

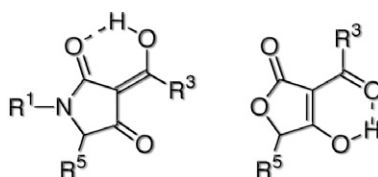
## Contents

### REVIEW

#### Tetramic and tetronic acids: An update on new derivatives and biological aspects

pp 4203–4221

Rainer Schobert\* and Andrea Schlenk



Progress in the field of tetramic acids and tetronic acids since the year 2000 is reviewed with a focus on newly isolated derivatives, on biosynthetic pathways, biological and pharmacological activities and on recent laboratory syntheses.

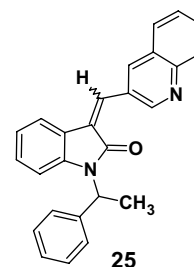
### ARTICLES

#### Synthesis of 1-substituted 3-pyridinylmethylidenylindolin-2-ones and 1-substituted 3-quinolinylmethylidenylindolin-2-ones as the enhancers of ATRA-induced differentiation in HL-60 cells

pp 4222–4232

Chi-Ying Hung, Mei-Hua Hsu, Li-Jiau Huang, Chrong-Shiong Hwang,  
On Lee, Chen-Yi Wu, Chih-Hung Chen and Sheng-Chu Kuo\*

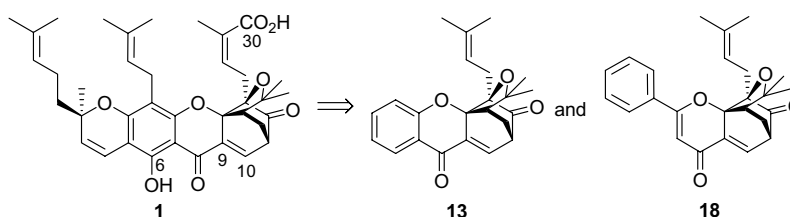
Among a series of indolinones synthesized and evaluated for differentiation activity, compound **25** induced completed differentiation of HL-60 cells when combined with all *trans* retinoic acid (ATRA).



#### Synthesis of caged 2,3,3a,7a-tetrahydro-3,6-methanobenzofuran-7(6H)-ones: Evaluating the minimum structure for apoptosis induction by gambogic acid

pp 4233–4241

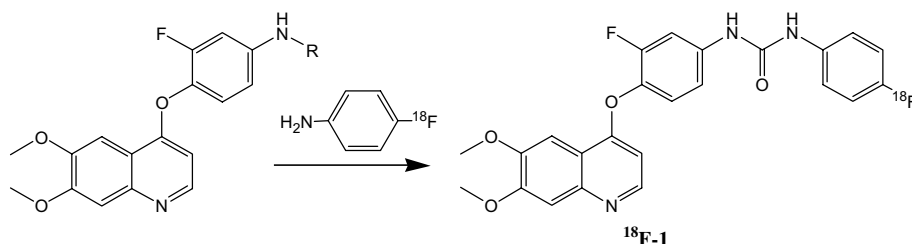
Jared Kuemmerle, Songchun Jiang, Ben Tseng, Shailaja Kasibhatla, John Drewe and Sui Xiong Cai\*



**Formation of fluorine-18 labeled diaryl ureas—labeled VEGFR-2/PDGFR dual inhibitors as molecular imaging agents for angiogenesis**

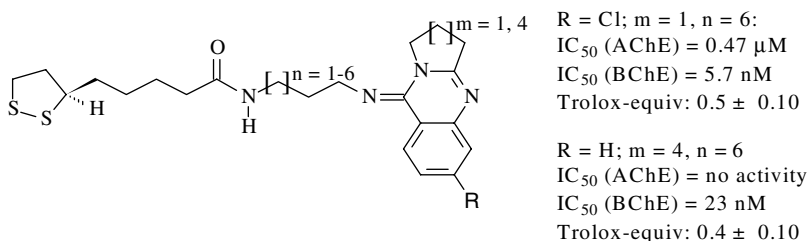
pp 4242–4251

O. Ilovich, O. Jacobson, Y. Aviv, A. Litchi, R. Chisin and E. Mishaniv\*


**Design, synthesis and pharmacological evaluation of hybrid molecules out of quinazolinimines and lipoic acid lead to highly potent and selective butyrylcholinesterase inhibitors with antioxidant properties**

pp 4252–4261

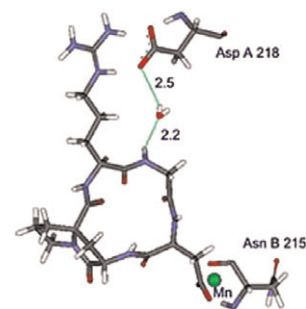
Michael Decker,\* Birgit Kraus and Jörg Heilmann


**Synthesis, SAR and in vitro evaluation of new cyclic Arg-Gly-Asp pseudopentapeptides containing a *s-cis* peptide bond as integrin  $\alpha_v\beta_3$  and  $\alpha_v\beta_5$  ligands**

pp 4262–4271

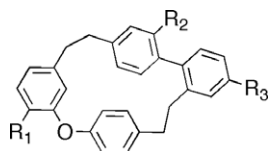
Maria Salvati, Franca M. Cordero,\* Federica Pisaneschi, Fabrizio Melani,\* Paola Gratter, Nicoletta Cini, Anna Bottoncetti and Alberto Brandi

MD simulation of new Arg-Gly-Asp ligand/ $\alpha_v\beta_3$  complexes showed the key role played by a bridging water molecule in the ligand–protein interaction.


