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Bioorganic & Medicinal Chemistry

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Bioorganic & Medicinal Chemistry Vol. 17, No. 2, 2009

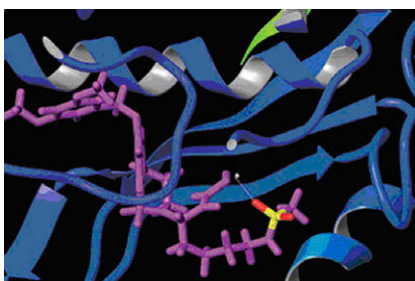
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REVIEW

Current perspective of TACE inhibitors: A review

pp 444–459

Shirshendu DasGupta, Prashant R. Murumkar, Rajani Giridhar, Mange Ram Yadav*

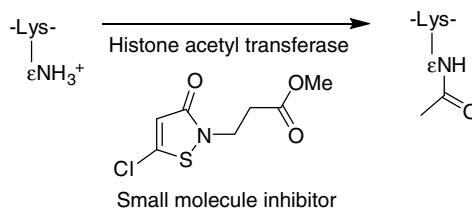


ARTICLES

Inhibition of the PCAF histone acetyl transferase and cell proliferation by isothiazolones

pp 460–466

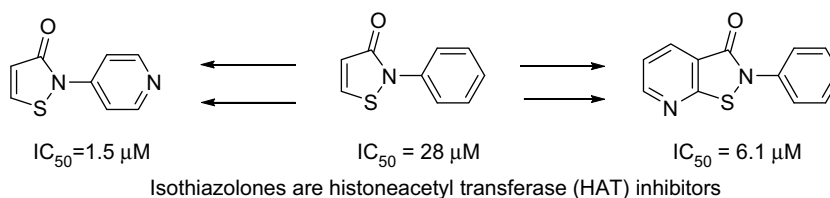
Frank J. Dekker*, Massimo Ghizzoni, Nanette van der Meer, Rosalina Wisastra, Hidde J. Haisma



Synthesis of isothiazol-3-one derivatives as inhibitors of histone acetyltransferases (HATs)

pp 467–474

Stephen Gorsuch, Vassilios Bavetsias, Martin G. Rowlands, G. Wynne Aherne, Paul Workman, Michael Jarman, Edward McDonald*

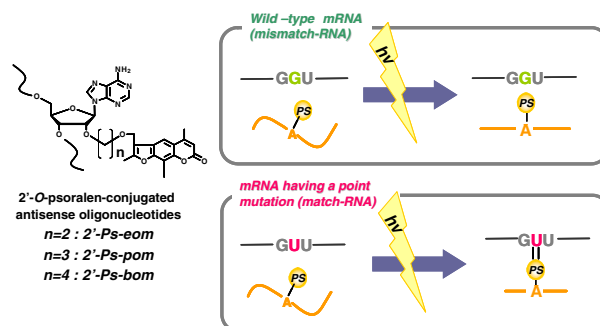


Synthesis of antisense oligonucleotides containing 2'-O-psoralenylmethoxyalkyl adenosine for photodynamic regulation of point mutations in RNA

pp 475–483

Maiko Higuchi, Akio Kobori, Asako Yamayoshi, Akira Murakami*

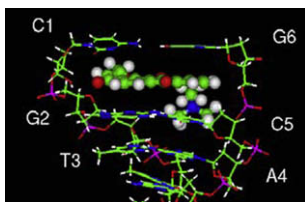
We developed 2'-O-psoralenylmethoxyalkyl adenosine conjugated antisense oligonucleotides that photo-cross-link to an oligoribonucleotide having a point mutation site with high sequence selectivity and high photo-cross-linking efficiency upon UVA irradiation.



Interaction between double helix DNA fragments and a new topopyrone acting as human topoisomerase I poison

pp 484–491

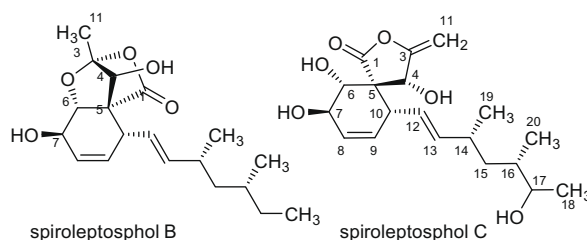
Leonardo Scaglioni, Stefania Mazzini, Rosanna Mondelli*, Sabrina Dallavalle, Sonia Gattinoni, Stella Tinelli, Giovanni L. Beretta, Franco Zunino, Enzo Ragg



Four analogues of spiroleptoshol isolated from *Leptosphaeria dolium*

pp 492–495

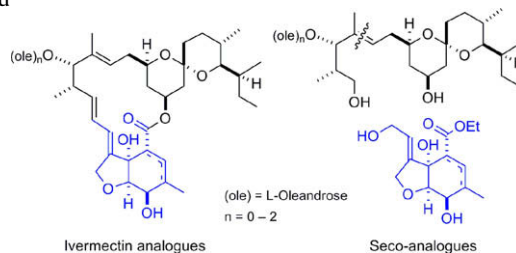
Takanori Murakami, Taro Tsushima, Noboru Takada, Kazuaki Tanaka, Ken-ichi Nihei, Tomisato Miura, Masaru Hashimoto*



Ivermectin-derived leishmanicidal compounds

pp 496–502

Anderson Rouge dos Santos, Camila Alves Bandeira Falcão, Michelle Frazão Muzitano, Carlos Roland Kaiser, Bartira Rossi-Bergmann, Jean-Pierre Férézou*



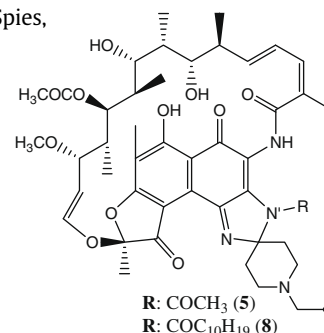
Ivermectin analogues and seco-analogues have been assayed against axenic promastigote and intracellular amastigote forms of *Leishmania amazonensis*. The importance of the disaccharide substituent for the anti-mastigote activity is demonstrated.

