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Preface

pp 17–18

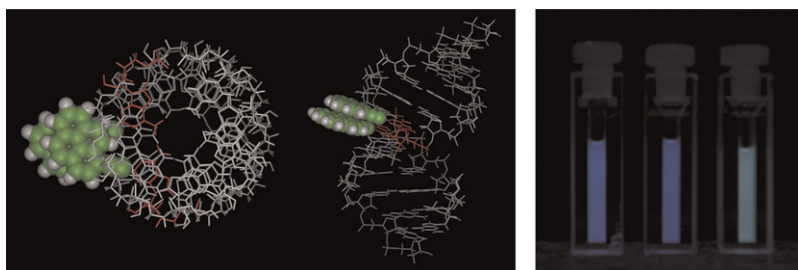
SPECIAL ISSUE ARTICLES

RNA as scaffold for pyrene excited complexes

pp 19–26

Christian Grünewald, Taewoo Kwon, Nelly Piton, Ute Förster,
Josef Wachtveitl* and Joachim W. Engels*

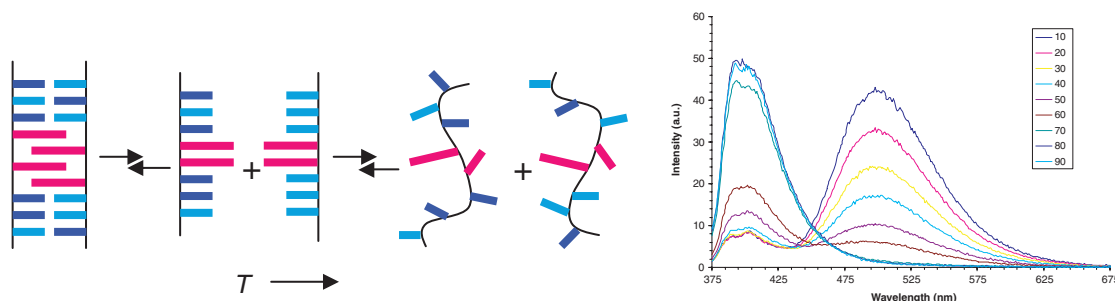
1-Ethynylpyrene attached to the 2-position of adenosine is directed into the easily accessible minor groove in RNA. Single and double strands can be distinguished in twofold labeled RNA by their fluorescence maxima at 450 and 480 nm, respectively.



Spectroscopic properties of pyrene-containing DNA mimics

pp 27–33

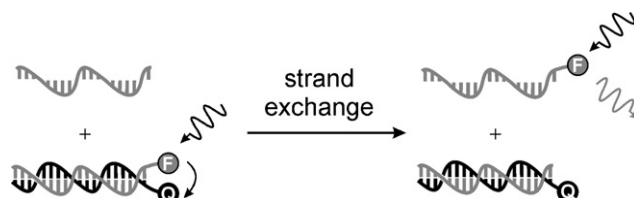
Florent Samain, Vladimir L. Malinovskii, Simon M. Langenegger and Robert Häner*



Inducing the replacement of PNA in DNA·PNA duplexes by DNA

pp 34–39

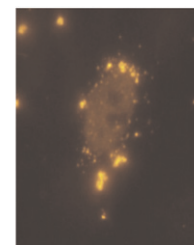
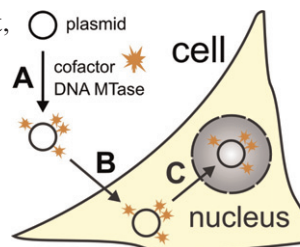
Tom N. Grossmann, Shunta Sasaki, Markus Ritzfeld, Sung Won Choi,
Atsushi Maruyama and Oliver Seitz*



Sequence-specific Methyltransferase-Induced Labelling (SMILing) of plasmid DNA for studying cell transfection

pp 40–48

Falk H.-G. Schmidt, Michael Hüben, Basar Gider, François Renault, Marie-Paule Teulade-Fichou and Elmar Weinhold*

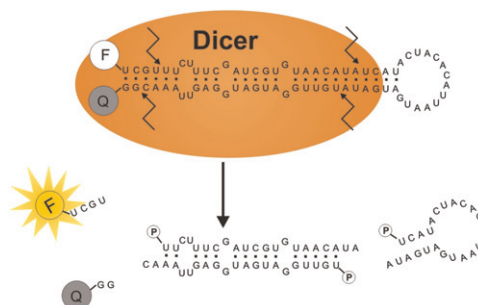


SMILing of plasmid pUC19 DNA with a fluorescent aziridine cofactor and a DNA methyltransferase (MTase) (A), transfection (B) and translocation into the nucleus (C). Cy3 fluorescence of labelled pUC19 inside the nucleus (right).

A fluorescence probe for assaying micro RNA maturation

pp 49–55

Brian P. Davies and Christoph Arenz*

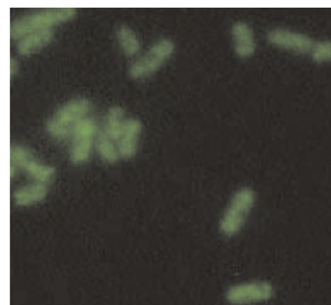
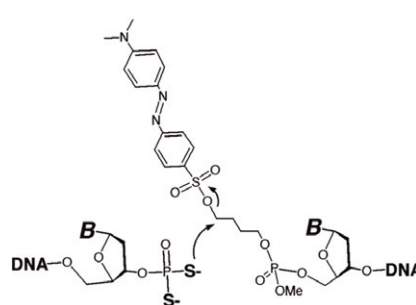


A fluorescence beacon for detecting inhibitors of the miRNA maturation process is reported.