**Co-existence of  $\alpha$ -glucosidase-inhibitory and liver X receptor-regulatory activities and their separation by structural development**

pp 4272–4285

Kosuke Dodo,\* Atsushi Aoyama, Tomomi Noguchi-Yachide, Makoto Makishima, Hiroyuki Miyachi and Yuichi Hashimoto

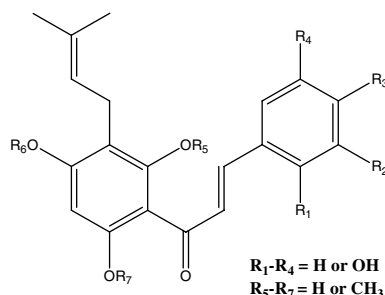


Some typical LXR ligands and  $\alpha$ -glucosidase inhibitors were found to possess  $\alpha$ -glucosidase-inhibitory activity and LXR-antagonistic activity, respectively. The dual activity elicited by riccardin was separated by its structural development.

**Natural and non-natural prenylated chalcones: Synthesis, cytotoxicity and anti-oxidative activity**

pp 4286–4293

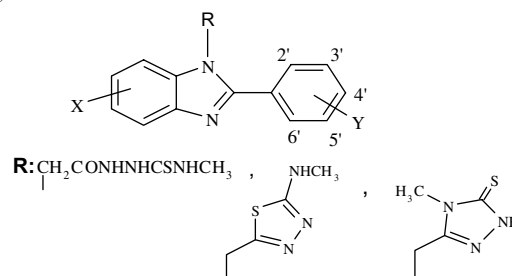
Susanne Vogel, Susanne Ohmayer, Gabi Brunner and Jörg Heilmann\*

**Synthesis and antioxidant properties of novel *N*-methyl-1,3,4-thiadiazol-2-amine and 4-methyl-2*H*-1,2,4-triazole-3(4*H*)-thione derivatives of benzimidazole class**

pp 4294–4303

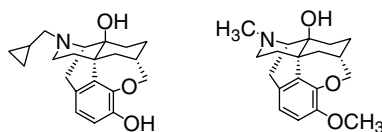
Canan Kus,\* Gülgün Ayhan-Kılıçgil, Süheyla Özbey, F. Betül Kaynak, Melek Kaya, Tülay Çoban and Benay Can-Eke

Nowadays antioxidants arouse researchers' interest in both medical plants and synthetic compounds. In this study, we synthesized some novel benzimidazole compounds bearing alkyl (methyl) group at the 4th position of triazole ring and at the 5th position of thiadiazole ring instead of aryl group.

**Syntheses of 4,6'-epoxymorphinan derivatives and their pharmacologies**

pp 4304–4312

Toru Nemoto, Hideaki Fujii, Minoru Narita, Kan Miyoshi, Atsushi Nakamura, Tsutomu Suzuki and Hiroshi Nagase\*



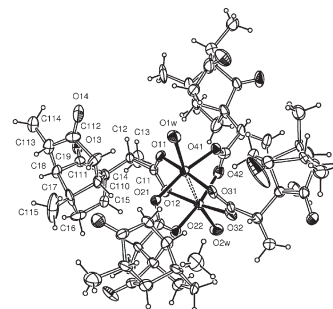
We succeeded in syntheses of 4,6'-epoxymorphinan derivatives. The 4,6'-epoxymorphinan skeleton could be an alternative message site.

**Potential antitumoral properties of a new copper complex with santonic acid**

pp 4313–4322

Patricia A. M. Williams, Juan Zinczuk, Daniel A. Barrio, Oscar E. Piro, Otaciro R. Nascimento and Susana B. Etcheverry\*

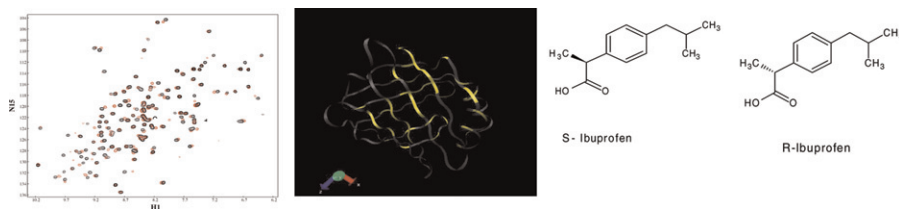
A new copper(II) complex of santonic acid  $[\text{Cu}_2(\text{sant})_4(\text{H}_2\text{O})_2] \cdot 212\text{H}_2\text{O}$  was prepared and characterized. Proliferative and morphological assays on different cell lines indicate that the complex displays interesting potential antitumoral actions.



**NMR evaluation of adipocyte fatty acid binding protein (aP2) with *R*- and *S*-ibuprofen**

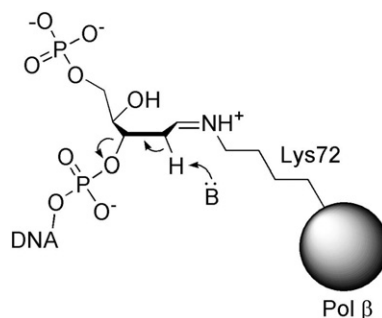
pp 4323–4330

Guoyun Bai, Huaping Mo and Michael Shapiro\*

**Inhibitors of DNA polymerase  $\beta$ : Activity and mechanism**

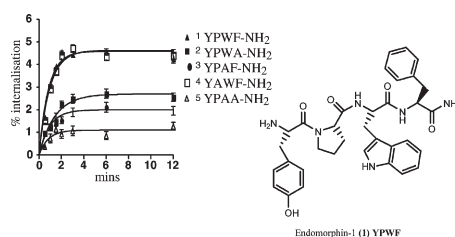
pp 4331–4340

Zhijie Gao, David J. Maloney, Larisa M. Dedkova and Sidney M. Hecht\*

**Internalisation of the  $\mu$ -opioid receptor by endomorphin-1 and leu-enkephalin is dependant on aromatic amino acid residues**

pp 4341–4346

Mark P. Del Borgo, Joanne T. Blanchfield and Istvan Toth\*



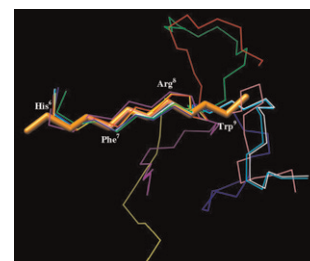
The amount of MOPR internalisation seen in SH-SY5Y cells treated with endomorphin and analogues.