Synthesis and evaluation of rifabutin analogs against *Mycobacterium avium* and H₃₇Rv, MDR and NRP *Mycobacterium tuberculosis*

pp 503–511

Ricardo Figueiredo, Cristina Moiteiro, M. Augusta Medeiros, P. Almeida da Silva, D. Ramos, F. Spies, M. O. Ribeiro, M. Cristina S. Lourenço, I. N. Júnior, M. Manuela Gaspar, M. Eugénia M. Cruz, M. João Marcelo Curto, S. G. Franzblau, H. Orozco, D. Aguilar, R. Hernandez-Pando, M. Céu Costa*

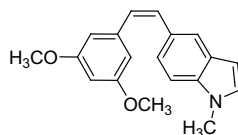
Analog **5** as drug candidates revealed lesser cytotoxicity, similar MICs, and higher CFU reduction in both susceptible (H₃₇Rv) and MDR *Mycobacterium tuberculosis* clinical isolates than the lead compound rifabutin.



Design, synthesis and biological evaluation of novel stilbene-based antitumor agents

pp 512–522

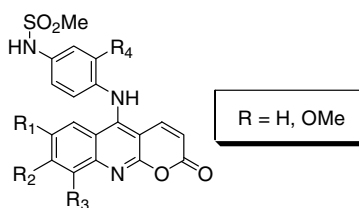
Daniele Simoni*, Francesco Paolo Invidiata, Marco Eleopra, Paolo Marchetti, Riccardo Rondanin, Riccardo Baruchello, Giuseppina Grisolia, Ashutosh Tripathi, Glen E. Kellogg, David Durrant, Ray M. Lee



Synthesis and antitumor activity of novel amsacrine analogs: The critical role of the acridine moiety in determining their biological activity

pp 523–529

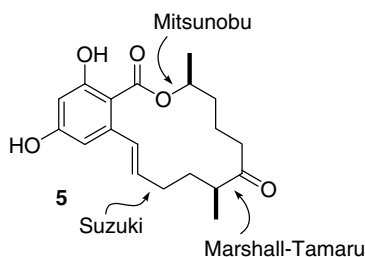
Adriana Chilin*, Giovanni Marzaro, Christine Marzano, Lisa Dalla Via, Maria Grazia Ferlin, Giovanni Pastorini, Adriano Guiotto



Discovery of a potent and selective inhibitor for human carbonyl reductase 1 from propionate scanning applied to the macrolide zearalenone

pp 530–536

Tobias J. Zimmermann, Frank H. Niesen, Ewa S. Pilka, Stefan Knapp, Udo Oppermann*, Martin E. Maier*



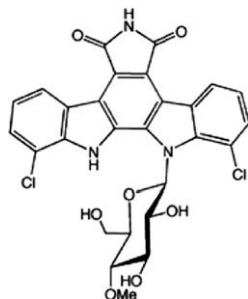
Zearalenone analogue **5** turned out to be an inhibitor of human carbonyl reductase 1 (CBR1).



A TOPological Sub-structural Molecular Design (TOPS-MODE)-QSAR approach for modeling the antiproliferative activity against murine leukemia tumor cell line (L1210)

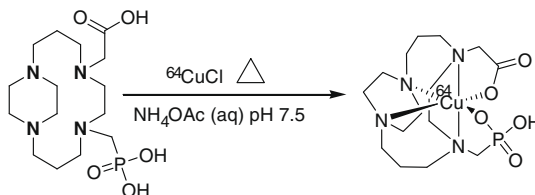
pp 537–547

Reinaldo Molina-Ruiz, Liane Saíz-Urra, J. E. Rodríguez-Borges, Yunierkis Pérez-Castillo, Maykel Pérez González, Xerardo García-Mera, M. Natália D. S. Cordeiro*


A novel side-bridged hybrid phosphonate/acetate pendant cyclam: Synthesis, characterization, and ^{64}Cu small animal PET imaging

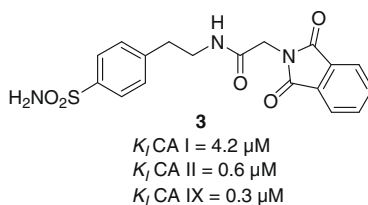
pp 548–552

C. Andrew Boswell, Celeste A. S. Regino, Kwamena E. Baidoo, Karen J. Wong, Diane E. Milenic, James A. Kelley, Christopher C. Lai, Martin W. Brechbiel*


Ligand-based and structure-based virtual screening to identify carbonic anhydrase IX inhibitors

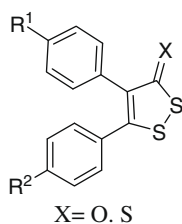
pp 553–557

Anne Thiry*, Marie Ledecq, Alessandro Cecchi, Raphael Frederick, Jean-Michel Dogné, Caudiu T. Supuran, Johan Wouters, Bernard Masereel


Diaryl-dithiolanes and -isothiazoles: COX-1/COX-2 and 5-LOX-inhibitory, OH scavenging and anti-adhesive activities

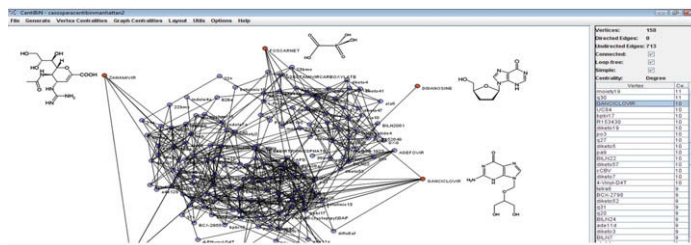
pp 558–568

Michael Scholz, Holger K. Ulbrich*, Oliver Soehnlein, Lennart Lindbom, Andreas Mattern, Gerd Dannhardt



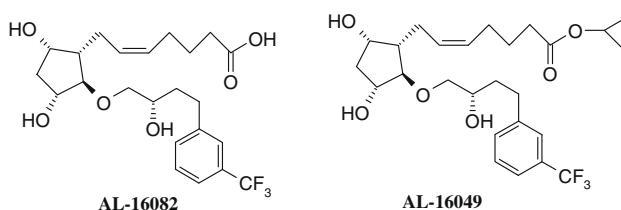
Unified QSAR approach to antimicrobials. 4. Multi-target QSAR modeling and comparative multi-distance study of the giant components of antiviral drug–drug complex networks pp 569–575

Francisco J. Prado-Prado, Octavio Martinez de la Vega, Eugenio Uriarte, Florencio M. Ubeira, Kuo-Chen Chou, Humberto González-Díaz *



