Contents lists available at ScienceDirect

European Journal of Medicinal Chemistry

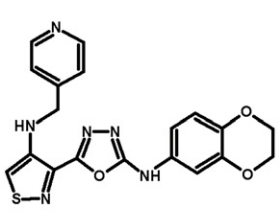
journal homepage: http://www.elsevier.com/locate/ejmech

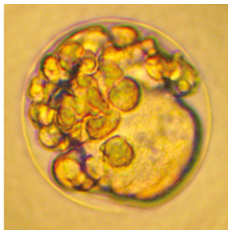
European Journal of Medicinal Chemistry Vol 45, No 5, 2010

Contents

ORIGINAL RESEARCH ARTICLES

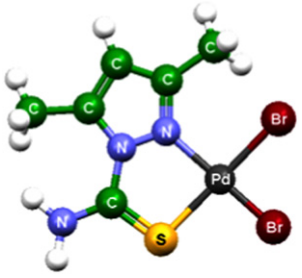
Novel derivatives of 1,3,4-oxadiazoles are potent mitostatic agents featuring strong microtubule depolymerizing activity in the sea urchin embryo and cell culture assays pp. 1683–1697
Alex S. Kiselyov*, Marina N. Semenova, Natalya B. Chernyshova, Andrei Leitao, Alexandr V. Samet, Konstantine A. Kislyi, Mikhail M. Raihstat, Tudor Oprea, Heiko Lemcke, Margaréta Lantow, Dieter G. Weiss, Nazli N. Ikizalp, Sergei A. Kuznetsov and Victor V. Semenov





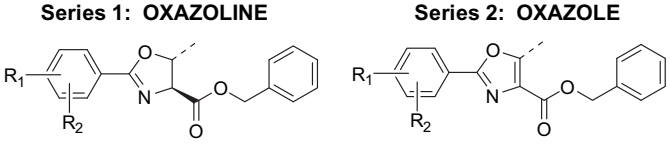
3,5-Dimethyl-1-thiocarbamoylpyrazole and its Pd(II) complexes: Synthesis, spectral studies and antitumor activity pp. 1698–1702
F.V. Rocha, C.V. Barra, A.V.G. Netto*, A.E. Mauro, I.Z. Carlos, R.C.G. Frem, S.R. Ananias, M.B. Quilles, A. Stevanato and M.C. da Rocha

The antitumor activities of the complexes of general formulae [PdX_2(tdmPz)] {$X = Cl^-$(**1**), Br^-(**2**); I^-(**3**); SCN^-(**4**); tdmPz = 1-thiocarbamoyl-3,5-dimethylpyrazole} were evaluated in this work.

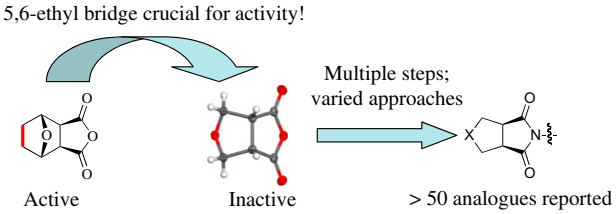


Structure–activity relationship of new anti-tuberculosis agents derived from oxazoline and oxazole benzyl esters pp. 1703–1716
Garrett C. Moraski, Mayland Chang, Adriel Villegas-Estrada, Scott G. Franzblau, Ute Möllmann and Marvin J. Miller*

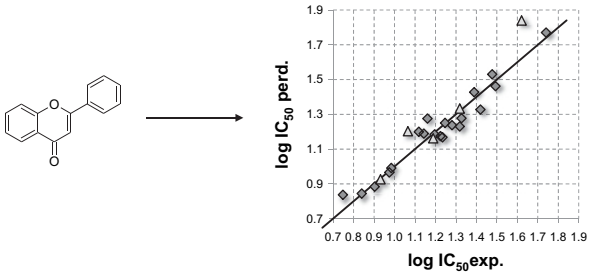
A large panel of oxazoline (**3**–**57** and **101**–**105**) and oxazole (**58**–**100** and **106**–**111**) benzyl ester analogs were synthesized and screened against H_{37}Rv TB and VERO kidney cells to determine their potency and toxicity, respectively.



Synthesis and biological activity of Δ-5,6-norcantharimides: importance of the 5,6-bridge **pp. 1717–1723**
Ali Thaqi, Janet L. Scott, Jayne Gilbert, Jennette A. Sakoff and Adam McCluskey*

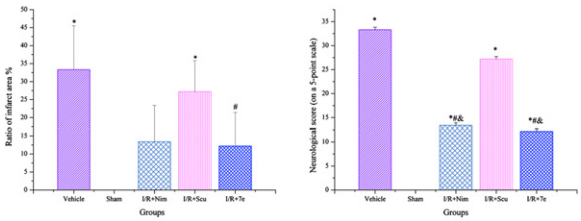


QSAR study of flavonoids and biflavonoids as influenza H1N1 virus neuraminidase inhibitors **pp. 1724–1730**
Andrew G. Mercader* and Alicia B. Pomilio



PEG-scutellarin prodrugs: Synthesis, water solubility and protective effect on cerebral ischemia/reperfusion injury **pp. 1731–1738**
Juan Lu, Changmei Cheng*, Xinge Zhao, Qingfei Liu, Ping Yang, Yiming Wang and Guoan Luo*

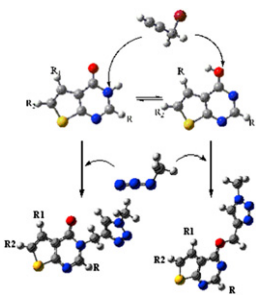
The prodrug **7e** could significantly reduce the infarct area from 27.2% to 12.2% and decrease the neurological deficit score from 2.77 to 1.32 compared with scutellarin.