**Structure–antifungal activity relationship of His-Phe-Arg-Trp-Gly-Lys-Pro-Val-NH<sub>2</sub> and analogues**

pp 4347–4358

Marcelo F. Masman, Csaba Somlai, Francisco M. Garibotto, Ana M. Rodríguez, Agustina de la Iglesia, Susana A. Zacchino, Botond Penke and Ricardo D. Enriz\*

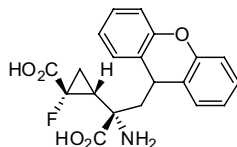
We designed and synthesized 11  $\alpha$ -MSH octapeptide analogues with different residues in the core and in the C-terminal sequences and tested their antifungal activity against *Cryptococcus neoformans*, *Candida albicans* and *Candida tropicalis*.



### Synthesis, in vitro pharmacology, and pharmacokinetic profiles of 2-[1-amino-1-carboxy-2-(9H-xanthen-9-yl)-ethyl]-1-fluorocyclopropanecarboxylic acid and its 6-heptyl ester, a potent mGluR2 antagonist

pp 4359–4366

Kazunari Sakagami,\* Akito Yasuhara, Shigeyuki Chaki, Ryoko Yoshikawa, Yasunori Kawakita, Akio Saito, Takeo Taguchi and Atsuro Nakazato

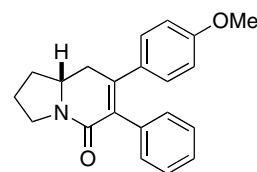


### Enantiospecific synthesis and cytotoxicity of 7-(4-methoxyphenyl)-6-phenyl-2,3,8a-tetrahydroindolizin-5(1H)-one enantiomers

pp 4367–4377

F. Scott Kimball, Brandon J. Turunen, Keith C. Ellis, Richard H. Himes and Gunda I. Georg\*

An enantiospecific synthesis was developed to generate both enantiomers of 7-(4-methoxyphenyl)-6-phenyl-2,3,8a-tetrahydroindolizin-5(1H)-one. A biological assay utilizing the HCT-116 colon cancer cell line to determine the cytotoxicity of these analogs revealed that only the (*R*)-enantiomer exhibited appreciable cytotoxicity with an IC<sub>50</sub> value of 0.2 μM.

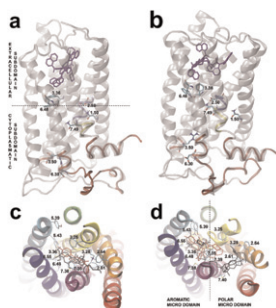


(*R*)-7-(4-methoxyphenyl)-6-phenyl-2,3,8a-tetrahydroindolizin-5(1H)-one

### Computational modeling study of functional microdomains in cannabinoid receptor type 1

pp 4378–4389

Angel Gonzalez,\* Leonardo Sepulveda Duran, Raul Araya-Secchi, Jose A. Garate, C. David Pessoa-Mahana, Carlos F. Lagos and Tomas Perez-Acle

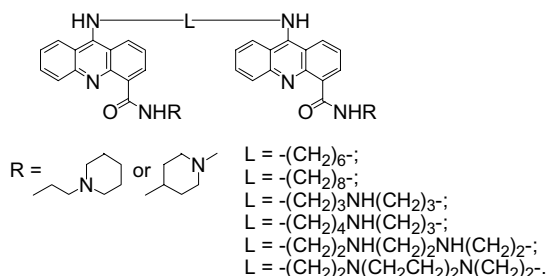
i<sup>+</sup>

### DNA threading bis(9-aminoacridine-4-carboxamides): Effects of piperidine sidechains on DNA binding, cytotoxicity and cell cycle arrest

pp 4390–4400

Zhicong He, Xianyong Bu, Alexandra Eleftheriou, Malik Zihlif, Zhang Qing, Bernard W. Stewart and Laurence P. G. Wakelin\*

A series of bis(9-aminoacridine-4-carboxamides) with ethylpiperidino and *N*-methylpiperidin-4-yl sidechains were synthesized and evaluated for the effects on DNA binding, cytotoxicity and cell cycle arrest.

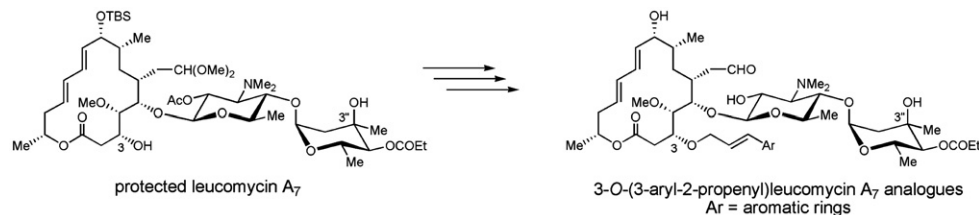
i<sup>+</sup>

**Design and synthesis of novel leucomycin analogues modified at the C-3 position.**

pp 4401–4418

**Part II: 3-O-(3-Aryl-2-propenyl)leucomycin analogues**

Takeshi Furuuchi,\* Tomoaki Miura,\* Ken-ichi Kurihara, Takuji Yoshida, Takashi Watanabe and Keiichi Ajito

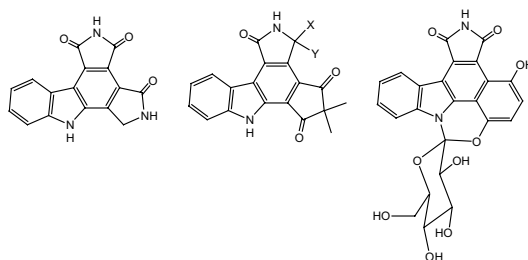


The design and synthesis of 16-membered macrolides modified at the C-3 position are described. 3-O-(3-Aryl-2-propenyl)leucomycin A<sub>7</sub> analogues showed improved in vitro antibacterial activities against clinically important pathogens.