Discovery of 13-oxa prostaglandin analogs as antiglaucoma agents: Synthesis and biological activity pp 576–584

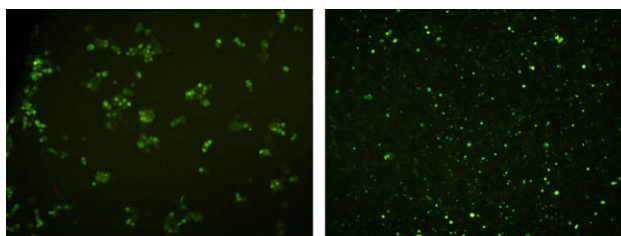
Zixia Feng *, Mark R. Hellberg, Najam A. Sharif, Marsha A. McLaughlin, Gary W. Williams, Daniel Scott, Tony Wallace



Novel 13-oxa prostaglandin analogs were designed, synthesized and evaluated for their antiglaucoma activities. **AL-16082** was the most potent prostaglandin FP agonist in vitro ($EC_{50}=1.9$ nM). Its pro-drug **AL-16049** significantly lowered intraocular pressure in the ocular hypertensive monkey eyes by 30% with low side-effects.

Thiazole Orange derivatives: Synthesis, fluorescence properties, and labeling cancer cells pp 585–591

Xuening Fei *, Yingchun Gu, Ying Ban, Zhijun Liu, Baolian Zhang



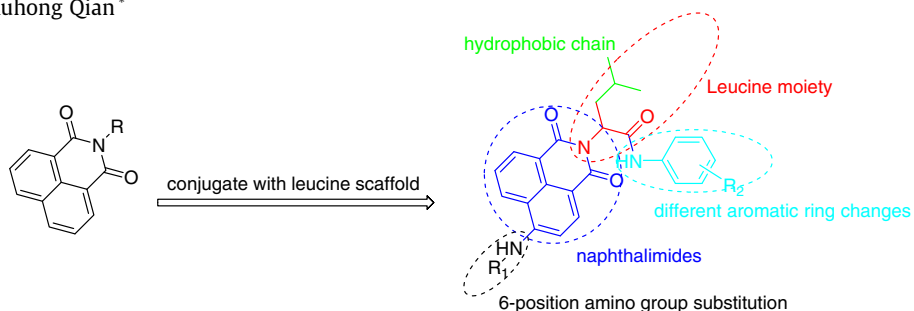
Micrographs of breast cancer cells labeled by TO-COOH.

Micrographs of breast cancer cells labeled by TO-COOH-CTS.

A series of Thiazole Orange (TO) derivatives were synthesized and modified. TO derivatives modified by folic acid were also studied and their preliminary labeling breast cancer cells was carried out.

Novel naphthalimide–amino acid conjugates with flexible leucine moiety as side chain: Design, synthesis and potential antitumor activity pp 592–599

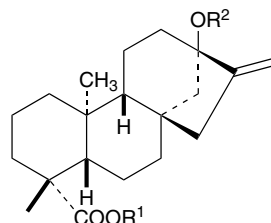
Aibin Wu, Yufang Xu, Xuhong Qian *



Cancer preventive agents. Part 8: Chemopreventive effects of stevioside and related compounds

pp 600–605

Midori Takasaki, Takao Konoshima, Mutsuo Kozuka, Harukuni Tokuda, Junko Takayasu, Hoyoku Nishino, Masazumi Miyakoshi, Kenji Mizutani, Kuo-Hsiung Lee *

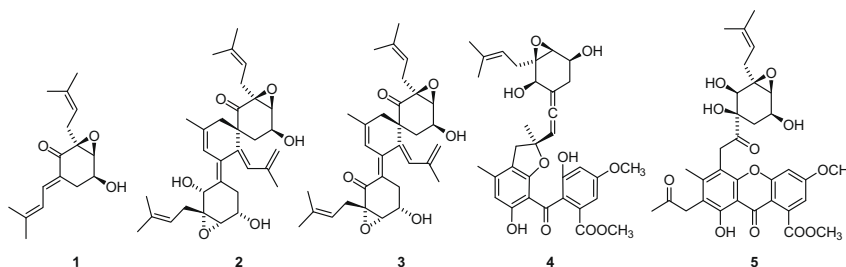


1: stevioside R¹ = Glc, R² = Glc²-Glc

Pestalofones A–E, bioactive cyclohexanone derivatives from the plant endophytic fungus *Pestalotiopsis fici*

pp 606–613

Ling Liu, Shuchun Liu, Xulin Chen, Liangdong Guo, Yongsheng Che *

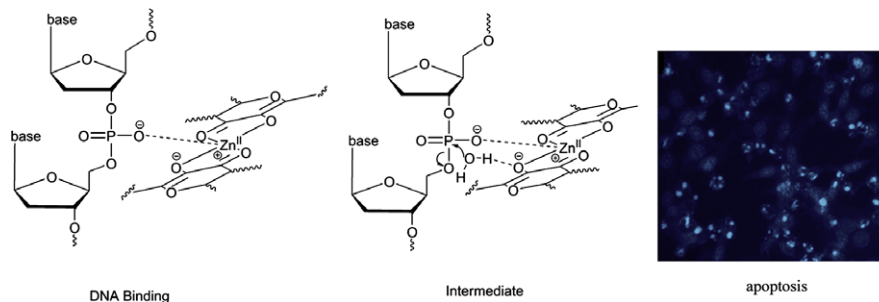


Pestalofones A–E (1–5), five new cyclohexanone derivatives, have been isolated from the plant endophyte *Pestalotiopsis fici* and evaluated for their anti-HIV-1 and antifungal activities.

