



## European Journal of Medicinal Chemistry Vol 45, No 2, 2010

## Contents

## ORIGINAL ARTICLES

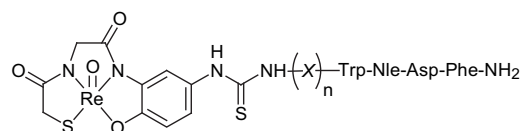
**Synthesis, characterization and in vitro evaluation of new oxorhenium- and oxotechnetium-CCK4 derivatives as molecular imaging agents for CCK2-receptor targeting**

pp. 423–429

Sandra Dorbes, Béatrice Mestre-Voegtli, Yvon Coulais, Claude Picard, Sandrine Silvente-Poirot, Marc Poirot and Eric Benoist\*

In the present study, we demonstrated for the first time the feasibility to develop a CCK4 peptide-based oxorhenium complex which exhibited a nanomolar affinity for CCK2-receptor.

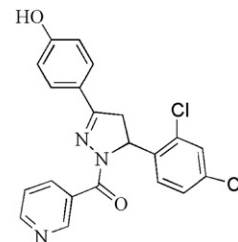
	n	X	EC <sub>50</sub> (nM)
3-Re	2	β-Ala	22.9
5-Re	2	Ahx	5.2

**Synthesis and antimalarial evaluation of 1, 3, 5-trisubstituted pyrazolines**

pp. 430–438

Badri Narayan Acharya, Deepika Saraswat, Mugdha Tiwari, Asish Kumar Shrivastava, Ramarao Ghorpade, Saroj Bapna and Mahabir Parshad Kaushik\*

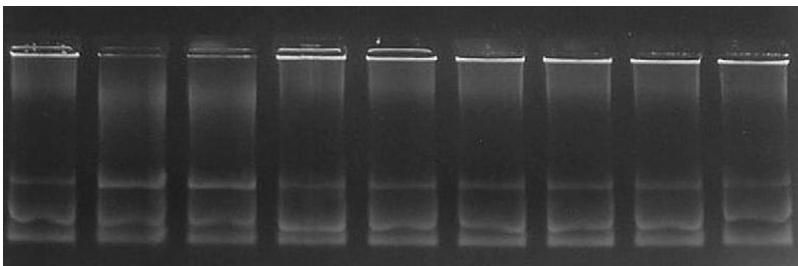
A series of 1,3,5 tri substituted pyrazolines were synthesized and evaluated for *in vitro* and *in vivo* antimalarial efficacy against *P. falciparum* and *P. berghei* respectively.

**Antibacterial and DNA interaction studies of zinc(II) complexes with quinolone family member, ciprofloxacin**

pp. 439–446

Mohan Patel\*, Mehul Chhasatia and Pradhuman Parmar

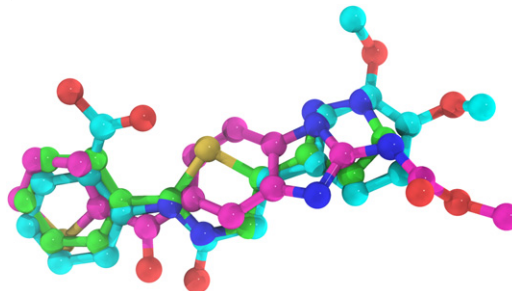
Drug based mixed-ligand complexes of zn(II) with ciprofloxacin and neutral bidantate ligands were synthesized and tested for their antimicrobial activity, and DNA interaction.



**Identification and characterisation of new inhibitors for the human hematopoietic prostaglandin D<sub>2</sub> synthase**

pp. 447–454

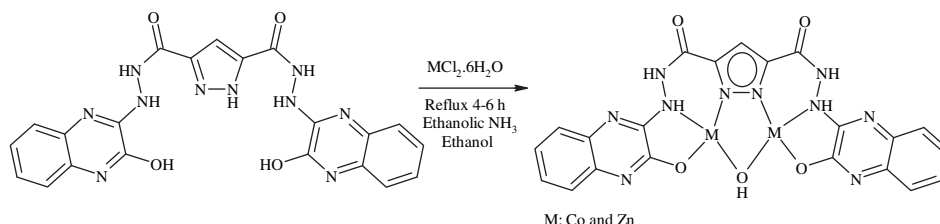
Jane E. Weber, Aaron J. Oakley, Angelika N. Christ, Alan G. Clark, John D. Hayes, Rhonda Hall, David A. Hume, Philip G. Board, Mark L. Smythe and Jack U. Flanagan\*

**Synthesis and spectroscopy of Co<sup>II</sup>, Ni<sup>II</sup>, Cu<sup>II</sup> and Zn<sup>II</sup> complexes derived from 3,5-disubstituted-1H-pyrazole derivative: A special emphasis on DNA binding and cleavage studies**

pp. 455–462

Srinivasa Budagumpi, Naveen V. Kulkarni, Gurunath S. Kurdekar, M.P. Sathisha and Vidyanand K. Revankar\*

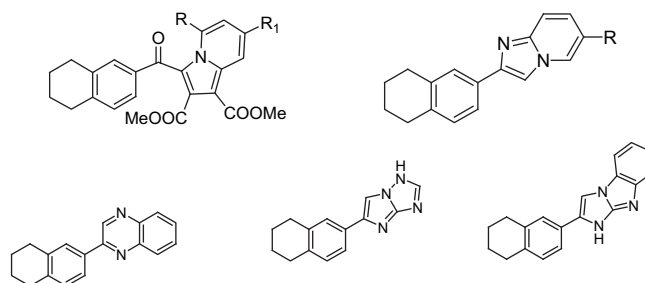
Transition metal complexes of 1H-pyrazole-3,5-dicarboxylic(2'-hydroxy-3'-hydrazine-quinoxaline) possess a wide range of spectral features and found to be DNA intercalaters.

**Modulation of carcinogen metabolizing enzymes by new fused heterocycles pendant to 5,6,7,8-tetrahydronaphthalene derivatives**

pp. 463–470

Nehal A. Hamdy, Amira M. Gamal-Eldeen\*, Hatem A. Abdel-Aziz and Issa M.I. Fakhr

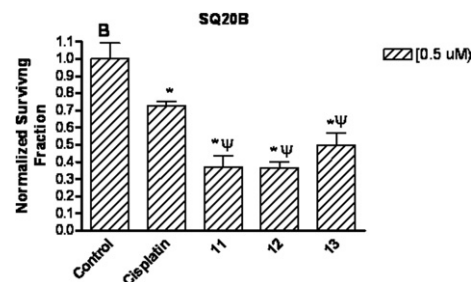
We synthesized novel biheterocyclic derivatives containing indolizine, imidazopyridine, imidazobenzimidazole, imidazotriazole, and quinoxaline derivatives from 2-bromoacetyl 5,6,7,8-tetrahydronaphthalene. We also evaluated their cancer chemopreventive activity with special focus on their ability to prevent the initiation stage of carcinogenesis through influencing the carcinogen metabolizing enzymes and other initiation factors.