**Synthesis, checkpoint kinase 1 inhibitory properties and in vitro antiproliferative activities of new pyrrolocarbazoles**

pp 4419–4430

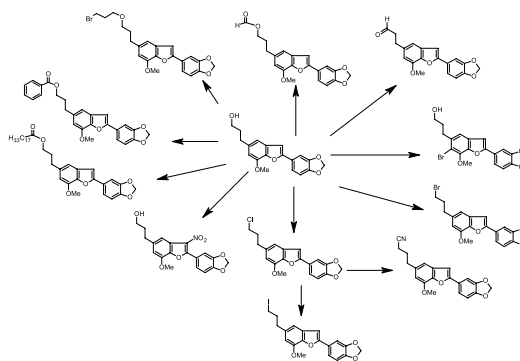
Elisabeth Conchon, Fabrice Anizon, Bettina Aboab, Roy M. Golsteyn, Stéphane Léonce, Bruno Pfeiffer and Michelle Prudhomme\*

**Synthesis and antibacterial activity of egonol derivatives**

pp 4431–4437

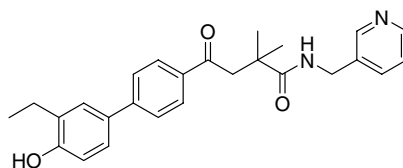
Safiye Emirdağ Öztürk, Yurdanur Akgül\* and Hüseyin Anıl\*

Eleven compounds were synthesised from egonol which was isolated from *Styrax officinalis* L. seeds. The antibacterial activity of all the products was evaluated.

**Novel inhibitors of 17β-hydroxysteroid dehydrogenase type 1: Templates for design**

pp 4438–4456

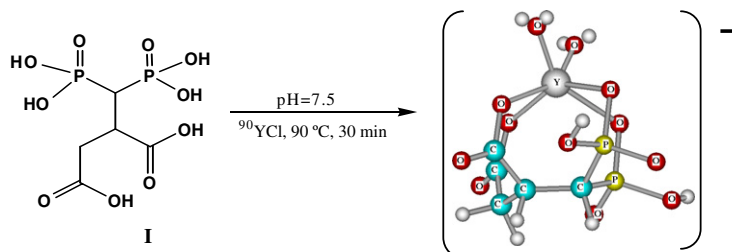
Gillian M. Allan, Nigel Vicker, Harshani R. Lawrence, Helena J. Tutill, Joanna M. Day, Marion Huchet, Eric Ferrandis, Michael J. Reed, Atul Purohit and Barry V. L. Potter\*



**Labeling, characterization, and in vivo localization of a new  $^{90}\text{Y}$ -based phosphonate chelate 2,3-dicarboxypropane-1,1-diphosphonic acid for the treatment of bone metastases: Comparison with  $^{99\text{m}}\text{Tc}$ -DPD complex**

pp 4457–4465

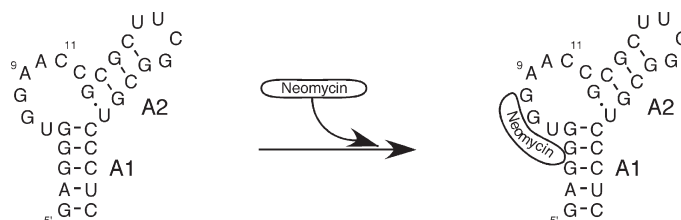
Divna Dj. Djokić,\* Drina Lj. Janković and Nadežda S. Nikolić



**Identification of neomycin B-binding site in T box antiterminator model RNA**

pp 4466–4470

Rajaneesh Anupam, Leyna Denapoli, Abigael Muchenditsi and Jennifer V. Hines\*



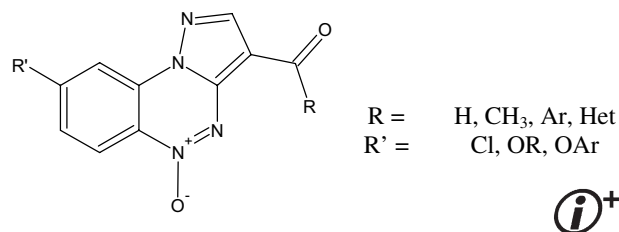
The T box antiterminator model RNA, AM1A, and neomycin B with binding site localized to the 5' end of the bulge.

**Novel 3-arylpyrazolo[5,1-c][1,2,4]benzotriazine 5-oxides 8-substituted, ligands at GABA<sub>A</sub>/benzodiazepine receptor complex: Synthesis, pharmacological and molecular modeling studies**

pp 4471–4489

Gabriella Guerrini,\* Giovanna Ciciani, Giovanni Cambi, Fabrizio Bruni, Silvia Selleri, Fabrizio Melani, Marina Montali, Claudia Martini, Carla Ghelardini, Monica Norcini and Annarella Costanzo

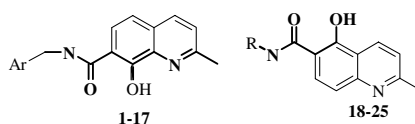
Interdependence between the type of heteroaroyl ring at position 3 and the substituent at position 8 is evidenced and binding tests at GABA<sub>A</sub>/benzodiazepine receptor complex were carried out. Moreover, in vivo pharmacological studies and molecular modeling studies were performed.



**Investigating biological activity spectrum for novel quinoline analogues 2: Hydroxyquinolinecarboxamides with photosynthesis-inhibiting activity**

pp 4490–4499

Robert Musiol, Dominik Tabak, Halina Niedbala, Barbara Podeszwa, Josef Jampilek, Katarina Kralova, Jiri Dohnal, Jacek Finster, Agnieszka Mencil and Jaroslaw Polanski\*



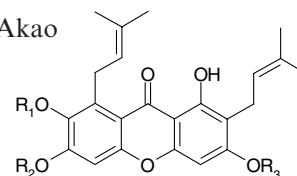
Two series of amides based on quinoline scaffold were designed and synthesized in search for photosynthesis inhibitors.