**DNA binding, cytotoxicity, apoptotic inducing activity, and molecular modeling study of quercetin zinc(II) complex**

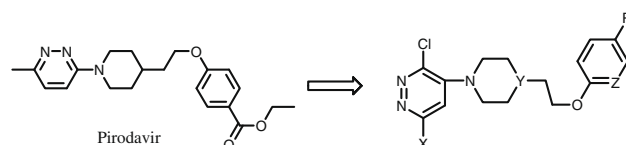
pp 614–620

Jun Tan *, Bochu Wang *, Liancai Zhu

**Synthesis and evaluation of novel chloropyridazine derivatives as potent human rhinovirus (HRV) capsid-binding inhibitors**

pp 621–624

Shi-Yong Fan, Zhi-Bing Zheng *, Chun-Lai Mi, Xin-Bo Zhou, Hui Yan, Ze-Hui Gong, Song Li

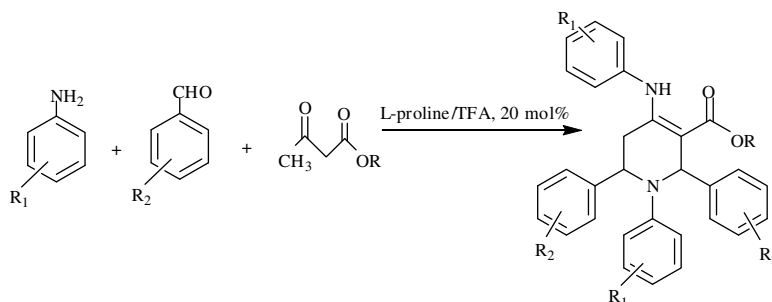


A series of novel chloropyridazine derivatives were synthesized as potent human rhinovirus capsid-binding inhibitors. The anti-HRV activity of them was evaluated in vitro by using cell culture cytopathic effect assays.

Organocatalyzed highly atom economic one pot synthesis of tetrahydropyridines as antimalarials

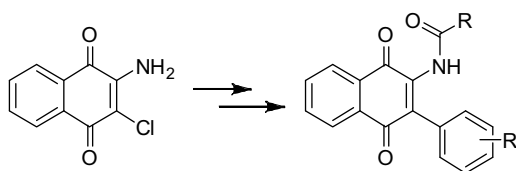
pp 625–633

Mridul Misra, Swaroop Kumar Pandey, Vivek Parashar Pandey, Jyoti Pandey, Renu Tripathi, Rama Pati Tripathi *

**Synthesis and evaluation of Hsp90 inhibitors that contain the 1,4-naphthoquinone scaffold**

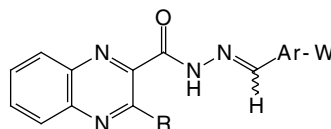
pp 634–640

M. Kyle Hadden, Stephanie A. Hill, Jason Davenport, Robert L. Matts, Brian S. J. Blagg *

**Synthesis, trypanocidal activity and docking studies of novel quinoxaline-*N*-acylhydrazones, designed as cruzain inhibitors candidates**

pp 641–652

Nelilma C. Romeiro, Gabriela Aguirre, Paola Hernández, Mercedes González, Hugo Cerecetto, Ignacio Aldana, Silvia Pérez-Silanes, Antonio Monge, Eliezer J. Barreiro, Lúcia M. Lima *



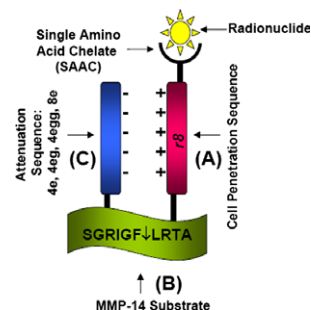
In this paper, we report the structural design, synthesis, trypanocidal activity and docking studies of novel quinoxaline-*N*-acylhydrazone (NAH) derivatives, planned as cruzain inhibitors candidates.

Development of an optimized activatable MMP-14 targeted SPECT imaging probe

pp 653–659

Gregory A. Watkins, Ella Fung Jones *, M. Scott Shell, Henry F. VanBrocklin, Mei-Hsiu Pan, Stephen M. Hanrahan, Jin Jin Feng, Jiang He, Nor Eddine Sounni, Ken A. Dill, Christopher H. Contag, Lisa M. Coussens, Benjamin L. Franc

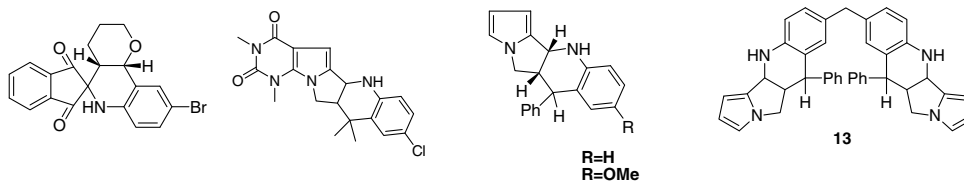
Design strategy combining computational chemistry, parallel synthesis and protease cleavage studies has yielded a promising MMP-14 sensitive probe for SPECT imaging.



Synthesis and antibacterial property of quinolines with potent DNA gyrase activity

pp 660–666

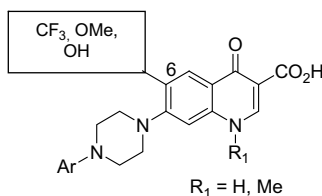
Ekambaram Ramesh, Rathna Durga R. S. Manian, Ragavachary Raghunathan*, Shilpakala Sainath, Malathi Raghunathan



Studies on anti-HIV quinolones: New insights on the C-6 position

pp 667–674

Serena Massari, Dirk Daelemans, Giuseppe Manfroni, Stefano Sabatini, Oriana Tabarrini*, Christophe Pannecouque, Violetta Cecchetti



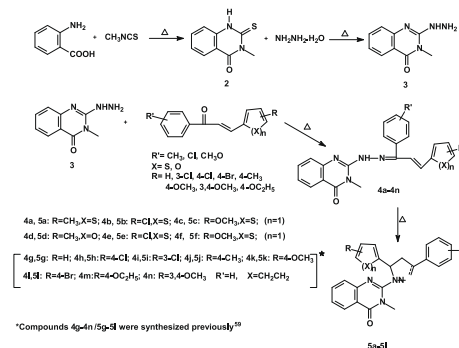
Groups with different chemical–physical properties have been explored to further investigate the role of the C-6 substituent in the anti-HIV activity/cytotoxicity of the quinolone derivatives.