**New palladium(II) complexes bearing pyrazole-based Schiff base ligands: Synthesis, characterization and cytotoxicity**

pp. 471–475

Adnan S. Abu-Surrah\*, Kayed A. Abu Safieh, Iman M. Ahmad, Maher Y. Abdalla, Mikdad T. Ayoub, Abdussalam K. Qaroush and Ahmad M. Abu-Mahtheieh

New pyrazol hydrazone-based palladium(II) complexes have been prepared, characterized and evaluated against the fast growing head and neck squamous carcinoma cells SQ20B and SCC-25. The influence of these complexes was dose dependent and varies by cell type. The complexes had higher clonogenic cytotoxic effect than cisplatin when tested on SQ20B cell line.

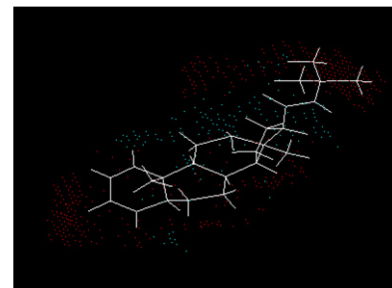


### 3D-QSAR studies on unsaturated 4-azasteroids as human 5 $\alpha$ -reductase inhibitors: A self organizing molecular field analysis approach

pp. 476–481

Saurabh Aggarwal, Suresh Thareja, T.R. Bhardwaj and Manoj Kumar\*

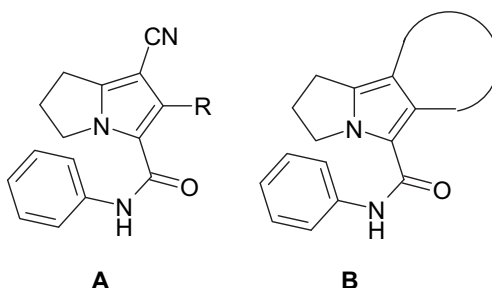
A 3D-QSAR methodology using Self Organizing Molecular Field Analysis was employed to rationalize the molecular properties and human 5 $\alpha$ -reductase inhibitory activities on a series of unsaturated 4-azasteroids.



### Novel substituted and fused pyrrolizine derivatives: Synthesis, anti-inflammatory and ulcerogenecity studies

pp. 482–491

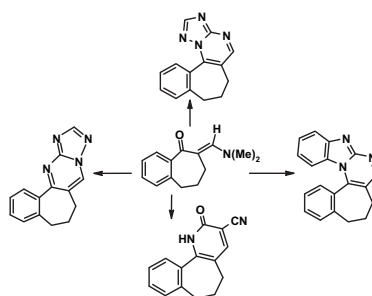
Safinaz E. Abbas, Fadi M. Awadallah\*, Nashwa A. Ibrahim and Ahmed M. Gouda



### Synthesis, anti-HCV, antioxidant, and peroxynitrite inhibitory activity of fused benzosuberone derivatives

pp. 492–500

Thoraya A. Farghaly\*, Naglaa A. Abdel Hafez, Eman A. Ragab, Hanem M. Awad and Mohamed M. Abdalla

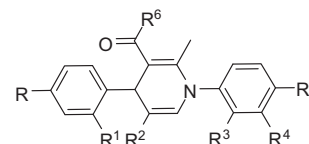


### Synthesis and biological evaluation of N-aryl-1,4-dihydropyridines as novel antidyslipidemic and antioxidant agents

pp. 501–509

Atul Kumar\*, Ram Awatar Maurya, Siddharth Sharma, Mukesh Kumar and Gitika Bhatia

We have synthesized N-aryl-1,4-dihydropyridines via iodine catalyzed three-component coupling reaction of cinnamaldehydes, anilines and 2-keto esters. The synthesized compounds have exhibited promising antidyslipidemic and antioxidant activities.

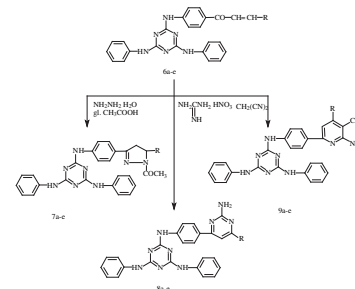


**Synthesis of some new S-triazine based chalcones and their derivatives as potent antimicrobial agents**

pp. 510–518

Anjani Solankee, Kishor Kapadia, Ana Ćirić, Marina Soković, Irini Doytchinova and Athina Geronikaki\*

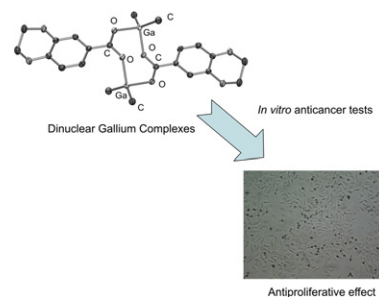
Some novel s-triazine based chalcones **6a–e** and their derivatives acetylpyrazoline **7a–e**, aminopyrimidines **8a–e** and cyanopyridines **9a–e** derivatives respectively were synthesized and evaluate for antibacterial activity.

**Anticancer activity of dinuclear gallium(III) carboxylate complexes**

pp. 519–525

Milena R. Kaluderović, Santiago Gómez-Ruiz\*, Beatriz Gallego, Evamarie Hey-Hawkins, Reinhard Paschke and Goran N. Kaluderović\*\*

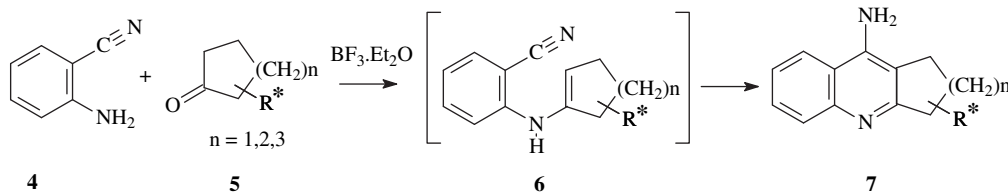
A variety of dinuclear gallium(III) carboxylate complexes have been synthesized, characterized and tested against five different human tumor cell lines.