New, stronger nucleophiles for nucleic acid-templated chemistry: Synthesis and application in fluorescence detection of cellular RNA

pp 56–64

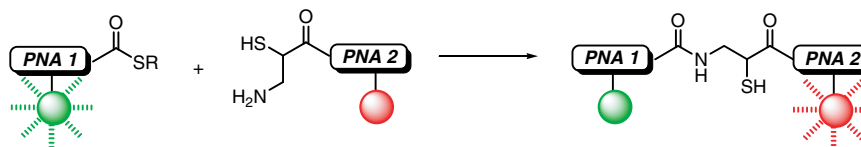
Gregory P. Miller, Adam P. Silverman and Eric T. Kool*



Single nucleotide specific detection of DNA by native chemical ligation of fluorescence labeled PNA-probes

pp 65–77

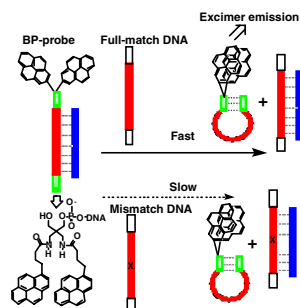
Christian Dose and Oliver Seitz*



Bis-pyrene-labeled molecular beacon: A monomer–excimer switching probe for the detection of DNA base alteration

pp 78–83

Kazushige Yamana,* Yoshikazu Ohshita, Yudai Fukunaga, Mitsunobu Nakamura and Atsushi Maruyama

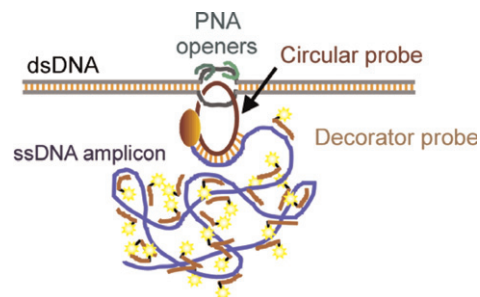


Fluorescence-based detection of short DNA sequences under non-denaturing conditions

pp 84–93

Irina V. Smolina, Heiko Kuhn,* Charles Lee and Maxim D. Frank-Kamenetskii*

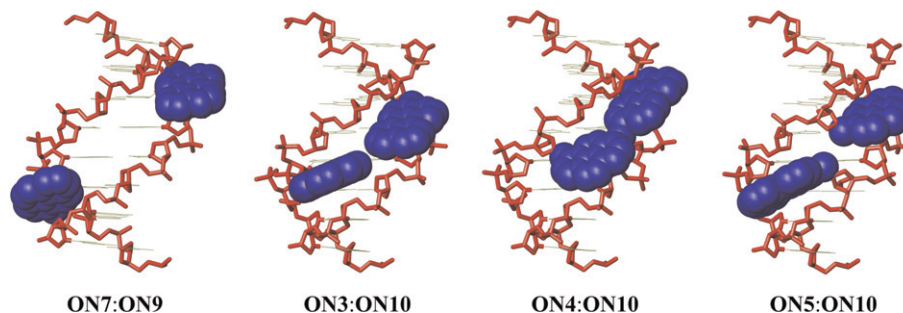
Different formats to detect the presence of short DNA marker sequences (20–30 bp) without denaturation of the DNA sample are presented. Duplex DNA is selectively opened at the marker site by a pair of peptide nucleic acid (PNA) openers, followed by hybridization and circularization of an oligonucleotide probe. Fluorescent detection is, for instance, carried out by rolling-circle amplification in the presence of a fluorophore-tagged decorator probe.



Pyrene–perylene as a FRET pair coupled to the N2'-functionality of 2'-amino-LNA

pp 94–99

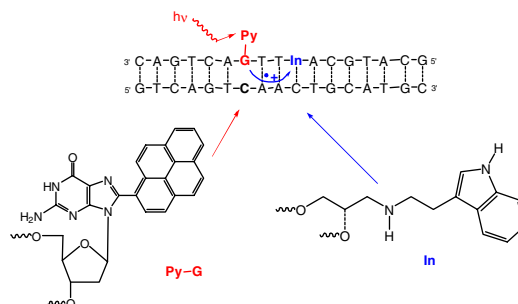
Dorthe Lindegaard, Andreas S. Madsen, Irina V. Astakhova, Andrei D. Malakhov, B. Ravindra Babu, Vladimir A. Korshun and Jesper Wengel*



Pyrene-modified guanosine as fluorescent probe for DNA modulated by charge transfer

pp 100–106

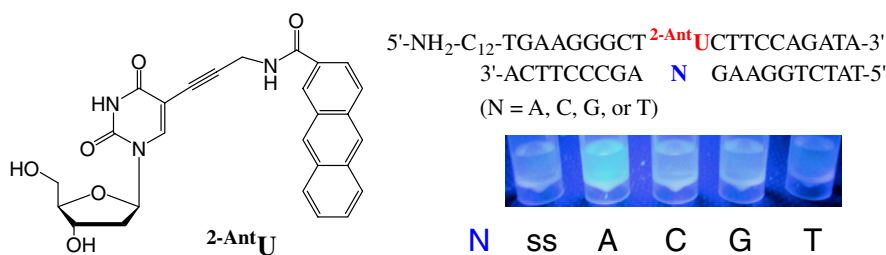
Claudia Wanninger-Weiß, Linda Valis and Hans-Achim Wagenknecht*



Anthracene based base-discriminating fluorescent oligonucleotide probes for SNPs typing: Synthesis and photophysical properties

pp 107–113

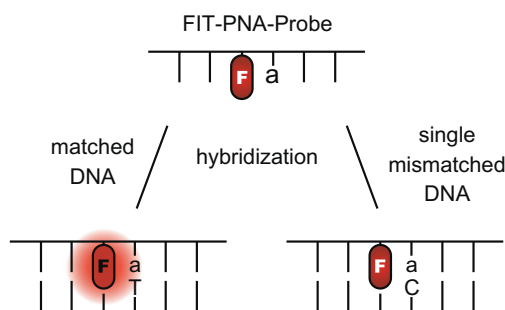
Yoshio Saito,* Kaori Motegi, Subhendu Sekhar Bag and Isao Saito*



New cyanine dyes as base surrogates in PNA: Forced intercalation probes (FIT-probes) for homogeneous SNP detection

pp 114–125

Lucas Bethge, Dilip Venkatrao Jarikote and Oliver Seitz*

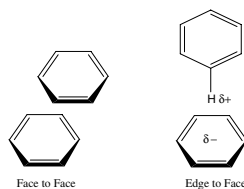


REVIEW

Emerging trends in molecular recognition: Utility of weak aromatic interactions

pp 126–143

Ashish Kumar Tewari* and Rashmi Dubey

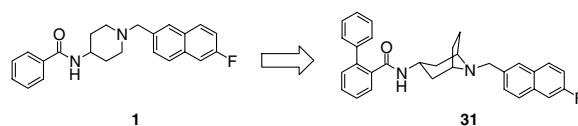


ARTICLES

Synthesis and structure–activity relationships of *N*-{1-[(6-fluoro-2-naphthyl)methyl]piperidin-4-yl}benzamide derivatives as novel CCR3 antagonists

pp 144–156

Ippei Sato,* Koichiro Morihira, Hiroshi Inami, Hirokazu Kubota, Tatsuaki Morokata, Keiko Suzuki, Noritaka Hamada, Yosuke Iura, Aiko Nitta, Takayuki Imaoka, Toshiya Takahashi, Makoto Takeuchi, Mitsuaki Ohta and Shin-ichi Tsukamoto

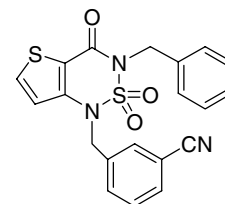


The 6-fluoro-2-naphthylmethyl derivatives were prepared, and their inhibitory activities against CCR3 were evaluated.