New pyrazoline bearing 4(3H)-quinazolinone inhibitors of monoamine oxidase: Synthesis, biological evaluation, and structural determinants of MAO-A and MAO-B selectivity

pp 675–689

Nesrin Gökhan-Kelekçi*, Semra Koyunoğlu, Samiye Yabanoğlu, Kemal Yelekçi, Özen Özgen, Gülberk Uçar, Kevser Erol, Engin Kendi, Akgül Yeşilada

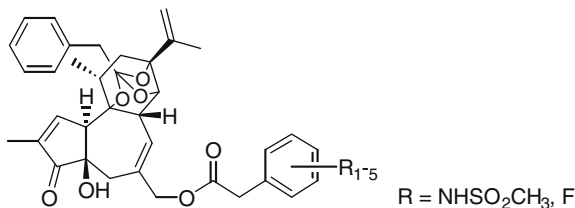
A new series of pyrazoline derivatives were prepared starting from quinazolinone ring and evaluated for antidepressant, anxiogenic and MAO-A and -B inhibitory activities by in vivo and in vitro tests, respectively. Enzyme–inhibitor molecular interaction was obtained by docking experiments with the monoamine oxidase-A and monoamine oxidase-B isoforms.



Non-vanillyl resiniferatoxin analogues as potent and metabolically stable transient receptor potential vanilloid 1 agonists

pp 690–698

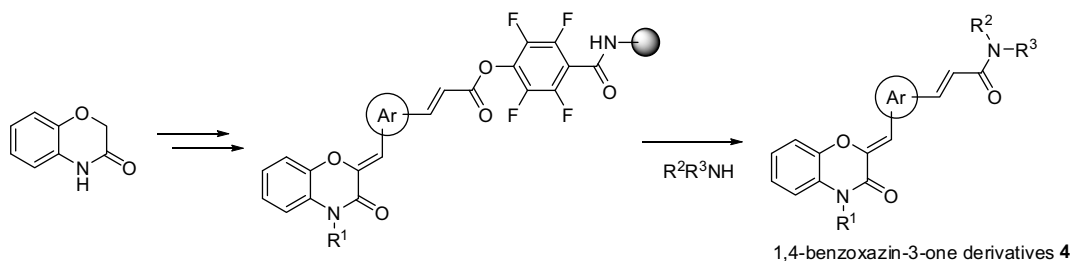
Hyun-Kyung Choi, Sun Choi, Yoonji Lee, Dong Wook Kang, HyungChul Ryu, Han-Joo Maeng, Suk-Jae Chung, Vladimir A. Pavlyukovets, Larry V. Pearce, Attila Toth, Richard Tran, Yun Wang, Matthew A. Morgan, Peter M. Blumberg, Jeewoo Lee*



Synthesis of novel 1,4-benzoxazin-3-one derivatives as inhibitors against tyrosine kinases

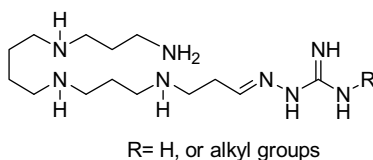
pp 699–708

Takahiro Honda *, Takahiro Terao, Hiroyuki Aono, Masakazu Ban

**Structure–activity relationships of lipopolysaccharide sequestration in guanylhyazone-bearing lipopolyamines**

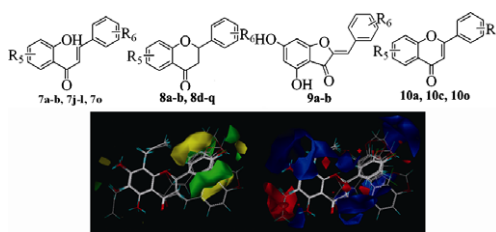
pp 709–715

Wenyan Wu, Diptesh Sil, Michal L. Szostak, Subbalakshmi S. Malladi, Hemamali J. Warshakoon, Matthew R. Kimbrell, Jens R. Cromer, Sunil A. David *

**Synthesis, biological evaluation and quantitative structure-activities relationship of flavonoids as vasorelaxant agents**

pp 716–726

Xiaowu Dong, Tao Liu, Jingying Yan, Peng Wu, Jing Chen, Yongzhou Hu *

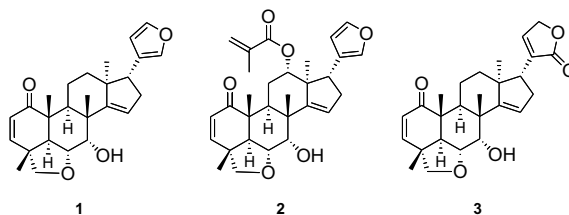


A series of flavonoid derivatives were designed, synthesized as vasorelaxant agents. Some were found to possess potent vasorelaxant activity. CoMFA analysis was carried out, and a statistically reliable QSAR model ($r^2=0.872$ and $q^2=0.496$) was established.

Ceramicines B–D, new antiplasmodial limonoids from *Chisocheton ceramicus*

pp 727–730

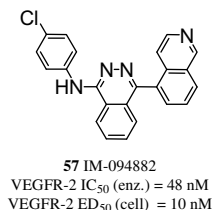
Khalit Mohamad, Yusuke Hirasawa, Marc Litaudon, Khalijah Awang, A. Hamid A. Hadi, Koichi Takeya, Wiwied Ekasari, Aty Widyawaruyanti, Noor Cholies Zaini, Hiroshi Morita *



Arylphthalazines as potent, and orally bioavailable inhibitors of VEGFR-2

pp 731–740

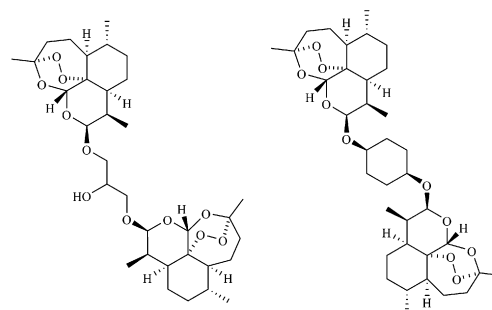
Matthew A. J. Duncton^{*}, Eugene L. Piatnitski Chekler, Reeti Katoch-Rouse, Dan Sherman, Wai C. Wong, Leon M. Smith II, Joel K. Kawakami, Alexander S. Kiselyov, Daniel L. Milligan, Chris Balagtas, Yaron R. Hadari, Ying Wang, Sheetal N. Patel, Robin L. Rolster, James R. Tonra, David Surguladze, Stan Mitelman, Paul Kussie, Peter Bohlen, Jacqueline F. Doody

**Synthesis and evaluation of dihydroartemisinin and dihydroartemisitenone acetal dimers showing anticancer and antiprotozoal activity**

pp 741–751

Ahmed M. Galal^{*}, Waseem Gul, Desmond Slade, Samir A. Ross, Shixia Feng, Melinda G. Hollingshead, Michael C. Alley, Gurmeet Kaur, Mahmoud A. ElSohly

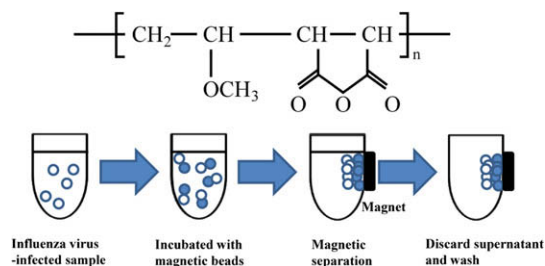
Twelve artemisinin acetal dimers were synthesized and tested for anticancer, antiangiogenesis and antiprotozoal activities. Full spectroscopic data are given for the title compounds.