*p<0.05, compared with sham; #p<0.05, compared with vehicle; &p<0.05, compared with I/R+Scu

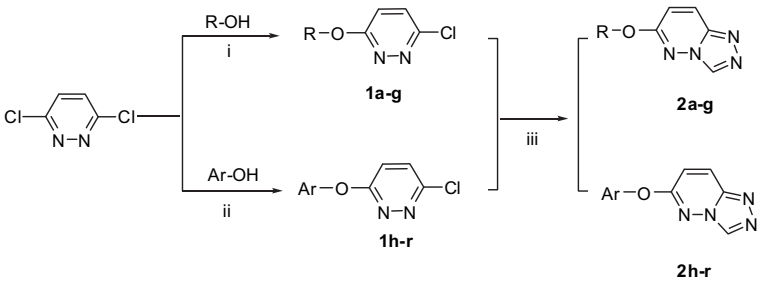
Synthesis and theoretical studies on energetics of novel N- and O- perfluoroalkyl triazole tagged thienopyrimidines – Their potential as adenosine receptor ligands **pp. 1739–1745**
B. Sirisha, B. Narsaiah*, T. Yakaiah, G. Gayatri, G. Narahari Sastry, M. Raghu Prasad and A. Raghuram Rao

The present study reports the synthesis and adenosine binding studies of N- and O- perfluoro alkyl triazole tagged thienopyrimidines. Computational studies are carried out to unravel the observed synthetic trends.



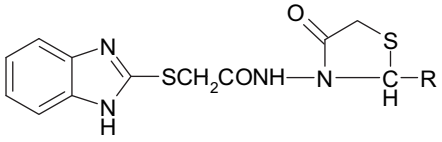
Synthesis and anticonvulsant activity of a new 6-alkoxy-[1,2,4]triazolo[4,3-*b*]pyridazine **pp. 1746–1752**
Li-Ping Guan*, Xin Sui, Xian-Qing Deng, Ying-Chun Quan and Zhe-Shan Quan*

6-Alkoxy-[1,2,4]triazolo[4,3-*b*]pyridazine derivatives were designed and synthesized. Their anticonvulsant activities were investigated by the maximal electroshock test and their neurotoxicity was evaluated by the rotarod neurotoxicity test.

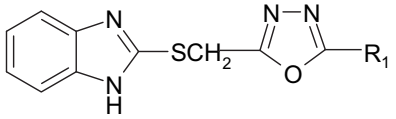


Derivatives of benzimidazole pharmacophore: Synthesis, anticonvulsant, antidiabetic and DNA cleavage studies **pp. 1753–1759**
Ramya V. Shingalapur, Kallappa M. Hosamani*, Rangappa S. Keri and Mallinath H. Hugar

Synthesis and broad spectrum pharmacological activities such as *in vivo* anticonvulsant, antidiabetic and DNA cleavage studies of benzimidazole derivatives have been studied. Compounds were characterized by spectroscopic studies and elemental analysis.



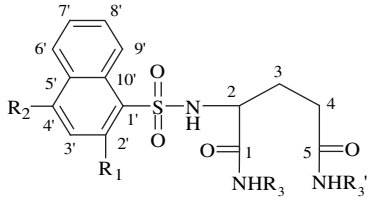
Thiazolidinone Pharmacophore
R = Halogenated Aryl or Heterocyclic Ring



Oxadiazole Pharmacophore
R_1 = Halogenated Aryl or Heterocyclic Ring

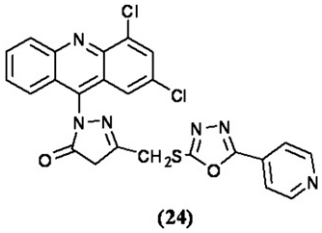
Synthesis, pharmacological activity and comparative QSAR modeling of 1,5-*N*,*N*′-substituted-2-(substituted naphthalenesulphonyl) glutamamides as possible anticancer agents **pp. 1760–1771**
Amit Kumar Halder, Nilanjan Adhikary, Milan Kumar Maity and Tarun Jha*

A series of 1,5-*N*,*N*′-substituted-2-(substituted naphthalenesulphonyl) glutamamides were synthesized and biologically evaluated as possible anticancer agents. QSAR study was done on these synthesized derivatives.