### Inhibitory effect of xanthenes isolated from the pericarp of *Garcinia mangostana* L. on rat basophilic leukemia RBL-2H3 cell degranulation

pp 4500–4508

Tomohiro Itoh,\* Kenji Ohguchi, Munekazu Iinuma, Yoshinori Nozawa and Yukihiro Akao

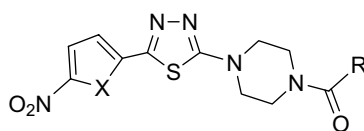
Xanthenes isolated from the pericarp of *Garcinia mangostana* L., suppressed the release of histamine in Ag-mediated RBL-2H3 cells. In our experiment, this suppression of degranulation was executed mainly by the inhibition of Syk/PLC $\gamma$ s/PKC pathway and Ca<sup>2+</sup> influx.

 $\alpha$ -Mangostin: R<sub>1</sub>=CH<sub>3</sub>, R<sub>2</sub>=R<sub>3</sub>=H $\beta$ -Mangostin: R<sub>1</sub>=R<sub>3</sub>=CH<sub>3</sub>, R<sub>2</sub>=H $\gamma$ -Mangostin: R<sub>1</sub>=R<sub>2</sub>=R<sub>3</sub>=H

### Synthesis and in vitro anti-leishmanial activity of 1-[5-(5-nitrofuran-2-yl)-1,3,4-thiadiazol-2-yl]- and 1-[5-(5-nitrothiophen-2-yl)-1,3,4-thiadiazol-2-yl]-4-arylpiperazines

pp 4509–4515

Mina Behrouzi-Fardmoghdam, Fatemeh Poorrajab, Sussan Kaboudanian Ardestani, Saeed Emami, Abbas Shafiee and Alireza Foroumadi\*



X = O, S

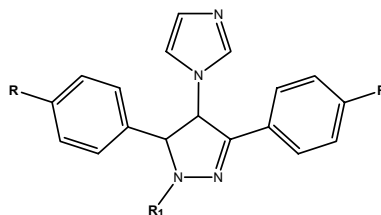
R = phenyl, Cl-phenyl, thiophen-2-yl, 5-Cl-thiophen-2-yl, 5-Br-thiophen-2-yl

The synthesis and anti-leishmanial activity of nitroheteroaryl-1,3,4-thiadiazole-based compounds were described.

### Antifungal and antimycobacterial activity of 1-(3,5-diaryl-4,5-dihydro-1H-pyrazol-4-yl)-1H-imidazole derivatives

pp 4516–4522

Daniele Zampieri, Maria Grazia Mamolo,\* Erik Laurini, Giuditta Scialino, Elena Banfi and Luciano Vio

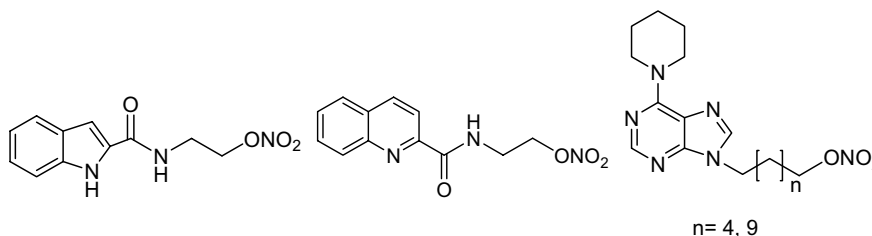


1-(3,5-Diaryl-4,5-dihydro-1H-pyrazol-4-yl)-1H-imidazole derivatives were synthesized and tested towards a strain of *Candida albicans* and a strain of *Mycobacterium tuberculosis* H<sub>37</sub>Rv. Imidazole derivatives showed an interesting antifungal and antimycobacterial activity against the tested strains.

### Design and synthesis of nitrate esters of aromatic heterocyclic compounds as pharmacological preconditioning agents

pp 4523–4531

Theano Fotopoulou, Efstathios K. Iliodromitis, Maria Koufaki,\* Andrew Tsotinis, Anastasia Zoga, Vassilis Gizas, Anastasia Pyriochou, Andreas Papapetropoulos, Ioanna Andreadou\* and Dimitrios Th Kremastinos

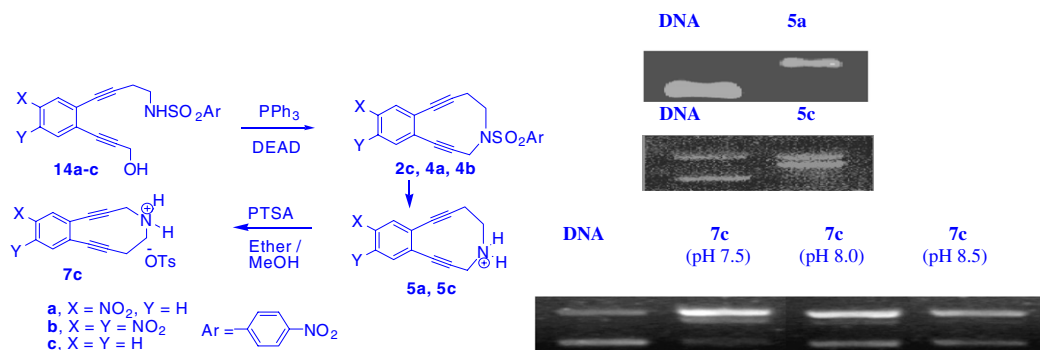




**Benzofused N-substituted cyclic enediynes: Activation and DNA-cleavage potential**

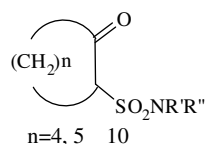
pp 4532–4537

Amit Basak\* and Moumita Kar

**Synthesis and biological activities of 2-oxocycloalkylsulfonamides**

pp 4538–4544

Xinghai Li, Xinling Yang, Xiaomei Liang, Zhenpeng Kai, Huizu Yuan, Dekai Yuan, Jianjun Zhang, Ruiqing Wang, Fuxiang Ran, Shuhua Qi, Yun Ling, Fuheng Chen and Daoquan Wang\*

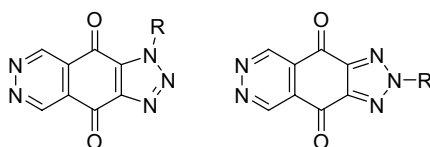


Synthesis, fungicidal and antitumor activities of 2-oxocycloalkylsulfonamides are reported.

