

Contents

ARTICLES

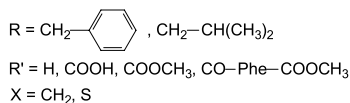
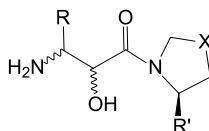
**A new structural alternative in benzo[*b*]furans for antimicrobial activity** pp 4796–4805

M. Wahab Khan, M. Jahangir Alam, M. A. Rashid and R. Chowdhury\*

Two series of 2-substituted and three new diacetyl benzofurans were synthesized through palladium-catalyzed reactions, and their *in vitro* antimicrobial spectra were assessed. The compounds demonstrated mild to significant growth inhibition against antibiotic-susceptible standard and clinically isolated strains of Gram-positive and Gram-negative bacteria as well as human fungal pathogens. Ampicillin and kanamycin were used as references for antibacterial screening; nystatin and amphotericin B were used for antifungal screening. Varying substitution at the benzofuran moiety and subsequent antimicrobial screening identified the C-3-acetyl functionality as a new structural alternative for optimal antimicrobial property in the benzofuran class of compounds.

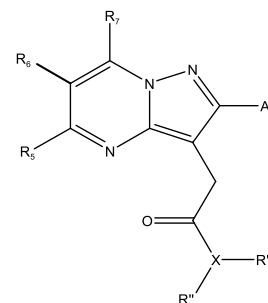
**Novel 3-amino-2-hydroxy acids containing protease inhibitors. Part 1: Synthesis and kinetic characterization as aminopeptidase P inhibitors** pp 4806–4820

Angela Stöckel-Maschek,\* Beate Stiebitz, Regine Koelsch and Klaus Neubert



**Insight into 2-phenylpyrazolo[1,5-*a*]pyrimidin-3-yl acetamides as peripheral benzodiazepine receptor ligands: Synthesis, biological evaluation and 3D-QSAR investigation** pp 4821–4834

Silvia Selleri, Paola Gratteri,\* Camilla Costagli, Claudia Bonaccini, Annarella Costanzo, Fabrizio Melani, Gabriella Guerrini, Giovanna Ciciani, Barbara Costa, Francesca Spinetti, Claudia Martini and Fabrizio Bruni

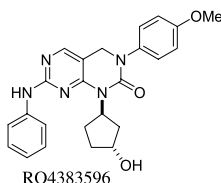


Synthesis, 3D-QSAR study and biological evaluation of a new series of pyrazolo[1,5-*a*]pyrimidine derivatives as PBR ligands.

**RO4383596, an orally active KDR, FGFR, and PDGFR inhibitor: Synthesis and biological evaluation**

pp 4835–4841

Lee A. McDermott,\* Mary Simcox, Brian Higgins, Tom Nevins, Kenneth Kolinsky, Melissa Smith, Hong Yang, Jia K. Li, Yingsi Chen, June Ke, Navita Mallalieu, Tom Egan, Stan Kolis, Aruna Railkar, Louise Gerber and Kin-Chun Luk

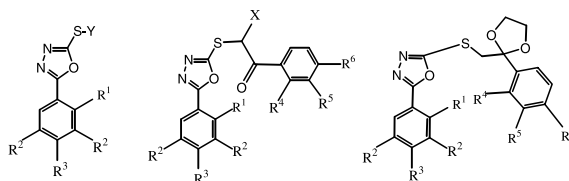


The synthesis and anti-angiogenic efficacy of RO4383596, a pyrimidopyrimidone inhibitor of the KDR, FGFR, and PDGFR receptor tyrosine kinases, are described.