**Synthesis and AChE inhibitory activity of new chiral tetrahydroacridine analogues from terpenic cyclanones**

pp. 526–535

Diego dos Santos Pisoni, Jessé Sobieski da Costa, Douglas Gamba, Cesar Liberato Petzhold, Antonio César de Amorim Borges, Marco Antonio Ceschi\*, Paula Lunardi and Carlos Alberto Saraiva Gonçalves

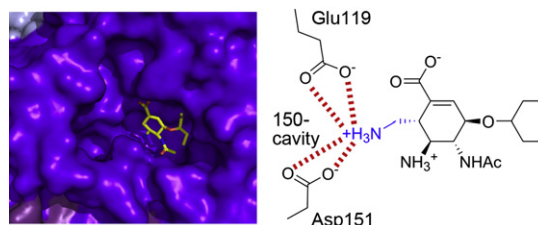
This work describes the enantioselective synthesis and AChE Inhibitory activities of a new series of terpenic chiral 9-aminotetrahydroacridine analogues. Based on qualitative structure–activity relationship some trends are suggested.

**Computational design of novel, high-affinity neuraminidase inhibitors for H5N1 avian influenza virus**

pp. 536–541

Jin Woo Park and Won Ho Jo\*

We designed novel, highly potent neuraminidase inhibitors by substitution at the  $\text{C}_3$  position of oseltamivir to give additional interaction with the 150-cavity of subtype N1.

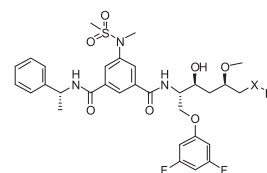


**P2'-truncated BACE-1 inhibitors with a novel hydroxethylene-like core**

pp. 542–554

Jenny Adrian Meredith, Catarina Björklund, Hans Adolfsen, Katarina Jansson, Anders Hallberg, Åsa Rosenquist and Bertil Samuelsson\*

A novel central core for inhibitors of BACE-1, exhibiting drug-like properties, has been developed furnishing inhibitors with promising potency.



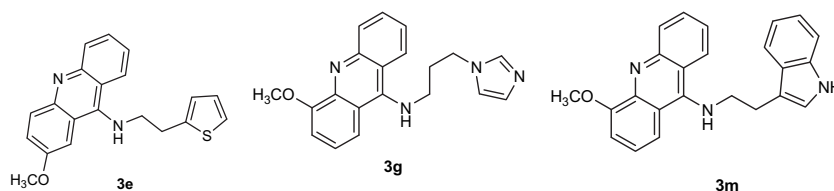
2a: X= O, R= H  
 2b: X= O, R= Me  
 2c: X= O, R= /iso-propyl  
 2d: X= O, R= methyl cyclopropyl  
 2e: X= O, R= (CH<sub>2</sub>)<sub>2</sub>CF<sub>3</sub>  
 2f: X= O, R= Ph  
 2g: X= NH, R= Ph  
 2h: X= O, R= Allyl  
 2i: X= O, R= 4-fluorobenzyl  
 2j: X= O, R= 3-methoxybenzyl  
 2k: X= O, R= Bn  
 2l: X= O, R= 4-methoxybenzyl  
 2m: X= NH, R= 4-fluorophenyl  
 2n: X= NH, R= Bn

**Synthesis, anti-inflammatory and anticancer activity evaluation of some novel acridine derivatives**

pp. 555–563

Sham M. Sondhi\*, Jaiveer Singh, Reshma Rani, P.P. Gupta, S.K. Agrawal and A.K. Saxena

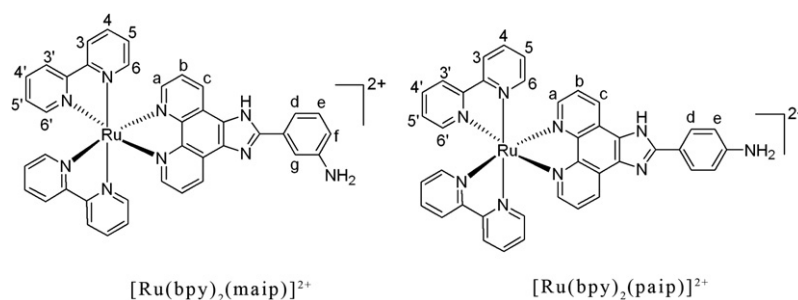
Several acridine derivatives were synthesized and evaluated for anti-inflammatory and anticancer activities. Compound **3e** exhibited very good anti-inflammatory activity and compounds **3g** & **3m** showed good anticancer activity.

**Synthesis, DNA-binding, photocleavage, cytotoxicity and antioxidant activity of ruthenium (II) polypyridyl complexes**

pp. 564–571

Yun-Jun Liu\*, Cheng-Hui Zeng, Hong-Liang Huang\*, Li-Xin He and Fu-Hai Wu\*

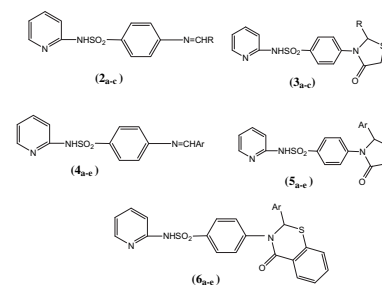
Two new ruthenium (II) complexes [Ru(bpy)<sub>2</sub>(maip)]<sup>2+</sup> (**2a**) and [Ru(bpy)<sub>2</sub>(paip)]<sup>2+</sup> (**2b**) have been synthesized and characterized. Their DNA-binding, cytotoxicity and antioxidant activity against hydroxyl radical have been studied.

**Synthesis, antitumor activity and molecular docking study of novel Sulfonamide-Schiff's bases, thiazolidinones, benzothiazinones and their C-nucleoside derivatives**

pp. 572–580

Mohsen M. Kamel, Hamed I. Ali, Manal M. Anwar\*, Neama A. Mohamed and AbdelMohsen M. Soliman

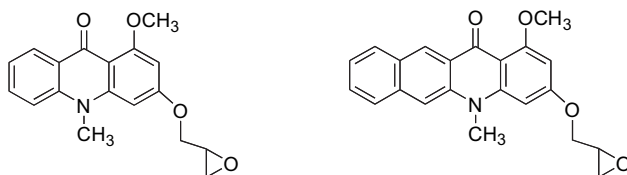
Some Schiff's bases, C-nucleosides, thiazolidin-4-ones and benzothiazin-4-ones were prepared and their antitumor activity was evaluated in-vitro and compared to molecular docking data.



