

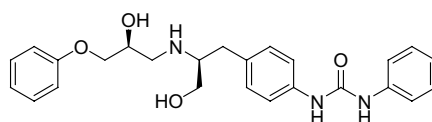
Contents

COMMUNICATIONS

Discovery of a novel, potent and selective human β_3 -adrenergic receptor agonist

pp 251–254

Yutaka Nakajima,* Hitoshi Hamashima, Ken-Ichi Washizuka, Yasuyo Tomishima, Hiroaki Ohtake, Emiko Imamura, Toshiko Miura, Hiroshi Kayakiri and Masayuki Kato



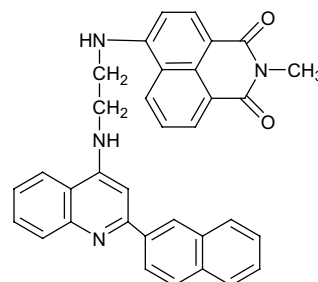
Design and structure–activity relationships of a novel β_3 -adrenergic receptor agonist are described.

A novel fluorescent sensor for triplex DNA

pp 255–257

Erhu Lu, Xiaojun Peng,* Fengling Song and Jiangli Fan

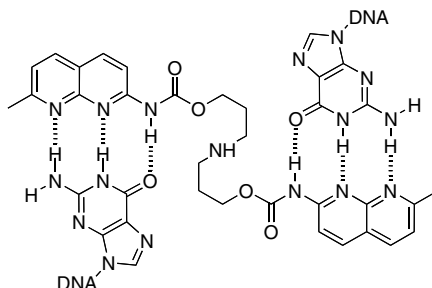
A novel triplex DNA fluorescent sensor which takes 4-aminonaphthalimide as a reporting group and a triplex-select intercalator as a recognizing group has been synthesized. The results show that the fluorescence of the sensor increases greatly upon addition of T·AT triplex, but the response to single strand DNA and duplex DNA is weak in PIPES 20 buffer (pH7.0).



A new ligand binding to G–G mismatch having improved thermal and alkaline stability

pp 259–262

Tao Peng, Takashi Murase, Yuki Goto, Akio Kobori and Kazuhiko Nakatani*

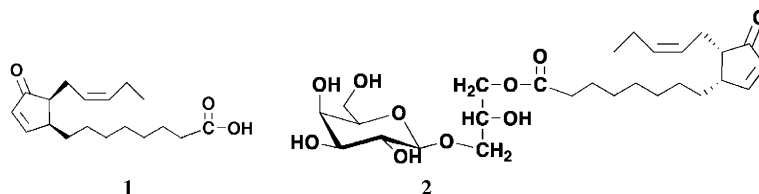


A new ligand possessing 2-amino-1,8-naphthyridines and a carbamate linker strongly binds to G–G mismatch in DNA.

Isolation and stomatal opening activity of two oxylipins from *Ipomoea tricolor*

pp 263–265

Teruhisa Ohashi, Yoshinori Ito, Masahiro Okada and Youji Sakagami*

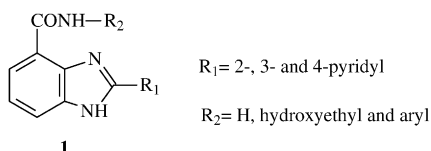


Two oxylipins, *cis*-12-oxophytodienoic acid (**1**) and a novel monogalactosylmonoacylglyceride (**2**), that acted as inducers of stomatal opening were isolated.

Synthesis and antiviral activity against Coxsackie virus B3 of some novel benzimidazole derivatives

pp 267–269

Jun Cheng, Jiangtao Xie and Xianjin Luo*



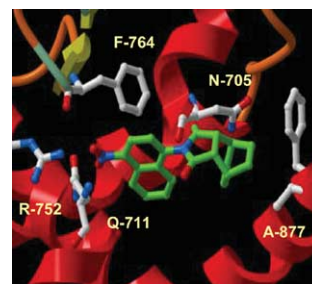
Some benzimidazole derivatives were synthesized and the antiviral evaluation against Coxsackie virus B₃ is reported. These compounds are proved to be excellent inhibitors of CVB₃.

