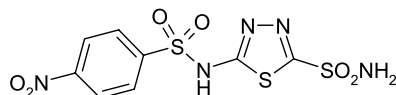


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### ARTICLES

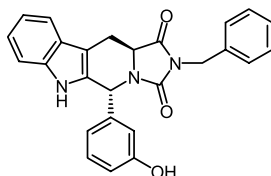
- Carbonic anhydrase inhibitors: Inhibition of the human transmembrane isozyme XIV with a library of aromatic/heterocyclic sulfonamides** pp 6089–6093

Özen Özensoy, Isao Nishimori, Daniela Vullo, Luca Puccetti, Andrea Scozzafava and Claudiu T. Supuran\*



- Synthesis and biological evaluation of new tetrahydro-β-carbolines as inhibitors of the mitotic kinesin Eg5** pp 6094–6111

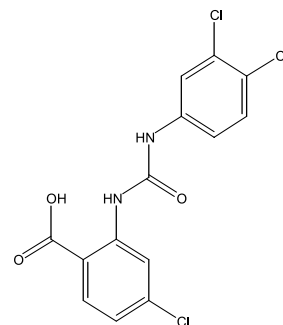
Nils Sunder-Plassmann, Vasiliki Sarli, Michael Gartner, Mathias Utz, Jeanette Seiler, Stefan Huemmer, Thomas U. Mayer, Thomas Surrey and Athanassios Giannis\*



- Identification and characterization of small molecule modulators of KChIP/Kv4 function** pp 6112–6119

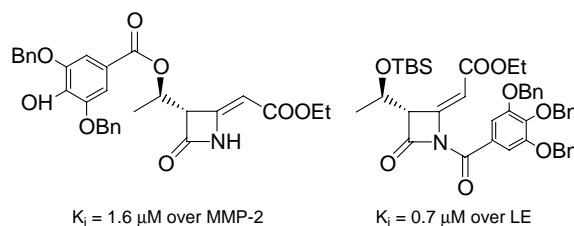
Mark R. Bowlby,\* Pranab Chanda, Wade Edris, Joseph Hinson, Flora Jow, Alan H. Katz, Jeffrey Kennedy, Girija Krishnamurthy, Keith Pitts, Kevin Ryan, Howard Zhang and Lynne Greenblatt

Inhibitors have been identified which modulate the Kv4/KChIP potassium channel complex. The apparent affinity of KChIP1 to Kv4.3-N and the current amplitude and kinetics were altered with compound exposure. Fluorescence spectroscopy and molecular modeling of the KChIP1 crystal structure indicate that compound binding may occur in a small tryptophan-containing pocket on the hydrophilic side of the protein.



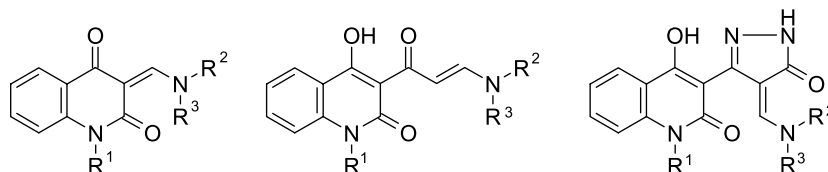
**4-Alkyliden- $\beta$ -lactams conjugated to polyphenols: Synthesis and inhibitory activity**

pp 6120–6132

Gianfranco Cainelli, Paola Galletti, Spiridione Garbisa,\* Daria Giacomini,\*  
Luigi Sartor and Arianna Quintavalla**Synthesis and evaluation of molluscicidal and larvicidal activities of some novel enaminones derived from 4-hydroxyquinolinones: Part IX**

pp 6133–6144

Mohamed Abass\* and Bayaummy B. Mostafa

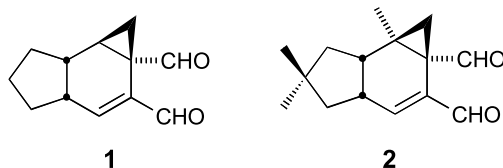


A series of 32 new enaminones derived from 4-hydroxyquinolinones are described as potential molluscicides and larvicides. The new synthesized compounds revealed efficiency against *Biomphalaria alexandrina* and *Lymnaea natalensis* snails as well as the larvae of *Schistosoma mansoni*. These compounds are found to be nontoxic to *Daphnia magna* and hence environmentally safe.