Synthesis and anti-HIV evaluation of novel 1,3-disubstituted thieno[3,2-*c*][1,2,6]thiadiazin-4(3*H*)-one 2,2-dioxides (TTDDs) pp 157–163

Yongqiang Lin, Xinyong Liu,* Renzhang Yan, Jin Li, Christophe Pannecouque, Myriam Witvrouw and Erik De Clercq*

Novel TTDDs were designed, synthesized and evaluated for their anti-HIV-1 activities in cell culture, and proved to be both potent and selective as HIV-1 inhibitors. The structure–activity relationship (SAR) is discussed.

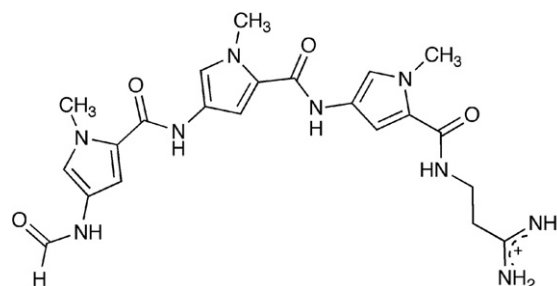


EC₅₀=4.0 μM, SI >76

Characterization of distamycin A binding to damaged DNA pp 164–170

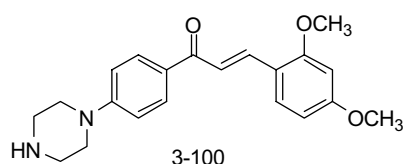
Aki Inase-Hashimoto, Shinya Yoshikawa, Yusuke Kawasaki, Takashi S. Kodama and Shigenori Iwai*

The binding of distamycin A to various lesion-containing oligonucleotide duplexes was analysed. The results suggested that this drug recognized the chemical structure of the minor groove at the lesion site.



Functionalized chalcones as selective inhibitors of P-glycoprotein and breast cancer resistance protein pp 171–180

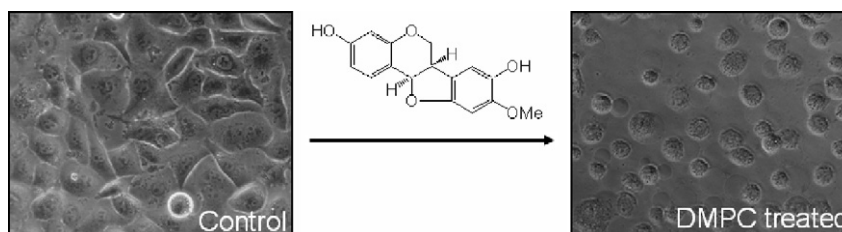
Xiao-Ling Liu, Hui-Wearn Tee and Mei-Lin Go*



Basic chalcones are good inhibitors of Pgp but poor inhibitors of BCRP. Compound 3–100 inhibited both transport proteins to reasonable degrees.

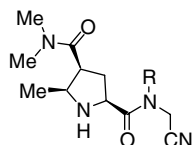
Constituents of Brazilian red propolis and their preferential cytotoxic activity against human pancreatic PANC-1 cancer cell line in nutrient-deprived condition pp 181–189

Suresh Awale, Feng Li, Hiroko Onozuka, Hiroyasu Esumi, Yasuhiro Tezuka and Shigetoshi Kadota*



Discovery of long-acting *N*-(cyanomethyl)-*N*-alkyl-L-prolinamide inhibitors of dipeptidyl peptidase IV pp 190–208

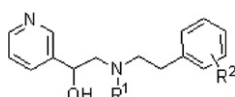
Takashi Kondo,* Takahiro Nekado, Isamu Sugimoto, Kenya Ochi, Shigeyuki Takai, Atsushi Kinoshita, Akira Hatayama, Susumu Yamamoto, Kazuhito Kawabata, Hisao Nakai and Masaaki Toda



A series of *N*-(cyanomethyl)-*N*-alkyl-L-prolinamide analogs were synthesized and evaluated as structurally new inhibitors of dipeptidyl peptidase IV (DPP-IV).

Novel cholesterol biosynthesis inhibitors targeting human lanosterol 14 α -demethylase (CYP51) pp 209–221

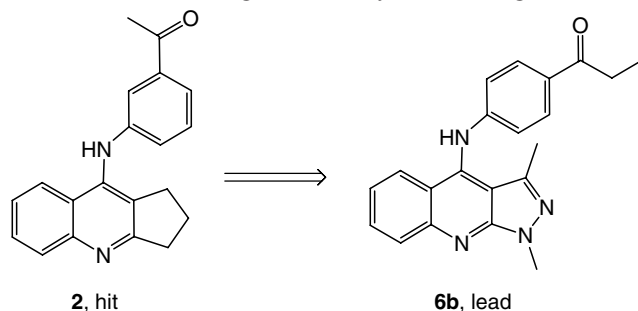
Tina Korošec, Jure Ačimovič, Matej Seliškar, Darko Kocjan,* Klementina Fon Tacer, Damjana Rozman and Uroš Urleb



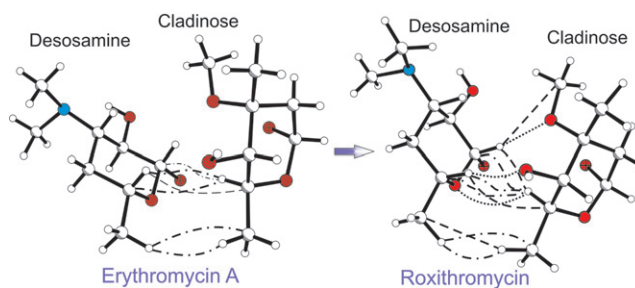
Novel pyridylethanol(phenylethyl)amines were synthesized and screened for cholesterol biosynthesis inhibition in the human hepatoma HepG2 cell assay. The compounds inhibit cholesterol biosynthesis by targeting lanosterol 14 α -demethylase (CYP51). SAR of the binding with the overexpressed human CYP51 indicates that the pyridine binds within the heme binding pocket in analogy with the azoles.