**Synthesis of novel 5-aryl-2-thio-1,3,4-oxadiazoles and the study of their structure–anti-mycobacterial activities**

pp 4842–4850

Fliur Macaev,\* Ghenadie Rusu, Serghei Pogrebnoi, Alexandru Gudima, Eugenia Stingaci, Ludmila Vlad, Nathaly Shvets, Fatma Kandemirli, Anatholy Dimoglo\* and Robert Reynolds



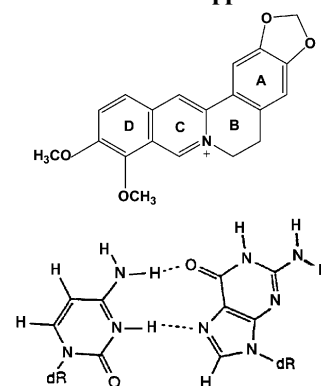
R<sup>1</sup>=H, Cl, Br, OH, Me; R<sup>2</sup>=H, OMe, R<sup>3</sup>=H, Cl, OMe, OEt; R<sup>4</sup>=H, Cl, Me, CH<sub>2</sub>Py; R<sup>5</sup>=H, OMe; R<sup>6</sup>=H, F, NO<sub>2</sub>, Br, Cl, Me, Ph, OMe; Y=H, Me; X=H, Br

**Protonated structures of naturally occurring deoxyribonucleic acids and their interaction with berberine**

pp 4851–4863

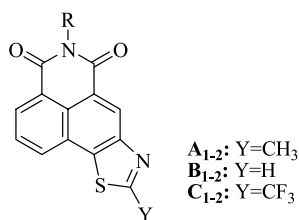
Kakali Bhadra, Gopinatha Suresh Kumar,\* Suman Das, Md. Maidul Islam and Motilal Maiti

Interaction of berberine with B-form and protonated structure of natural DNAs clearly shows that berberine detects left-handed Hoogsteen base paired structure (H<sup>L</sup>-form) in protonated DNA that may potentiate the use of the alkaloid in regulatory roles in biological systems.


**Novel thiazonaphthalimides as efficient antitumor and DNA photocleaving agents: Effects of intercalation, side chains, and substituent groups**

pp 4864–4870

Zhigang Li, Qing Yang and Xuhong Qian\*

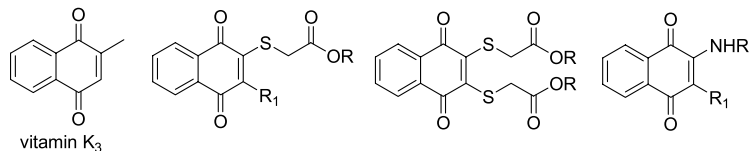


**A<sub>1</sub>, B<sub>1</sub>, C<sub>1</sub>**: R=(CH<sub>2</sub>)<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>  
**A<sub>2</sub>, B<sub>2</sub>, C<sub>2</sub>**: R=(CH<sub>2</sub>)<sub>3</sub>N(CH<sub>3</sub>)<sub>2</sub>

**Design, synthesis, and biological evaluation of novel naphthoquinone derivatives with CDC25 phosphatase inhibitory activity**

pp 4871–4879

Marie-Priscille Brun, Emmanuelle Braud, Delphine Angotti, Odile Mondésert, Muriel Quaranta, Matthieu Montes, Maria Miteva, Nohad Gresh, Bernard Ducommun and Christiane Garbay\*

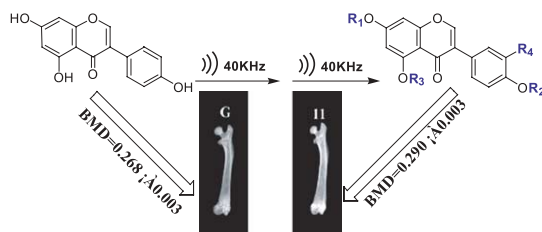


Synthesis of two series of substituted naphthoquinone derivatives and their biological evaluation as CDC25 inhibitors.