**Tridemethylisovelleral, a potent cytotoxic agent**

pp 6145–6150

Isabelle Aujard, Daniel Röme, Erwan Arzel, Martin Johansson, Dick de Vos and Olov Sterner\*

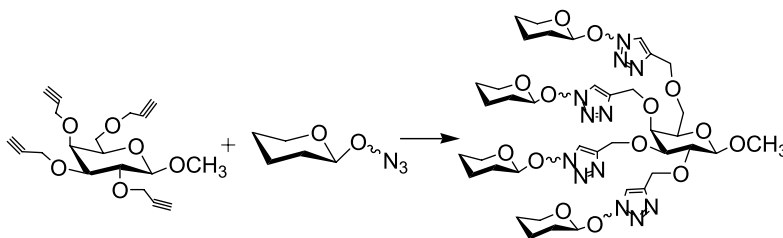


The preparation and characterization of tridemethylisovelleral (**1**) is described. Compound **1** is strongly cytotoxic toward all tumor cell lines tested, and approximately 10 times as potent as the natural product (**2**).

**Synthesis and molecular recognition of carbohydrate-centered multivalent glycoclusters by a plant lectin RCA<sub>120</sub>**

pp 6151–6157

Yongjun Gao, Atsuko Eguchi, Kazuaki Kakehi and Yuan C. Lee\*

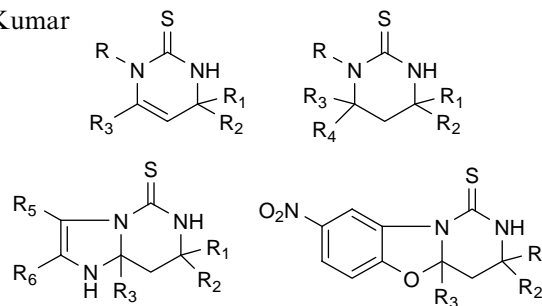


**Synthesis, anti-inflammatory and analgesic activities evaluation of some mono, bi and tricyclic pyrimidine derivatives**

pp 6158–6166

Sham M. Sondhi,\* Nirupma Singh, Monika Johar and Ashok Kumar

A number of mono, bi and tricyclic pyrimidine derivatives have been synthesized and evaluated for anti-inflammatory and analgesic activities.

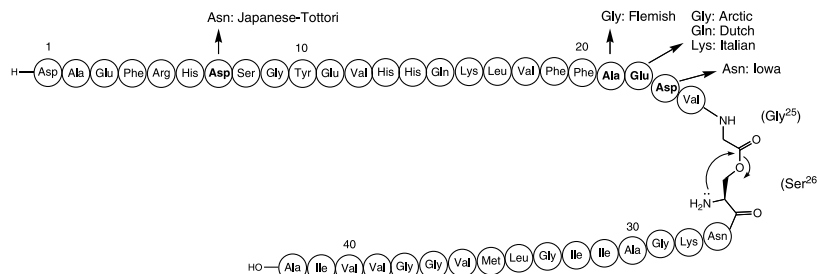


Where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are various substituents

**'O-Acyl isopeptide method' for the efficient preparation of amyloid  $\beta$  peptide 1–42 mutants**

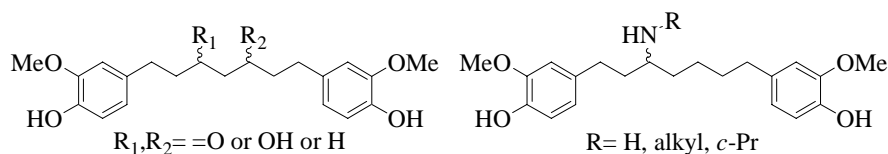
pp 6167–6174

Youhei Sohma, Yousuke Chiyomori, Maiko Kimura, Fukue Fukao, Atsuhiko Taniguchi, Yoshio Hayashi,\* Tooru Kimura and Yoshiaki Kiso\*

26-O-acyl isoA $\beta$ 1–42s**Preparation and anti-inflammatory activities of diarylheptanoid and diarylheptylamine analogs**

pp 6175–6181

Su-Lin Lee, Wei-Jan Huang, Wan Wan Lin, Shoei-Sheng Lee\* and Chung-Hsiung Chen\*

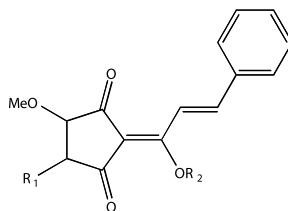


Analogues inhibit iNOS and COX-2 responses of LPS in macrophages and also exhibit marked inhibition of COX-2-derived PGE<sub>2</sub> formation.