Structure based approach to the design of bicyclic-1*H*-isoindole-1,3(2*H*)-dione based androgen receptor antagonists

pp 271–276

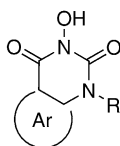
Mark E. Salvati,* Aaron Balog, Weifang Shan, Donna D. Wei, Dacia Pickering, Ricardo M. Attar, Jieping Geng, Cheryl A. Rizzo, Marco M. Gottardis, Roberto Weinmann, Stanley R. Krystek, John Sack, Yongmi An and Kevin Kish

A novel series of isoindole-1,3-dione based compounds were identified as potent antagonists of the androgen receptor (AR). Co-crystallization of members of this family of inhibitors was successfully accomplished with the T877A AR LBD. A working model of how this class of compounds functions to antagonize the AR was created. Based on this model, it was proposed that expanding the bicyclic portion of the molecule should result in analogs which function as effective antagonists to a variety of AR isoforms. In contrast to what was predicted by the model, SAR around this new series was dictated by the aniline portion rather than the bicyclic portion of the molecule.

**The identification and optimization of a N-hydroxy urea series of flap endonuclease 1 inhibitors**

pp 277–281

L. Nathan Tumey,* David Bom, Bayard Huck, Elizabeth Gleason, Jianmin Wang, Daniel Silver, Kurt Brunden, Sherry Boozer, Stephen Rundlett, Bruce Sherf, Steven Murphy, Tom Dent, Christina Leventhal, Andrew Bailey, John Harrington and Youssef L. Bennani

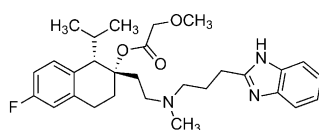


We describe the identification and SAR of a series of low nanomolar inhibitors of FEN1, an enzyme involved in DNA repair pathways. These inhibitors have been shown to sensitize certain cell lines to DNA damage.

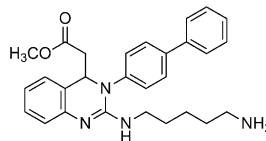
Synthesis and biological activity of 3,4-dihydroquinazolines for selective T-type Ca^{2+} channel blockers

pp 283–286

Hyewhon Rhim, Yong Sup Lee, Seong Jun Park, Bong Young Chung and Jae Yeol Lee*



mibefradil ($\text{IC}_{50} = 1.34 \pm 0.49 \mu\text{M}$)*
*against T-type Ca_2^+ Channel

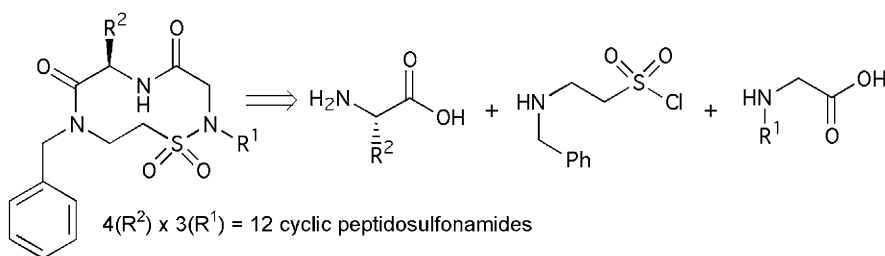


5b (KYS05044); $\text{IC}_{50} = 0.56 \pm 0.10 \mu\text{M}$ *)

Synthesis of cyclic peptidosulfonamides as scaffolds for MC4 pharmacophoric groups

pp 287–290

Bas Wels, John A. W. Kruijtzter, Keith M. Garner, Roger A. H. Adan and Rob M. J. Liskamp*

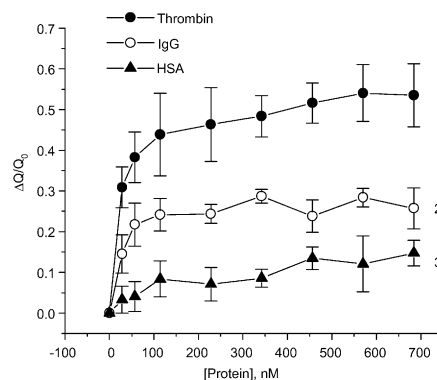


Detection of aptamer–protein interactions using QCM and electrochemical indicator methods

pp 291–295

Tibor Hianik,* Veronika Ostatná, Zuzana Zajacová, Ekaterina Stoikova and Gennady Evtugyn

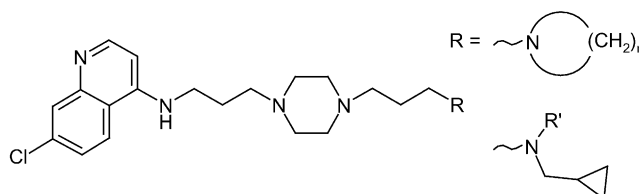
Electrochemical indicator methylene blue and differential pulse voltammetry allowing to determine charge transfer from electrode surface to the thrombin bounded on a DNA aptamer and thus to detect thrombin (1) with high selectivity in comparison with nonspecific binding caused by human IgG (2) or human serum albumin (HSA) (3).