**Anionic polymer, poly(methyl vinyl ether–maleic anhydride)-coated beads-based capture of human influenza A and B virus**

pp 752–757

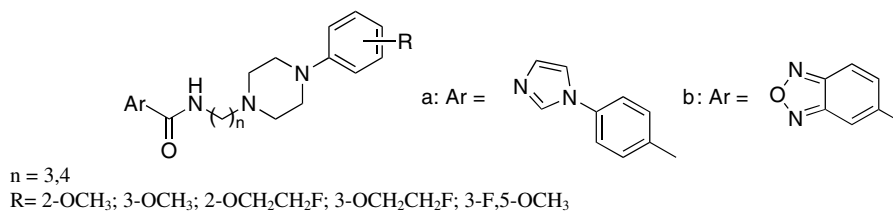
Akikazu Sakudo^{*}, Koichi Baba, Megumi Tsukamoto, Atsuko Sugimoto, Takashi Okada, Takanori Kobayashi, Norihito Kawashita, Tatsuya Takagi, Kazuyoshi Ikuta

Poly(methyl vinyl ether–maleic anhydride) [poly(MVE-MA)] is an anionic and bioadhesive polymer. Poly(MVE-MA) in water forms highly polar polymeric free acids, which are highly negatively charged. Coating magnetic beads with poly(MVE-MA) facilitated the capture and concentration of human influenza viruses.

**Design, synthesis, and binding affinities of potential positron emission tomography (PET) ligands with optimal lipophilicity for brain imaging of the dopamine D₃ receptor. Part II**

pp 758–766

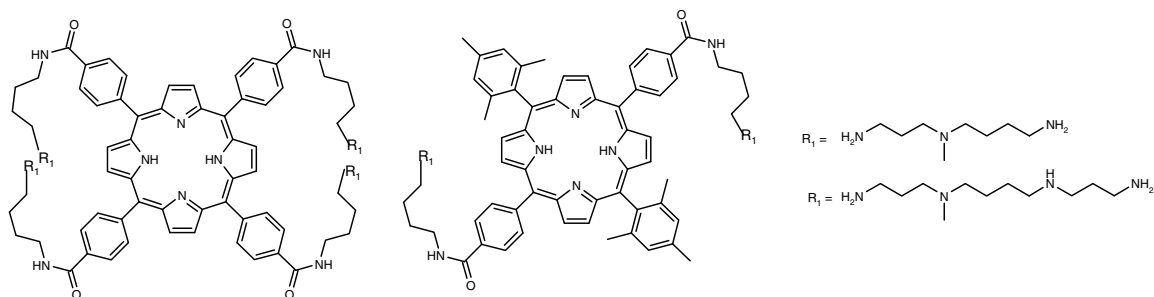
Marcello Leopoldo^{*}, Enza Lacivita, Paola De Giorgio, Marialessandra Contino, Francesco Berardi, Roberto Perrone



DNA photocleavage by porphyrin–polyamine conjugates

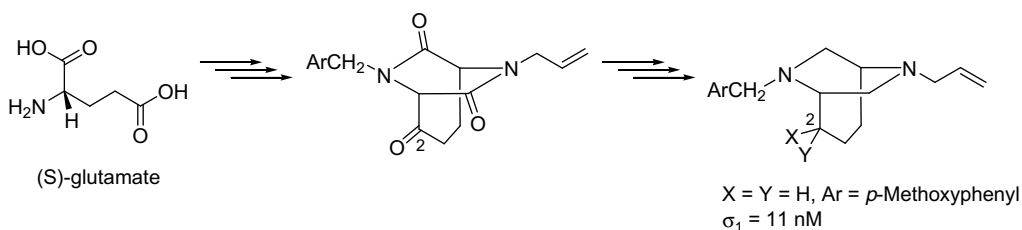
pp 767–776

Guillaume Garcia, Vincent Sarrazy, Vincent Sol, Caroline Le Morvan*, Robert Granet, Sandra Alves, Pierre Krausz

**Relationships between the structure of 6-allyl-6,8-diazabicyclo[3. 2. 2]nonane derivatives and their σ receptor affinity and cytotoxic activity**

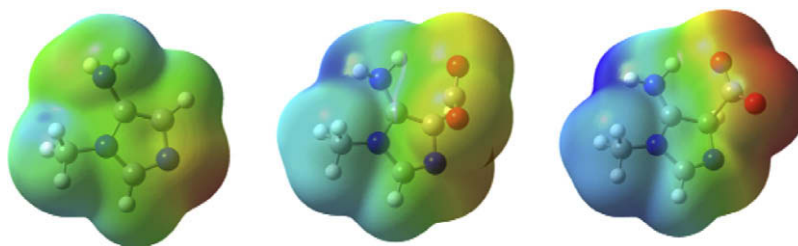
pp 777–793

Ralph Holl, Dirk Schepmann, Renate Grünert, Patrick J. Bednarski, Bernhard Wünsch*

