



European Journal of Medicinal Chemistry Vol 45, No 11, 2010

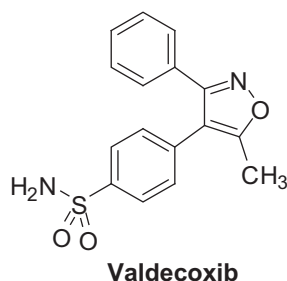
Contents

MINI-REVIEW

Recent methodologies toward the synthesis of valdecoxib: A potential 3,4-diarylisoaxazolyl COX-II inhibitor

pp. 4697–4707

Sureshbabu Dadiboyena and Adel Nefzi*

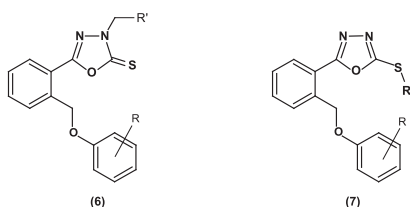


ORIGINAL ARTICLES

Synthesis, characterization and antimicrobial activity of some disubstituted 1,3,4-oxadiazoles carrying 2-(aryloxymethyl)phenyl moiety

pp. 4708–4719

Channamata Shankara Naveena, Poojary Boja* and Nalilu Sucheta Kumari

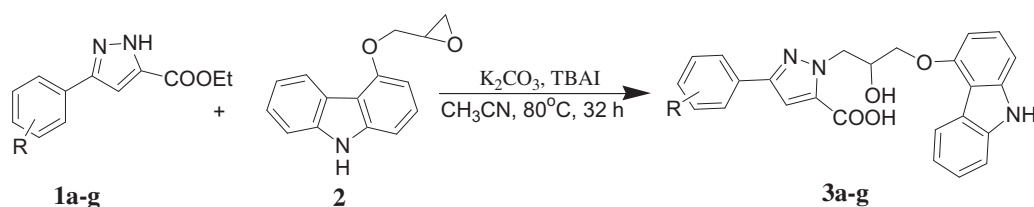


Synthesis and cytotoxicity evaluation of 1-[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]-3-aryl-1H-pyrazole-5-carboxylic acid derivatives

pp. 4720–4725

Lingaiah Nagarapu*, Hanmant K. Gaikwad, Kartheeka Sarikonda, Jhansi Mateti, Rajashaker Bantu, P.S. Raghu, Krishna Madhuri Manda and Shasi Vardhan Kalvendi

A series of new and novel compound **3a-g** have been synthesized and screened for their cytotoxic activity against SK-N-SH human Neuroblastoma, human A549 lung carcinoma and human breast MCF-7 cancer cell lines.

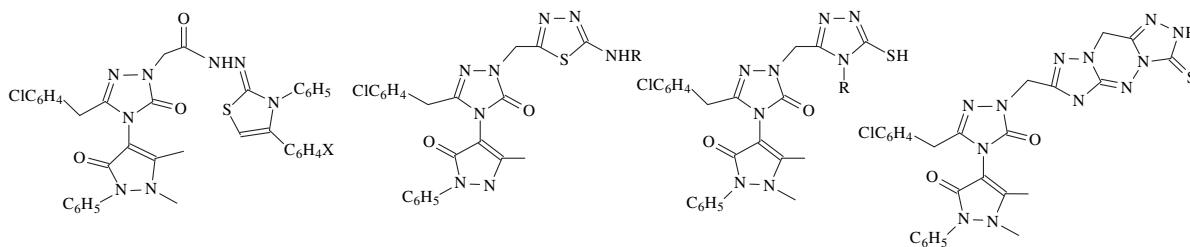


Cyclization of some carbothioamide derivatives containing antipyrine and triazole moieties and investigation of their antimicrobial activities

pp. 4726–4732

Hacer Bayrak, Ahmet Demirbas, Neslihan Demirbas* and Sengül Alpaya Karaoglu

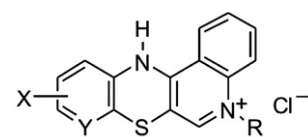
Synthesis and antimicrobial activities of some 1,2,4-triazole derivatives containing antipyrine nucleus were described.

**Synthesis and *in vitro* antiproliferative activity of 5-alkyl-12(H)-quino[3,4-b][1,4]benzothiazinium salts**

pp. 4733–4739

Andrzej Zięba*, Aleksander Sochanik, Agnieszka Szurko, Marzena Rams, Anna Mrozek and Piotr Cmoch

A novel series of azaphenothiazine derivatives was synthesized. Antiproliferative activity of these 5-alkyl-12(H)-quino[3,4-b][1,4]benzothiazinium salts **3** was investigated *in vitro* using colorectal carcinoma (HCT116) and Lewis lung carcinoma (LLC) cell lines.



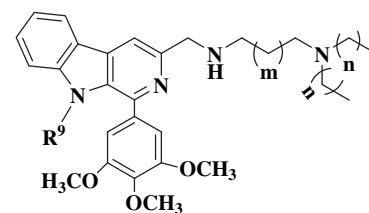
X= H, CH₃, F, Cl, Br, OH, NH₂
Y=CH, N
R=Alkyl

Synthesis, cytotoxic activities and DNA binding properties of β -carboline derivatives

pp. 4740–4745

Zhiyong Chen, Rihui Cao*, Liang Yu, Buxi Shi, Jie Sun, Liang Guo, Qin Ma, Wei Yi, Xiao Song and Huacan Song*

A series of water-soluble β -carbolines bearing a flexible amino side chain was synthesized and evaluated. Compounds **4c** and **4d** were found to be the most potent compounds with IC₅₀ values lower than 10 μ M against ten tumor cell lines.

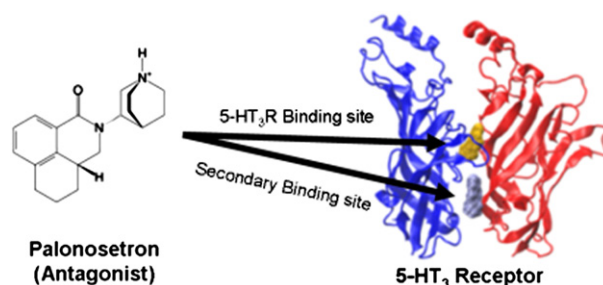


R⁹=H, CH₃, n-C₄H₉, (CH₂)₃C₆H₅
m=0, 1, 2 n=0, 1

Computational analysis of ligand recognition sites of homo- and heteropentameric 5-HT₃ receptors

pp. 4746–4760

Arménio J. Moura Barbosa, Francesca De Rienzo, Maria J. Ramos and Maria Cristina Menziani*

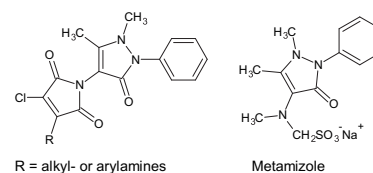


Synthesis and biological evaluation of N-antipyrene-4-substituted amino-3-chloromaleimide derivatives

pp. 4761–4768

Fernanda Mahle, Tatiana da Rosa Guimarães, Aleandra Vergilina Meira, Rogério Corrêa, Rosana Cé Bella Cruz, Alexandre Bella Cruz, Ricardo José Nunes, Valdir Cechinel-Filho and Fátima de Campos-Buzzi*

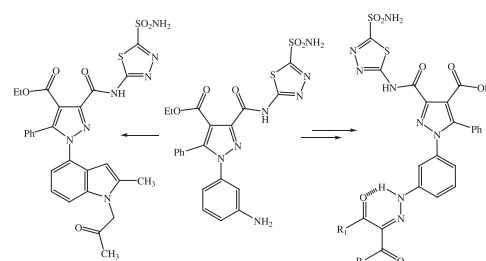
In the present study, we have synthesized twenty-one N-antipyrene-4-substituted amino-3-chloromaleimide derivatives analogous to metamizole, and screened them for their antinociceptive, antimicrobial and cytotoxic activity.

**Synthesis, characterization and antiglaucoma activity of some novel pyrazole derivatives of 5-amino-1,3,4-thiadiazole-2-sulfonamide**

pp. 4769–4773

Rahmi Kasımoğlu*, Metin Bülbül, B. Seçkin Arslan and Başak Gökçe

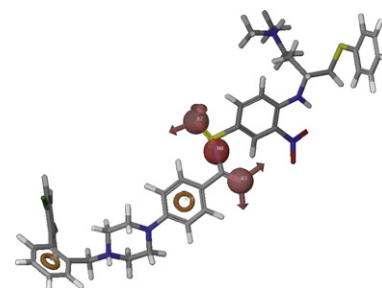
Sulfonamides are the best-known inhibitors of carbonic anhydrase enzyme, currently used for the treatment of glaucoma in clinical medicine. Pyrazole derivatives which contain sulfonamide group have more inhibition effects to CA-I and CA-II isoenzymes.

**3D-QSAR pharmacophore modeling and in silico screening of new Bcl-xl inhibitors**

pp. 4774–4782

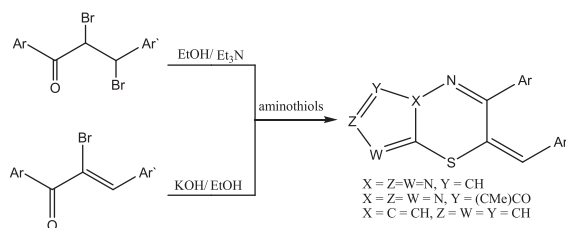
Anna Maria Almerico*, Marco Tutone and Antonino Lauria

A 3D-QSAR pharmacophore model was developed, based on 42 biarylacylsulfonamides, and used to understand the structural factors affecting the inhibitory activity.

**Synthesis and molluscicidal evaluation of some new pyrazole, isoxazole, pyridine, pyrimidine, 1,4-thiazine and 1,3,4-thiadiazine derivatives incorporating benzofuran moiety**

pp. 4783–4787

M.F. El Shehry, R.H. Swellem, Sh.M. Abu-Bakr and E.M. El-Telbani*

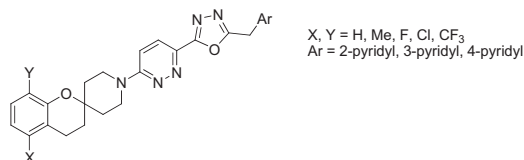


Synthesis and evaluation of novel stearyl-CoA desaturase 1 inhibitors: 1'-[6-[5-(pyridin-3-ylmethyl)-1,3,4-oxadiazol-2-yl]pyridazin-3-yl]-3,4-dihydrospiro[chromene-2,4'-piperidine] analogs

pp. 4788–4796

Yoshikazu Uto*, Yuko Ueno, Yohei Kiyotsuka, Yuriko Miyazawa, Hitoshi Kurata, Tsuneaki Ogata, Makiko Yamada, Tsuneo Deguchi, Masahiro Konishi, Toshiyuki Takagi, Satoko Wakimoto and Jun Ohsumi

The synthesis, SAR, ADME, PK, and pharmacological evaluation of the spiroperididine-based highly potent SCD1 inhibitors are disclosed in detail. A representative compound from this series demonstrated potent, dose-dependent reduction of the plasma desaturation index in C57BL/6j mice on a high carbohydrate diet after a 7-day oral administration (q.d.). In addition, it did not cause noticeable abnormalities in the skin up to the highest dose (10 mg/kg).