Synthesis of substituted acridinyl pyrazoline derivatives and their evaluation for anti-inflammatory activity **pp. 1772–1776**
Trilok Chandra, Neha Garg, Suman Lata, K.K. Saxena and Ashok Kumar*

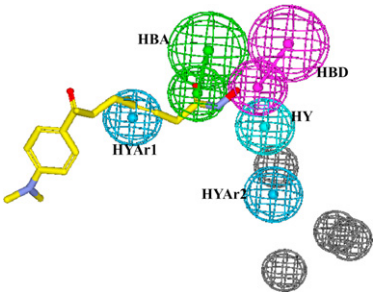
In the present study, we have synthesized some new substituted acridinyl pyrazoline. The compound (**24**) has shown most potent anti-inflammatory activity.



(24)

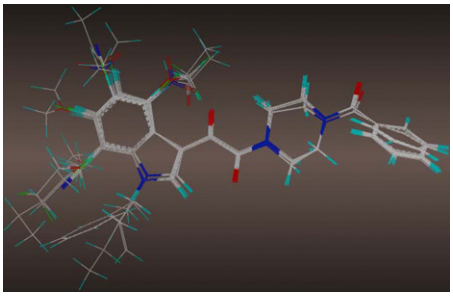
Investigation on the isoform selectivity of histone deacetylase inhibitors using chemical feature based pharmacophore and docking approaches pp. 1777–1791
Yong Zhu, Hui-Fang Li, Shuai Lu, Yi-Xuan Zheng, Zeng Wu, Wei-Fang Tang, Xiang Zhou and Tao Lu*

A selective pharmacophore model was developed based on a series of selective HDAC1 inhibitors. Two hydrophobic features (HY and HYAr2) were responsible for the selectivity of HDAC1 inhibitions.



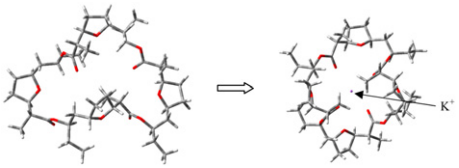
CoMFA and CoMSIA studies on HIV-1 attachment inhibitors pp. 1792–1798
Peng Lu, Xia Wei and Ruisheng Zhang*

CoMFA and CoMSIA methods were employed to develop 3D-QSAR models for 52 HIV-1 attachment inhibitors.

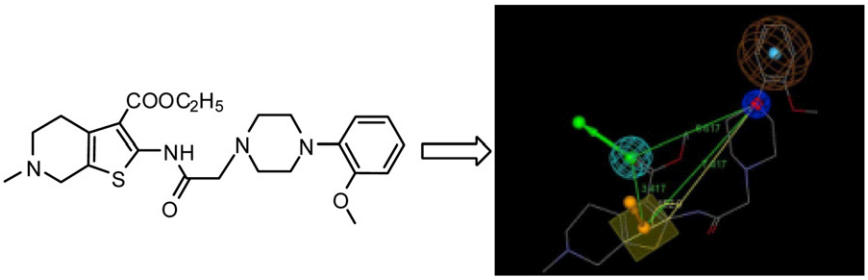


Mechanistic aspects of transport antibiotics pp. 1799–1804
A. Banerjee and A. Yadav*

Ab initio Hartree Fock calculations have been performed on transport antibiotics. Results indicate that conformational aspects together with electrostatic interactions play a role in determining efficient transport properties of these compounds.

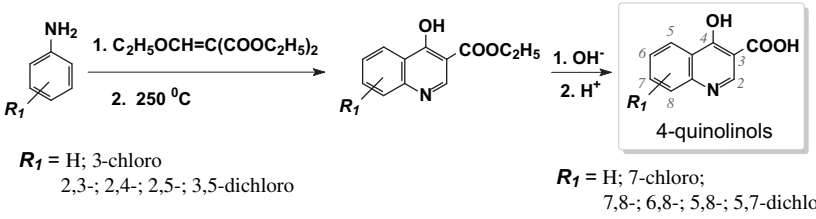


Synthesis and pharmacological evaluation of novel fused thiophene derivatives as 5-HT_{2A} receptor antagonists: Molecular modeling study pp. 1805–1820
Mohamed M. El-Kerdawy, Eman R. El-Bendary*, Alaa A.-M. Abdel-Aziz, Dalia R. El-wasseef and Naglaa I. Abd El-Aziz



Dichloro-4-quinolinol-3-carboxylic acid: Synthesis and antioxidant abilities to scavenge radicals and to protect methyl linoleate and DNA **pp. 1821–1827**
Guo-Xiang Li, Zai-Qun Liu* and Xu-Yang Luo

4-Quinolinols were applied to trap $ABTS^{+\cdot}$, DPPH and galvinoxyl radicals, to inhibit radical-induced oxidation of methyl linoleate, and to protect DNA against hydroxyl, peroxyl radicals, and Cu^{2+}/glutathione-mediated oxidation.