**Cyclopentenones, inhibitors of farnesyl protein transferase and anti-tumor compounds, isolated from the fruit of *Lindera erythrocarpa* Makino**

pp 6182–6187

Hyun-Mi Oh, Sung-Kyu Choi, Ji Min Lee, Su-Kyung Lee, Hak-Yung Kim, Dong Cho Han, Hwan-Mook Kim, Kwang-Hee Son\* and Byoung-Mog Kwon\*



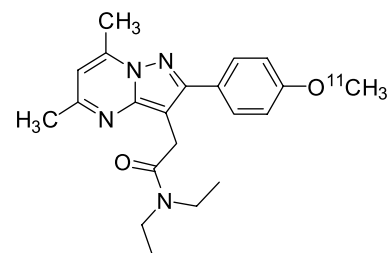
Cyclopentenones were isolated from the fruits of *Lindera erythrocarpa*. Cyclopentenones strongly inhibited the growth of human tumor and H-ras-transformed rat-2 cells.

**Synthesis and in vivo evaluation of a novel peripheral benzodiazepine receptor PET radioligand**

pp 6188–6194

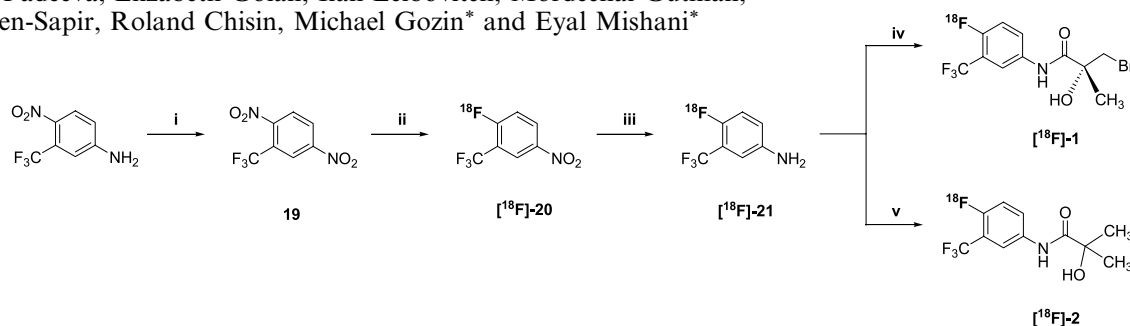
Michelle L. James, Roger R. Fulton, David J. Henderson, Stefan Eberl, Steven R. Meikle, Sally Thomson, Robin D. Allan, Frederic Dolle, Michael J. Fulham and Michael Kassiou\*

The novel pyrazolopyrimidine ligand, *N,N*-diethyl-2-[2-(4-methoxyphenyl)-5,7-dimethyl-pyrazolo[1,5-*a*]pyrimidin-3-yl]-acetamide **1**, is a high affinity peripheral benzodiazepine ligand, which has been labelled with carbon-11 ( $t_{1/2}$ : 20.4min) and evaluated in vivo in the baboon brain using positron emission tomography.

[<sup>11</sup>C]**1****Prostate cancer PET bioprobes: Synthesis of [<sup>18</sup>F]-radiolabeled hydroxyflutamide derivatives**

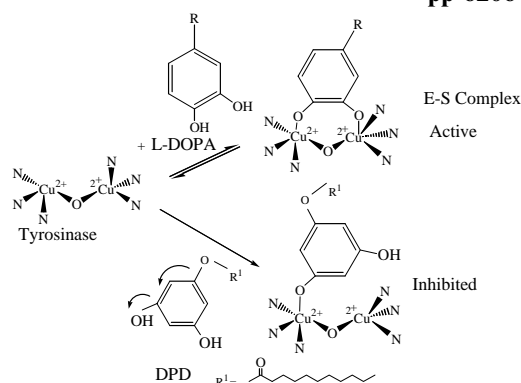
pp 6195–6205

Orit Jacobson, Yossi Bechor, Avi Icar, Nurit Novak, Atalia Birman, Hanit Marom, Ludmila Fadeeva, Elizabeth Golan, Ilan Leibovitch, Mordechai Gutman, Einat Even-Sapir, Roland Chisin, Michael Gozin\* and Eyal Mishani\*