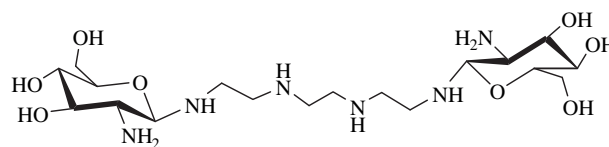


Synthesis of carbohydrate-conjugate heterobimetallic Cu^{II}-Sn^{IV} and Zn^{II}-Sn^{IV} complexes; their interactions with CT DNA and nucleotides; DNA cleavage. in-vitro cytotoxicity

pp. 4797–4806

Sartaj Tabassum*, Rais Ahmad Khan, Farukh Arjmand, Aarti S. Juvekar and Surekha M. Zingde

Carbohydrate conjugate heterobimetallic complexes were synthesized. Interaction of complexes with CT DNA and nucleotides were studied with various biophysical techniques. Complex **2** showed good activity against Colo205 and A2780 carcinoma cell lines ($GI_{50} < 10 \mu\text{g/ml}$).

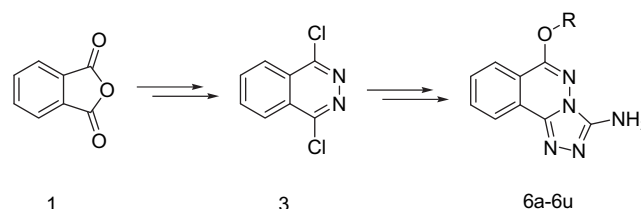


Synthesis and anti-inflammatory activity evaluation of some novel 6-alkoxy(phenoxy)-[1,2,4]triazolo[3,4-*a*]phthalazine-3-amine derivatives

pp. 4807-4812

Xian-Yu Sun, Chuan Hu, Xian-Qing Deng, Cheng-Xi Wei, Zhi-Gang Sun* and Zhe-Shan Quan*

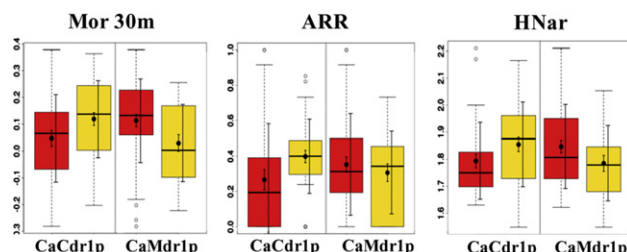
Two promising compounds **6h** (6-(2-chlorophenoxy)-[1,2,4]triazolo[3,4-*a*]phthalazine-3-amine) and **6s** (6-(4-aminophenoxy)-[1,2,4]triazolo[3,4-*a*]phthalazine-3-amine) were found to possess slightly more potent anti-inflammatory activity than the reference drug ibuprofen.



Analysis of physico-chemical properties of substrates of ABC and MFS multidrug transporters of pathogenic *Candida albicans*

pp. 4813–4826

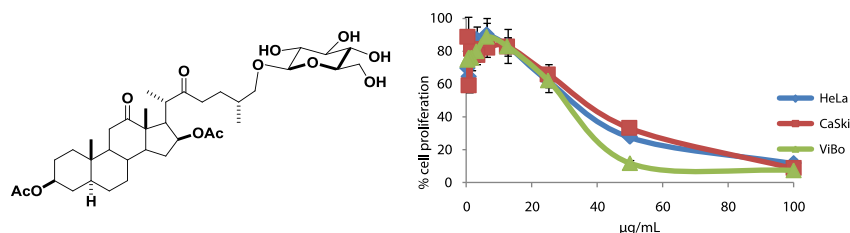
Nidhi Puri, Om Prakash, Raman Manoharlal, Monika Sharma, Indira Ghosh and Rajendra Prasad*



Synthesis of the steroidal glycoside (25R)-3 β ,16 β -diacetoxy-12,22-dioxo-5 α -cholestan-26-yl β -D-glucopyranoside and its anti-cancer properties on cervicouterine HeLa, CaSki, and ViBo cells

pp. 4827–4837

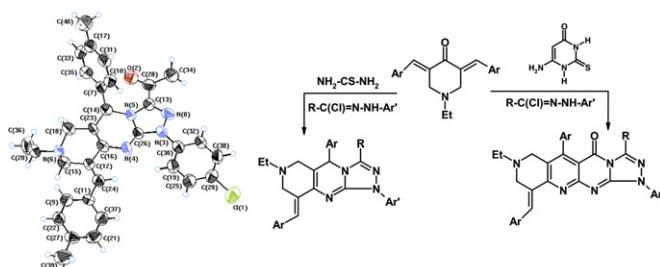
María A. Fernández-Herrera, Sankar Mohan, Hugo López-Muñoz, José M.V. Hernández-Vázquez, Esmeralda Pérez-Cervantes, María L. Escobar-Sánchez, Luis Sánchez-Sánchez, Ignacio Regla, B. Mario Pinto* and Jesús Sandoval-Ramírez



Synthesis of bioactive polyheterocyclic ring systems as 5 α -reductase inhibitors

pp. 4838–4844

Naglaa A. Abdel Hafez, Thoraya A. Farghaly*, Mohamed A. Al-Omar and Mohamed M. Abdalla

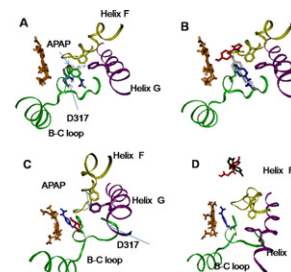


Homology modeling and molecular dynamics of CYP1A1 and CYP2B1 to explore the metabolism of aryl derivatives by docking and experimental assays

pp. 4845–4855

Martha C. Rosales-Hernández, Jessica E. Mendieta-Wejebe, José G. Trujillo-Ferrara and José Correa-Basurto*

In this work, the biotransformation by CYP1A1 and CYP2B1 of two acetylcholinesterase inhibitors, 4-(4'-hydroxy-phenylamino)-4-oxo propanoic acid and 1H-pyrrolidine-1-(4'-hydroxy-phenyl)-2,5-dione, was investigated by theoretical and experimental methods.

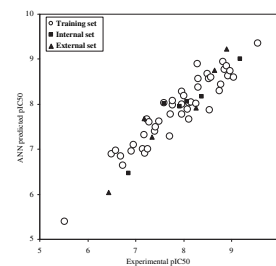


QSAR prediction of D₂ receptor antagonistic activity of 6-methoxy benzamides

pp. 4856–4862

Mohammad H. Fatemi* and Fereshteh Dorostkar

The quantitative structure–activity relationships approaches were used to predict the D₂ receptor antagonistic activity of some 6-methoxy benzamides from their theoretical derived molecular descriptors by using artificial neural network.

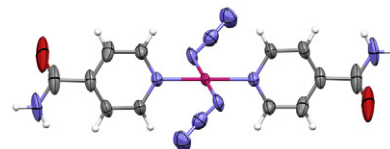


Antimycobacterial and antitumor activities of Palladium(II) complexes containing isonicotinamide (isn): X-ray structure of *trans*-[Pd(N₃)₂(isn)₂]

pp. 4863–4868

Rodrigo A. de Souza, Alessandra Stevanato, Oswaldo Treu-Filho, Adelino V.G. Netto, Antonio E. Mauro*, Eduardo E. Castellano, Iracilda Z. Carlos, Fernando R. Pavan and Clarice Q.F. Leite

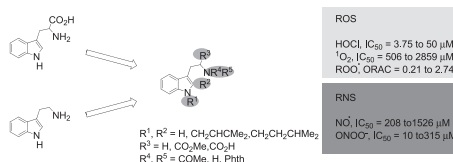
Complexes of the type *trans*-[PdX₂(isn)₂] {X = Cl (**1**), N₃ (**2**), SCN (**3**) and NCO (**4**); isn = isonicotinamide} were synthesized and evaluated for *in vitro* antimycobacterial and antitumor activities.



Antioxidant activity of unexplored indole derivatives: Synthesis and screening

pp. 4869–4878

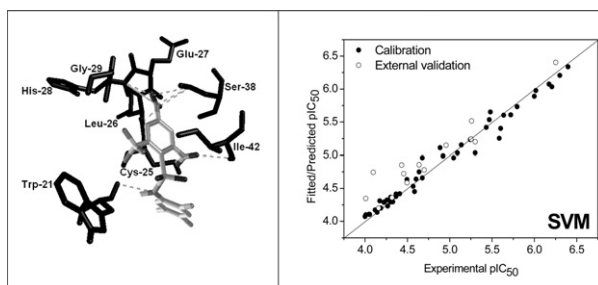
Mónica S. Estevão, Luísa C. Carvalho, Daniela Ribeiro, Diana Couto, Marisa Freitas, Ana Gomes, L.M. Ferreira, Eduarda Fernandes and M. Manuel B. Marques*



QSAR and docking studies of novel antileishmanial diaryl sulfides and sulfonamides

pp. 4879–4889

Mohammad Goodarzi, Elaine F.F. da Cunha, Matheus P. Freitas and Teodorico C. Ramalho*

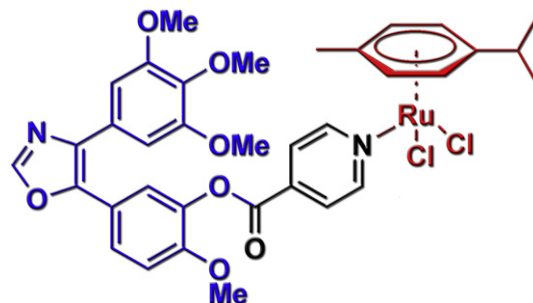


Ru(η⁶-arene) complexes of combretastatin-analogous oxazoles with enhanced anti-tumoral impact

pp. 4890–4896

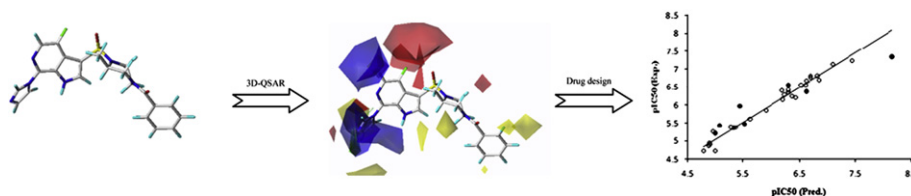
Bernhard Biersack, Katharina Effenberger, Sebastian Knauer, Matthias Ocker and Rainer Schobert*

Two-pronged drugs: Conjugates of combretastatin A-derived oxazoles with Ru(η⁶-arene) complex fragments combine anti-angiogenic with cytotoxic properties. Unlike anti-vascular-only drugs, they are efficacious also in chemo-resistant colon carcinoma cells.