**Synthesis of 1-/2-substituted-[1,2,3]triazolo[4,5-g]phthalazine-4,9-diones and evaluation of their cytotoxicity and topoisomerase II inhibition**

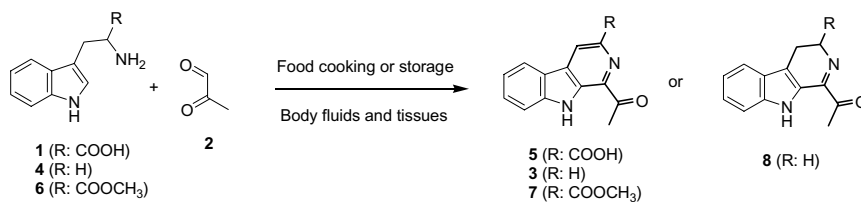
pp 4545–4550

Jin Sung Kim, Hee-Kyung Rhee, Hyen Joo Park, Sang Kook Lee, Chong-Ock Lee and Hea-Young Park Choo\*

**The role of methylglyoxal in the non-enzymatic conversion of tryptophan, its methyl ester and tryptamine to 1-acetyl- $\beta$ -carboline**

pp 4551–4562

Ina Nemet and Lidija Varga-Defterdarović\*

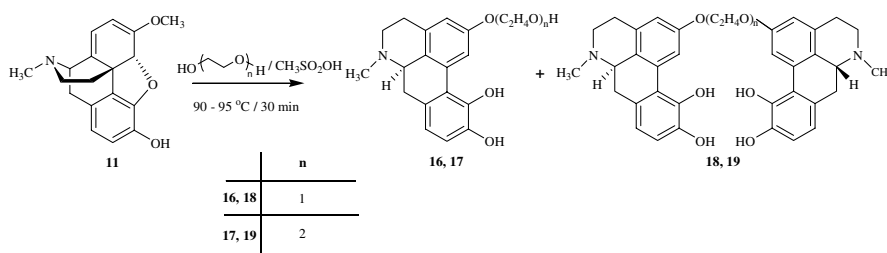


### Synthesis and neuropharmacological characterization of 2-*O*-substituted apomorphines

pp 4563–4568

Attila Sipos,\* Csaba Csutorás, Sándor Berényi, Ain Uustare and Ago Rinken

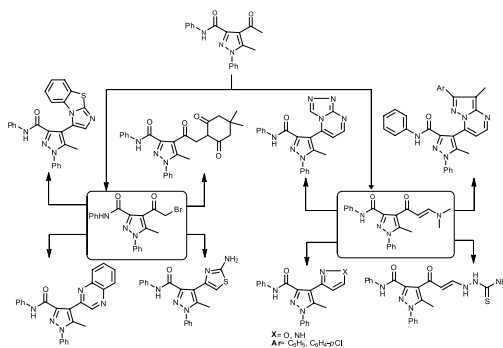
We have synthesized novel 2-*O*-substituted apomorphines with both different lengths of lipophilic alkyl chains and alkyl chains carrying free hydroxyl groups. Two bis-apomorphines formed as side products of the reactions with diols were isolated and characterized as well. The neuropharmacological profile of all these new compounds was investigated with respect to their binding affinities and activities to dopamine D<sub>2</sub> and D<sub>1</sub> receptors. The obtained data pointed to the fact that, in the examination of dopaminergic activities of 2-substituted apomorphines, the lipophilicity of the substituent is more important than its spatial parameters.



### Synthesis of new *N*-phenylpyrazole derivatives with potent antimicrobial activity

pp 4569–4578

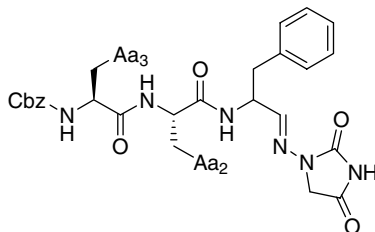
Ahmad M. Farag,\* Abdelrahman S. Mayhoub, Saber E. Barakat and Ashraf H. Bayomi



### Proteasome inhibition by peptide-semicarbazones

pp 4579–4588

Johann Leban,\* Marcus Blisse, Babett Krauss, Sandra Rath, Roland Baumgartner and Markus H. J. Seifert

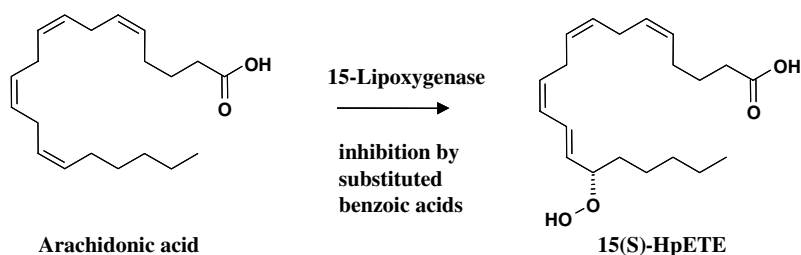


Z-Trp-Trp-Phe-cyclic semicarbazone peptides inhibit the chymotryptic activity of the human proteasome at nanomolar concentrations.