Discovery and structure–activity relationship of *N*-phenyl-1*H*-pyrazolo[3,4-*b*]quinolin-4-amines as a new series of potent apoptosis inducers pp 222–231

Han-Zhong Zhang, Gisela Claassen, Candace Crogran-Grundy, Ben Tseng, John Drewe and Sui Xiong Cai*


A new insight into solid-state conformation of macrolide antibiotics pp 232–239

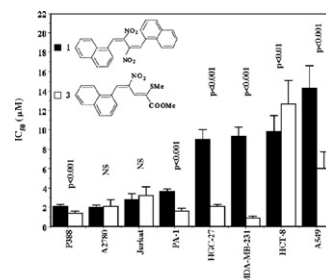
Inna Miroshnyk,* Sabiruddin Mirza, Petr M. Zorky, Jyrki Heinämäki, Jari Yli-Kauhaluoma and Jouko Yliruusi



Design, synthesis, and in vitro evaluation of new naphthylnitrobutadienes with potential antiproliferative activity: Toward a structure/activity correlation pp 240–247

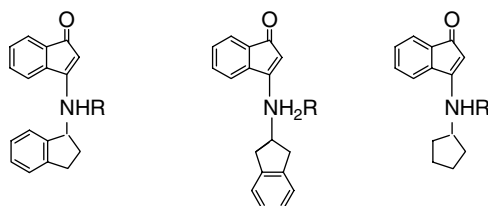
Giovanni Petrillo, Maria A. Mariggìo, Cinzia Aiello, Cinzia Cordazzo, Carla Fenoglio, Stefano Morganti, Michela Croce, Egon Rizzato, Domenico Spinelli, Massimo Maccagno, Lara Bianchi, Claudia Prevosto, Cinzia Tavani and Maurizio Viale*

New naphthylnitrobutadienes have been synthesized and tested for their antiproliferative activity in vitro and compared to the lead compound (1*E*,3*E*)-1,4-bis(1-naphthyl)-2,3-dinitro-1,3-butadiene.



Synthesis and pharmacological activity of aminoindanone dimers and related compounds pp 248–254

H. Sheridan,* S. Butterly, J. J. Walsh, C. Cogan, M. Jordan, O. Nolan and N. Frankish*



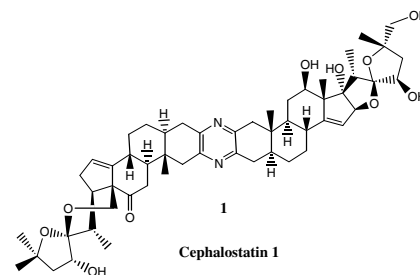
R=H, R=CH₃, R=CH₂CH=CH, R=CH₂C₆H₅, R=COCH₃
R=SO₂C₆H₄-*p*-CH₃

A series of N-substituted aminoindanones demonstrate significant mast cell stabilising activity.

Chemo-, regio-, and stereoselectivity of F-ring opening reactions in the cephalostatin series pp 255–265

M. Nawasreh*

In an effort to prepare unsymmetrical cephalostatin analogues with multi-functionality, we tried the route of selective opening of the spiroketal joining rings E and F. In this study, we have tested several borane complexes (like borane-9-BBN, borane-(*N*-tosyl)-*D*-valine, and borane-catechol) with some bis-steroidal pyrazine derivatives like **3**, **4**, and **16** aiming at opening ring-F at only one spiro-system of the dimer. Upon testing these borane reagents, satisfying results were obtained in the case of the keto-methylene **4** using the catechol-borane complex. The structures of the resulting mono-opened and also some double-opened spiro dimers have been completely confirmed. Some of the prepared compounds were tested against three cancer cell lines: HM02 (stomach cancer), HEP G2 (hepatocellular cancer), and MCF 7 (breast cancer).



In vitro spermicidal activity of peptides from amphibian skin: Dermaseptin S4 and derivatives pp 266–275

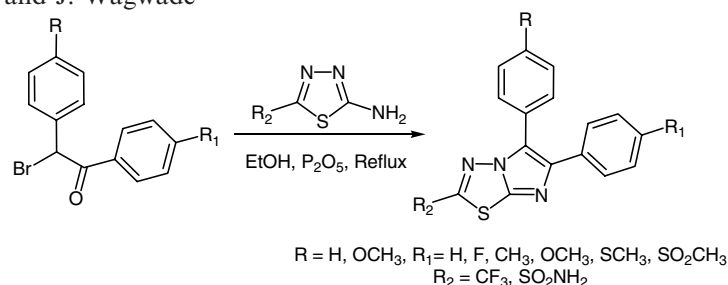
Amira Zairi, Catherine Serres, Frédéric Tangy, Pierre Jouannet and Khaled Hani*

Dermaseptins, peptides from amphibian skin, were evaluated as new vaginal contraceptive agents. A structure–activity relationship of cytotoxicity towards a human cervical cell line and sperm plasma membrane for these compounds is presented.

Synthesis and biological evaluation of 2-trifluoromethyl/sulfonamido-5,6-diaryl substituted imidazo[2,1-*b*]-1,3,4-thiadiazoles: A novel class of cyclooxygenase-2 inhibitors

pp 276–283

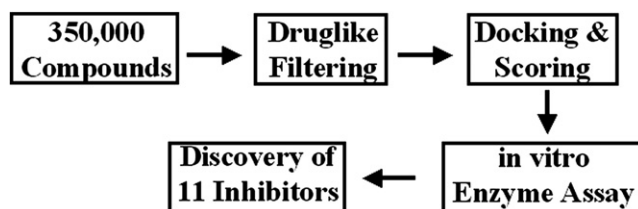
Andanappa K. Gadad,* Mahesh B. Palkar, K. Anand, Malleshappa N. Noolvi, Thippeswamy S. Boreddy and J. Wagwade



Discovery of novel α -glucosidase inhibitors based on the virtual screening with the homology-modeled protein structure

pp 284–292

Hwangseo Park,* Kyo Yeol Hwang, Kyung Hwan Oh, Young Hoon Kim, Jae Yeon Lee and Keun Kim*

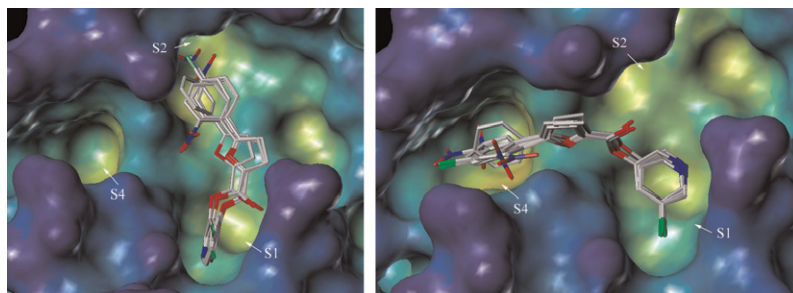


Molecular docking identifies the binding of 3-chloropyridine moieties specifically to the S1 pocket of SARS-CoV M^{pro}

pp 293–302

Chunying Niu, Jiang Yin, Jianmin Zhang, John C. Vederas and Michael N. G. James*

Two predicted binding modes of the inhibitors in active site of SARS-CoV M^{pro}, with the 3-chloropyridine moieties located in the S1 pocket.