### Synthesis and cytotoxic activity of psorospermin and acronycine analogues in the 3-propyloxy-acridin-9(10H)-one and -benzo[b]acridin-12(5H)-one series

pp. 581–587

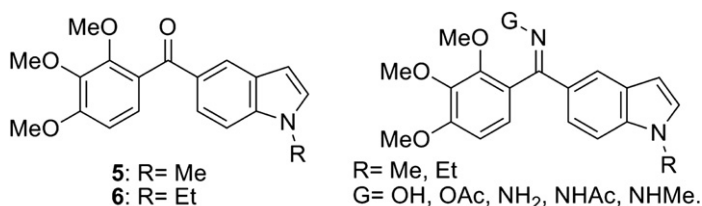
Sabrina Boutefnouchet, Nguyen Tuan Minh, Rana Putrus, Bruno Pfeiffer, Stéphane Léonce, Alain Pierré, Sylvie Michel, François Tillequin\* and Marie-Christine Lallemand



### Exploring the effect of 2,3,4-trimethoxy-phenyl moiety as a component of indolephenstatins

pp. 588–597

Concepción Álvarez, Raquel Álvarez, Purificación Corchete, Concepción Pérez-Melero, Rafael Peláez\* and Manuel Medarde\*



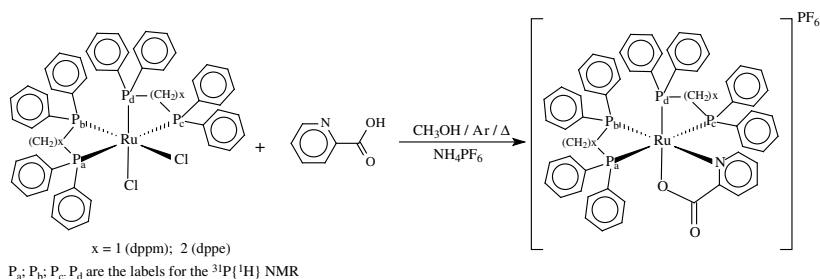
Although usually detrimental for TPI activity and cytotoxicity, the 2,3,4-trimethoxyphenyl arrangement of A-ring produces highly potent ketone **5** (less potent **6**).

### Ruthenium (II) phosphine/picolinate complexes as antimycobacterial agents

pp. 598–601

Fernando R. Pavan\*, Gustavo Von Poelhsitz, Fábio B. do Nascimento, Sergio R.A. Leite, Alzir A. Batista\*\*, Victor M. Defflon, Daisy N. Sato, Scott G. Franzblau and Clarice Q.F. Leite\*

Synthesis of *cis*-[Ru(pic)(dppm)<sub>2</sub>](PF<sub>6</sub>) (**1**) and *cis*-[Ru(pic)(dppe)<sub>2</sub>](PF<sub>6</sub>) (**2**) by reaction of 2-pyridinecarboxylic acid (pic) with ruthenium (II) diphosphine precursors.

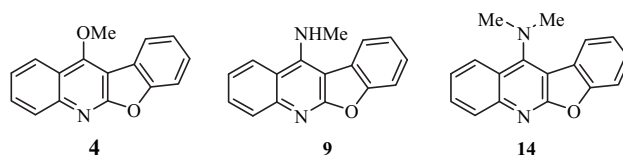


### Identification of benzofuro[2,3-b]quinoline derivatives as a new class of antituberculosis agents

pp. 602–607

Chiao-Li Yang, Chih-Hua Tseng, Yeh-Long Chen, Chih-Ming Lu, Chai-Lin Kao, Ming-Hsien Wu and Cherng-Chyi Tzeng\*

Compounds **4**, **9**, and **14** exhibited significant activities against the growth of *M. tuberculosis* with a selectivity index (SI) of greater than 58, 27, and 150 respectively.

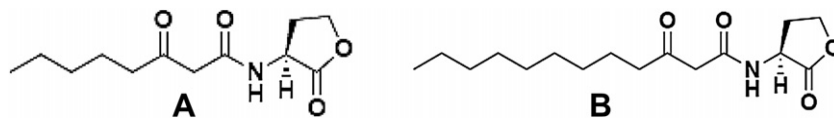


### Theoretical and structural analysis of the active site of the transcriptional regulators LasR and TraR, using molecular docking methodology for identifying potential analogues of acyl homoserine lactones (AHLs) with anti-quorum sensing activity

pp. 608–615

Maicol Ahumado, Antonio Díaz and Ricardo Vivas-Reyes\*

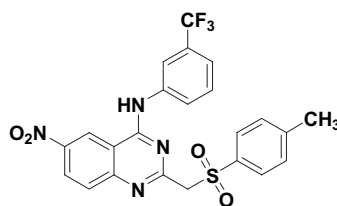
Structure of the 2D native autoinductores for both proteins LasR y TraR. 2a *N*-(3-oxo-octanoyl)-L-homoserine lactone and 2b. *N*-(3-oxo-dodecanoyl)-L-homoserine lactone.



### Original quinazoline derivatives displaying antiplasmodial properties

pp. 616–622

Youssef Kabri, Nadine Azas, Aurélien Dumètre, Sébastien Hutter, Michèle Laget, Pierre Verhaeghe, Armand Gellis and Patrice Vanelle\*

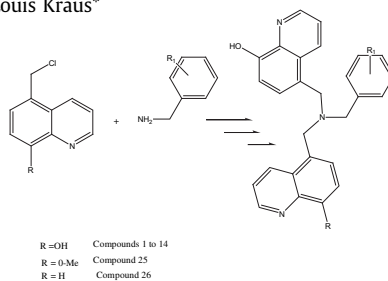


IC<sub>50</sub> *P. falciparum* = 0.95 μM  
S.I. toward HepG2 cell line >131

### Structure–activity relationships and mechanism of action of antitumor bis 8-hydroxyquinoline substituted benzylamines

pp. 623–638

Sébastien Madonna, Christophe Béclin, Younes Laras, Vincent Moret, Aline Marcowycz, Delphine Lamoral-Theys, Jacques Dubois, Magali Barthelemy-Requin, Gaëlle Lenglet, Sabine Depauw, Thierry Cresteil, Geneviève Aubert, Valérie Monnier, Robert Kiss, Marie-Hélène David-Cordonnier and Jean-Louis Kraus\*

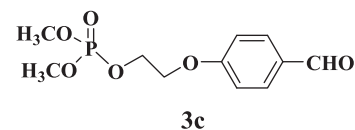


### Synthesis and biological evaluation of novel 4-hydroxybenzaldehyde derivatives as tyrosinase inhibitors

pp. 639–646

Wei Yi, Rihui Cao\*, Wenlie Peng, Huan Wen, Qin Yan, Binhua Zhou, Lin Ma and Huacan Song\*

A series of 4-hydroxybenzaldehyde derivatives were synthesized and evaluated as mushroom tyrosinase inhibitors. Compound **3c** was found to be the most potent inhibitor

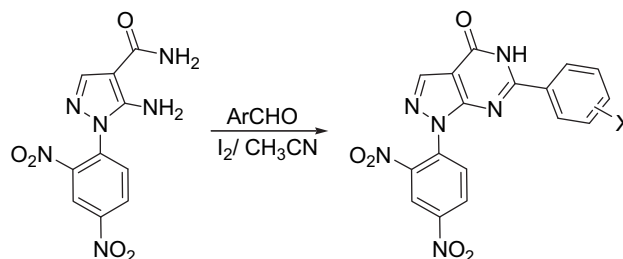
IC<sub>50</sub>=0.059mM

### Molecular iodine promoted synthesis of new pyrazolo[3,4-d]pyrimidine derivatives as potential antibacterial agents

pp. 647–650

Mehdi Bakavoli\*, Ghodsieh Bagherzadeh, Maryam Vaseghifar, Ali Shiri, Mehdi Pordel, Mansour Mashreghi, Parvaneh Pordeli and Maryam Araghi

A new series of pyrazolo[3,4-d]pyrimidine derivatives have been synthesized and tested for their antibacterial effects.