**Irreversibly inhibitory kinetics of 3,5-dihydroxyphenyl decanoate on mushroom (*Agaricus bisporus*) tyrosinase**

pp 6206–6211

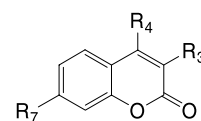
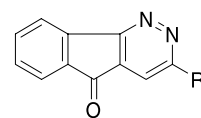
Ling Qiu, Qing-Xi Chen,\* Qin Wang, Hao Huang and Kang-Kang Song

**Human recombinant monoamine oxidase B as reliable and efficient enzyme source for inhibitor screening**

pp 6212–6217

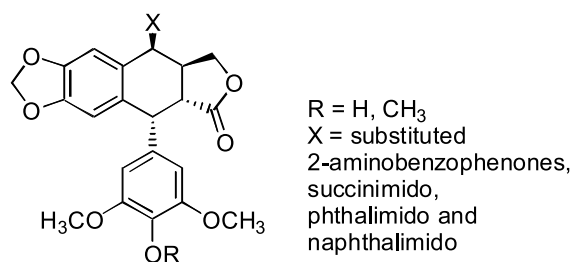
Laura Novaroli, Marianne Reist, Elisabeth Favre, Angelo Carotti, Marco Catto and Pierre-Alain Carrupt\*

The reliability of human recombinant monoamine oxidase B (Supersomes<sup>TM</sup> MAO B, BD Gentest, MA, USA) as an enzyme source for MAO B inhibitor screening was validated by comparison of inhibition potencies ( $pIC_{50}$  values) determined with human-cloned and human platelet MAO B for the two series of MAO B inhibitors, coumarin (**C**) and 5*H*-indeno[1,2-*c*]-pyridazin-5-one (**IP**) derivatives.

**C****IP**

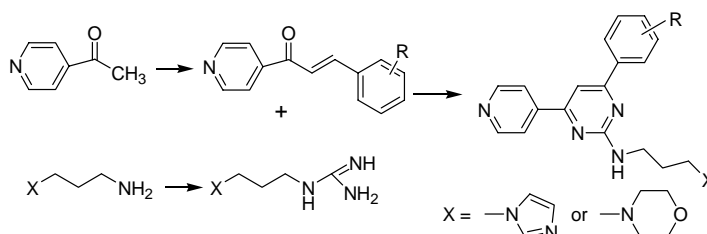
**Synthesis and biological evaluation of new 4 $\beta$ -anilino- and 4 $\beta$ -imido-substituted podophyllotoxin congeners** pp 6218–6225

Ahmed Kamal,\* N. Lakshmi Gayatri, D. Rajasekhar Reddy, P. S. Murali Mohan Reddy,  
M. Arifuddin, Sunanda G. Dastidar, Anand K. Kondapi and M. Rajkumar

**Synthesis of 4-pyrido-6-aryl-2-substituted amino pyrimidines as a new class of antimalarial agents**

pp 6226–6232

Anu Agarwal, Kumkum Srivastava, S. K. Puri and Prem M. S. Chauhan\*

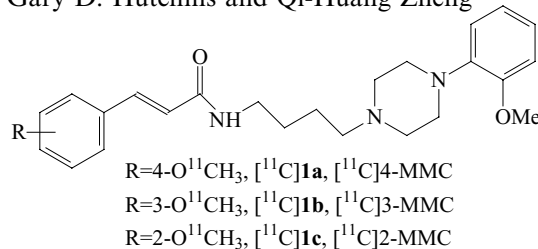


A series of 2,4,6-trisubstituted pyrimidines were synthesized and evaluated for their in vitro antimalarial activity against *Plasmodium falciparum*. Of the 18 compounds synthesized, 14 compounds showed MIC in the range of 0.25–2  $\mu$ g/mL.