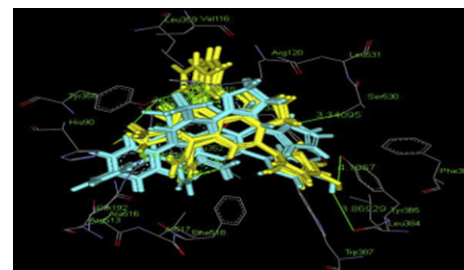
pp. 4897–4903

CoMFA and CoMSIA methods were used for the 3D-QSAR studies on 36 human immunodeficiency virus entry-1 inhibitors. The importance of substituents on the IC₅₀ was discussed.



pp. 4904–4913

A series of novel 8/10-trifluoromethyl-substituted-imidazo[1,2-c] quinazolines have been synthesized and evaluated *in vivo* (anti-inflammatory activity) and *in silico* (docking studies) using two targets (COX-1 and COX-2) employing GOLD software.



pp. 4914–4919

The figure displays five chemical structures labeled 4a-j, 5, 6a-b, 7a-b, and 7c. Structures 4a-j and 6a-b are thiazolidine derivatives with a thiazolidine ring substituted with a phenyl group (R) and a thiazole ring. Structure 5 is a thiazole derivative with a thiazole ring substituted with a phenyl group and a thiazole ring. Structures 7a-b and 7c are thiazole derivatives with a thiazole ring substituted with a phenyl group and a thiazole ring.

pp. 4920–4927

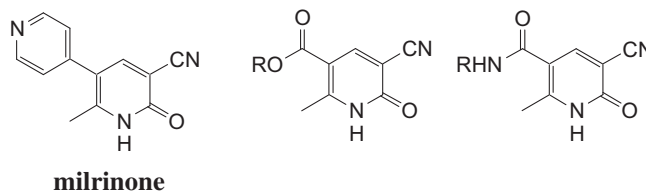
R= H, OCH₃
X= Se, S

Effect of milrinone analogues on intracellular calcium increase in single living H9C2 cardiac cells

pp. 4928–4933

Tiziana Pietrangelo, Letizia Giampietro, Barbara De Filippis, Rita La Rovere, Stefania Fulle and Rosa Amoroso*

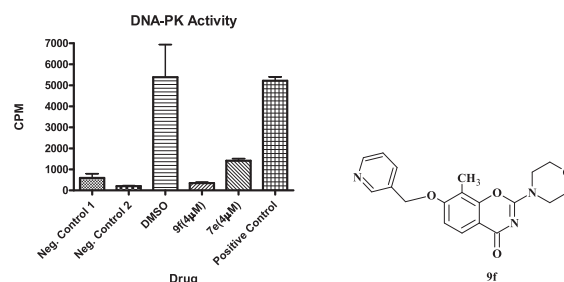
New milrinone analogues were synthesized by removing the 4-pyridyl moiety or replacing it with an ester or amide function and their ability to interfere with the $[Ca^{2+}]_i$ level during the plasma membrane depolarization in H9C2 cardiomyocytes was tested.

**Synthesis, structural elucidation and DNA-dependant protein kinase and antiplatelet studies of 2-amino-[5, 6, 7, 8-mono and 7, 8-di-substituted]-1,3-benzoxazines**

pp. 4934–4946

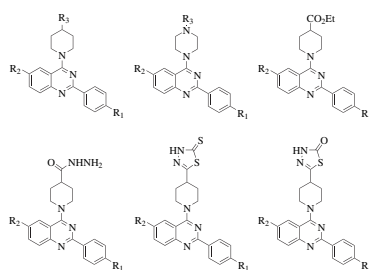
Saleh Ihmaid, Jasim Al-Rawi* and Christopher Bradley

2-amino-[5, 6, 7, 8-mono- and 7, 8-di-substituted]-1,3-benzoxazines were synthesized. Inhibition of DNA-PK and collagen induced platelet aggregation activity was tested. Compound (**9f**) showed the most potent activity.

**Synthesis, analgesic and anti-inflammatory evaluation of some novel quinazoline derivatives**

pp. 4947–4952

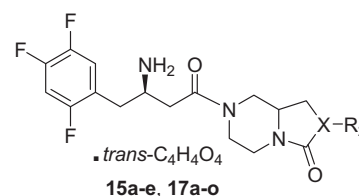
Ahmed M. Alafeefy*, Adnan A. Kadi, Omar A. Al-Deeb, Kamal E.H. El-Tahir and Nabila A. Al-jaber

**Synthesis, biological assay *in vitro* and molecular docking studies of new imidazopyrazinone derivatives as potential dipeptidyl peptidase IV inhibitors**

pp. 4953–4962

Yanyun Zhu, Shuang Xia, Mingjie Zhu, Weiyan Yi, Jiagao Cheng, Gonghua Song*, Zhong Li and Peng Lu*

A series of novel imidazopyrazinone analogues were synthesised and evaluated for their *in vitro* ability to DPP-IV. Molecular docking studies were also performed.

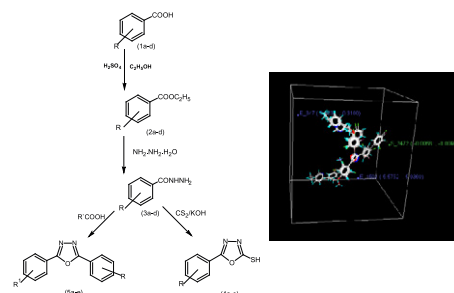


Design, synthesis and biological evaluation of 1,3,4-oxadiazole derivatives

pp. 4963–4967

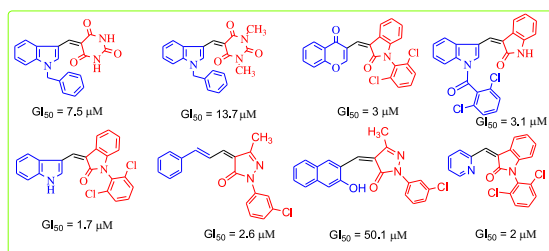
Keshari Kishore Jha, Abdul Samad*, Yatendra Kumar, Mohd. Shaharyar, Ratan Lal Khosa, Jainendra Jain, Vikash Kumar and Priyanka Singh

The various 1,3,4-oxadiazole derivatives were synthesized by the ring closure reactions of various aroylhydrazides and were further screened for their antibacterial activity against *E. coli* (MTCC 443), *S. epidermidis* (ATCC12228) and *S. aureus* (ATCC25923) bacterial strains by disc diffusion method. 30 3D-QSAR models were generated for the 21 molecules of 1,3,4-oxadiazoles by using k-Nearest Neighbor Molecular Field Analysis (*k*NN-MFA), one of these models was selected on the basis of good validation (q^2) and cross validation (pred_r^2) values for rationalizing the scheme and prediction purposes.

**Synthesis and evaluation of indole, pyrazole, chromone and pyrimidine based conjugates for tumor growth inhibitory activities – Development of highly efficacious cytotoxic agents**

pp. 4968–4982

Palwinder Singh*, Matinder Kaur and Wolfgang Holzer



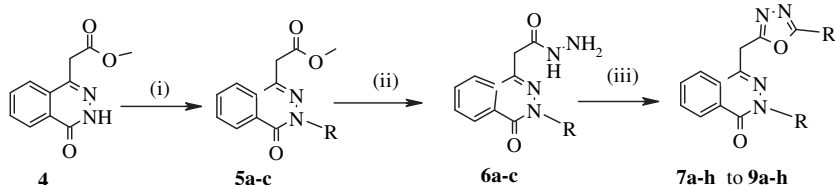
The hybrids of two small organic molecules exhibit significant tumor growth inhibitory activities.

Synthesis and antimicrobial activity of 2-substituted [4-(1,3,4-oxadiazol-2-yl methyl)] phthalazin-1(2H)-one derivatives

pp. 4983–4989

Ajjanna M. Sridhara, Kallam R. Venugopala Reddy*, Jathi Keshavayya, Palusa Sanath Kumar Goud, Bankavadi C. Somashekar, Prosenjit Bose, Sanenahalli K. Peethambar and Satish Kumar Gaddam

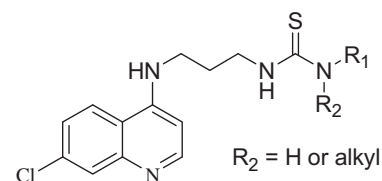
A series of new 2-substituted [4-(1,3,4-oxadiazol-2-yl methyl)]phthalazin-1(2H)-one derivatives were synthesized starting from phthalazineacetic acid ester and evaluated for their antimicrobial activities.

**4-Aminoquinoline derived antimalarials: Synthesis, antiparasmodial activity and heme polymerization inhibition studies**

pp. 4990–4996

V.R. Solomon, W. Haq, M. Smilkstein, Kumkum Srivastava, Sunil K. Puri and S.B. Katti*

A new series of 4-aminoquinoline thiourea derivatives have been synthesized and evaluated for antiparasmodial activity. Spectroscopic studies suggested that this class of compounds act on heme polymerization target.



R_1 = Alkyl/Aryl/Heterocyclic amine

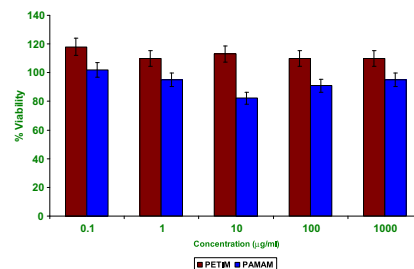
3-15

Poly propyl ether imine (PETIM) dendrimer: A novel non-toxic dendrimer for sustained drug delivery

pp. 4997–5005

Subheet Jain*, Amanpreet Kaur, Richa Puri, Puneet Utreja, Anubhuti Jain, Mahesh Bhide, Rakesh Ratnam, Vinay Singh, A.S. Patil, N. Jayaraman, Gaurav Kaushik, Subodh Yadav and K.L. Khanduja

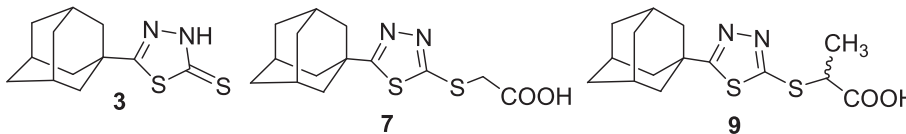
Comparative cytotoxicity of the PETIM (G3-COOH) Vs commercial available PAMAM (G4-OH) dendrimer in small lung cancer cell line (A-549).

**Synthesis, antimicrobial and anti-inflammatory activities of novel 5-(1-adamantyl)-1,3,4-thiadiazole derivatives**

pp. 5006–5011

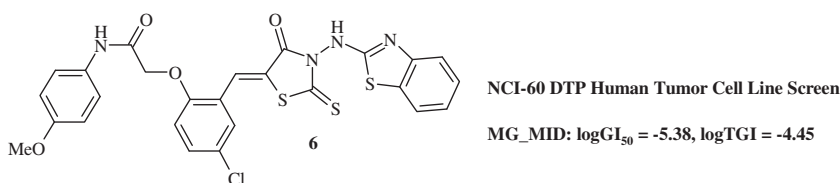
Adnan A. Kadi, Ebtehal S. Al-Abdullah, Ihsan A. Shehata, Elsayed E. Habib, Tarek M. Ibrahim and Ali A. El-Emam*

New series of 5-(1-adamantyl)-1,3,4-thiadiazoles were synthesized as potential antimicrobial and anti-inflammatory agents. Compounds **3**, **7** and **9** displayed marked antibacterial activity. Meanwhile, compound **9** exhibited good dose-dependent anti-inflammatory activity in rats.

**Synthesis and anticancer activity evaluation of 4-thiazolidinones containing benzothiazole moiety**

pp. 5012–5021

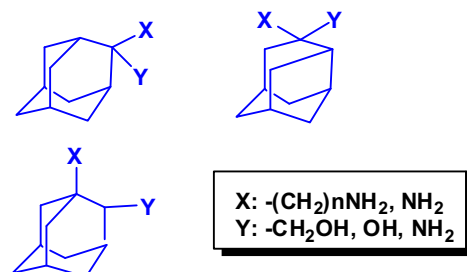
Dmytro Havrylyuk, Ludmyla Mosula, Borys Zimenkovsky, Olexandr Vasylenko, Andrzej Gzella and Roman Lesyk*

**Design and synthesis of bioactive adamantanaminoalcohols and adamantanamines**

pp. 5022–5030

Grigoris Zoidis, Nicolas Kolocouris*, John M. Kelly, S. Radhika Prathalingam, Lieve Naesens and Erik De Clercq

A series of novel adamantane aminoalcohols and adamantanamines were synthesized and tested for anti-influenza A virus and trypanocidal activity. The stereoelectronic requirements for optimal antiviral and trypanocidal potency were investigated. We examined for the first time the effect on M2 binding exerted by introducing the hydroxyl group close to the amino group.