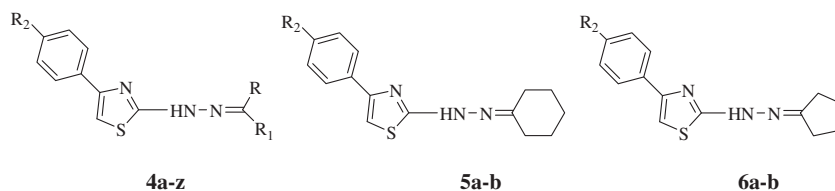


### Synthesis, anti-bacterial and anti-fungal activities of some novel Schiff bases containing 2,4-disubstituted thiazole ring

pp. 651–660

S.K. Bharti, G. Nath, R. Tilak and S.K. Singh\*

The synthesis, characterization and antimicrobial activity of a series of arylidene-2-(4-(4-methoxy/bromophenyl)thiazol-2-yl) hydrazines (**4a–z**) and 1-(4-(4-methoxy/bromophenyl)thiazol-2-yl)-2-cyclohexylidene/cyclopentylidene hydrazines (**5a–b/6a–b**) were reported.

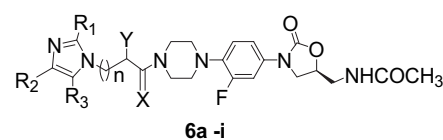


### Synthesis of nitroimidazole derived oxazolidinones as antibacterial agents

pp. 661–666

Vandana Varshney, Nripendra N. Mishra, Praveen. K. Shukla and Devi. P. Sahu\*

A series of nitroimidazole derived oxazolidinones **6a–i** with various substituents at the nitroimidazole were synthesized and their *in-vitro* antibacterial activities were evaluated against several Gram-positive and Gram-negative resistant bacteria. The **6a** was found to be most potent compound in the series with MIC at 0.097  $\mu\text{g/mL}$  against *Bacillus cereus* (MTCC 430). Both **6a** and **6f** did not exhibit any toxicity towards mammalian cell L929.

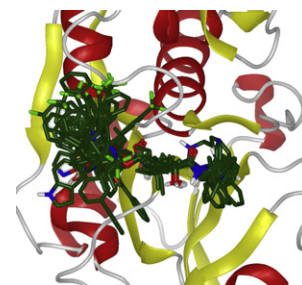


### 3D QSAR studies on ketoamides of human cathepsin K inhibitors based on two different alignment methods

pp. 667–681

Xulin Pan, Ninghua Tan\*, Guangzhi Zeng, Huoqiang Huang and He Yan

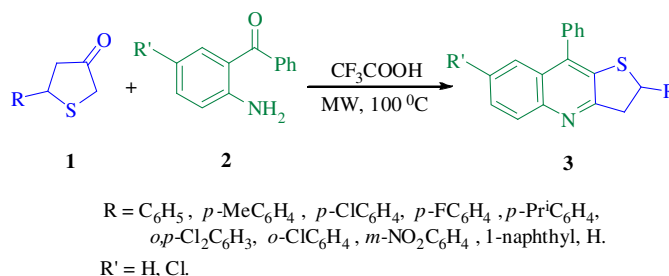
CoMFA and CoMSIA were performed on **64** ketoamides as human cathepsin K inhibitors, using two different alignments. The  $r_{cv}^2$  of CoMFA and CoMSIA were 0.663, 0.710 (ligand-based) and 0.640, 0.662 (receptor-based), respectively.





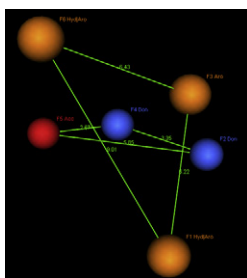
**A microwave-assisted, facile, regioselective Friedländer synthesis and antitubercular evaluation of 2,9-diaryl-2,3-dihydrothieno-[3,2-*b*]quinolines**

pp. 682–688

Kamaraj Balamurugan, Veerappan Jeyachandran, Subbu Perumal\*,  
Thimmappa H. Manjashetty, Perumal Yogeeswari and Dharmarajan Sriram**Synthesis and antitumor evaluation of novel diarylsulfonylurea derivatives: Molecular modeling applications**

pp. 689–697

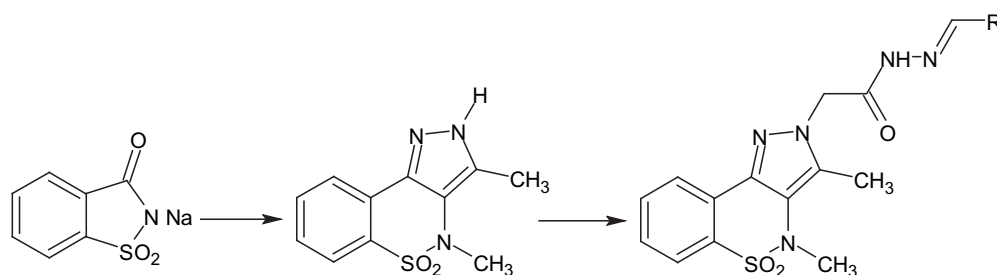
Magda A. El-Sherbeny\*, Alaa A.-M. Abdel-Aziz and Musa A. Ahmed

**Anti-oxidant and anti-bacterial activities of novel *N'*-arylmethylidene-2-(3, 4-dimethyl-5, 5-dioxidopyrazolo-[4,3-*c*][1,2]benzothiazin-2(4*H*)-yl) acetohydrazides**

pp. 698–704

Matloob Ahmad, Hamid Latif Siddiqui\*, Muhammad Zia-ur-Rehman and Masood Parvez

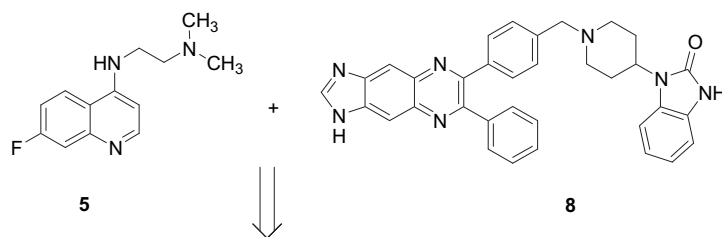
A series of anti-oxidant and anti-bacterial *N'*-arylmethylidene-2-(3,4-dimethyl-5,5-dioxidopyrazolo[4,3-*c*][1,2]benzothiazin-2(4*H*)-yl)acetohydrazides has been synthesized in a facile way starting from commercially available saccharine

**A 4-aminoquinoline derivative that markedly sensitizes tumor cell killing by Akt inhibitors with a minimum cytotoxicity to non-cancer cells**

pp. 705–709

Changkun Hu, V. Raja Solomon, Pablo Cano and Hoyun Lee\*

In the present study, the combinational effects of chloroquine analogs and Akt inhibitors were evaluated for their cell killing activity on cancer and non-cancer breast cells.