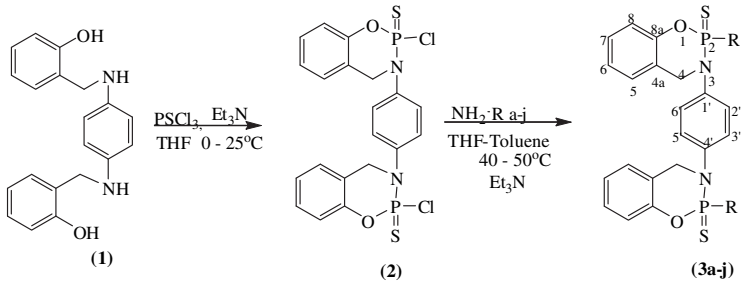


R_1 = H; 3-chloro
2,3-; 2,4-; 2,5-; 3,5-dichloro

R_1 = H; 7-chloro;
7,8-; 6,8-; 5,8-; 5,7-dichloro

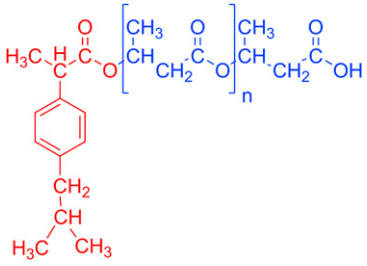
Synthesis, spectral characterization and bioassay of 3,3′-(1,4-phenylene)-bis[2-alkoxycarbonyl-alkyl)-2-thio-benzoxa-phosphinines] **pp. 1828–1832**
M. Veera Narayana Reddy, A. Bala krishna and C. Suresh Reddy*

A new series of 3,3′-(1,4-phenylene)-bis[2-alkoxycarbonyl-alkyl)-2-thio-benzoxaphos-phinines] (**3a**–**j**) have been designed, synthesized and evaluated for antioxidant properties. **3f**, **3g** and **3j** exhibited high antioxidant property

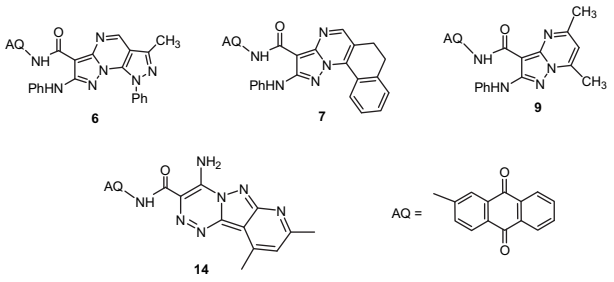


Synthesis and antiproliferative properties of ibuprofen–oligo(3-hydroxybutyrate) conjugates **pp. 1833–1842**
Barbara Zawidlak-Węgrzyńska, Michał Kawalec, Izabela Bosek, Maria Łuczyk-Juzwa, Grażyna Adamus, Aleksandra Rusin, Piotr Filipczak, Magdalena Głowala-Kosińska, Katarzyna Wolańska, Zdzisław Krawczyk** and Piotr Kurcok*

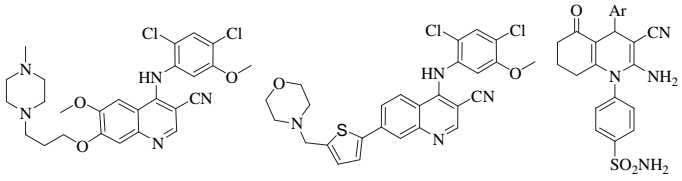
The synthesis of ibuprofen conjugates with oligo(3-hydroxybutyrate) is described. These conjugates were found to exert significantly higher antiproliferative activity against colon cancer cells than the free ibuprofen.



Synthesis and antimicrobial of new anthraquinone derivatives incorporating pyrazole moiety **pp. 1843–1848**
M.A. Gouda*, M.A. Berghot, A.I. Shoeib and A.M. Khalil

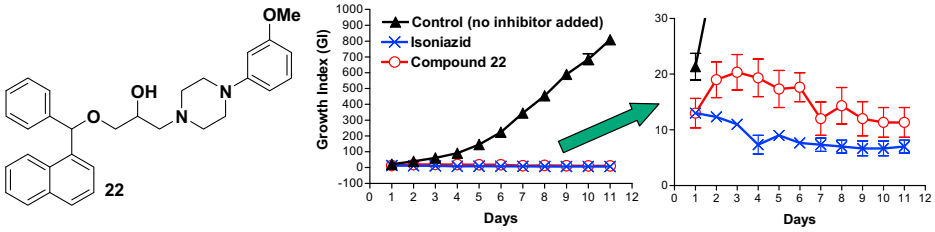


Discovering some novel tetrahydroquinoline derivatives bearing the biologically active sulfonamide moiety as a new class of antitumor agents pp. 1849–1853
Saleh I. Alqasoumi, Areej M. Al-Taweel, Ahmed M. Alafeefy, Mostafa M. Ghorab* and Eman Noaman

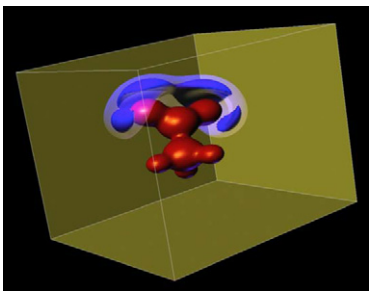


Novel quinoline and naphthalene derivatives as potent antimycobacterial agents pp. 1854–1867
Ram Shankar Upadhayaya, Jaya Kishore Vandavasi, Ramakant A. Kardile, Santosh V. Lahore, Shailesh S. Dixit, Hemantkumar S. Deokar, Popat D. Shinde, Manash P. Sarmah and Jyoti Chattopadhyaya*

Naphthalene derivative **22**, Growth inhibition 99% at 6.25 μg/mL (MIC 6.25 μg/mL). Nature of substituent on piperazine-phenyl ring in naphthalene series was found to play an important role in determining biological activity.