Synthesis and antimalarial evaluation of new N^1 -(7-chloro-4-quinolyl)-1,4-bis(3-aminopropyl)-piperazine derivatives

pp 297–302

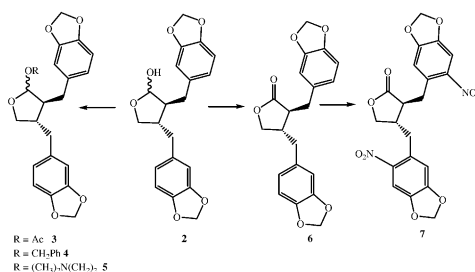
Adina Ryckebusch, Marie-Ange Debreu-Fontaine, Elisabeth Mouray, Philippe Grellier, Christian Sergheraert and Patricia Melnyk*



Trypanocidal activity of (–)-cubebin derivatives against free amastigote forms of *Trypanosoma cruzi* pp 303–307

Vanessa A. de Souza, Rosangela da Silva, Ana C. Pereira, Vanessa de A. Royo, Juliana Saraiva, Marisa Montanheiro, Gustavo H. B. de Souza, Ademar A. da Silva Filho, Marcella D. Grando, Paulo M. Donate, Jairo K. Bastos, Sérgio Albuquerque and Márcio L. A. e Silva*

The trypanocidal activities of five lignan compounds obtained by partial synthesis from (–)-cubebin (**2**), which was isolated from the seeds of *Piper cubeba*, were evaluated against free amastigote forms of *Trypanosoma cruzi*.



Structure–antioxidant activity relationships of flavonoids isolated from the resinous exudate of *Heliotropium sinuatum* pp 309–312

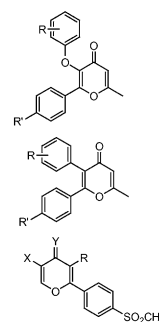
Brenda Modak,* M. Leonor Contreras, Fernando González-Nilo and René Torres

Relationships between the structural characteristics of flavonoids isolated from the resinous exudate of *Heliotropium sinuatum* and their antioxidant activity were studied.

QSAR studies on structurally similar 2-(4-methanesulfonylphenyl)pyran-4-ones as selective COX-2 inhibitors: a Hansch approach pp 313–320

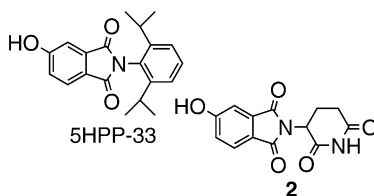
S. Prasanna,* E. Manivannan and S. C. Chaturvedi

QSAR analysis has been consummated on two recently reported novel series of 2,3-diaryl pyran derivatives with 2-(4-methanesulfonylphenyl)pyran-4-ones as a common template to discern the physicochemical and structural stipulations for selective COX-2 inhibition.



Tubulin-polymerization inhibitors derived from thalidomide pp 321–325

Shunsuke Inatsuki, Tomomi Noguchi, Hiroyuki Miyachi, Sawako Oda, Toyotaka Iguchi, Masahiro Kizaki, Yuichi Hashimoto and Hisayoshi Kobayashi*

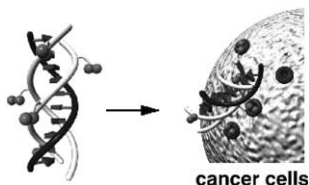


2-(2,6-Diisopropylphenyl)-5-hydroxy-1*H*-isoindole-1,3-dione (5HPP-33), has potent tubulin-polymerization-inhibiting activity. A metabolite of thalidomide, 5-hydroxythalidomide (**2**) also showed moderate inhibitory activity.

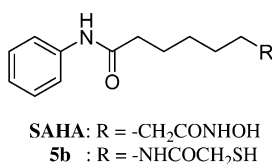
Schizophyllan–folate conjugate as a new non-cytotoxic and cancer-targeted antisense carrier

pp 327–330

Teruaki Hasegawa, Tomohisa Fujisawa, Shuichi Haraguchi, Munenori Numata, Ryouji Karinaga, Taro Kimura, Shiro Okumura, Kazuo Sakurai and Seiji Shinkai*

**Identification of a potent non-hydroxamate histone deacetylase inhibitor by mechanism-based drug design** pp 331–335

Takayoshi Suzuki,* Azusa Matsuura, Akiyasu Kouketsu, Hidehiko Nakagawa and Naoki Miyata*