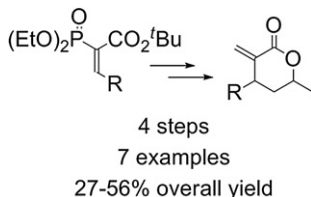


Combination kills breast cancer cells selectively

### Synthesis and cytotoxic evaluation of $\beta$ -alkyl or $\beta$ -aryl- $\delta$ -methyl- $\alpha$ -methylene- $\delta$ -lactones. Comparison with the corresponding $\gamma$ -lactones

pp. 710–718

Łukasz Albrecht, Jakub Wojciechowski, Anna Albrecht, Wojciech M. Wolf, Anna Janecka, Kazimierz Studzian, Urszula Krajewska, Marek Różalski, Tomasz Janecki and Henryk Krawczyk\*

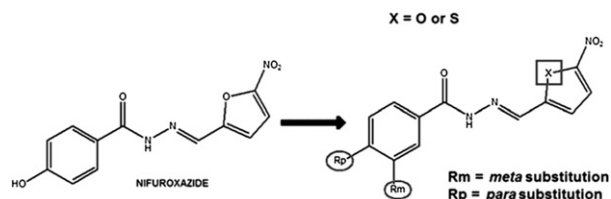


### Modeling the antileishmanial activity screening of 5-nitro-2-heterocyclic benzylidene hydrazides using different chemometrics methods

pp. 719–726

Zahra Garkani-Nejad\* and Behzad Ahmadi-Roudi

QSAR analysis for modeling the antileishmanial activity of Benzylidene Hydrazides was carried out using chemometrics methods. This paper focuses on investigating the role of weight update function in artificial neural networks.

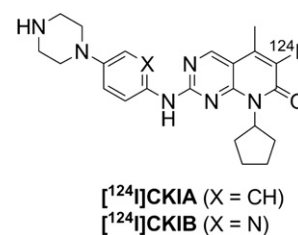


### Radiosynthesis and radiopharmacological evaluation of cyclin-dependent kinase 4 (Cdk4) inhibitors

pp. 727–737

Lena Koehler, Franziska Graf, Ralf Bergmann, Jörg Steinbach, Jens Pietzsch and Frank Wuest\*

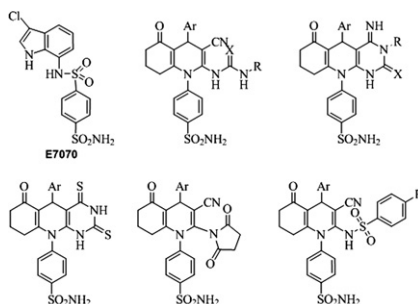
The present work describes the radiosynthesis and radiopharmacological evaluation of two novel iodine-124 labeled Cdk4 inhibitors.



### Novel quinolines and pyrimido[4,5-b]quinolines bearing biologically active sulfonamide moiety as a new class of antitumor agents

pp. 738–744

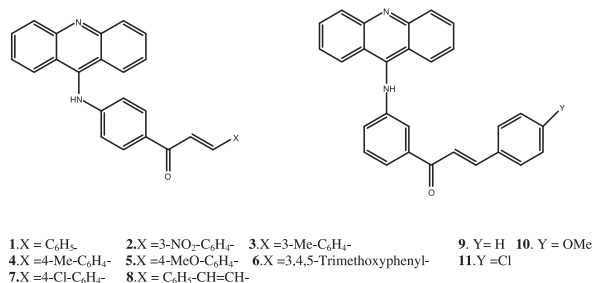
Saleh I. Alqasoumi, Areej M. Al-Taweel, Ahmed M. Alafeefy, Eman Noaman and Mostafa M. Ghorab\*



**Synthesis of new chalcone derivatives containing acridinyl moiety with potential antimalarial activity**

pp. 745–751

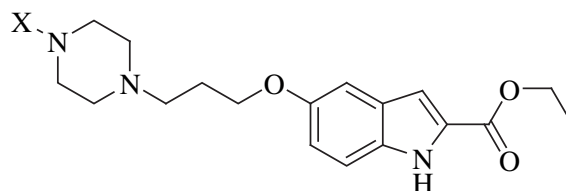
V. Tomar, G. Bhattacharjee\*, Kamaluddin, S. Rajakumar, Kumkum Srivastava and S.K. Puri

New chalcone derivatives containing acridinyl moiety (**1–11**).**Efficient microwave combinatorial synthesis of novel indolic arylpiperazine derivatives as serotonergic ligands**

pp. 752–759

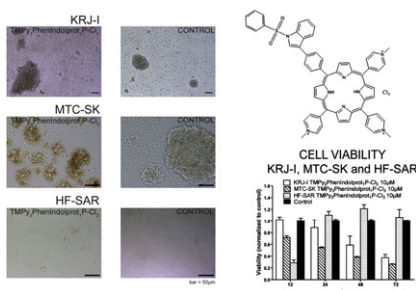
Francesco Frecentese, Ferdinando Fiorino, Elisa Perissutti, Beatrice Severino, Elisa Magli, Antonella Esposito, Francesca De Angelis, Paola Massarelli, Cristina Nencini, Barbara Viti, Vincenzo Santagada\* and Giuseppe Caliendo

An easy and convenient microwave-assisted synthesis of a small library of indolic arylpiperazine derivatives as 5-HT<sub>2</sub>C, mixed 5-HT<sub>2</sub>A/5-HT<sub>2</sub>C and 5-HT<sub>1</sub>A/5-HT<sub>2</sub>C ligands.