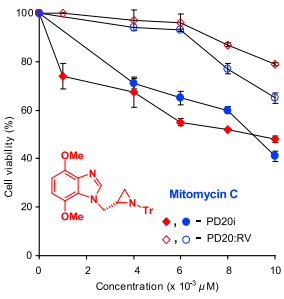


The bioisosteric similarity of the tetrazole and carboxylate anions: Clues from the topologies of the electrostatic potential and of the electron density pp. 1868–1872
Chérif F. Matta*, Alya A. Arabi and Donald F. Weaver**



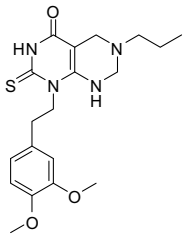
The influence of the aziridinyl substituent of benzimidazoles and benzimidazolequinones on toxicity towards normal and Fanconi anaemia cells pp. 1873–1879
Karen Fahey, Liz O'Donovan, Miriam Carr, Michael P. Carty and Fawaz Aldabbagh*

Despite lacking the quinone functionality required for bioreduction, 4,7-dimethoxy-*N*-[(aziridin-2-yl)methyl]benzimidazole induces hypersensitivity from Fanconi anaemia cells lacking FANCD2.

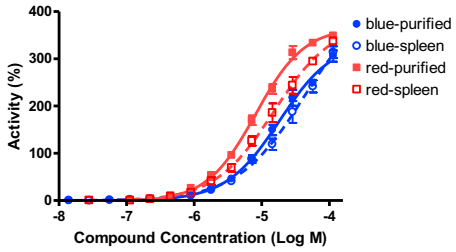


Evaluation of 2-thioxo-2,3,5,6,7,8-hexahydropyrimido[4,5-d]pyrimidin-4(1H)-one analogues as GAA activators **pp. 1880–1897**
Juan J. Marugan*, Wei Zheng, Omid Motabar, Noel Southall, Ehud Goldin, Ellen Sidransky, Ronald A. Aungst, Ke Liu, Subir Kumar Sadhukhan and Christopher P. Austin

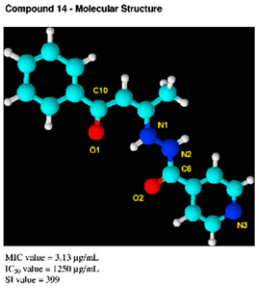
Compound **1** and analogues are able to activate acid alpha-glucosidase's hydrolysis of resorufin α-D-glucopyranoside and 4-methylumbelliferyl-α-D-glucopyranoside in a selective and dose-dependent manner.



Compound 1

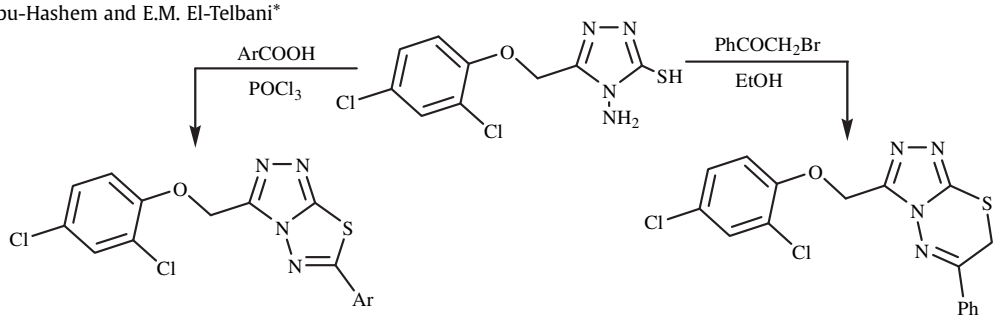


Thiosemicarbazones, semicarbazones, dithiocarbazates and hydrazide/hydrazones: Anti – *Mycobacterium tuberculosis* activity and cytotoxicity **pp. 1898–1905**
Fernando R. Pavan*, Pedro I. da S. Maia, Sergio R.A. Leite, Victor M. Deflon, Alzir A. Batista, Daisy N. Sato, Scott G. Franzblau and Clarice Q.F. Leite*

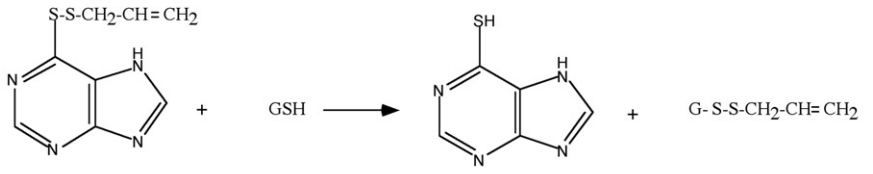


Compound	MIC		IC_{50}		SI
	μg/mL	μM	μg/mL	μM	IC_{50} / MIC
2	3.13	14.08	625	2811.13	200
3	0.78	2.82	625	2261.22	801
4	0.78	2.34	78.1	234.25	100
15	6.25	28.15	1250	5701.51	200
16	3.13	10.32	625	2060.53	200
18	1.56	5.06	625	2026.85	401