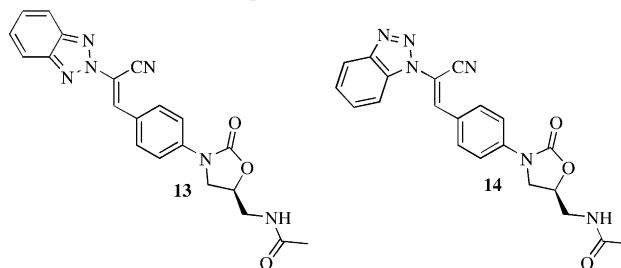
In order to find novel non-hydroxamate histone deacetylase (HDAC) inhibitors, we synthesized several suberoylanilide hydroxamic acid (SAHA)-based compounds designed on the basis of the catalytic mechanism of HDACs. Among these compounds, mercaptoacetamide **5b** was found to be as potent as SAHA. Kinetic enzyme assays and molecular modeling are also reported.

Effects of positional and geometrical isomerism on the biological activity of some novel oxazolidinones

pp 337–343

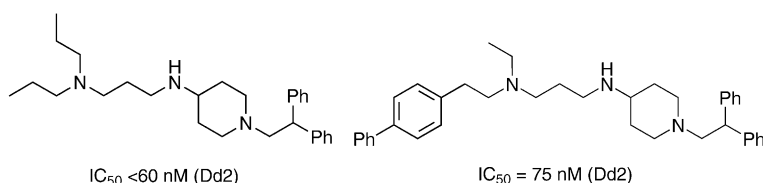
Jagattaran Das,* C. V. Laxman Rao, T. V. R. S. Sastry, M. Roshaiiah, P. Gowri Sankar, Abdul Khadeer, M. Sitaram Kumar, Arundhuti Mallik, N. Selvakumar, Javed Iqbal and Sanjay Trehan

Some novel oxazolidinone derivatives have been synthesized and tested for antibacterial activity. Compound **13** was found to be active against Gram-positive pathogens whereas compound **14** was less active. Either less active or inactive molecules were obtained, when benzotriazole was replaced with benzimidazole, benzthiazole, or benzoxazole. However, thioacetamide analogue of **13** produced a potent molecule similar to linezolid in vitro.

**Potent 4-aminopiperidine based antimalarial agents**

pp 345–348

Kristin M. Brinner, Mary Ann Powles, Dennis M. Schmatz and Jonathan A. Ellman*

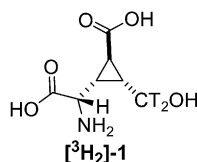


This paper describes potent antimalarial compounds effective against a multi-drug-resistant strain of *P. falciparum* (Dd2) with minimized toxicity, acceptable log *D* values, and stability to hepatocytic metabolism.

(2*S*,1'*S*,2'*R*,3'*R*)-2(2'-Carboxy-3'-hydroxymethylcyclopropyl)glycine-[³H], a potent and selective radioligand for labeling group 2 and 3 metabotropic glutamate receptors

pp 349–351

William J. Wheeler,* Dean K. Clodfelter, Palaniappan Kulanthaivel, Concepcion Pedregal, Eli A. Stoddard, Rebecca A. Wright and Darryle D. Schoepp



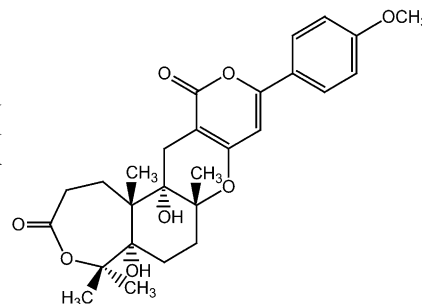
The synthesis of a radiolabeled ligand ([³H₂-1]) and its use in the labeling of mGlu8 receptors is reported.

Isoterreulactone A, a novel meroterpenoid with anti-acetylcholinesterase activity produced by *Aspergillus terreus*

pp 353–356

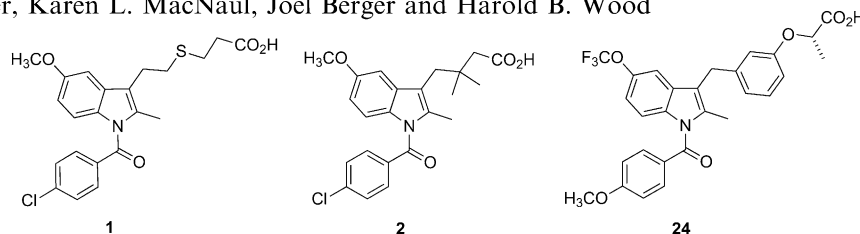
Ick-Dong Yoo, Kyung-Mi Cho, Chong-Kil Lee and Won-Gon Kim*

A new seven-membered lactone type meroterpenoid, isoterreulactone A, was isolated from the solid state fermentation of *Aspergillus terreus* and its structure was established by various spectral analysis. Isoterreulactone A inhibited acetylcholinesterase with an IC₅₀ value of 2.5 μM while did not inhibit butyrylcholinesterase even at 500 μM.

