-sulfonylphenyl-indole Derivatives as a New Class of Selective COX-2 Inhibitors

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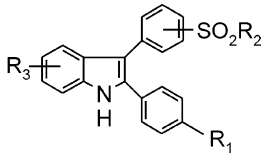

Bioorg. Med. Chem. 11 (2003) 5545

Comparative Molecular Field Analysis and QSAR on Substrates Binding to Cytochrome P450 2D6

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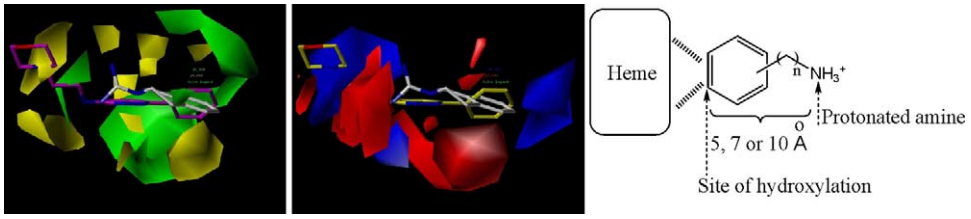

Bioorg. Med. Chem. 11 (2003) 5555

A Novel Series of Complexones with Bis- or Biazole Structure as Mixed Ligands of Paramagnetic Contrast Agents for MRI

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The synthesis, physicochemical properties and biological evaluation from a novel series of mixed ligands of paramagnetic contrast agents for MRI are reported.