Synthesis of 3-((2,4-dichlorophenoxy)methyl)-1,2,4-triazolo(thiadiazoles and thiadiazines) as anti-inflammatory and molluscicidal agents **pp. 1906–1911**
M.F. El Shehry, A.A. Abu-Hashem and E.M. El-Telbani*



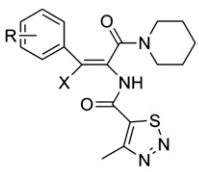
Reaction mechanisms of allicin and allyl-mixed disulfides with proteins and small thiol molecules **pp. 1912–1918**
Talia Miron*, Irving Listowsky and Meir Wilchek

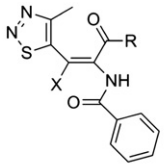


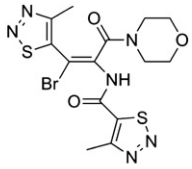
S-allylthio 6-mercaptopurine (SA-6MP) | reduced glutathione (GSH) | 6-mercaptopurine (6-MP) | S-Allylthio glutathione (GSSA)

Synthesis and antiviral activity of new acrylamide derivatives containing 1,2,3-thiadiazole as inhibitors of hepatitis B virus replication **pp. 1919–1926**
Wei-Li Dong, Zheng-Xiao Liu, Xing-Hai Liu, Zheng-Ming Li and Wei-Guang Zhao*

A series of new acrylamide derivatives containing 1,2,3-thiadiazole were synthesized, characterized and tested *in vitro* against HBV. Some of the new compounds display excellent activity against DNA reproduction and against HBeAg of HBV.

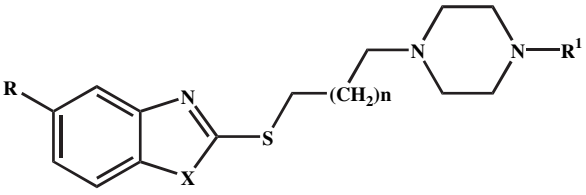

9a-d


16a, 17a-d


20

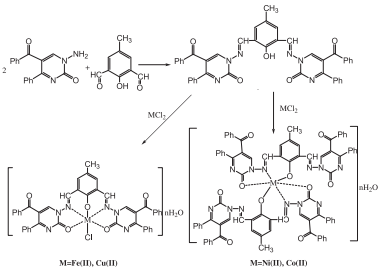
A rationale for the activity profile of arylpiperazinylthioalkyls as 5-HT_{1A}-serotonin and α_1-adrenergic receptor ligands **pp. 1927–1934**
Brij Kishore Sharma*, Kirti Sarbhai and Prithvi Singh

The QSAR analysis of the 5-HT_{1A}- and α_1-receptor binding affinities and selectivity of the arylpiperazinylthioalkyl derivatives suggest that the substituent groups hold scope for further modification in the optimization of the activity.


X = NH, S,O, NMe; n = 0,1,2,4; R = H, Cl;
R^1 = 2-$CH_3OC_6H_4$, pyridin-2-yl, pyrimidin-2-yl

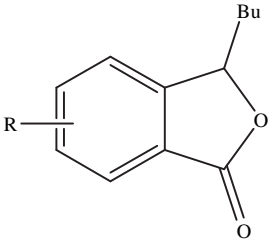
Synthesis, spectroscopic and biological studies on the new symmetric Schiff base derived from 2,6-diformyl-4-methylphenol with N-aminopyrimidine **pp. 1935–1940**
Mehmet Sönmez*, Metin Çelebi and İsmet Berber

The new ligand and its metal complexes have been synthesized and characterized. All the compounds were evaluated for their antimicrobial activities against Gram-positive, Gram-negative bacteria and fungi using microdilution procedure.


M=Fe(II), Cu(II) M=Ni(II), Co(II)

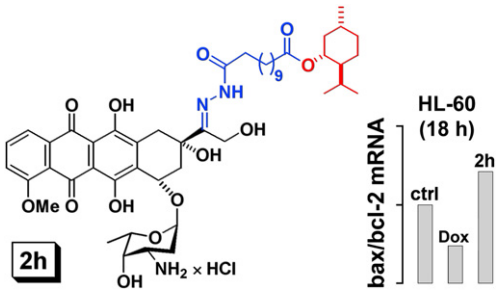
Synthesis and biological activity of *n*-butylphthalide derivatives **pp. 1941–1946**
Wei Wang, Xue-Xiang Cha, John Reiner, Yuan Gao, Hai-Ling Qiao, Jia-Xiang Shen and Jun-Biao Chang*

A series of *n*-butylphthalide derivatives were designed and synthesized. The activities of these compounds were evaluated.