**Asymmetrically substituted cationic indole- and fluorene porphyrins inhibit tumor proliferation in small intestinal neuroendocrine tumors and medullary thyroid carcinomas**

pp. 760–773

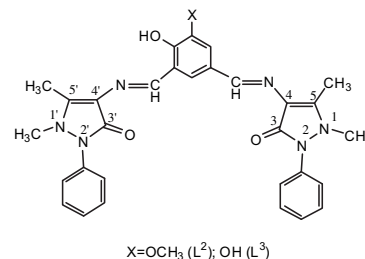
Martin Haeubl, Susanne Schuerz, Bernhard Svejda, Lorenz Michael Reith, Bernadette Gruber, Roswitha Pfragner and Wolfgang Schoefberger\*

**SHORT COMMUNICATIONS****Metal-based biologically active agents: Synthesis, characterization, antibacterial and antileukemia activity evaluation of Cu(II), V(IV) and Ni(II) complexes with antipyrene-derived compounds**

pp. 774–781

Tudor Rosu\*, Maria Negoiu, Simona Pasculescu, Elena Pahontu, Donald Poirier and Aurelian Gulea

The complex combinations of Cu(II), V(IV) and Ni(II) with Schiff bases obtained through the condensation of 4-amino-1,5-dimethyl-2-phenyl-1H-3-pyrazol-3(2H)-one with 2-hydroxybenzaldehyde, 4-hydroxy-5-methoxy isophthalaldehyde, 4,5-dihydroxy-isophthalaldehyde were synthesized and characterized on the basis of <sup>1</sup>H NMR, <sup>13</sup>C NMR, UV–VIS, IR, EPR spectroscopy, molar electric conductivity and elemental analysis. Potential anti-leukemia and antibacterial effects were investigated.

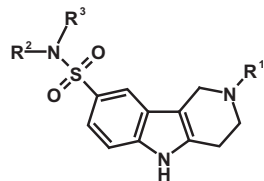


**8-Sulfonyl-substituted tetrahydro-1H-pyrido[4,3-b]indoles as 5-HT<sub>6</sub> receptor antagonists**

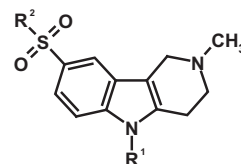
pp. 782–789

Alexandre V. Ivachtchenko\*, Oleg D. Mitkin, Sergey E. Tkachenko, Ilya M. Okun and Volodymyr M. Kysil\*

A series of novel 8-sulfonyl-substituted 2,3,4,5-tetrahydro-1H-pyrido[4,3-b]indoles has been synthesized and evaluated as antagonists of 5-HT<sub>6</sub> receptors.



R<sup>1</sup> = CH<sub>3</sub>; R<sup>2</sup> = 3-F-C<sub>6</sub>H<sub>4</sub>; R<sup>3</sup> = H  
 IC<sub>50</sub> = 15 nM (functional)  
 IC<sub>50</sub> = 4.6 nM (binding)



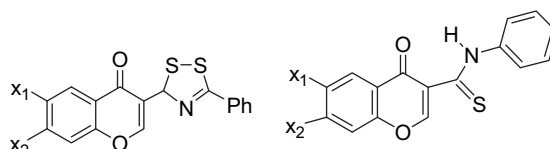
R<sup>1</sup> = CH<sub>3</sub>; R<sup>2</sup> = 3-F-C<sub>6</sub>H<sub>5</sub>  
 IC<sub>50</sub> = 78 nM (functional)

**Cytotoxic activity of 3-(5-phenyl-3H-[1,2,4]dithiazol-3-yl)chromen-4-ones and 4-oxo-4H-chromene-3-carbothioic acid N-phenylamides**

pp. 790–794

Tilak Raj, Richa Kaur Bhatia, Ashish kapur, Madhunika Sharma, A.K. Saxena and M.P.S. Ishar\*

Chromanyl-1,2,4-dithiazoles and N-phenylthioamides were synthesized and evaluated against number of cancer cell lines. The chromanyl-1,2,4-dithiazoles display significant cytotoxic activity against cancer cells.

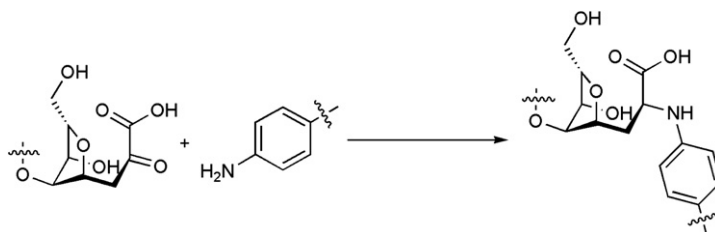


a X<sup>1</sup> = H, X<sup>2</sup> = H, b X<sup>1</sup> = F, X<sup>2</sup> = H, c X<sup>1</sup> = H, X<sup>2</sup> = Cl,  
 d X<sup>1</sup> = Cl, X<sup>2</sup> = Cl, e X<sup>1</sup> = Cl, X<sup>2</sup> = H, f X<sup>1</sup> = F, X<sup>2</sup> = Cl,  
 g X<sup>1</sup> = CH<sub>3</sub>, X<sup>2</sup> = H

**Preparation of synthetic polyoxazoline based carrier and *Vibrio cholerae* O-specific polysaccharide conjugate vaccine**

pp. 795–799

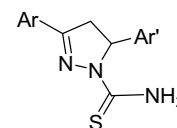
Pavol Farkaš\*, Jana Korcová, Juraj Kronek and Slavomír Bystrický

**Synthesis and inhibitory activity against human monoamine oxidase of N1-thiocarbamoyl-3,5-di(hetero)aryl-4,5-dihydro-(1H)-pyrazole derivatives**

pp. 800–804

Franco Chimenti, Simone Carradori\*, Daniela Secci, Adriana Bolasco, Bruna Bizzarri, Paola Chimenti, Arianna Granese, Matilde Yáñez and Francisco Oralto

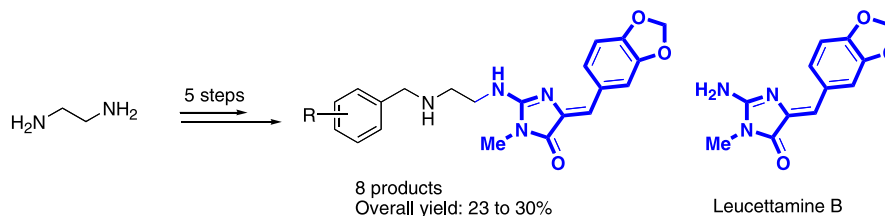
A series of N1-thiocarbamoyl-3,5-di(hetero)aryl-4,5-dihydro-(1H)-pyrazole derivatives was synthesized, characterized and assayed as inhibitors of hMAO-A and hMAO-B isoforms.