**Synthesis and initial PET imaging of new potential dopamine D<sub>3</sub> receptor radioligands (E)-4,3,2-[<sup>11</sup>C]methoxy-N-4-(4-(2-methoxyphenyl)piperazin-1-yl)butyl-cinnamoylamides**

pp 6233–6243

Mingzhang Gao, Bruce H. Mock, Gary D. Hutchins and Qi-Huang Zheng\*

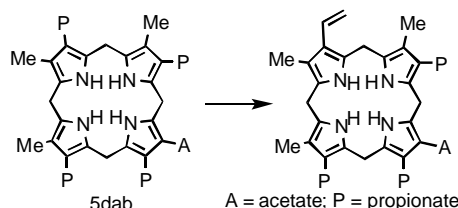


Reported in this article are the synthesis and initial PET imaging of new potential dopamine D<sub>3</sub> receptor radioligands (E)-4,3,2-[<sup>11</sup>C]methoxy-N-4-(4-(2-methoxyphenyl)piperazin-1-yl)butyl-cinnamoylamides.

**Metabolism of pentacarboxylate porphyrinogens by highly purified human coproporphyrinogen oxidase: Further evidence for the existence of an abnormal pathway for heme biosynthesis**

pp 6244–6251

Christopher L. Cooper, Christian M. Stob, Marjorie A. Jones\* and Timothy D. Lash\*



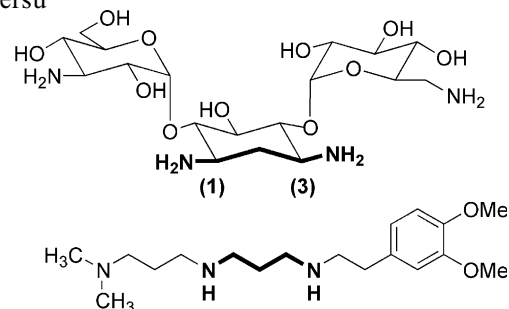
Pentacarboxylate porphyrinogen 5dab is converted to dehydroisocoproporphyrinogen by cloned human coproporphyrinogen oxidase; this result indicates that an alternative pathway for heme biosynthesis may take place in disease states such as porphyria cutanea tarda.

**Discovery of non-carbohydrate inhibitors of aminoglycoside-modifying enzymes**

pp 6252–6263

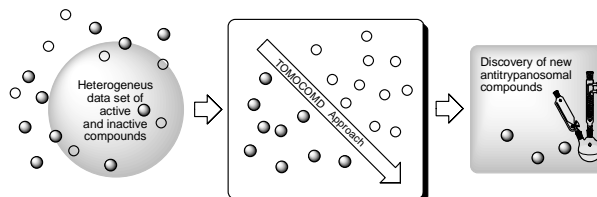
Karen T. Welch, Kristopher G. Virga, Neil A. Whittemore, Can Özen, Edward Wright, Cynthia L. Brown, Richard E. Lee and Engin H. Serpersu\*

Discovery of inhibitors that contain the 1,3-diamine pharmacophore of aminoglycoside antibiotics.

**A novel non-stochastic quadratic fingerprints-based approach for the ‘in silico’ discovery of new antitrypanosomal compounds**

pp 6264–6275

Alina Montero-Torres,\* María Celeste Vega, Yovani Marrero-Ponce, Miriam Rolón, Alicia Gómez-Barrio, José Antonio Escario, Vicente J. Arán, Antonio R. Martínez-Fernández and Alfredo Meneses-Marcel

**OTHER CONTENTS****Corrigenda**

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**Contributors to this issue**

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**Summary of instructions to authors 2005**

p II

\*Corresponding author

Supplementary data available via ScienceDirect

**COVER**

2005: Human liver glycogen phosphorylase A (HLGPa) is an attractive target enzyme for discovering anti-type 2 diabetes drugs. This picture shows the interaction model for a series of indole-2-carboxamides to HLGPa derived from molecular docking simulations [Liu, G.; Zhang, Z.; Luo, X.; Shen, J.; Liu, H.; Shen, X.; Chen, K.; Jiang, H. *Bioorg. Med. Chem.* **2004**, *12*, 4147–4157].

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