**Benzoyl 2-methyl indoles as selective PPARγ modulators**

pp 357–362

John J. Acton, III,* Regina M. Black, A. Brian Jones, David E. Moller, Lawrence Colwell, Thomas W. Doebber, Karen L. MacNaul, Joel Berger and Harold B. Wood

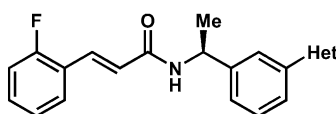


A series of selective PPARγ modulators (SPPARγMs) and their development from hPPARγ active screening leads **1** and **2** is described. SPPARγM **24** displayed robust anti-diabetic activity with an improved therapeutic window in comparison to a PPARγ full agonist in a rodent efficacy model.

(*S,E*)-*N*-[1-(3-Heteroarylphenyl)ethyl]-3-(2-fluorophenyl)acrylamides: synthesis and KCNQ2 potassium channel opener activity

pp 363–366

Alexandre L'Heureux, Alain Martel, Huan He, Jie Chen, Li-Qiang Sun, John E. Starrett, Jr., Joanne Natale, Steven I. Dworetzky, Ronald J. Knox, David G. Harden, David Weaver, Mark W. Thompson and Yong-Jin Wu*

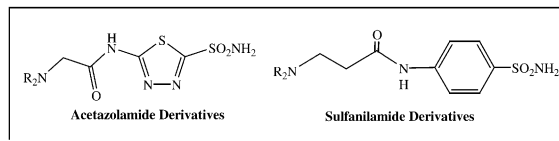


The synthesis and the KCNQ2 opener activity of a novel series of acrylamides are described.

Carbonic anhydrase inhibitors. Novel sulfanilamide/acetazolamide derivatives obtained by the tail approach and their interaction with the cytosolic isozymes I and II, and the tumor-associated isozyme IX

pp 367–372

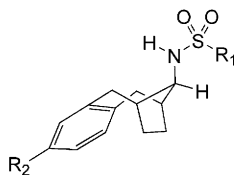
Hasan Turkmen,* Mustafa Durgun, Serpil Yilmaztekin, Mahmut Emul, Alessio Innocenti, Daniela Vullo, Andrea Scozzafava and Claudiu T. Supuran*



A novel series of potent γ -secretase inhibitors based on a benzobicyclo[4.2.1]nonane core

pp 373–378

Stephen J. Lewis,* Adrian L. Smith, Joseph G. Neduvilil, Graeme I. Stevenson, Matthew J. Lindon, A. Brian Jones, Mark S. Shearman, Dirk Beher, Earl Clarke, Jonathan D. Best, James E. Peachey, Timothy Harrison and J. Luis Castro

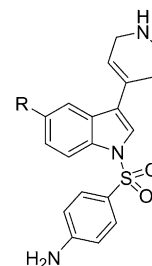


N_1 -arylsulfonyl-3-(1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indole derivatives are potent and selective 5-HT₆ receptor antagonists

pp 379–383

Derek C. Cole,* John W. Ellingboe, William J. Lennox, Hossein Mazandarani, Deborah L. Smith, Joseph R. Stock, Guoming Zhang, Ping Zhou and Lee E. Schechter

The development of a series of N_1 -sulfonyl-3-(1,2,3,6-tetrahydropyridin-4-yl)indole 5-HT₆ antagonists is described. Two analogs, **15g** and **15y**, had 0.4 and 3.0 nM affinity, and antagonized the production of adenylate cyclase at sub-nanomolar concentrations.

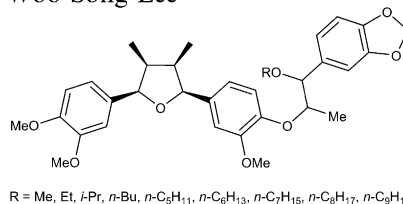


15g: R = H; **15y**: R = OMe

Saucerneol B derivatives as human acyl-CoA: cholesterol acyltransferase inhibitors

pp 385–388

Tae-Sook Jeong, Kyung Soon Kim, Hana Yu, Mi Jeong Kim, Kyung-Hyun Cho, Yang-Kyu Choi, Hyoun-Chin Kim, Ho-Yong Park and Woo Song Lee*



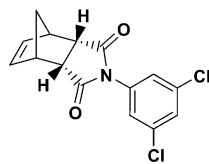
R = Me, Et, *i*-Pr, *n*-Bu, *n*-C₅H₁₁, *n*-C₆H₁₃, *n*-C₇H₁₅, *n*-C₈H₁₇, *n*-C₉H₁₉

A series of saucerneol B derivatives **2a–i** were prepared for evaluating their acyl-CoA: cholesterol acyltransferase inhibitory activities. Compounds **2a–g** showed more specificity of hACAT-1 than hACAT-2. Saucerneol B (**1**) exhibited strong cholesterol-lowering effect in high cholesterol-fed mice.