R=F, Cl, Br

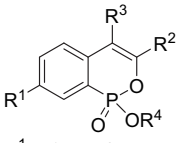
Modulation of doxorubicin activity in cancer cells by conjugation with fatty acyl and terpenyl hydrazones pp. 1947–1954
K. Effenberger, S. Breyer and R. Schobert*

N-Acylhydrazones of doxorubicin surpass the parent drug in terms of cytotoxicity, cell line specificity, breach of multidrug resistance and mechanism of apoptosis.



Phosphaisocoumarins as a new class of potent inhibitors for pancreatic cholesterol esterase pp. 1955–1963
Baojian Li, Binhua Zhou, Hailiang Lu, Lin Ma and Ai-Yun Peng*

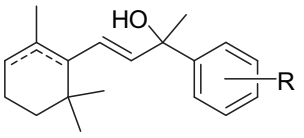
Forty-five phosphaisocoumarins were synthesized and evaluated against porcine pancreatic CEase and some of them were demonstrated to be potent reversible competitive inhibitors of CEase.



R^1 = Cl, H, OMe
R^2 = aryl, cyclopropyl, *n*-butyl, methylol
R^3 = H, Cl, Br, I, phenylethynyl, benzoylmethyl
R^4 = H, Et

Design, synthesis and biological evaluation of new ionone derivatives as potential neuroprotective agents in cerebral ischemia pp. 1964–1971
Ajay Kumar Srivastava, Preeti Dohare, Madhur Ray and Gautam Panda*

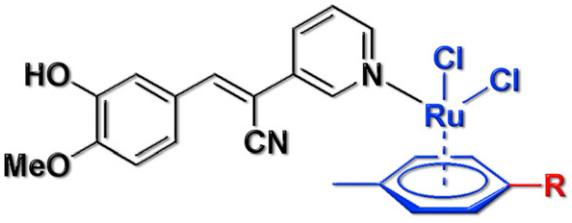
A new series of ionone derived allylic alcohols have been synthesized and evaluated for anti-ischemic activity. Out of them, **12f** and **13b** decreased infarct volume to 23.98 ± 4.7 mm^3 and 93.98 ± 24.8 mm^3 as compared to ischemic group.



R= alkoxy, thioalkoxy, alky

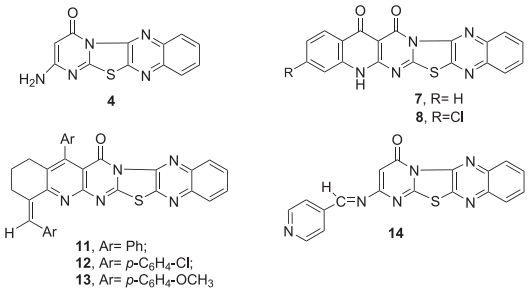
(Arene)Ru(II) complexes of epidermal growth factor receptor inhibiting tyrphostins with enhanced selectivity and cytotoxicity in cancer cells pp. 1972–1975
B. Biersack, M. Zoldakova, K. Effenberger and R. Schobert*

Complexation of EGFR-inhibiting tyrphostins to (arene)$RuCl_2$ increased the anticancer activity up to 7-fold, e.g. for *p*-cymene complex **2a** against multi-drug resistant MCF-7/Topo breast carcinoma cells and for toluene complex **3a** against 518A2 melanoma cells.



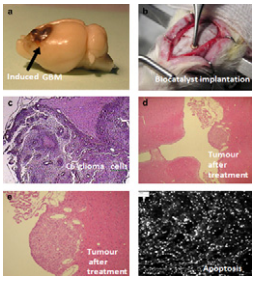
2a: R = *i*-Pr
3a: R = H

Synthesis of some new pyrimido[2′,1′:2,3]thiazolo[4,5-*b*]quinoxaline derivatives as anti-inflammatory and analgesic agents **pp. 1976–1981**
A.A. Abu-Hashem, M.A. Gouda* and F.A. Badria

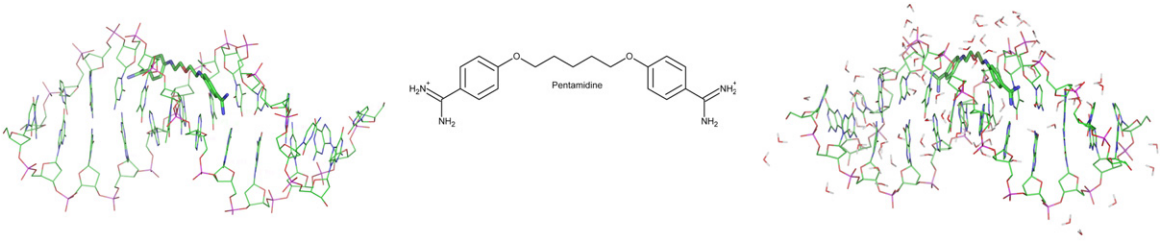


Catalytic nanomedicine: A new field in antitumor treatment using supported platinum nanoparticles. In vitro DNA degradation and in vivo tests with C6 animal model on Wistar rats **pp. 1982–1990**
T. López*, F. Figueras, J. Manjarrez, J. Bustos, M. Alvarez, J. Silvestre-Albero, F. Rodríguez-Reinoso, A. Martínez-Ferre and E. Martínez

Photographs from a) resected tumour after 30 days of cell inoculation, b) stereotactic brain surgery for biocatalyst administration, in the same coordinates of inoculation c) H–E stained section of tumour tissue from control group (without treatment), d) H–E stained section of tumour tissue after treatment with H_2PtCl_6/TiO_2, e) H–E stained section of tumour after treatment 10×, f) Detection of fragmented DNA (apoptotic process) by TUNEL assay.