### Inhibition of 15-lipoxygenase-catalysed oxygenation of arachidonic acid by substituted benzoic acids

pp 4589–4593

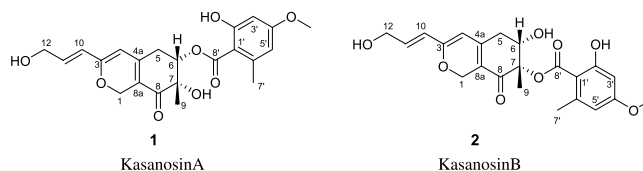
Wendy R. Russell,\* Lorraine Scobbie, Garry G. Duthie and Andrew Chesson



### Novel azaphilones, kasanosins A and B, which are specific inhibitors of eukaryotic DNA polymerases $\beta$ and $\lambda$ from *Talaromyces* sp.

pp 4594–4599

Takuma Kimura, Masayuki Nishida, Kouji Kuramochi, Fumio Sugawara, Hiromi Yoshida and Yoshiyuki Mizushima\*

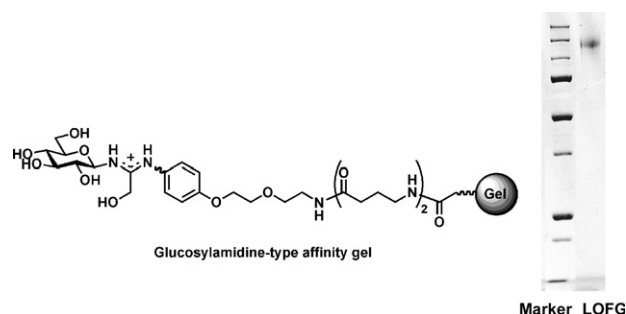


Kasanosins A (1) and B (2) are novel azaphilones isolated from cultures of *Talaromyces* sp. derived from seaweed, and their structures were determined by spectroscopic analyses. These compounds selectively inhibited the activities of eukaryotic DNA polymerases  $\beta$  and  $\lambda$  in family X of pols.

### Affinity purification and characterization of a key enzyme responsible for circadian rhythmic control of nyctinasty in *Lespedeza cuneata* L.

pp 4600–4616

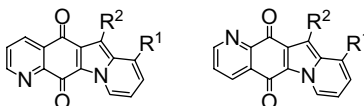
Eisuke Kato, Takehiko Sasaki and Minoru Ueda\*



### Synthesis, cytotoxic activities and structure–activity relationships of topoisomerase I inhibitors: Indolizinoquinoline-5,12-dione derivatives

pp 4617–4625

Yu Cheng, Lin-Kun An,\* Ning Wu, Xiao-Dong Wang, Xian-Zhang Bu, Zhi-Shu Huang and Lian-Quan Gu\*

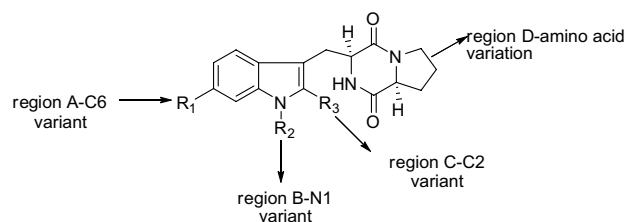


A series of indolizinoquinoline-5,12-dione derivatives are synthesized and evaluated for cytotoxic activities. The synthetic compounds show significant cytotoxic activities against tumor cells. The structure-activity relationships and topoisomerase I inhibitory activity of target compounds are discussed.

### Synthesis and structure–activity relationship studies on tryprostatin A, an inhibitor of breast cancer resistance protein

pp 4626–4651

Hiteshkumar D. Jain, Chunchun Zhang, Shuo Zhou, Hao Zhou, Jun Ma, Xiaoxiang Liu, Xuebin Liao, Amy M. Deveau, Christine M. Dieckhaus, Michael A. Johnson, Kirsten S. Smith, Timothy L. Macdonald, Hideaki Kakeya, Hiroyuki Osada and James M. Cook\*

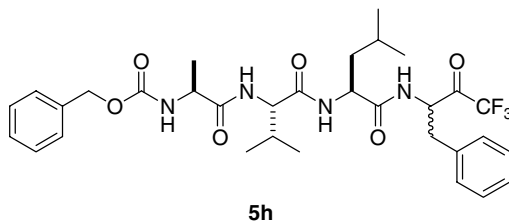


Analogues of tryprostatin A modified in the regions A–D were synthesized and evaluated for their antitumor activity.

### Design, synthesis, and evaluation of trifluoromethyl ketones as inhibitors of SARS-CoV 3CL protease

pp 4652–4660

Yi-Ming Shao, Wen-Bin Yang, Tun-Hsun Kuo, Keng-Chang Tsai, Chun-Hung Lin, An-Suei Yang, Po-Huang Liang and Chi-Huey Wong\*

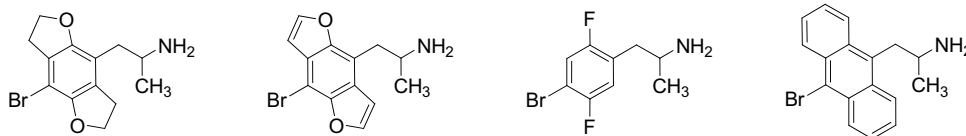


5h

### The role of lipophilicity in determining binding affinity and functional activity for 5-HT<sub>2A</sub> receptor ligands

pp 4661–4669

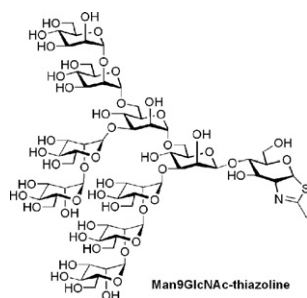
Matthew A. Parker, Deborah M. Kurrasch and David E. Nichols\*