### Synthesis and preliminary biological evaluation of new derivatives of the marine alkaloid leucettamine B as kinase inhibitors

pp. 805–810

Mansour Debdab, Stéven Renault, Olivier Lozach, Laurent Meijer, Ludovic Paquin, François Carreaux and Jean-Pierre Bazureau\*

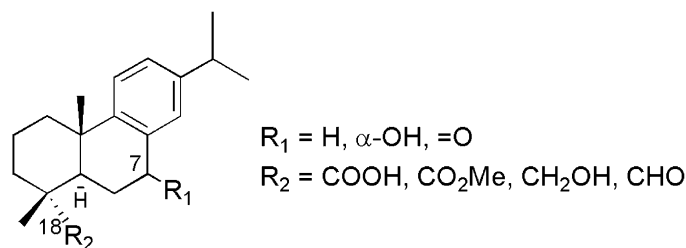


### Synthesis and biological evaluation of dehydroabietic acid derivatives

pp. 811–816

Miguel A. González\*, David Pérez-Guaita, Julieth Correa-Royero, Bibiana Zapata, Lee Agudelo, Ana Mesa-Arango and Liliana Betancur-Galvis\*\*

A series of C18-oxygenated derivatives of dehydroabietic acid were synthesized from commercial abietic acid and evaluated for their cytotoxic, antimycotic, and antiviral activities.

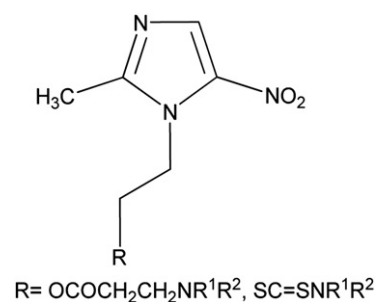


### Imidazole derivatives as possible microbicides with dual protection

pp. 817–824

Lalit Kumar, Amit Sarswat, Nand Lal, Vishnu L. Sharma\*, Ashish Jain, Rajeev Kumar, Vikas Verma, Jagdamba P. Maikhuri, Awanit Kumar, Praveen K. Shukla and Gopal Gupta

Twenty seven derivatives of 2-(2-methyl-5-nitro-imidazol-1-yl)ethanol were synthesized and evaluated for anti-*trichomonas*, spermicidal and antifungal activities. Seven compounds showed significant anti-*trichomonas* and spermicidal activities and also exhibited mild antifungal activity.

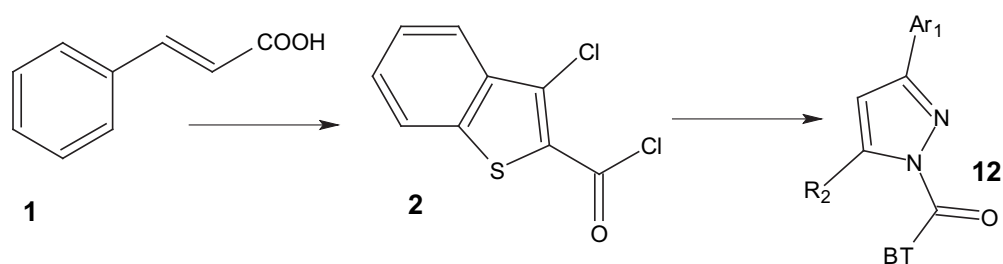


### Synthesis, characterization and biological activities of some new benzo[b]thiophene derivatives

pp. 825–830

Arun M. Isloor\*, Balakrishna Kalluraya and K. Sridhar Pai

Series of new benzo[b]thiophene derivatives were synthesized, characterized and their antimicrobial and anti-inflammatory studies were performed. Few of the compounds showed significant antimicrobial and anti-inflammatory activity.

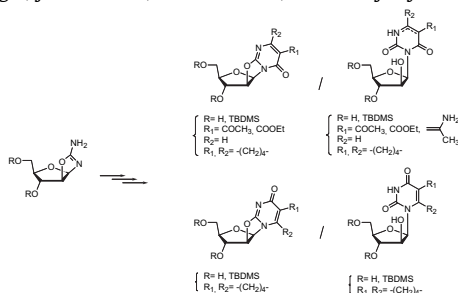


## PRELIMINARY COMMUNICATIONS

**Synthesis and *in vitro* cytostatic activity of new  $\beta$ -D-arabino furan[1',2':4,5]oxazolo- and arabino-pyrimidinone derivatives**

pp. 831–839

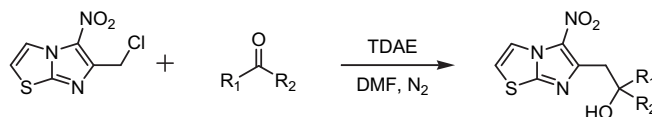
Jean-Jacques Bosc, Laurent Latxague, Jean-Michel Léger, Jan Balzarini, Isabelle Forfar, Christian Jarry and Jean Guillon\*

**TDAE-assisted synthesis of new imidazo[2,1-*b*]thiazole derivatives as anti-infectious agents**

pp. 840–845

Thierry Juspín, Michèle Laget, Thierry Terme, Nadine Azas and Patrice Vanelle\*

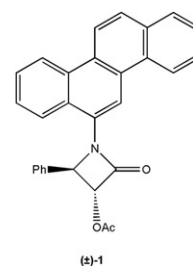
A series of new imidazo[2,1-*b*]thiazoles was prepared using the TDAE methodology and tested for their antibacterial and antifungal activities. The compound **3e** presents an interesting antifungal potential against *Candida tropicalis*.

**Asymmetric synthesis of anticancer  $\beta$ -lactams *via* Staudinger reaction: Utilization of chiral ketene from carbohydrate**

pp. 846–848

Bimal K. Banik\*, Indrani Banik and Frederick F. Becker

We have synthesized enantiopure  $\beta$ -lactams as anticancer agents through staudinger reaction structure-activity study has identified potent anticancer  $\beta$ -lactam.

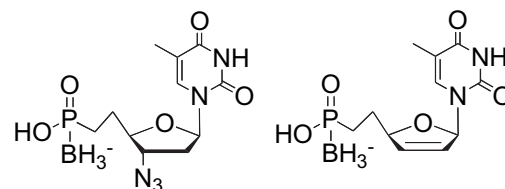


## LABORATORY NOTE

**Synthesis and antiviral activity of boranophosphonate isosteres of AZT and d4T monophosphates**

pp. 849–856

Karine Barral, Stéphane Priet, Céline De Michelis, Joséphine Sire, Johan Neyts, Jan Balzarini, Bruno Canard and Karine Alvarez\*

Nucleoside  $\alpha$ -boranophosphonate analogues of AZT and d4T

**COVER**

Image of Antibacterial activities of urea and thiourea derivatives of 15-membered azalides in comparison to sulfonylurea analogs. 44/9, P3459–3470 by Mirjana Bukvić Krajačić, Predrag Novak, Miljenko Dumić, Mario Cindrić, Hana Čipčić Paljetak and Nedjeljko Kujundžić © 2009 Published by Elsevier Masson SAS

\* Corresponding authors.



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