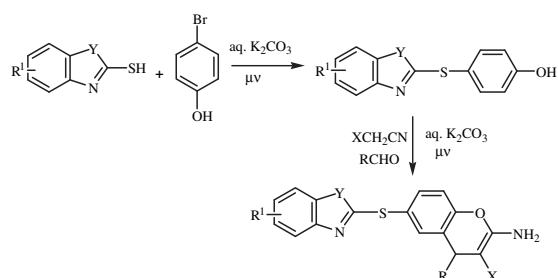


Aqua mediated synthesis of 2-amino-6-benzothiazol-2-ylsulfanyl-chromenes and its in vitro study, explanation of the structure–activity relationships (SARs) as antibacterial agent

pp. 5031–5038

Mazaahir Kidwai*, Roona Poddar, Saurav Bhardwaj, Satendra Singh and Pratibha Mehta Luthra

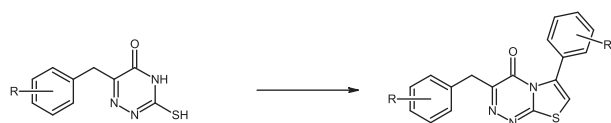
Novel synthesis of 2-amino-6-benzothiazol-2-ylsulfanyl-chromenes via One-pot multi-component reaction. Screening and SAR analysis for their antibacterial activities against different microorganisms was done.



Synthesis and antimicrobial studies of thiazolotriazinones

pp. 5039–5043

Mari Sithambaram Karthikeyan*



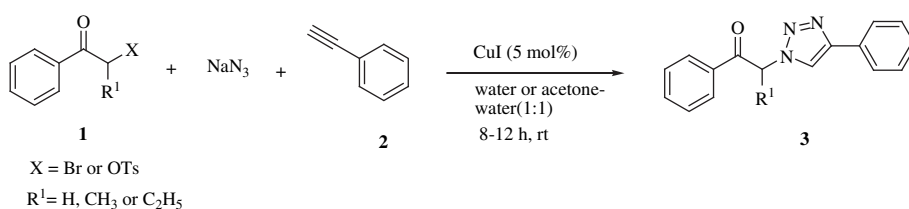
Where R = 4-Cl, 2,4-Cl₂; R₁ = 4-OCH₃, 4-NO₂, 4-Cl, 4-Br, 2,4-Cl₂-5-F

Synthesis and cytotoxicity evaluation of novel 1,4-disubstituted 1,2,3-triazoles via CuI catalysed 1,3-dipolar cycloaddition

pp. 5044–5050

Jyothi Vantikommu, Sadanandam Palle, Punganuru Surendra Reddy, Vinodkumar Ramanatham, Mukkanti Khagga and Venkateswara Rao Pallapothula*

An efficient method for the regioselective synthesis of functionalized 1,4-disubstituted-1,2,3-triazoles from *in-situ* generated β -ketoazides and terminal alkynes through Cu(I) catalyzed 1,3 dipolar cycloaddition in good to excellent yields is described.

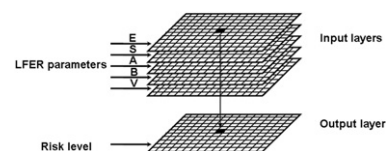


Classification of drugs according to their milk/plasma concentration ratio

pp. 5051–5055

Mohammad H. Fatemi* and Mehdi Ghorbanzade

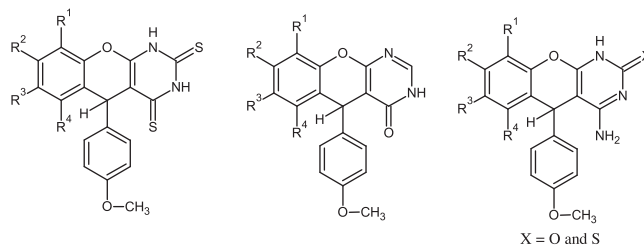
The classification of drugs according to their milk/plasma concentration ratio by using counter propagation artificial neural network and LFER parameters.



Design, synthesis and *in vitro* evaluation of antitubercular and antimicrobial activity of some novel pyranopyrimidines

pp. 5056–5063

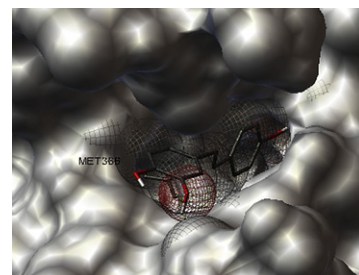
Nimesh R. Kamdar, Dhaval D. Haveliwala, Prashant T. Mistry and Saurabh K. Patel*

**The synthesis, structure and activity evaluation of pyrogallol and catechol derivatives as *Helicobacter pylori* urease inhibitors**

pp. 5064–5070

Zhu-Ping Xiao*, Tao-Wu Ma, Wei-Chang Fu, Xiao-Chun Peng, Ai-Hua Zhang and Hai-Liang Zhu

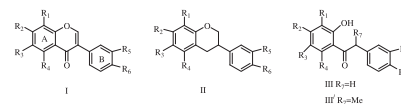
A series of polyphenols were synthesized and evaluated for inhibitory activity against *Helicobacter pylori* urease. 4-(4-Hydroxyphenethyl)phen-1,2-diol (**2a**) was the most potent inhibitors with $IC_{50} = 1.5 \pm 0.2 \mu M$.

**Chemometric modeling of free radical scavenging activity of flavone derivatives**

pp. 5071–5079

Indrani Mitra, Achintya Saha and Kunal Roy*

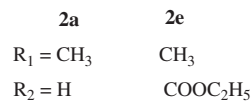
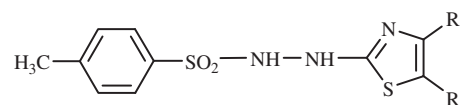
The present work deals with the chemometric modeling of antioxidant molecules belonging to the class of flavone derivatives (isoflavones, isoflavanes and biphenyl ketones) employing the quantitative structure–activity relationship (QSAR) technique.

**Synthesis of some *p*-toluenesulfonyl-hydrazinothiazoles and hydrazino-bis-thiazoles and their anticancer activity**

pp. 5080–5085

Valentin Zaharia*, Adriana Ignat, Nicolae Palibroda, Bathélemy Ngameni**, Victor Kuete, Charles N. Fokunang, Marlyse L. MOUNGANG and Bonaventure T. Ngadjui

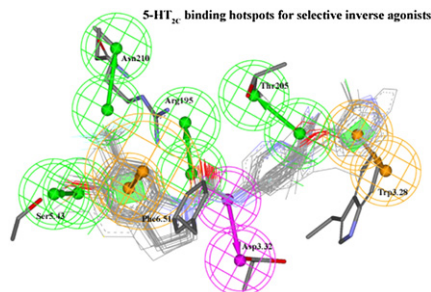
A series of novel *p*-toluenesulfonyl-hydrazinothiazoles and hydrazino-bis-thiazoles derivatives (**2a–f**, **3a–f** and **5–8**) were synthesized and their cytotoxicity was evaluated against the Human prostate DU-145 and Hepatocarcinoma Hep-G2 cancer cell lines. Compounds **2a**, **2c**, **2d**, **2e** and **3a** showed significant anticancer activities ($IC_{50} < 10 \mu M$) on both prostate DU-145 and hepatocarcinoma Hep-G2 cancer cell lines.



Novel structural insights for drug design of selective 5-HT_{2C} inverse agonists from a ligand-biased receptor model

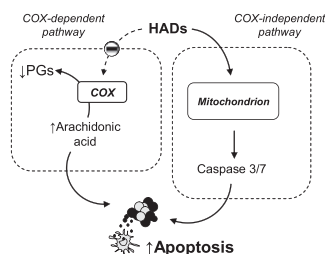
pp. 5086–5099

Nicolas Renault, Arnaud Gohier, Philippe Chavatte and Amaury Farce*

**Therapeutic potential of sulindac hydroxamic acid against human pancreatic and colonic cancer cells**

pp. 5100–5107

Stefano Fogli*, Irene Banti, Fabio Stefanelli, Luca Picchianti, Maria Digiacomio, Marco Macchia, Maria Cristina Breschi and Annalina Lapucci



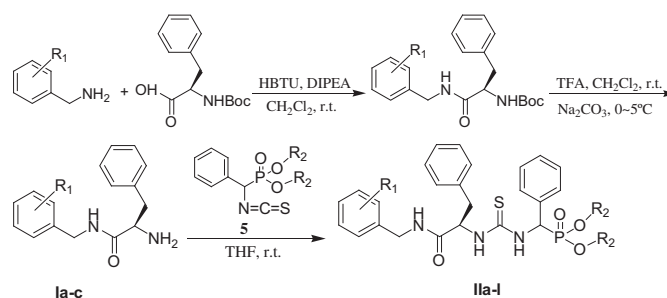
HADs: Hydroxamic acid derivatives of sulindac

Synthesis and *in vitro* study of pseudo-peptide thioureas containing α -aminophosphonate moiety as potential antitumor agents

pp. 5108–5112

Jing-Zi Liu, Bao-An Song*, Hui-Tao Fan, Pinaki S. Bhadury, Wen-Ting Wan, Song Yang*, Weiming Xu, Jian Wu, Lin-Hong Jin, Xue Wei, De-Yu Hu and Song Zeng

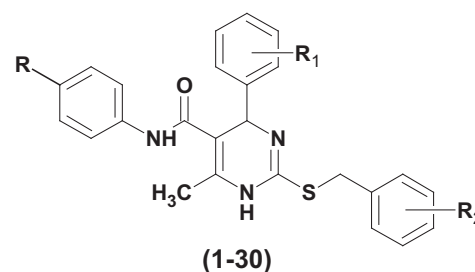
The pseudo-peptide thioureas containing α -aminophosphonate moiety were synthesized from the reaction of chiral α -amino carboxamide derivatives with *O,O'*-dialkyl isothiocyanato(phenyl)methylphosphonate. The compounds were screened for their antitumor activities.

**Antihypertensive activity of newer 1,4-dihydro-5-pyrimidine carboxamides: Synthesis and pharmacological evaluation**

pp. 5113–5119

Ozair Alam*, Suroor A. Khan, Nadeem Siddiqui, Waqar Ahsan, Suraj P. Verma and Sadaf J. Gilani

Various substituted 1,4-dihydropyrimidine carboxamides (**1–30**) were designed, synthesized and tested for antihypertensive activity and the results obtained were highly significant as compared to the standard drug nifedipine.

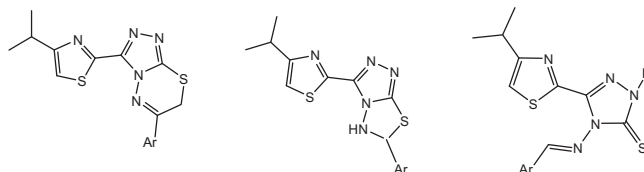


Synthesis and pharmacological evaluation of clubbed isopropylthiazole derived triazolothiadiazoles, triazolothiadiazines and mannich bases as potential antimicrobial and antitubercular agents

pp. 5120–5129

G.V. Suresh Kumar*, Y. Rajendra Prasad, B.P. Mallikarjuna and S.M. Chandrashekar

In the present study a series of novel clubbed Isopropylthiazole derivatives triazolothiadiazines dihydro triazolothiadiazoles, thioxotriazoles, triazolothiadiazole, arylideneamino triazolethiones and oxadiazolethiones was synthesized and evaluated for their preliminary cytotoxicity, antimicrobial and antitubercular activity.