### Synthesis and inhibitory activity of oligosaccharide thiazolines as a class of mechanism-based inhibitors for *endo*- $\beta$ -N-acetylglucosaminidases

pp 4670–4675

Bing Li, Kaoru Takegawa, Tadashi Suzuki, Kenji Yamamoto and Lai-Xi Wang\*

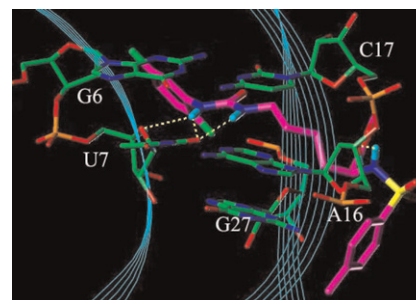


### Identification of novel ligands for the RNA pseudoknot that regulate –1 ribosomal frameshifting

pp 4676–4684

So-Jung Park, Young Hoon Jung, Yang-Gyun Kim\* and Hyun-Ju Park\*

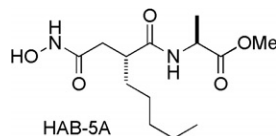
An RNA pseudoknot is one of the essential components of the viral –1 ribosomal frameshifting (–1RF) system. The control of pseudoknot stability is important in regulating the efficiency of –1RF. We conducted the virtual screening of a chemical database targeting the X-ray crystal structure of biotin-bound RNA pseudoknot to identify ligands that may regulate an –1RF system containing biotin-aptamer as an RNA pseudoknot component. After docking screening of about 80,000 compounds, 58 high-ranked hits were selected and their activities were examined by in vitro and cell-based –1 frameshifting assays. Six compounds increased the efficiency of –1 frameshifting, and these are novel small molecule compounds that regulate the –1RF.



**Degradation-promoters of cellular inhibitor of apoptosis protein 1 based on bestatin and actinonin**

pp 4685–4698

Shinichi Sato, Masashi Tetsuhashi, Keiko Sekine, Hiroyuki Miyachi,  
Mikihiko Naito, Yuichi Hashimoto and Hiroshi Aoyama\*

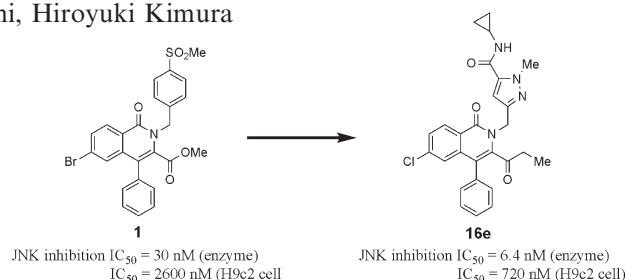


A series of hybrid compounds of bestatin and actinonin, which promote degradation cIAP1, were designed and synthesized. HAB-5A showed the most potent activity ( $IC_{50} = 0.53 \mu M$ ) among the compounds prepared.

**Discovery, synthesis and biological evaluation of isoquinolones as novel and highly selective JNK inhibitors (2)**

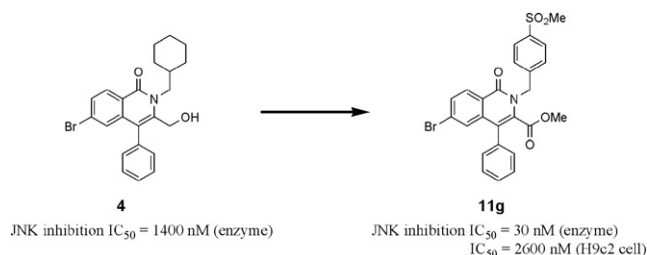
pp 4699–4714

Yasutomi Asano,\* Shuji Kitamura, Taiichi Ohra, Fumio Itoh, Masahiro Kajino, Tomoko Tamura,  
Manami Kaneko, Shota Ikeda, Hideki Igata, Tomohiro Kawamoto, Satoshi Sogabe,  
Shin-ichi Matsumoto, Toshimasa Tanaka, Masashi Yamaguchi, Hiroyuki Kimura  
and Shoji Fukumoto

**Discovery, synthesis and biological evaluation of isoquinolones as novel and highly selective JNK inhibitors (1)**

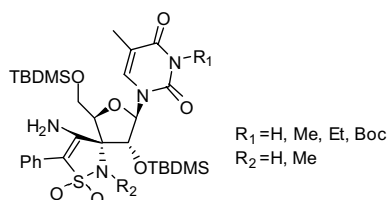
pp 4715–4732

Yasutomi Asano,\* Shuji Kitamura, Taiichi Ohra, Kazuyoshi Aso, Hideki Igata, Tomoko Tamura,  
Tomohiro Kawamoto, Toshimasa Tanaka, Satoshi Sogabe, Shin-ichi Matsumoto,  
Masashi Yamaguchi, Hiroyuki Kimura and Fumio Itoh

**Synthesis and anti-HIV1 biological activity of novel 5''-ATSAO compounds**

pp 4733–4741

Cyrille Tomassi, Albert Nguyen Van Nhen, José Marco-Contelles, Jan Balzarini,  
Christophe Pannecouque, Erik De Clercq and Denis Postel\*




Aza TSAO-T derivatives bearing a substituted dihydroisothiazole dioxide ring with a phenyl group at 5' position were prepared.

**OTHER CONTENTS**

**Bioorganic & Medicinal Chemistry Reviews and Perspectives**  
**Summary of instructions to authors**

**pp 4742–4744****p I**

\*Corresponding author

+ Supplementary data available via ScienceDirect

**COVER**

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (<http://www.pymol.org>). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

---

Available online at

 **ScienceDirect**  
[www.sciencedirect.com](http://www.sciencedirect.com)

---

Indexed/Abstracted in: Beilstein, Biochemistry & Biophysics Citation Index, CANCERLIT, Chemical Abstracts, Chemistry Citation Index, Current Awareness in Biological Sciences/BIOBASE, Current Contents: Life Sciences, EMBASE/Excerpta Medica, MEDLINE, PASCAL, Research Alert, Science Citation Index, SciSearch, TOXFILE

---



ISSN 0968-0896