Identification of a novel class of androgen receptor antagonists based on the bicyclic-1H-isoindole-1,3(2H)-dione nucleus

pp 389–393

Mark E. Salvati,* Aaron Balog, Donna D. Wei, Dacia Pickering, Ricardo M. Attar, Jieping Geng, Cheryl A. Rizzo, John T. Hunt, Marco M. Gottardis, Roberto Weinmann and Rogelio Martinez

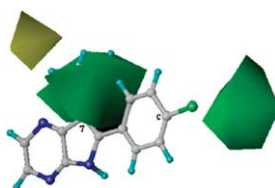


A novel series of isoindole-1-one based compounds were identified as potent antagonists of the androgen receptor (AR). SAR around this series revealed dramatic differences in binding and function in mutant variants (MT) of the AR as compared to the wild type (WT) receptor. Optimization of the aniline portion revealed substitution patterns, which yielded potent antagonist activity against the WT AR as well as the MT AR found in the LNCaP and PCa2b human prostate tumor cell lines.

3D QSAR studies on GSK-3 inhibition by aloisines

pp 395–399

Min Zeng, Yongjun Jiang,* Bing Zhang, Kewen Zheng, Na Zhang and Qingsen Yu

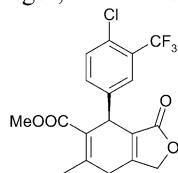


The 3D QSAR studies of GSK-3 inhibition by aloisines is reported.

IK_{Ca}-channel blockers. Part 2: Discovery of cyclohexadienes

pp 401–404

Klaus Urbahns,* Siegfried Goldmann, Jochen Krüger, Ervin Horváth, Joachim Schuhmacher, Rolf Grosser, Volker Hinz and Frank Mauler



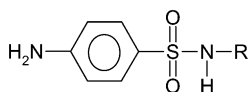
rac-16, IC₅₀ = 8 nM

Novel cyclohexadienes have been identified as potent and specific IK_{Ca}-channel blockers. In this communication we describe their synthesis as well as their chemical and biological properties. A selected derivative distributes well into brain and reduces the infarct volume, intracranial pressure as well as the water content in a rat subdural hematoma model of traumatic brain injury after iv administration.

QSAR study on the antibacterial activity of some sulfa drugs: building blockers of Mannich bases

pp 405–411

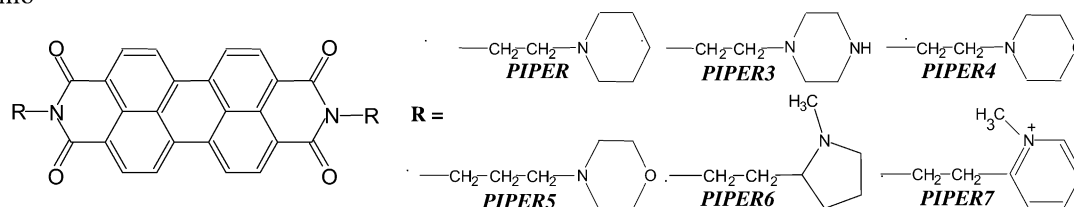
Dheeraj Mandloi, Sheela Joshi, Padmakar V. Khadikar* and Navita Khosla



Sulfa drugs are building blockers of several types of Mannich bases. Consequently, the antibacterial activities of sulfa drugs are reported in this paper, which will help in understanding antibacterial activities of Mannich bases. Reported QSAR is carried out using distance-based topological indices and discussed critically on the basis of statistical parameters.

Selective interactions of perylene derivatives having different side chains with inter- and intramolecular G-quadruplex DNA structures. A correlation with telomerase inhibition pp 413–420

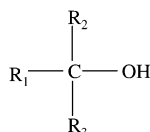
Luigi Rossetti, Marco Franceschin, Stefano Schirripa, Armandodoriano Bianco, Giancarlo Ortaggi and Maria Savino*



Synthesis of the shown perylene derivatives and their activity in inducing different G-quadruplex structures and in inhibiting telomerase are reported.