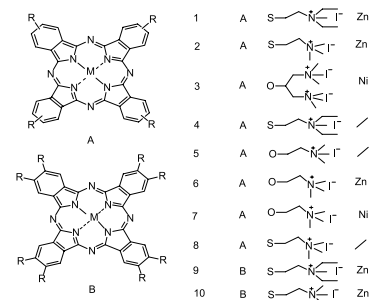


Synthesis and evaluation of cationic phthalocyanine derivatives as potential inhibitors of telomerase

pp 303–312

Lixia Zhang, Jing Huang, Lige Ren, Minghui Bai, Lin Wu, Baoping Zhai and Xiang Zhou*

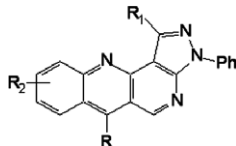
A series of water-soluble cationic phthalocyanine derivatives (1–10) were designed and synthesized to develop novel and potent telomerase inhibitors. Their inhibiting abilities to telomerase, stabilizing abilities to G-quadruplex were investigated by repeat amplification protocol (TRAP) assay, CD melting.



SAR of a series of anti-HSV-1 acridone derivatives, and a rational acridone-based design of a new anti-HSV-1 3*H*-benzo[*b*]pyrazolo[3,4-*h*]-1,6-naphthyridine series

pp 313–321

Alice M. R. Bernardino,* Helena C. Castro*, Izabel C. P. P. Frugulhetti, Natália I. V. Loureiro, Alexandre R. Azevedo, Luiz C. S. Pinheiro, Thiago M. L. Souza, Viveca Giongo, Fabiana Passamani, Uiaran O. Magalhães, Magaly G. Albuquerque, Lúcio M. Cabral and Carlos R. Rodrigues*

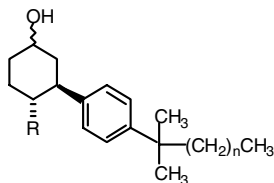


Herein we applied rigid analogue and isosteric replacement approaches to design and synthesize nine new 3*H*-benzo[*b*]pyrazolo[3,4-*h*]-1,6-naphthyridine derivatives (**2a–i**). The biological and computational results of these new molecules were compared with 1-hydroxyacridones and confirmed their potential antiviral profile.

Synthesis and pharmacology of 1-deoxy analogs of CP-47,497 and CP-55,940

pp 322–335

John W. Huffman,* Alicia L. S. Thompson, Jenny L. Wiley and Billy R. Martin

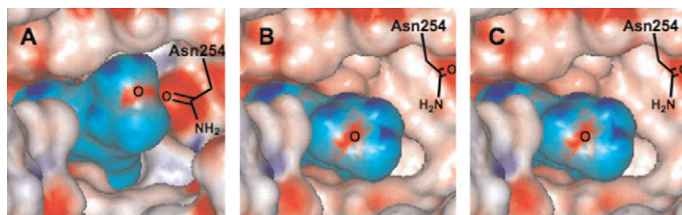


The synthesis and pharmacology of a series of 1-deoxy analogs of CP-47,497 ($R = H, n = 0–7$), both epimeric alcohols and 1-deoxy analogs of CP-55,940 ($R = C_3H_6OH, n = 0–7$), β -hydroxy only, is described. The CB_1 and CB_2 receptor affinities of these compounds are reported.

5'-Carbamoyl derivatives of 2'-*C*-methyl-purine nucleosides as selective A_1 adenosine receptor agonists: Affinity, efficacy, and selectivity for A_1 receptor from different species

pp 336–353

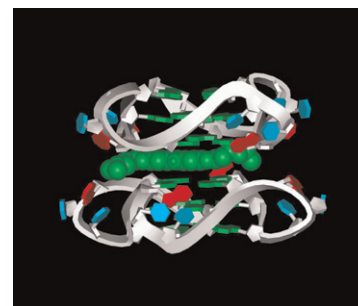
Loredana Cappellacci, Palmarisa Franchetti, Patrizia Vita, Riccardo Petrelli, Antonio Lavecchia, Barbara Costa, Francesca Spinetti, Claudia Martini, Karl-Norbert Klotz and Mario Grifantini*



Amide bond direction modulates G-quadruplex recognition and telomerase inhibition by 2,6 and 2,7 bis-substituted anthracenedione derivatives

pp 354–361

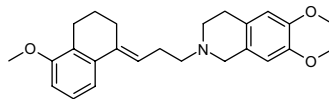
Giuseppe Zagotto, Claudia Sissi, Stefano Moro, Diego Dal Ben, Gary N. Parkinson, Keith R. Fox, Stephen Neidle and Manlio Palumbo*



Small P-gp modulating molecules: SAR studies on tetrahydroisoquinoline derivatives

pp 362–373

Nicola Antonio Colabufo,* Francesco Berardi, Mariangela Cantore, Maria Grazia Perrone, Marialessandra Contino, Carmela Inglese, Mauro Niso, Roberto Perrone, Amalia Azzariti, Grazia Maria Simone, Letizia Porcelli and Angelo Paradiso

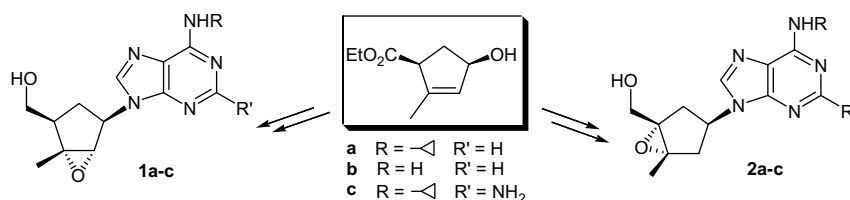


Compound **3c** displayed high MDR reverting activity inhibiting P-glycoprotein in Caco-2 and MCF7/Adr tumor cell lines.