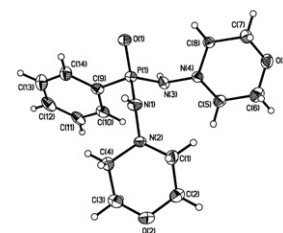


Synthesis, characterization, oxidative degradation, antibacterial activity and acetylcholinesterase/butyrylcholinesterase inhibitory effects of some new phosphorus(V) hydrazides

pp. 5130–5139

Khodayar Gholivand*, Zahra Hosseini, Sedigheh Farshadian and Hossein Naderi-Manesh

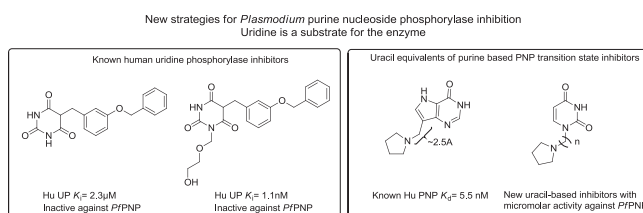
12 New phosphorus(V) hydrazides were synthesized and evaluated with their antibacterial and cholinesterase inhibition activities. The reaction of **1a**, **3a** and **7a** with solution of $\text{Cu}(\text{M})_2 \cdot n\text{H}_2\text{O}$ was studied.



Exploring new inhibitors of *Plasmodium falciparum* purine nucleoside phosphorylase

pp. 5140–5149

Huaqing Cui, Gian Filippo Ruda, Juana Carrero-Lérida, Luis M. Ruiz-Pérez, Ian H. Gilbert* and Dolores González-Pacanowska

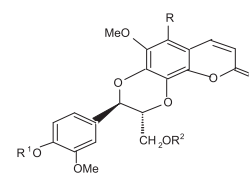


Synthesis and anti-inflammatory activity of derivatives of coumarino-lignoid, cleomiscosin A and its methyl ether

pp. 5150–5156

Shelly Sharma, S.K. Chattopadhyay*, Priyanka Trivedi and D.U. Bawankule

The *in-vitro* anti-inflammatory activities of the synthesized derivatives of cleomiscosin A were evaluated. The compounds **1a**, **3a** and **4a** showed significant inhibition of pro-inflammatory targets in lipo-polysaccharide (LPS)-induced inflammation in primary macrophages cell culture model.



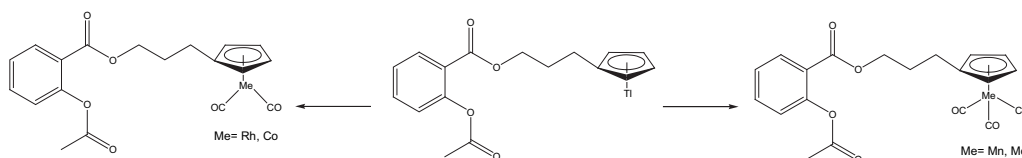
1a; R = Br, R¹ = H, R² = — COCH₃
3a; R = Cl, R¹ = Me, R² = H
4a; R = Br, R¹ = Me, R² = H

[Cyclopentadienyl]metalcarbonyl complexes of acetylsalicylic acid as neo-anticancer agents

pp. 5157–5163

Gerhard Rubner, Kerstin Bendsdorf, Anja Wellner, Silke Bergemann, Ingo Ott and Ronald Gust*

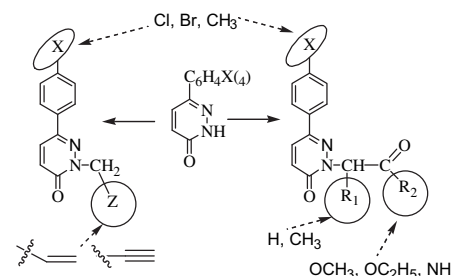
[Cyclopentadienyl]metalcarbonyl derivatives (metal: molybdenum, manganese, cobalt and rhodium) of aspirin were synthesized and tested for cytotoxicity against breast (MCF-7, MDA-MB-231) and colon cancer (HT-29) cell lines. Their COX-1 and COX-2 inhibitory effects were evaluated at isolated isoenzymes. Additionally, the influence on the level of the major COX metabolite prostaglandin E₂ (PGE₂) was quantified in MDA-MB-231 breast cancer cells.

**Synthesis and antituberculosis activity of some new pyridazine derivatives. Part II**

pp. 5164–5168

Dorina Mantu, Mihaela Cătălina Luca, Costel Moldoveanu, Gheorghita Zbancioc and Ionel I. Mangalagiu*

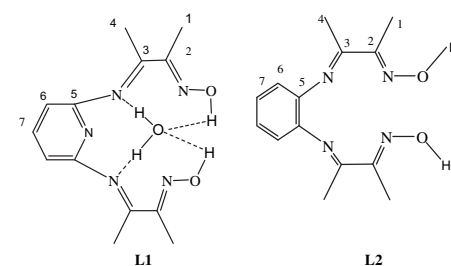
Synthesis, structure and *in vitro* antituberculosis activity of some new pyridazine derivatives are described.

**DNA binding, antioxidant and antimicrobial activities of homo- and heteronuclear copper(II) and nickel(II) complexes with new oxime-type ligands**

pp. 5169–5175

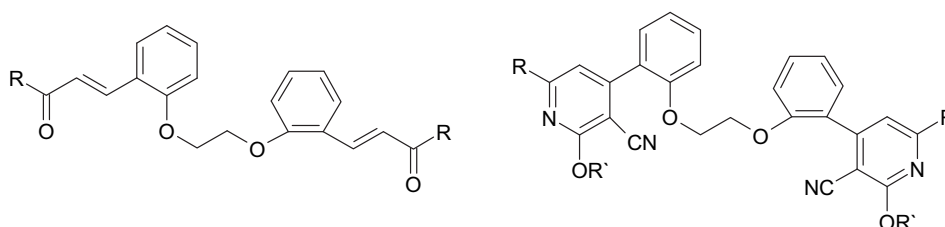
Ahmet Colak*, Ülkü Terzi, Melek Col, Şengül Alpay Karaoglu, Serdar Karaböcek, Aşgöl Küçükdumlu and Faik Ahmet Ayaz

Mononuclear Cu(II) (**K3**, **K4**), homodinuclear Cu(II) (**K1** and **K6**), heterodinuclear Cu(II)–Ni(II) (**K2**, **K5** and **K8**) and homotrinnuclear Cu(II) (**K7**) complexes derived from oxime-type ligands (**L1** and **L2**) were investigated.

**Synthesis and vasodilation activity of some novel bis(3-pyridinecarbonitrile) derivatives**

pp. 5176–5182

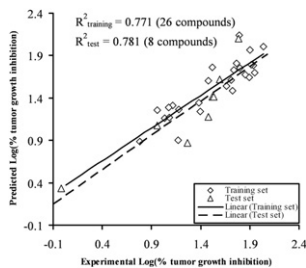
Flora F. Barsoum



QSAR modeling, synthesis and bioassay of diverse leukemia RPMI-8226 cell line active agents

pp. 5183–5199

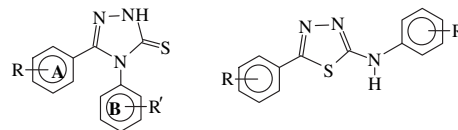
Alan R. Katritzky*, Adel S. Girgis, Svetoslav Slavov, Srinivasa R. Tala and Iva Stoyanova-Slavova

**Synthesis, antioxidant activities and urease inhibition of some new 1,2,4-triazole and 1,3,4-thiadiazole derivatives**

pp. 5200–5207

Imtiaz Khan, Sajid Ali, Shahid Hameed, Nasim Hasan Rama*, Muhammad Tahir Hussain, Abdul Wadood, Reaz Uddin, Zaheer Ul-Haq, Ajmal Khan, Sajjad Ali and M. Iqbal Choudhary

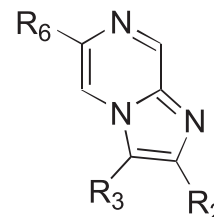
A new series of 4,5-disubstituted-2,4-dihydro-3H-1,2,4-triazole-3-thiones and 2,5-disubstituted-1,3,4-thiadiazoles has been reported. The synthesized compounds were evaluated for their antioxidant and urease inhibition activities.

**Structure activity relationship studies of imidazo[1,2-a]pyrazine derivatives against cancer cell lines**

pp. 5208–5216

Shailaja Myadaraboina, Manjula Alla, Venkateshwarlu Saddanapu, Vittal Rao Bommena* and Anthony Addlagatta

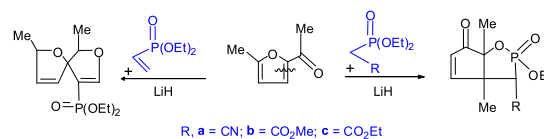
Design, synthesis and evaluation of imidazo[1,2-a]pyrazine derivatives, by systematically varying substitutions, to establish SAR for cytotoxic activity against cancer cell lines, MDA-MB-231, MCF-7, SK-N-SH and Hep G2, reported.

**Use of phosphonyl carbanions in the synthesis of anti-inflammatory active phosphorus-containing fused heterocycles and relevance phosphonates**

pp. 5217–5224

Wafaa M. Abdou*, Azza A. Kamel and Abeer A. Shaddy

Phosphorus-containing fused 5,5-membered and 5,6-membered systems were obtained from the reaction of Horner–Emmons reactant with 2-acetyl-5-methyl furan and 2-acetyl-5-bromothiophene. The anti-inflammatory activity of the products is discussed.

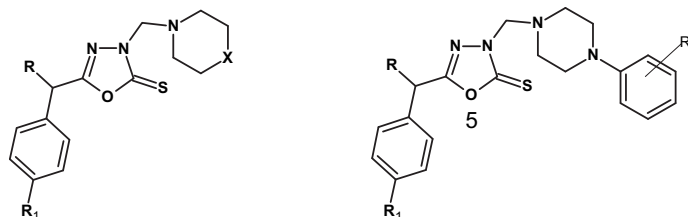


Synthesis and biological evaluation of some 1,3,4-oxadiazole derivatives

pp. 5225–5233

K. Manjunatha, Boja Poojary*, Prajwal L. Lobo, Jennifer Fernandes and N. Suchetha Kumari

A series of oxadiazole derived Mannich bases were synthesized and evaluated for their antimicrobial and anti-inflammatory activities.



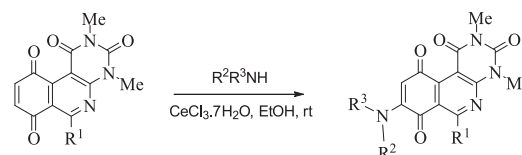
R = CH₃, H; R₁ = CH₂CH(CH₃)₂, SCH₃; X = CH-CO₂Et, O, NH, N-CH₃, CH₂; R₂ = 4-OCH₃, 4-Cl, 3-Cl, 4-NO₂, 4-F, 2-OC₂H₅

Studies on quinones. Part 46. Synthesis and *in vitro* antitumor evaluation of aminopyrimidoisoquinolinequinones

pp. 5234–5242

David Vásquez, Jaime A. Rodríguez, Cristina Theoduloz, Pedro Buc Calderon and Jaime A. Valderrama*

A series of aminopyrimido[4,5-c]isoquinoline-7,10-quinones have been synthesized and evaluated for their cytotoxicity against a panel of four human cancer cell lines and normal human lung fibroblasts (MRC-5).