**Interrogating the mechanism of a tight binding inhibitor of AIR carboxylase**

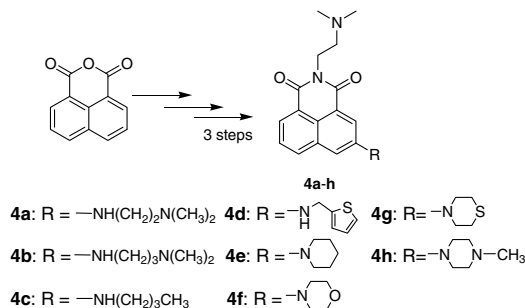
pp 794–803

Steven M. Firestine*, Weidong Wu, Hasik Youn, V. Jo Davisson

**Synthesis of new amonafide analogues via coupling reaction and their cytotoxic evaluation and DNA-binding studies**

pp 804–810

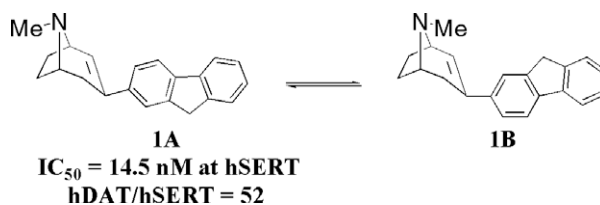
Lijuan Xie, Yufang Xu, Fang Wang, Jianwen Liu, Xuhong Qian*, Jingnan Cui*



The stereochemistry of *N*-methyl and aryl substituents determine the biological activities of 3-aryl-8-methyl-8-azabicyclo[3. 2. 1]oct-2,3-enes

pp 811–819

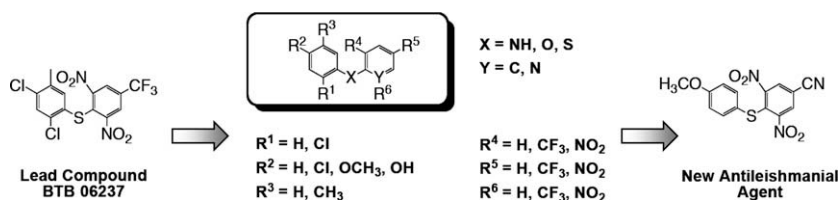
Aleksj Krunic, Dahua Pan, William J. Dunn III, S. V. Santhana Mariappan*



Redox-active dinitrodiphenylthioethers against *Leishmania*: Synthesis, structure–activity relationships and mechanism of action studies

pp 820–829

Dawn A. Delfin*, Rachel E. Morgan, Xiaohua Zhu, Karl A. Werbovetz

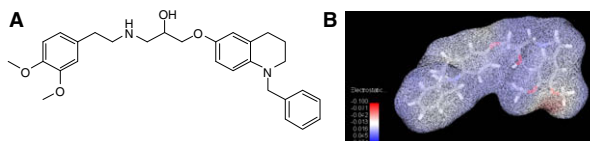


Analogs of BTB-06237, previously identified by molecular modeling and possessing potent activity against *Leishmania donovani*, were synthesized and evaluated. Several compounds displayed antileishmanial potency similar to that of the lead compound. The mechanism of action appears to involve the generation of reactive oxygen species.

Substituted 1,2,3,4-tetrahydroquinolin-6-yloxypropanes as β_3 -adrenergic receptor agonists: Design, synthesis, biological evaluation and pharmacophore modeling

pp 830–847

Neeraj Shakya, Kuldeep K. Roy, Anil K. Saxena*



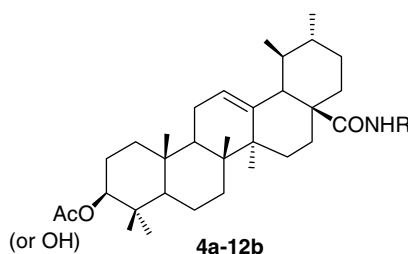
In search of potent β_3 -adrenergic receptor agonists, a series of novel substituted 1,2,3,4-tetrahydroquinolin-6-yloxypropanes has been synthesized and evaluated for their β_3 -adrenergic receptor agonistic activity (ranging from –17.73% to 90.64% inhibition at 10 μ M) using well established Human SK-N-MC neuroblastoma cells model. Four molecules viz. **11**, **15**, **22** and **23** showed β_3 -AR agonistic IC₅₀ value of 0.55, 0.59, 1.18 and 1.76 μ M, respectively. These four candidates have been identified as possible leads for further development of β_3 -adrenergic receptor agonists for obesity and Type-II diabetes pharmacotherapy. The free OH and NH functions are found to be essential for β_3 -adrenergic receptor agonistic activity. Among the synthesized β_3 -adrenergic receptor agonists having 1,2,3,4-tetrahydroquinoline scaffold, the *N*-benzyl group is found to be superior over *N*-arylsulfonyl group. A putative pharmacophore model has been modeled considering the above four active molecules which distinguishes well between the active and inactive molecules.



The synthesis of ursolic acid derivatives with cytotoxic activity and the investigation of their preliminary mechanism of action

pp 848–854

Yan-Qiu Meng*, Dan Liu, Ling-Li Cai, Hong Chen, Bo Cao, Yi-Zheng Wang



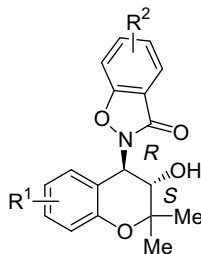
The synthesis of ursolic acid derivatives with cytotoxic activity and the investigation of their inducing apoptosis and affecting cell cycle distribution are reported.



Discovery and structure–activity relationships of a novel series of benzopyran-based K_{ATP} openers for urge urinary incontinence

pp 855–866

Xuqing Zhang*, Yuhong Qiu, Xiaojie Li, Sheela Bhattacharjee, Morgan Woods, Patricia Kraft, Scott G. Lundeen, Zhijia Sui

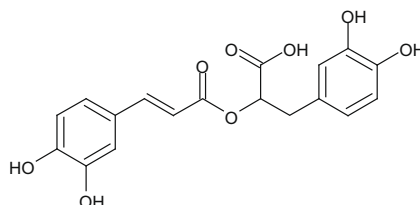


A novel series of benzopyran derivatives were synthesized and evaluated as K_{ATP} channel openers. The lead compound is a potent and selective K_{ATP} channel opener in vitro. In two anesthetized rat models of myogenic bladder overactivity, it is found to inhibit spontaneous bladder contractions.

Acetylcholinesterase inhibitory guided fractionation of *Melissa officinalis* L.

pp 867–871

Keyvan Dastmalchi, Velimatti Ollilainen, Petri Lackman, Gustav Boije af Gennäs, H. J. Damien Dorman*, Päivi P. Järvinen, Jari Yli-Kauhaluoma, Raimo Hiltunen



Rosmarinic acid

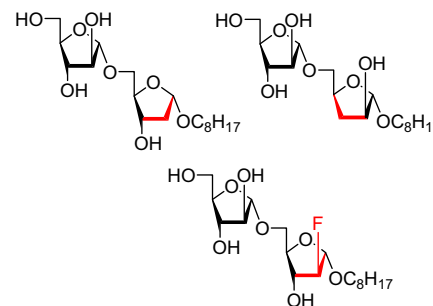
Acetylcholinesterase guided fractionation of *Melissa officinalis* L. was carried out and the contents of the most potent fraction were identified as *cis*- and *trans*-rosmarinic acids and a derivative of rosmarinic acid.