**Genistein derivatives as selective estrogen receptor modulators: Sonochemical synthesis and in vivo anti-osteoporotic action**

pp 4880–4890

Shi F. Wang, Qing Jiang, Yong H. Ye, Yang Li and Ren X. Tan\*

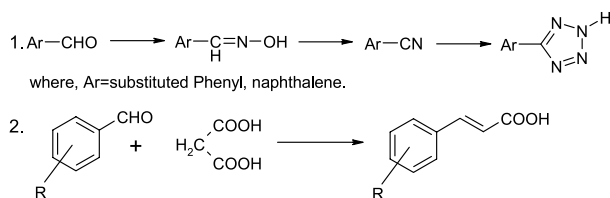


Genistein derivatives were synthesized and evaluated as selective estrogen receptor modulators (SERMs) in ovariectomized rats.

**Design and synthesis of low molecular weight compounds with complement inhibition activity**

pp 4891–4899

Hoshang E. Master,\* Shabana I. Khan and Krishna A. Poojari

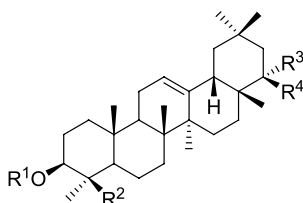


A series of non-cytotoxic low molecular weight aromatic tetrazoles and phenyl acrylic acid derivatives were synthesized. The in vitro assay revealed significant inhibitory activity of these compounds with IC<sub>50</sub> values as low as 23 μM with absence of or poor intrinsic lytic properties compared to positive controls.

**Preventive effects of soyasapogenol B derivatives on liver injury in a concanavalin A-induced hepatitis model**

pp 4900–4911

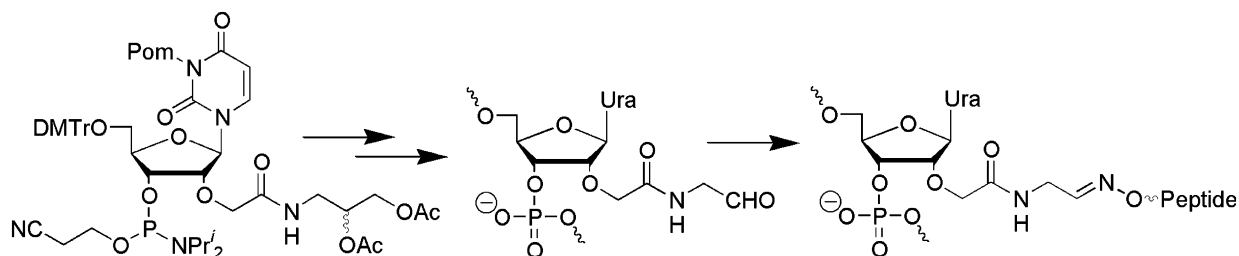
Kazue Sasaki, Nobuto Minowa,\* Hiroyuki Kuzuhara and Shoji Nishiyama



**Oligonucleotides containing 2'-O-[2-(2,3-dihydroxypropyl)amino-2-oxoethyl]uridine as suitable precursors of 2'-aldehyde oligonucleotides for chemoselective ligation**

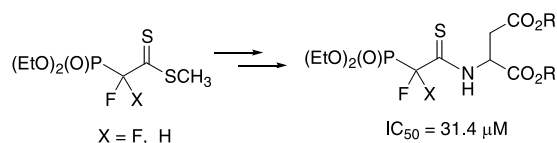
pp 4912–4920

Eugeny M. Zubin, Dmitry A. Stetsenko,\* Timofei S. Zatsepin, Michael J. Gait and Tatiana S. Oretskaya

**Efficient synthesis of fluorothiosparfosic acid analogues with potential antitumoral activity**

pp 4921–4928

Emmanuel Pfund, Thierry Lequeux,\* Serge Masson, Michel Vazeux, Alex Cordi, Alain Pierre, Valérie Serre and Guy Hervé

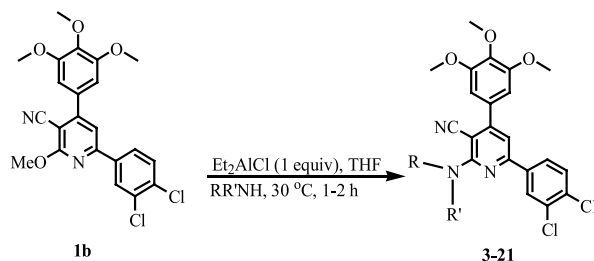


Ester thioPALA(FF), prepared in one step, showed a remarkable cytotoxic activity towards murine leukemia L1210 cell.