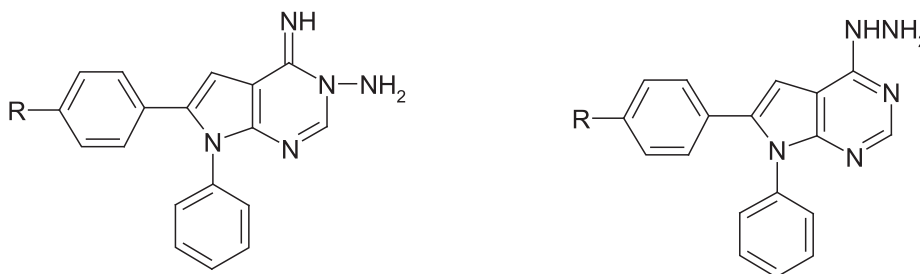


27 examples

Synthesis of new pyrrolo[2,3-d]pyrimidine derivatives as antibacterial and antifungal agents

pp. 5243–5250

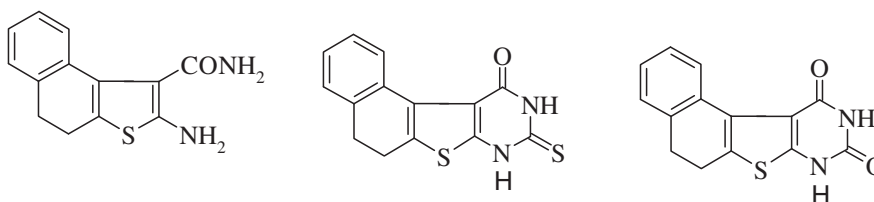
Khalid Mohammed Hassan Hilmy*, Maha M.A. Khalifa, Mohammed Abd Allah Hawata, Reda Mohammed AboAlzeen Keshk and Abd Almeneam El-Torgmzan

**Synthesis and screening of some novel fused thiophene and thienopyrimidine derivatives for anti-avian influenza virus (H5N1) activity**

pp. 5251–5257

Aymn E. Rashad*, Ahmed H. Shamroukh, Randa E. Abdel-Megeid, Ahmed Mostafa, Rabeh El-Shesheny, Ahmed Kandeil, Mohamed A. Ali and Klaus Banert

Thieno[2,3-d]pyrimidine derivatives are interesting compounds with diverse chemical properties and antiviral activities. Herein, the synthesis and anti-avian influenza virus (H5N1) evaluation of some new thiophene and thieno[2,3-d]pyrimidines are described.

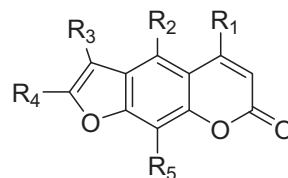


Structural modification of a specific antimicrobial lead against *Helicobacter pylori* discovered from traditional Chinese medicine and a structure–activity relationship study

pp. 5258–5264

Bang-Le Zhang, Cheng-Qi Fan, Lei Dong, Fang-Dao Wang and Jian-Min Yue*

Based on the specific antimicrobial lead psoralen against *Helicobacter pylori*, several series of analogues were synthesized, and **25a** was identified as a potent candidate. A structure–activity relationship was outlined.



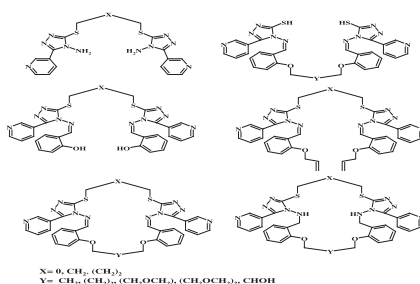
25a: $R_1 = \text{CH}_3$, $R_2 = R_3 = R_4 = R_5 = \text{H}$

MIC = 0.39 $\mu\text{g/mL}$

Efficient synthesis of novel 1,2,4-triazole fused acyclic and 21–28 membered macrocyclic and/or lariat macrocyclic oxazathia crown compounds with potential antimicrobial activity

pp. 5265–5277

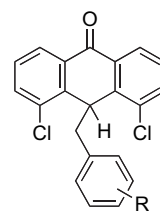
Nasser S.A.M. Khalil*


Synthesis and biological evaluation of novel 10-benzyl-substituted 4,5-dichloro-10H-anthracen-9-ones as inhibitors of keratinocyte hyperproliferation

pp. 5278–5285

Ulrich Kratz, Helge Prinz and Klaus Müller*

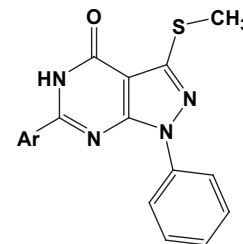
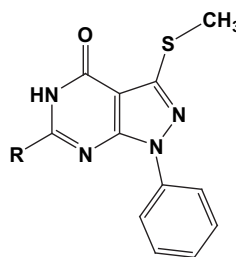
A novel series of 10-substituted 4,5-dichloro-10H-anthracen-9-ones were evaluated against keratinocyte hyperproliferation, release of lactate dehydrogenase into the culture medium and for their interaction with the free radical 2,2-diphenyl-1-picrylhydrazyl.


Synthesis and antitumor activity of novel 6-aryl and 6-alkylpyrazolo[3,4-d]pyrimidin-4-one derivatives

pp. 5286–5291

Mervat M. El-Enany, Mona M. Kamel, Omneya M. Khalil and Hala B. El-Nassan*

Synthesis and antitumor activity of a series of pyrazolo[3,4-d]pyrimidin-4-ones are presented.

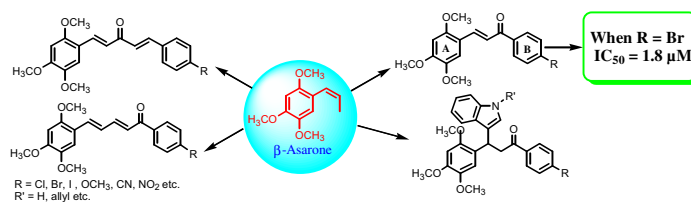


Reinvestigation of structure–activity relationship of methoxylated chalcones as antimalarials: Synthesis and evaluation of 2,4,5-trimethoxy substituted patterns as lead candidates derived from abundantly available natural β -asarone

pp. 5292–5301

Rakesh Kumar, Dinesh Mohanakrishnan, Abhishek Sharma, Naveen Kumar Kaushik, Kalpana Kalia, Arun Kumar Sinha* and Dinkar Sahal

Chalcones ($A-CH=CH-CO-B$) with 2,4,5-trimethoxy substitution pattern at ring **A** provided potent antimalarial analogues which were easily derived from abundantly available natural β -asarone rich *Acorus calamus* oil.

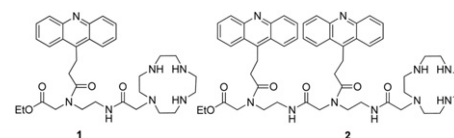


Synthesis, DNA binding and cleavage activity of macrocyclic polyamines bearing mono- or bis-acridine moieties

pp. 5302–5308

Qiang Liu, Ji Zhang, Ming-Qi Wang, Da-Wei Zhang, Qiao-Sen Lu, Yu Huang, Hong-Hui Lin and Xiao-Qi Yu*

Both of the acridine-pendant cyclen derivatives, especially **2**, exhibited preferential interactions with G-rich DNA sequences. Their copper(II) complexes also showed effective DNA cleavage activities.

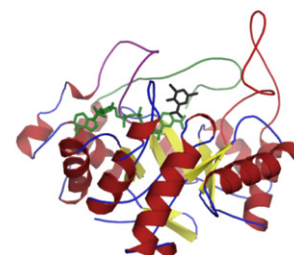


Structure-based optimization and biological evaluation of human 20α -hydroxysteroid dehydrogenase (AKR1C1) salicylic acid-based inhibitors

pp. 5309–5317

Ossama El-Kabbani*, Peter J. Scammells, Tom Day, Urmi Dhagat, Satoshi Endo, Toshiyuki Matsunaga, Midori Soda and Akira Hara

The crystal structure of the Leu308Val mutant of AKR1C1 was determined. Inhibitors were synthesized among which 3-chloro-5-phenylsalicylic acid ($K_i = 0.86$ nM) was the most potent compound.

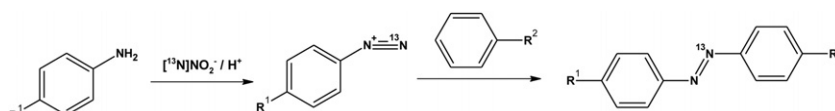


A convenient synthesis of ^{13}N -labelled azo compounds: A new route for the preparation of amyloid imaging PET probes

pp. 5318–5323

Vanessa Gómez-Vallejo, José I. Borrell and Jordi Llop*

The synthesis of ^{13}N -diazonium salts has been achieved by reaction of $[^{13}N]NO_2^-$ with primary aromatic amines in acidic media. Further reaction with aromatic amines and phenols yielded the corresponding ^{13}N -labelled azo compounds, which might have putative application as PET imaging probes for the *in vivo* detection of amyloid deposits.

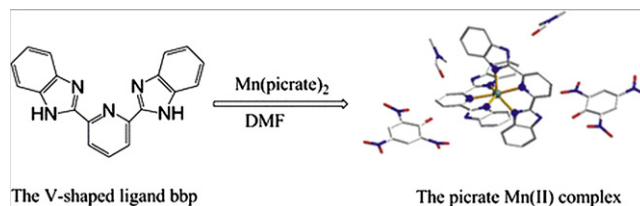


A V-shaped ligand 2,6-bis(2-benzimidazolyl)pyridine and its picrate Mn(II) complex: Synthesis, crystal structure and DNA-binding properties

pp. 5324–5330

Huilu Wu*, Xingcai Huang, Jingkun Yuan, Fan Kou, Fei Jia, Bin Liu and Kaitong Wang

A V-shaped ligand 2,6-bis(2-benzimidazolyl)pyridine and its picrate Mn(II) complex have been synthesized and characterized. The two compounds bind to DNA in an intercalation mode.

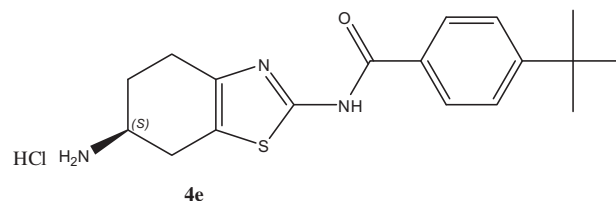


Synthesis and Identification of a new class of antileukemic agents containing 2-(arylcarboxamide)-(S)-6-amino-4,5,6,7-tetrahydrobenzo[d]thiazole

pp. 5331–5336

D.S. Prasanna, C.V. Kavitha, K. Vinaya, S.R. Ranganatha, Sathees C. Raghavan and K.S. Rangappa.*

A series of novel 2-(arylcarboxamide)-(S)-6-amino-4,5,6,7-tetrahydrobenzothiazole derivatives **4(a–h)** were synthesized and evaluated for their efficacy as anti-leukemic agents. Compound **4e** with bulky *tert*-buty group at para position showed more potent activity.



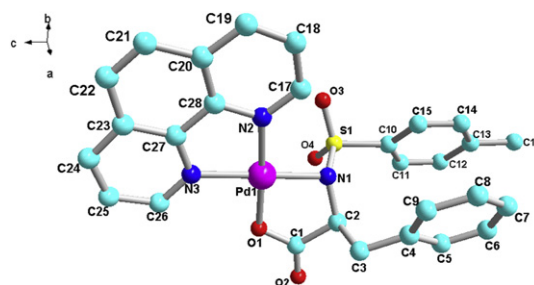
IC₅₀ for K562 Cells = 4.52 μM
IC₅₀ for CEM Cells = 4.52 μM

Synthesis, characterization and cytotoxicity of mixed-ligand complexes of palladium(II) with aromatic diimine and 4-toluenesulfonyl-L-amino acid dianion

pp. 5337–5344

Jinchao Zhang*, Luwei Li, Liwei Wang, Fangfang Zhang and Xiaoliu Li

Eight palladium(II) complexes (**1a–2d**) have been synthesized. Crystal structure of the complex (**2d**) has been determined by X-ray diffraction analysis. The cytotoxicity was tested by MTT and SRB assays.