Synthesis of deoxygenated $\alpha(1\rightarrow5)$ -linked arabinofuranose disaccharides as substrates and inhibitors of arabinosyltransferases of *Mycobacterium tuberculosis*

pp 872–881

Ashish K. Pathak, Vibha Pathak, William J. Suling, James R. Riordan, Sudagar S. Gurchar, Gurdial S. Besra, Robert C. Reynolds*

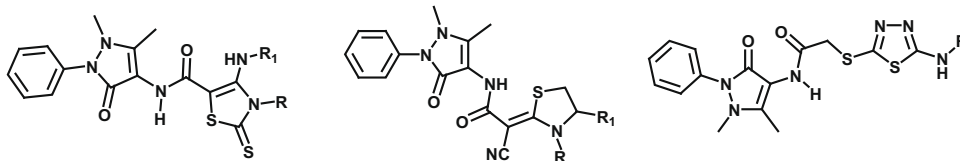
Three new deoxygenated Araf disaccharides were prepared and screened as substrates and competitive inhibitors in a MTB arabinosyltransferase assay.



Design and synthesis of some thiazolyl and thiadiazolyl derivatives of antipyrene as potential non-acidic anti-inflammatory, analgesic and antimicrobial agents

pp 882–895

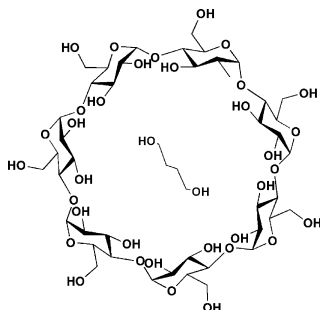
Sherif A. F. Rostom*, Ibrahim M. El-Ashmawy, Heba A. Abd El Razik, Mona H. Badr, Hayam M. A. Ashour



The synthesis of two groups of structure hybrids comprising basically the antipyrene moiety attached to either polysubstituted thiazole or 2,5-disubstituted-1,3,4-thiadiazole counterparts through various linkages is described. Twelve compounds were evaluated for their anti-inflammatory activity, ulcerogenic effects and acute toxicity. The analgesic activity of the same compounds was also evaluated. Additionally, their in vitro antimicrobial activity was evaluated. In general, compounds belonging to the thiazolylantipyrene series exhibited better biological activities than their thiadiazolyl structure variants. Some compounds displayed remarkable anti-inflammatory and analgesic profiles with a fast onset of action together with a super GI safety profile and safety margin. Meanwhile, some compounds exhibited broad spectrum antimicrobial activity. Compound **10** could be identified as the most biologically active member within this study with an interesting dual anti-inflammatory analgesic and antibacterial profile.

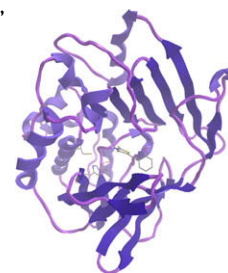
Convenient QSAR model for predicting the complexation of structurally diverse compounds with β -cyclodextrins**pp 896–904**

Alfonso Pérez-Garrido*, Aliuska Morales Helguera, Adela Abellán Guillén, M. Natália D. S. Cordeiro, Amalio Garrido Escudero

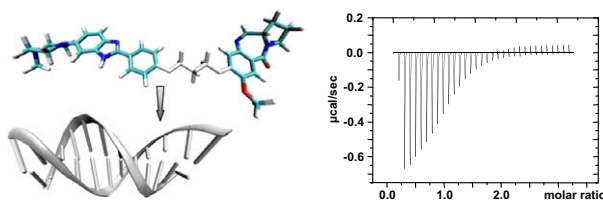
**Selective small molecule inhibitors of the potential breast cancer marker, human arylamine *N*-acetyltransferase 1, and its murine homologue, mouse arylamine *N*-acetyltransferase 2****pp 905–918**

Angela J. Russell, Isaac M. Westwood, Matthew H. J. Crawford, James Robinson, Akane Kawamura, Christina Redfield, Nicola Laurieri, Edward D. Lowe, Stephen G. Davies, Edith Sim*

The identification, synthesis and evaluation of a series of rhodanine and thiazolidin-2,4-dione derivatives as selective inhibitors of human arylamine *N*-acetyltransferase 1 and mouse arylamine *N*-acetyltransferase 2 is described.

**Spectroscopic and calorimetric studies on the DNA recognition of pyrrolo[2,1-*c*][1,4]benzodiazepine hybrids****pp 919–928**

Michael Rettig, Ahmed Kamal, R. Ramu, Judith Mikolajczak, Klaus Weisz*

**OTHER CONTENTS****Corrigendum****p 929****Retraction notice****p 930****Bioorganic & Medicinal Chemistry Reviews and Perspective****pp 931–933****Instructions to contributors****p I**

*Corresponding author

* Supplementary data available via ScienceDirect

COVER

Histone acetylation plays an important role in the regulation of gene transcription. Chromatin with a low histone acetylation level is condensed due to charge-charge interactions between the positively charged histones and the negatively charged DNA. Acetylation reduces the charge-charge interactions between the histones and the DNA and results in relaxation of the chromatin and activation of gene transcription. Recent discoveries indicate that multiple subtypes of histone acetyl transferases exist. Small molecule inhibitors of histone acetyl transferases provide tools for pharmacological studies and ultimately provide starting points for drug discovery. This issue reports studies by Dekker *et al.* and Gorsuch *et al.* on histone acetyl transferase inhibitors with an isothiazolones core structure. [Dekker, F. J.; Ghizzoni, M.; van der Meer, N.; Wisastra, R.; Haisma, H. J. *Bioorg. Med. Chem.* **2009**, *17*, 459; Gorsuch, S.; Bavetsias, V.; Rowlands, M. G.; Aherne, G. W.; Workman, P.; Jarman, M.; McDonald, E. *Bioorg. Med. Chem.* **2009**, *17*, 466.]

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ISSN 0968-0896