Novel estimation of lipophilicity using ^{13}C NMR chemical shifts as molecular descriptor pp 421–425

Padmakar V. Khadikar,* Vimukta Sharma and R. G. Varma

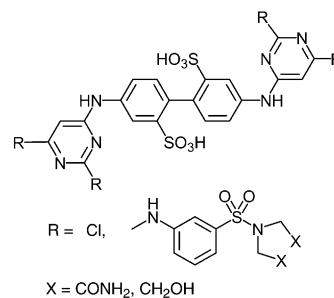


This paper describes the use of ^{13}C NMR chemical shift as molecular descriptor (molecular parameter) for modeling lipophilicity ($\log P$). A set of 32 alcohols were chosen for this purpose. The regression analysis of the data showed that ^{13}C NMR chemical shifts of these alcohols can be used as a molecular descriptor (molecular property) for modeling the lipophilicity ($\log P$). Better results are obtained by introducing an indicator parameter.

Pyrimidine containing RSV fusion inhibitors pp 427–430

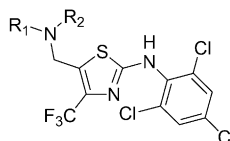
Antonia Nikitenko,* Yuri Raifeld, Boris Mitsner and Howard Newman

The synthesis of pyrimidine containing dendrimer-like dianionic inhibitors of the respiratory syncytial virus is described; their biological activity is compared with that of triazine compounds with the similar structure.



Optimization of CRF₁R binding affinity of 2-(2,4,6-trichlorophenyl)-4-trifluoromethyl-5-aminomethylthiazoles through rapid and selective parallel synthesis pp 431–434

Dmitry Zuev,* Jodi A. Michne, Sokhom S. Pin, Jie Zhang, Matthew T. Taber and Gene M. Dubowchik*

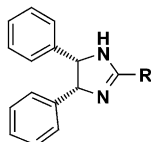


An efficient approach was developed to synthesize 2-(2,4,6-trichlorophenylamino)-4-trifluoromethyl-5-aminomethylthiazoles, corticotropin-releasing factor type 1 receptor (CRF₁R) antagonists, by monoalkylation of amines with chloromethyl intermediate **5**. The effect of variations in aminomethyl side chain of **6** on binding affinity is discussed.

Synthesis and SAR of novel 4,5-diarylimidazolines as potent P2X₇ receptor antagonists

pp 435–438

Gregory H. Merriman,* Liang Ma, Patrick Shum, Daniel McGarry, Frank Volz, Jeffrey S. Sabol, Alexandre Gross, Zhicheng Zhao, David Rampe, Lin Wang, Friederike Wirtz-Brugger, Bruce A. Harris and Douglas Macdonald

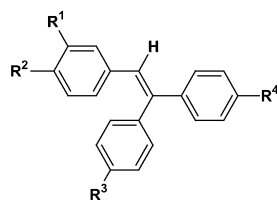


The synthesis and SAR of a series of potent P2X₇ receptor antagonists is described. The synthesis featured high-throughput solution- and solid-phase techniques including a microwave induced imidazoline formation.

Design and synthesis of (*E*)-1,1,2-triarylethenes: novel inhibitors of the cyclooxygenase-2 (COX-2) isozyme

pp 439–442

Md. Jashim Uddin, P. N. Praveen Rao, Robert McDonald and Edward E. Knaus*

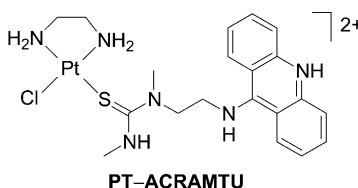


$R^1 = \text{H, F}; R^2 = \text{H, Me, SO}_2\text{Me, F, Cl};$
 $R^3 = \text{H, Me, F, Cl}; R^4 = \text{Me, F, Cl, SO}_2\text{Me}$

A non-crosslinking platinum–acridine hybrid agent shows enhanced cytotoxicity compared to clinical BCNU and cisplatin in glioblastoma cells

pp 443–446

Suzanne M. Hess, Joel G. Anderson and Ulrich Bierbach*



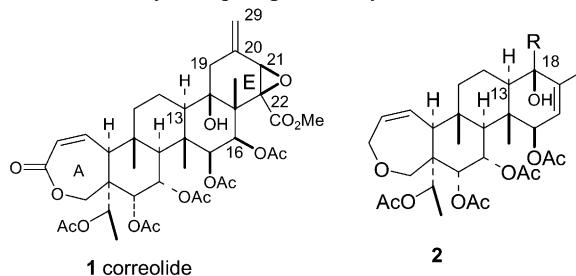
The drug conjugate PT-ACRAMTU efficiently kills SNB19 and U87MG brain cancer cells without affecting normal cells. Enhanced caspase-3 levels post treatment indicate that the new agent triggers an apoptotic response.