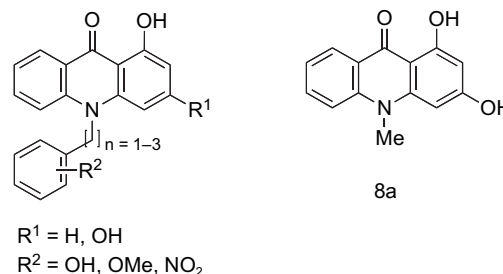


Structure–activity relationship studies of acridones as potential antipsoriatic agents. 2. Synthesis and antiproliferative activity of 10-substituted hydroxy-10H-acridin-9-ones against human keratinocyte growth

pp. 5345–5352

Aleksandar Putic, Lambert Stecher, Helge Prinz and Klaus Müller*

10-Substituted hydroxy-10H-acridin-9-ones were evaluated as inhibitors of keratinocyte growth, interaction with the free radical 2,2-diphenyl-1-picrylhydrazyl, and release of lactate dehydrogenase.

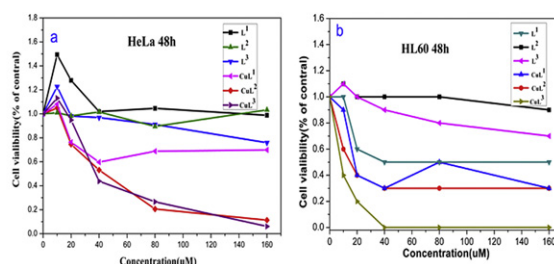
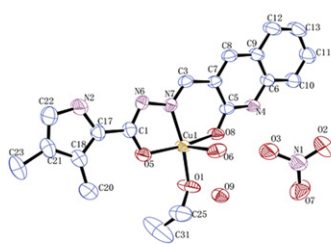


Crystal structures, DNA-binding and cytotoxic activities studies of Cu(II) complexes with 2-oxo-quinoline-3-carbaldehyde Schiff-bases

pp. 5353–5361

Zeng-Chen Liu, Bao-Dui Wang, Bo Li, Qin Wang, Zheng-Yin Yang*, Tian-Rong Li and Yong Li

Three new Cu(II) Schiff-bases complexes were synthesized and characterized. The study revealed that the Cu(II) complexes could bind to CT-DNA through intercalation and showed higher cytotoxicity than corresponding ligands.

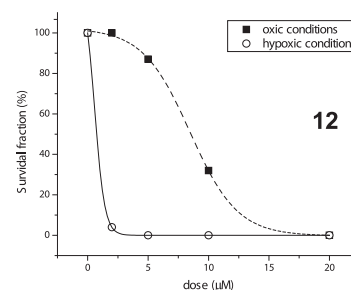
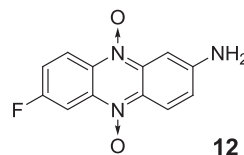


Structural modifications on the phenazine *N,N'*-dioxide-scaffold looking for new selective hypoxic cytotoxins

pp. 5362–5369

María Laura Lavaggi, Marcos Nieves, Mauricio Cabrera, Claudio Olea-Azar, Adela López de Ceráin, Antonio Monge, Hugo Cerecetto* and Mercedes González*

7-Fluoro-2-aminophenazine 5,10-dioxide was identified as new bioreductive agent ($\text{HCR} = 6.8$, $P_{\text{hypoxia}} = 2.5 \mu\text{M}$).

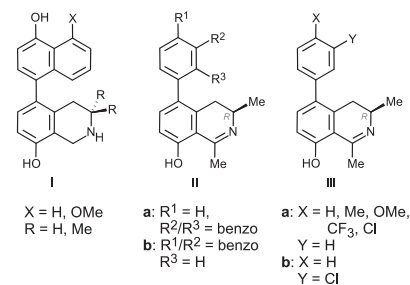


QSAR guided synthesis of simplified antiparasmodial analogs of naphthylisoquinoline alkaloids

pp. 5370–5383

Gerhard Bringmann*, Sebastian K. Bischof, Steffen Müller, Tanja Gulder, Christian Winter, August Stich, Heidrun Moll, Marcel Kaiser, Reto Brun, Jan Dreher and Knut Baumann

Structurally simplified analogs of naphthylisoquinoline alkaloids have been synthesized based on QSAR calculations. Several of these structures showed excellent in vitro activities and selectivities against *Plasmodium falciparum*.

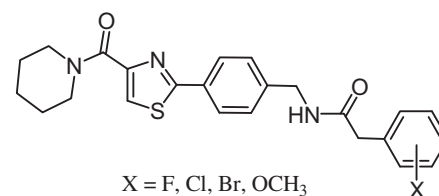


Synthesis and biological evaluation of 2-phenylthiazole-4-carboxamide derivatives as anticancer agents

pp. 5384–5389

Alireza Aliabadi, Fazel Shamsa, Seyed Nasser Ostad, Saeed Emami, Abbas Shafiee, Jamshid Davoodi and Alireza Foroumadi*

A series of substituted 2-phenylthiazole-4-carboxamide analogs were synthesized and evaluated against three human cancer cell lines.

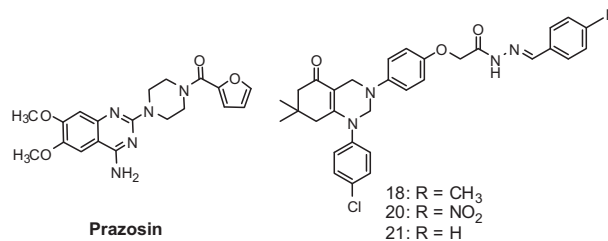


New octahydroquinazoline derivatives: Synthesis and hypotensive activity

pp. 5390–5396

O.I. El-Sabbagh*, Mohamed A. Shabaan, Hanan H. Kadry and Ehab Saad Al-Din

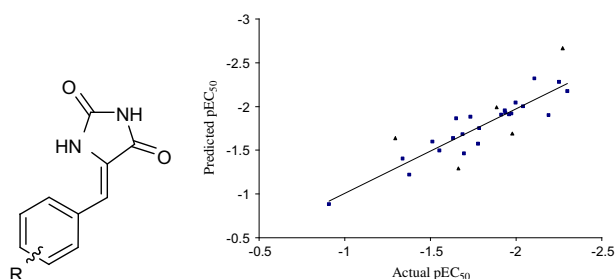
Application of Mannich reaction on 3-(4-chlorophenylamino)-5,5-dimethyl-2-cyclohexenone (**1**) led to the formation of octahydroquinazoline derivatives (**2–21**). Compounds **18**, **20** and **21** showed a high hypotensive effect through their expected α_1 -blocking activity like the clinically used drug prazosin.



Phenylmethylene hydantoins as prostate cancer invasion and migration inhibitors. CoMFA approach and QSAR analysis

pp. 5397–5405

Mohammad A. Khanfar and Khalid A. El Sayed*

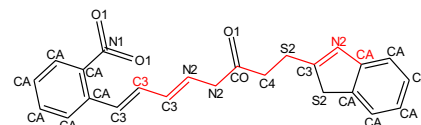


Computational structure–activity relationship analysis of small-molecule agonists for human formyl peptide receptors

pp. 5406–5419

Andrei I. Khlebnikov*, Igor A. Schepetkin and Mark T. Quinn

Quantitative structure-activity relationship analysis of 71 N-formyl peptide receptor agonists using atom pair descriptors defines key molecular features important for these compounds to activate Ca^{2+} mobilization via FPR1 or FPR2.

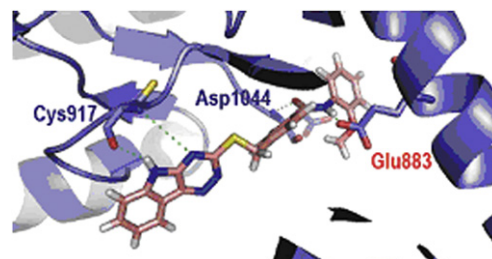


Pharmacophore modeling and virtual screening studies for new VEGFR-2 kinase inhibitors

pp. 5420–5427

Kyungik Lee, Ki-Woong Jeong, Yeonjoo Lee, Ji Yeon Song, Maeng Sup Kim, Gwan Sun Lee and Yangmee Kim*

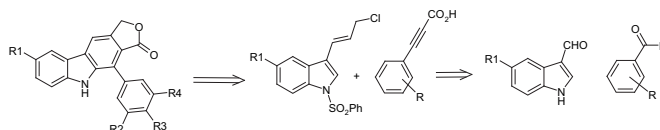
Using a combination of pharmacophore Modeling and virtual screening, a novel VEGFR-2 inhibitor has been identified.



Synthesis and biological activities of new furo[3,4-*b*]carbazoles: Potential topoisomerase II inhibitors

pp. 5428–5437

Youssef Hajbi, Cléopatra Neagoie, Béranger Biannic, Aurélie Chilloux, Emeline Vedrenne, Brigitte Baldeyrou, Christian Bailly, Jean-Yves Mèroux, Sorin Rosca, Sylvain Routier* and Amélie Lansiaux

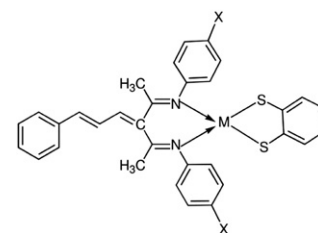


In vivo and *in vitro* evaluation of highly specific thiolate carrier group copper(II) and zinc(II) complexes on Ehrlich ascites carcinoma tumor model

pp. 5438–5451

N. Raman*, R. Jeyamurugan, R. Senthilkumar, B. Rajkapoor and Scott G. Franzblau

A series of copper(II) and zinc(II) complexes have been designed and synthesized to evaluate antitumor activity against EAC tumor model. They possess significant antitumor, cytotoxic and antituberculosis activity.

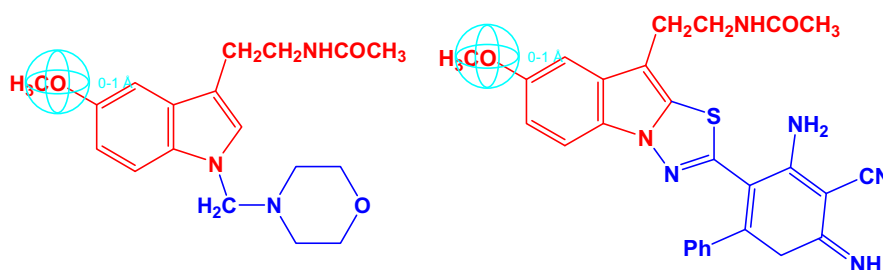


Potent neuroprotective role of novel melatonin derivatives for management of central neuropathy induced by acrylamide in rats

pp. 5452–5459

Hanaa H. Ahmed, Gamal A. Elmegeed*, El-Sayed M. El-Sayed, Mervat M. Abd-Elhalim, Wafaa Gh. Shousha and Reham W. Shafic

The present study aimed at synthesizing new functionalized melatonin derivatives bearing promising heterocyclic moiety that could be expected to have protective effect against ACR-induced neurotoxicity in adult female rats.