**Chemoenzymatic synthesis and antiviral evaluation of conformationally constrained and 3'-methyl-branched carbanucleosides using both enantiomers of the same building block**

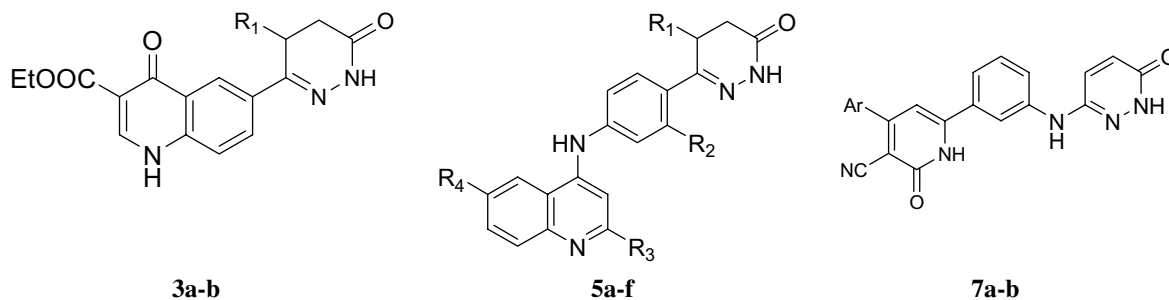
pp 374–381

Yoann Aubin, Gérard Audran,* Honoré Monti and Erik De Clercq

**Pyridazinone derivatives: Design, synthesis, and in vitro vasorelaxant activity**

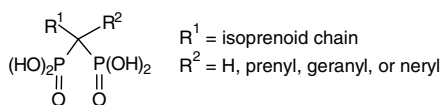
pp 382–389

Khaled Abouzid,* Maha Abdel Hakeem, Omnya Khalil and Yosria Maklad

**Mono- and dialkyl isoprenoid bisphosphonates as geranylgeranyl diphosphate synthase inhibitors**

pp 390–399

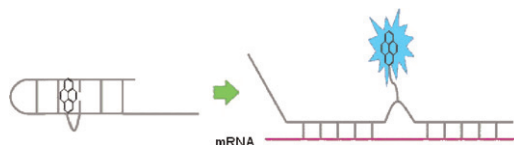
Andrew J. Wiemer, Jose S. Yu, Kimberly M. Lamb, Raymond J. Hohl and David F. Wiemer*



Design of a pyrene-containing fluorescence probe for labeling of RNA poly(A) tracts

pp 400–404

Kazuo Tanaka and Akimitsu Okamoto*

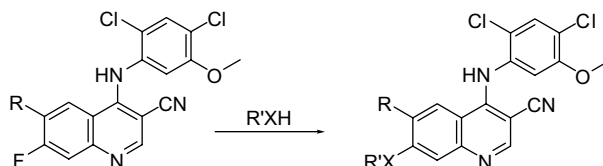


A labeling probe containing a pyrenecarboxamide-tethered modified DNA base has been designed for fluorometric detection of RNA poly(A) tracts.

Facile preparation of new 4-phenylamino-3-quinolinecarbonitrile Src kinase inhibitors via 7-fluoro intermediates: Identification of potent 7-amino analogs

pp 405–412

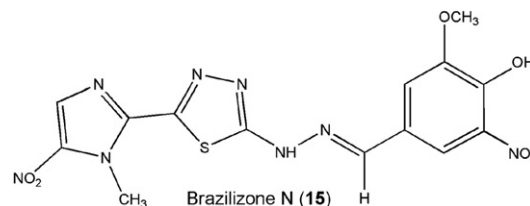
Diane H. Boschelli,* Biqi Wu, Fei Ye, Haris Durutlic, Jennifer M. Golas, Judy Lucas and Frank Boschelli

**Studies toward the structural optimization of new brazilizone-related trypanocidal 1,3,4-thiadiazole-2-arylhydrazone derivatives**

pp 413–421

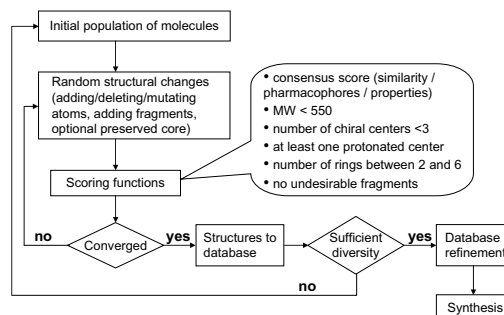
Samir A. Carvalho, Francisco A. S. Lopes, Kelly Salomão, Nelilma C. Romeiro, Solange M. S. V. Wardell, Solange L. de Castro, Edson F. da Silva and Carlos A. M. Fraga*

We describe herein a 3D-QSAR model constructed with trypanocidal agents structurally-related to Brazilizone A (**2**) and the discovery of the 1,3,4-thiadiazole-2-arylhydrazone derivative (**15**), named Brazilizone N, as a novel potent antichagasic lead-candidate.

**The use of ligand-based de novo design for scaffold hopping and sidechain optimization: Two case studies**

pp 422–427

Miklos Feher,* Yinghong Gao, J. Christian Baber, William A. Shirley and John Saunders

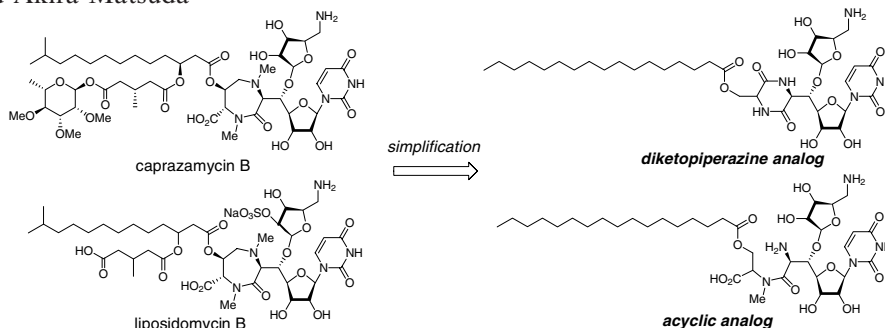


Design and synthesis of diketopiperazine and acyclic analogs related to the caprazamycins and liposidomycins as potential antibacterial agents

pp 428–436

Shinpei Hirano, Satoshi Ichikawa* and Akira Matsuda*

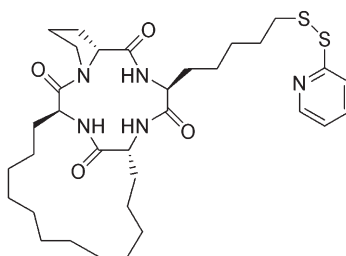
systematic simplification methodology of a class of 6'-N-alkyl-5'-O-aminoribosylglycyluridine antibiotics was shown to produce potential antibacterial agents having a novel mechanism of action.