Potent Kv1.3 inhibitors from correolide—modification of the C18 position

pp 447–451

Jianming Bao,* Shouwu Miao, Frank Kayser, Andrew J. Kotliar, Robert K. Baker, George A. Doss, John P. Felix, Randal M. Bugianesi, Robert S. Slaughter, Gregory J. Kaczorowski, Maria L. Garcia, Sookhee N. Ha, Laurie Castonguay, Gloria C. Koo, Kashmira Shah, Marty S. Springer, Mary Jo Staruch, William H. Parsons and Kathleen M. Rupprecht

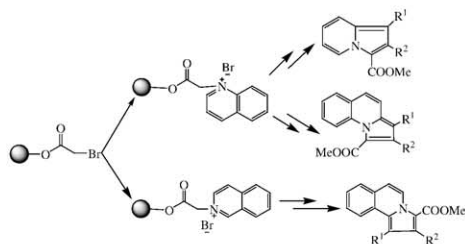
Correolide (**1**) was converted to a new series of tetracyclic Kv1.3 blockers **2**. SAR for this class of compounds in two functional assays, Rb_Kv and human T cell proliferation, is presented herein.



Synthesis of a library of benzoindolizines using poly(ethylene glycol) as soluble support

pp 453–458

Guizhou Yue, Yadong Wan, Shaojun Song, Guichun Yang and Zuxing Chen*

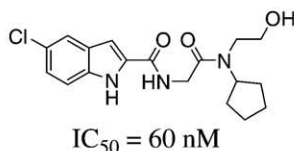


A library of benzoindolizines (pyrrolo [1,5-*a*] quinolines **10** and pyrrolo [1,5-*a*] quinolines **9**) has been synthesized using poly(ethylene glycol) (PEG) as soluble polymer support. However, in the presence of tetrakispyridinecobalt(II) dichromate (TPCD) the reaction of quinolinium salt **3** with alkenes **11** afforded indolizines **8**, which was discovered firstly.

5-Chloroindoloyl glycine amide inhibitors of glycogen phosphorylase: synthesis, in vitro, in vivo, and X-ray crystallographic characterization

pp 459–465

Stephen W. Wright,* Virginia L. Rath, Paul E. Genereux, David L. Hageman, Carolyn B. Levy, Lester D. McClure, Scott C. McCoid, R. Kirk McPherson, Teresa M. Schelhorn, Donald E. Wilder, William J. Zavadski, E. Michael Gibbs and Judith L. Treadway

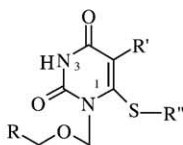


Glycine amide inhibitors of human liver glycogen phosphorylase A with improved potency in vivo are reported.

Topochemical model for prediction of anti-HIV activity of HEPT analogs

pp 467–469

Sanjay Bajaj, S. S. Sami and A. K. Madan*

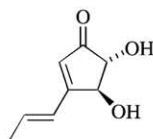


A model for prediction of anti-HIV activity of HEPT analogs has been developed. Accuracy of prediction of the said model is 88%.

Synthesis and melanin biosynthesis inhibitory activity of (±)-terrein produced by *Penicillium* sp. 20135

pp 471–473

Sangu Lee, Won-Gon Kim, Eungsoo Kim, In-Ja Ryoo, Hyeong Kyu Lee, Jae Nyoung Kim, Sang-Hun Jung and Ick-Dong Yoo*



Terrein was isolated from *Penicillium* sp. 20135, prepared by a practical synthetic way, and evaluated first time for its melanin biosynthesis inhibitory activity.

Docking dinucleotides to HIV-1 integrase carboxyl-terminal domain to find possible DNA binding sites pp 475–477
Hai Mei Zhu, Wei Zu Chen and Cun Xin Wang*

Six dinucleotides was docked to HIV-1 integrase carboxyl-terminal domain to explore the possible DNA binding sites.

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*Corresponding author

COVER

2005: The proteolytic enzyme memapsin 2 (β -secretase, BACE-1) is the protease that cleaves the β -amyloid precursor protein (APP) to produce the 40–42 residue amyloid- β peptide (A β) in the human brain, a key event in the progression of Alzheimer's disease (AD). The X-ray crystal structure of memapsin 2 complexed with a peptidomimetic cyclic inhibitor is depicted. Inhibitor (green) is in the binding cleft of memapsin 2 shown as a ribbon diagram for the polypeptide backbone [Ghosh, A. K.; Devasamudram, T.; Hong, L.; DeZutter, C.; Xu, X.; Weerasena, V.; Koelsch, G.; Bilcer, G.; Tang, J. *Bioorg. Med. Chem. Lett.* **2005**, 15, 15].



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