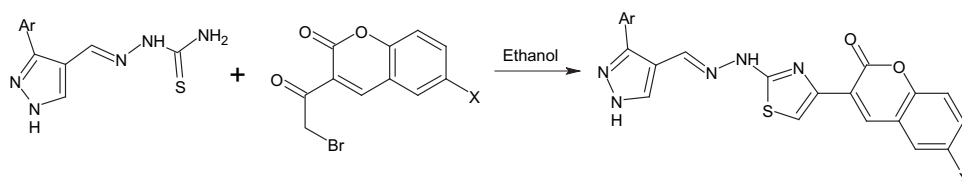
SHORT COMMUNICATIONS

Synthesis, characterization and anti-microbial studies of some novel 2,4-disubstituted thiazoles

pp. 5460–5464

A.M. Vijesh, Arun M. Isloor*, Vivek Prabhu, Shaoib Ahmad and Shridhar Malladi

Novel 2,4-disubstituted thiazole derivatives containing substituted pyrazole moiety were synthesized, characterized by spectral studies and their anti-microbial studies were performed.

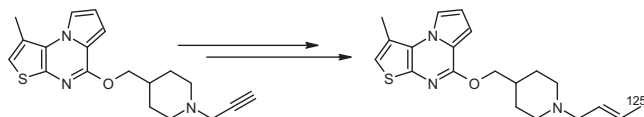


Synthesis and characterization of a iodine-125-labeled pyrrolo[1,2-*a*]thieno[3,2-*e*]pyrazine and evaluation as a potential 5-HT₄R SPECT tracer

pp. 5465–5467

Thomas Cailly, Noé Dumas, Philippe Millet, Stéphane Lemaître, Frédéric Fabis, Yves Charnay and Sylvain Rault*

Synthesis and characterization of a iodo labeled pyrrolo[1,2-*a*]thieno[3,2-*e*]pyrazine and evaluation as a potential 5-HT₄R SPECT tracer.

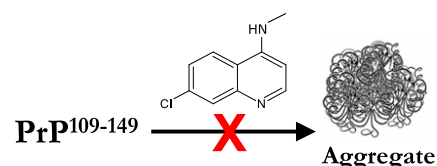


Synthesis and anti-prion activity evaluation of aminoquinoline analogues

pp. 5468–5473

Bruno Macedo, Catherine H. Kaschula, Roger Hunter, Juliana A.P. Chaves, Johannes D. van der Merwe, Jerson L. Silva, Timothy J. Egan and Yraima Cordeiro*

The effect of 4-aminoquinolines on the aggregation of a prion peptide (PrP^{109–149}) was evaluated. 4-amino-7-chloroquinoline and *N*-(7-chloro-4-quinoliny)-1,2-ethanediamine inhibit the aggregation and they might be potential lead compounds against prion diseases.

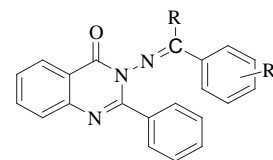


Synthesis, antiviral activity and cytotoxicity evaluation of Schiff bases of some 2-phenyl quinazoline-4(3H)-ones

pp. 5474–5479

Krishnan Suresh Kumar*, Swastika Ganguly, Ravichandran Veerasamy and Erik De Clercq

In the present study, new series of 3-(benzylideneamino)-2-phenylquinazoline-4(3H)-ones were prepared and evaluated for their antiviral activity.

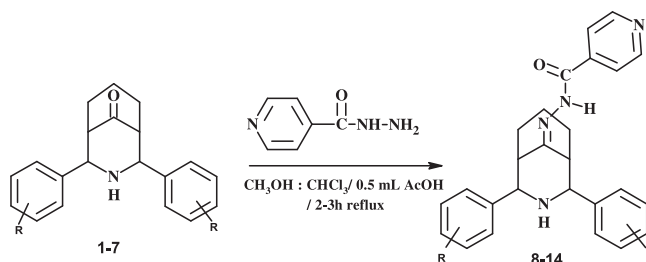


Synthesis and anti-tubercular and antimicrobial activities of some 2*r*,4*c*-diaryl-3-azabicyclo[3.3.1]nonan-9-one *N*-isonicotinoylhydrazone derivatives

pp. 5480–5485

C. Sankar and K. Pandiarajan*

Seven 2*r*,4*c*-diaryl-3-azabicyclo[3.3.1]nonan-9-one *N*-isonicotinoylhydrazones **8–14** were synthesized from 2*r*,4*c*-diaryl-3-azabicyclo[3.3.1]nonan-9-one (**1–7**). All the synthesized compounds showed very good activity against *MTB* and *INH-TB*. Some of these compounds have shown significant antibacterial and antifungal activities.

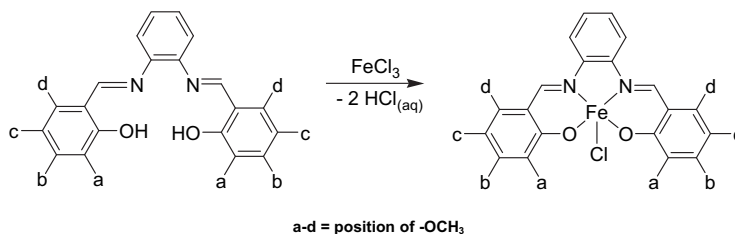


Influence of methoxy groups on the antiproliferative effects of [Fe^{III}(salophene-OMe)Cl] complexes

pp. 5486–5492

Annegret Hille and Ronald Gust*

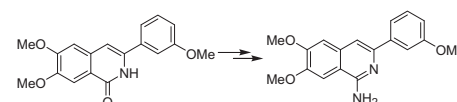
A series of methoxy-substituted iron(III)-salophene complexes (salophene = *N,N'*-bis(salicylidene)-1,2-phenylenediamine) were synthesized and evaluated for cytotoxicity against breast cancer (MCF-7, MDA-MB-231) as well as colon cancer (HT-29) cell lines.

**Development of 3-aryl-1-isoquinolinamines as potent antitumor agents based on CoMFA**

pp. 5493–5497

Su Hui Yang, Hue Thi My Van, Thanh Nguyen Le, Daulat Bikram Khadka, Suk Hee Cho, Kyung-Tae Lee, Eung-Seok Lee, Young Bok Lee, Chang-Ho Ahn and Won-Jea Cho*

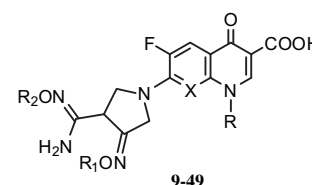
Various substituted 3-aryl-1-isoquinolinamines were designed and synthesized based on the previously constructed CoMFA model.

**Synthesis and *in vitro* antibacterial activity of fluoroquinolone derivatives containing 3-(*N'*-alkoxycarbamimidoyl)-4-(alkoxyimino)pyrrolidines**

pp. 5498–5506

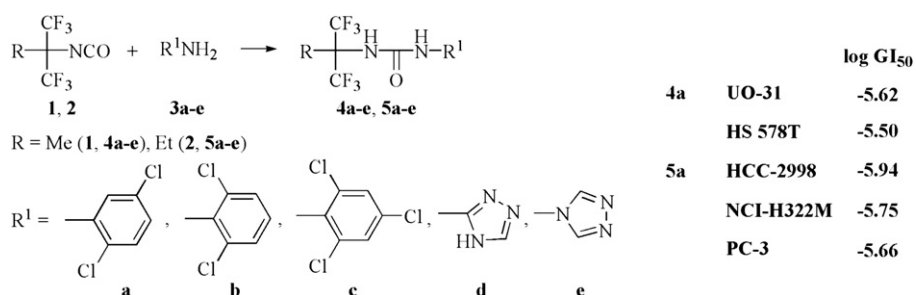
Qiang Guo, Lian-Shun Feng, Ming-Liang Liu*, Yi-Bin Zhang, Yun Chai, Kai Lv, Hui-Yuan Guo and Li-You Han

We report herein the synthesis of novel 7-[3-(*N'*-alkoxycarbamimidoyl)-4-(alkoxyimino)pyrrolidin-1-yl]fluoroquinolone derivatives **9–49**. Most of the target compounds exhibit good potency in inhibiting the growth of *S. aureus* and *S. epidermidis* (MIC: 0.06–4.00 µg/mL).

**Anticancer activity of *N*-bis(trifluoromethyl)alkyl-*N'*-(polychlorophenyl) and *N'*-(1,2,4-triazolyl) ureas**

pp. 5507–5512

Elena L. Luzina* and Anatoliy V. Popov

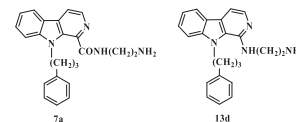


Synthesis and cytotoxic evaluation of 1-carboxamide and 1-amino side chain substituted β -carboline

pp. 5513–5519

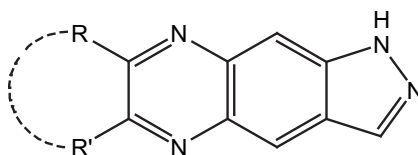
Chunming Ma, Rihui Cao*, Buxi Shi, Xiantai Zhou, Qin Ma, Jie Sun, Liang Guo, Wei Yi, Zhiyong Chen and Huacan Song*

Two different types of 1-substituted β -carboline were designed, synthesized and their cytotoxic potential against human tumor cell lines in culture was investigated.

**Synthesis and biological activities of pyrazolo[3,4-g]quinoxaline derivatives**

pp. 5520–5526

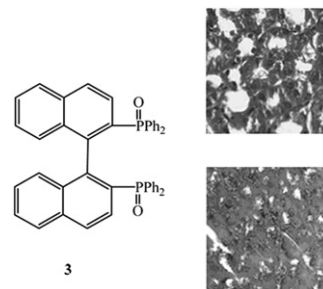
Laurent Gavara, Emmanuelle Saugues, Georges Alves, Eric Debiton, Fabrice Anizon* and Pascale Moreau

**LABORATORY NOTES****The preparation of bi-functional organophosphine oxides as potential antitumor agents**

pp. 5527–5530

Kim-Hung Lam*, Chung-Hin Chui, Roberto Gambari, Raymond Siu-Ming Wong, Gregory Yin-Ming Cheng, Fung-Yi Lau, Paul Bo-San Lai, See-Wai Tong, Kit-Wah Chan, Wai-Yeung Wong, Albert Sun-Chi Chan and Johnny Cheuk-On Tang

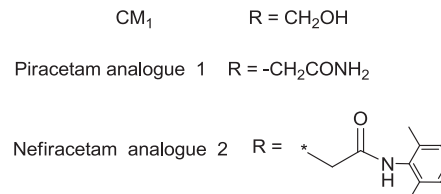
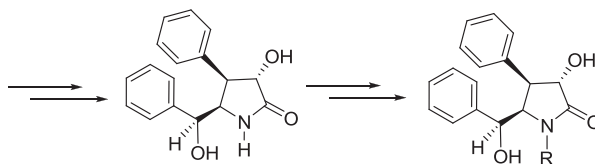
Compound **rac-3** (derived from **BINAP**) shows preliminary *in vitro* anti-cancer activity for human hepatocellular carcinoma Hep3B cell line, and showed retardation of Hep3B xenograft tumor growth.

**Synthesis of N-substituted Clausenamide analogues**

pp. 5531–5538

XingZhou Li*, ChuangJiang Zhu, ChangHui Li, KeMei Wu, DaoFei Huang and Liang Huang*

A practical synthesis of N-substituted Clausenamide analogues, including (–) and (+) CM1, Piracetam analogue **1** and Nefiracetam analogue **2**, have been developed.



ERRATUM

**Erratum to “Synthesis and reactivity studies on new copper(II) complexes:
DNA binding, generation of phenoxyl radical, SOD and nuclease activities”
[Eur. J. Med. Chem. 45 3770–3779]**

pp. 5539–5539

Kaushik Ghosh*, Pramod Kumar, Nidhi Tyagi, Udai P. Singh, Vaibhave Aggarwal and Maria Camilla Baratto

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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