Interaction of aliphatic cap group in inhibition of histone deacetylases by cyclic tetrapeptides

pp 437–445

Norikazu Nishino,* Gururaj M. Shivashimpi, Preeti B. Soni, Mohammed P. I. Bhuiyan, Tamaki Kato, Satoko Maeda, Tomonori G. Nishino and Minoru Yoshida

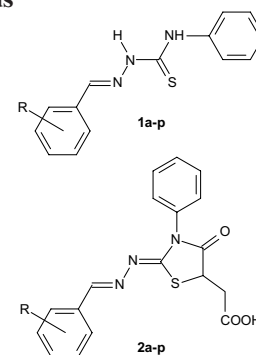


Synthesis, anti-*Toxoplasma gondii* and antimicrobial activities of benzaldehyde 4-phenyl-3-thiosemicarbazones and 2-[(phenylmethylene)hydrazone]-4-oxo-3-phenyl-5-thiazolidineacetic acids

pp 446–456

Thiago M. de Aquino, André P. Liesen, Rosa E. A. da Silva, Vânia T. Lima, Cristiane S. Carvalho, Antônio R. de Faria, Janete M. de Araújo, José G. de Lima, Antonio J. Alves, Edésio J. T. de Melo and Alexandre J. S. Góes*

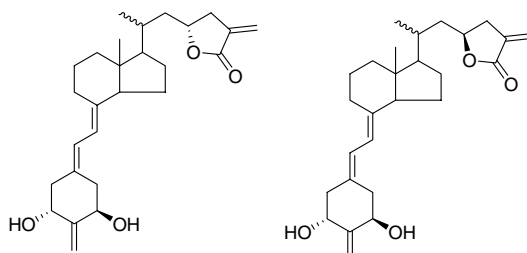
2-[(Phenylmethylene)hydrazone]-4-oxo-3-phenyl-5-thiazolidineacetic acid analogues (**2a–p**) were synthesized from benzaldehyde 4-phenyl-3-thiosemicarbazones substituted (**1a–p**). All compounds were screened for their anti-*Toxoplasma gondii* activity. The 4-thiazolidinones (**2a–p**) were evaluated for their antimicrobial activity.



2-Methylene 19-nor-25-dehydro-1 α -hydroxyvitamin D₃ 26,23-lactones: Synthesis, biological activities and molecular basis of passive antagonism

pp 457–473

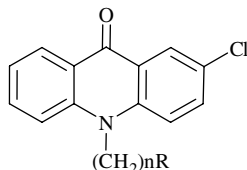
Nobuko Yoshimoto, Yuka Inaba, Sachiko Yamada,* Makoto Makishima, Masato Shimizu and Keiko Yamamoto*



Acridones circumvent P-glycoprotein-associated multidrug resistance (MDR) in cancer cells

pp 474–487

Vadiraj S. Gopinath,* Padma Thimmaiah and Kuntebommanahalli N. Thimmaiah



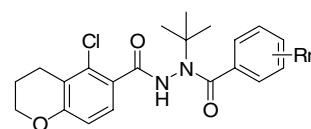
Multidrug resistance (MDR) has become a major obstacle to the clinicians for the treatment of cancer. In an attempt to find clinically useful chemosensitizers, 17 chloroacridones were synthesized and evaluated for anti-MDR activity. Five compounds were able to reverse completely the 25-fold resistance of KBCh^R-8-5 cells to VLB and therefore, these compounds constitute the promising anti-MDR agents that should be further tested in animal model systems.

Synthesis and insecticidal evaluation of novel *N'*-*tert*-butyl-*N'*-substitutedbenzoyl-*N*-5-chloro-6-chromanecarbohydrazide derivatives

pp 488–494

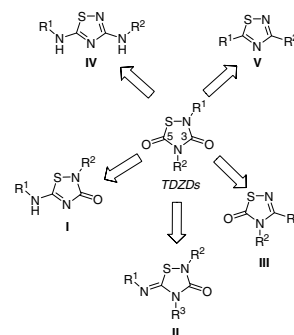
Chun-Hui Mao, Kai-Liang Wang, Zi-Wen Wang, Xiao-Ming Ou, Run-Qiu Huang, Fu-Chun Bi and Qing-Min Wang*

A series of novel *N'*-*tert*-butyl-*N'*-substitutedbenzoyl-*N*-5-chloro-6-chromanecarbohydrazide derivatives were synthesized, and their larvicidal activities against Oriental armyworm were evaluated. The results of bioassays indicated that most of these title compounds exhibit higher larvicidal activities than RH-5849, and several of them somewhat lower than the commercial insecticide tebufenozide. The larvicidal activities are strongly associated with the types and patterns of substitution on the benzene, and 3,5-dimethyl, 2-nitro-4-chloro, and 3-methyl derivatives are most prominent in increasing activity. Toxicity assays indicated that these derivatives could induce a premature, abnormal, and lethal larval moult.

**Non-ATP competitive glycogen synthase kinase 3β (GSK-3β) inhibitors: Study of structural requirements for thiadiazolidinone derivatives**

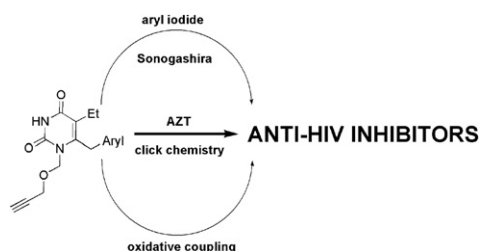
pp 495–510

Ana Castro,* Arantxa Encinas, Carmen Gil, Stefan Bräse, Williams Porcal, Concepción Pérez, Francisco J. Moreno and Ana Martínez

**Synthesis and antiviral activity of new dimeric inhibitors against HIV-1**

pp 511–517

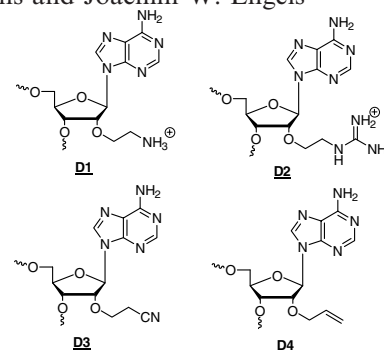
Krzysztof Danel, Louise M. Larsen, Erik B. Pedersen,* Giuseppina Sanna, Paolo La Colla and Roberta Lodo



Synthesis of 2'-*O*-modified adenosine building blocks and application for RNA interference

Dalibor Odadzic, Jesper B. Bramsen, Romualdas Smicius, Claus Bus, Jørgen Kjems and Joachim W. Engels*

Here, we report the influence of nucleoside building blocks with different 2'-tethered modifications and show that they are compatible with RNAi function, D2 being superior.