**Lewis acid-promoted transformation of 2-alkoxy-pyridines into 2-aminopyridines and their antibacterial activity. Part 2: Remarkably facile C–N bond formation**

pp 4929–4935

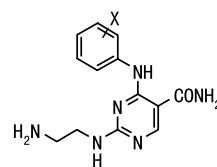
Alaa A.-M. Abdel-Aziz,\* Hussein I. El-Subbagh and Takehisa Kunieda

**Synthetic studies on novel Syk inhibitors. Part 1: Synthesis and structure–activity relationships of pyrimidine-5-carboxamide derivatives**

pp 4936–4951

Hiroyuki Hisamichi,\* Ryo Naito, Akira Toyoshima, Noriyuki Kawano, Atsushi Ichikawa, Akiko Orita, Masaya Orita, Noritaka Hamada, Makoto Takeuchi, Mitsuaki Ohta and Shin-ichi Tsukamoto

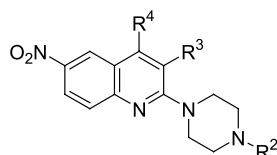
We discovered the 4-anilinopyrimidine-5-carboxamides as novel Syk inhibitors. These compounds showed high selectivity for Syk compared to other kinases, such as ZAP-70, c-Src, and PKC, and exhibited good inhibitory activities against 5-HT release from RBL-cells. The selected compound inhibited the passive cutaneous anaphylaxis reaction in mice, with an ID<sub>50</sub> of 13 mg/kg following subcutaneous administration. These results suggest that our compounds are worthy of further evaluation as new anti-allergic agents.



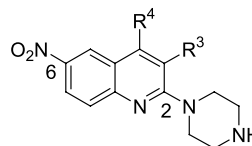
**Syntheses and binding affinities of 6-nitroquipazine analogues for serotonin transporter. Part 4: 3-Alkyl-4-halo-6-nitroquipazines**

pp 4952–4959

Byung Seok Moon, Byoung Se Lee and Dae Yoon Chi\*



Structure-Activity Relationship (SAR) based on the right structures



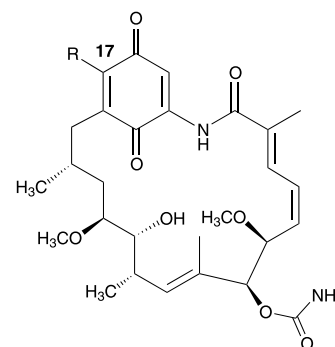
1, R<sup>3</sup> = H, R<sup>4</sup> = H  $K_i$  = 0.17 nM  
 2, R<sup>3</sup> = H, R<sup>4</sup> = Cl  $K_i$  = 0.03 nM  
 3, R<sup>3</sup> = CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>F, R<sup>4</sup> = H  $K_i$  = 0.32 nM

**Geldanamycin derivative inhibition of HGF/SF-mediated Met tyrosine kinase receptor-dependent urokinase-plasminogen activation**

pp 4960–4971

Yuehai Shen, Qian Xie, Monica Norberg, Edward Sausville, George Vande Woude and David Wenkert\*

Certain 17-amino-17-demethoxygeldanamycin derivatives (R = NR'R'', R', and/or R'' = H and/or alkyl) exhibit femtomolar inhibitory activity toward hepatocyte growth factor/scatter factor-mediated Met tyrosine kinase receptor-dependent urokinase-plasminogen activation.



**OTHER CONTENTS**

Contributors to this issue  
 Instructions to contributors

p I  
 p II

\*Corresponding author

📄<sup>+</sup> 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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