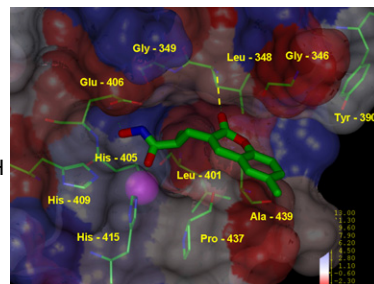
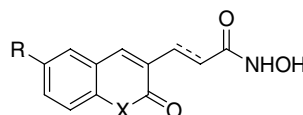


pp 518–529

Chromen-based TNF- α converting enzyme (TACE) inhibitors: Design, synthesis, and biological evaluation

Kwangwoo Chun, Song-Kyu Park, Hwan Mook Kim, Yongseok Choi, Myung-Hwa Kim, Chun-Ho Park, Bo-Young Joe, Tae Gyu Chun, Hyun-Moo Choi, Hee-Yoon Lee,* Sung Hee Hong, Myung Sook Kim, Ky-Youb Nam and Gyoonee Han*

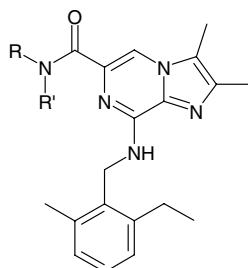
Novel coumarin derivatives exhibited potent inhibitory activity profiles against TACE, MMP-2, and MMP-9 with good selectivity.



Novel imidazo[1,2-*a*]pyrazine derivatives as potent reversible inhibitors of the gastric H⁺/K⁺-ATPase

Peter Jan Zimmermann,* Christof Brehm, Wilm Buhr, Andreas Marc Palmer, Jürgen Volz and Wolfgang-Alexander Simon

pp 536–541

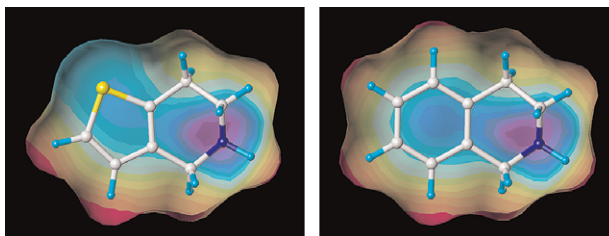


Substituted imidazo[1,2-*a*]pyrazines were synthesized and evaluated for their anti-secretory activity in a binding assay against H⁺/K⁺-ATPase from hog gastric mucosa.

Synthesis of 4,5,6,7-tetrahydrothieno[3,2-*c*]pyridines and comparison with their isosteric 1,2,3,4-tetrahydroisoquinolines as inhibitors of phenylethanolamine *N*-methyltransferase

Gary L. Grunewald,* Mitchell R. Seim, Seema R. Bhat, Marc E. Wilson and Kevin R. Criscione

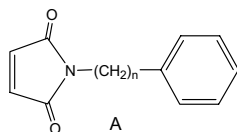
pp 542–559



***N*-Phenyl and *N*-phenylalkyl-maleimides acting against *Candida* spp.: Time-to-kill, stability, interaction with maleamic acids**

pp 560–568

Maximiliano Sortino, Valdir Cechinel Filho, Rogério Corrêa and Susana Zacchino*

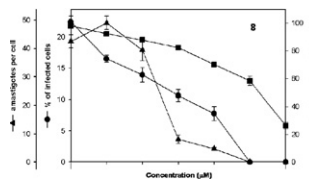
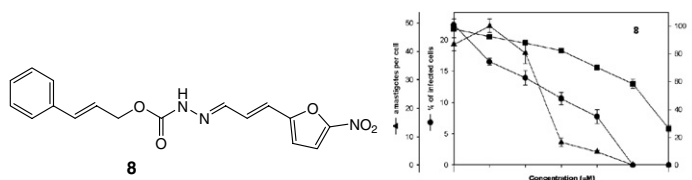


N-Phenyl and *N*-phenylalkylmaleimides of the general structure A with $n = 0-4$ showed potent fungistatic and fungicide activities against a standardized strain as well as clinical isolates of *Candida albicans* and non-*albicans* *Candida* spp. Stability studies using biological and spectrophotometric methods showed that all maleimides tested maintain intact their maleimide ring during the time required for killing fungi. Antifungal properties of their open derivatives along with the type of interaction between maleimides and maleamic acids are reported too.

Heteroallyl-containing 5-nitrofuranes as new anti-*Trypanosoma cruzi* agents with a dual mechanism of action

pp 569–577

Alejandra Gerpe, Imeria Odreman-Nuñez, Patricia Draper, Lucía Boiani, Julio A. Urbina, Mercedes González* and Hugo Cerecetto*

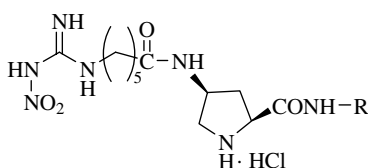


A new series of 5-nitrofuranes with relevant in vitro activity against *Trypanosoma cruzi* are described. Some of them act through a dual molecular mechanism, producing oxidative stress and inhibiting membrane sterol biosynthesis.

Design, synthesis, and preliminary evaluation of 4-(6-(3-nitroguanidino)hexanamido)pyrrolidine derivatives as potential iNOS inhibitors

pp 578–585

Feng-Zhi Liu, Hao Fang,* Hua-Wei Zhu, Qiang Wang, Yue Yang and Wen-Fang Xu



A series of 4-(6-(3-nitroguanidino)hexanamido)pyrrolidine derivatives were synthesized and evaluated for their abilities to inhibit inducible nitric oxide synthase (iNOS) isoform. The preliminary pharmacological test showed that three compounds, **17**, **21**, and **30**, have the good potency which are compared to the NOS inhibitor N^G-nitroarginine (*L*-NNA), and could be used as lead compounds for exploring new iNOS inhibitors in the future.

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*Corresponding author

Supplementary data available via ScienceDirect

COVER

The cover shows a fluorescent PNA-probe in complex with a DNA-target. The space-filled structure rendered in red highlights a cyanine dye, which has been linked to PNA as a base surrogate in order to probe the integrity of adjacent base-pairs. Bethge et al. investigated various intercalator dyes of the thiazol orange family and describe the expansion of the repertoire of colors [Bethge, L.; Jarikote, D. V.; Seitz, O. *Bioorg. Med. Chem.* **2008**, *16*, 114–125].

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