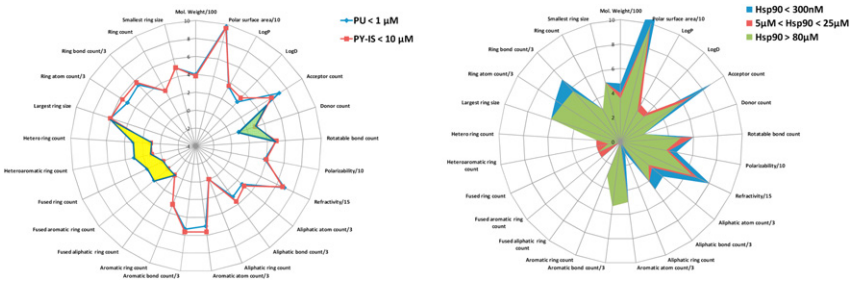


Theoretical models of pentamidine analogs activity based on their DNA minor groove complexes **pp. 1991–1999**
Teresa Żołek and Dorota Maciejewska*



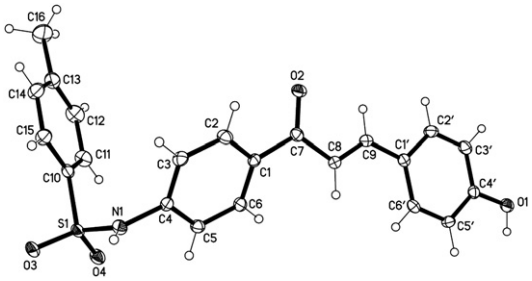
Assessing the chemical diversity of an hsp90 database **pp. 2000–2009**
Davide Audisio*, Samir Messaoudi, Ismail Ijjaali, Elodie Dubus, François Petitet, Jean-François Peyrat, Jean-Daniel Brion and Mouâd Alami*

An evaluation of hsp90 inhibitors chemical diversity has been performed. 2D-molecular descriptors, principal-component analysis and fragment-based approach have been used to explore their chemical space.



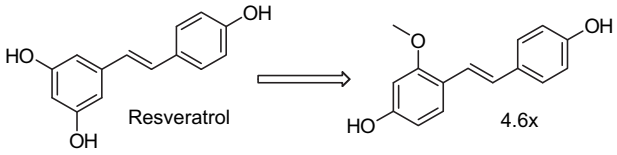
Evaluation of anti-pigmentary effect of synthetic sulfonylamino chalcone **pp. 2010–2017**
Woo Duck Seo, Young Bae Ryu, Marcus J. Curtis-Long, Chan Woo Lee, Hyung Won Ryu, Ki Chang Jang and Ki Hun Park*

The sulfonylamino chalcone deriviatives were synthesized, characterized and evaluated for depigmenting effects.

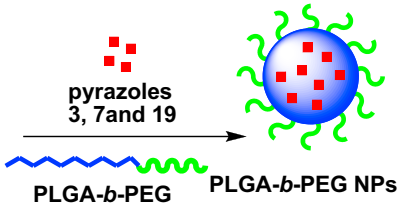


SHORT COMMUNICATIONS

Stilbene analogs as inducers of apolipoprotein-I transcription **pp. 2018–2023**
Henrik C. Hansen*, Fabrizio S. Chiacchia, Reena Patel, Norman C.W. Wong, Vladimir Khlebnikov, Renata Jankowska, Kalpesh Patel and M. Madhava Reddy

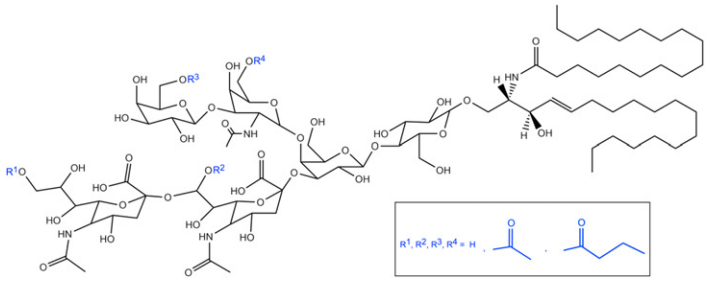


Design and synthesis of novel 3,4-disubstituted pyrazoles for nanomedicine applications against malignant gliomas **pp. 2024–2033**
Mauro Comes Franchini*, Bianca Flavia Bonini, Carlo Maurizio Camaggi, Denis Gentili, Annalisa Pession, Monica Rani and Elena Strocchi



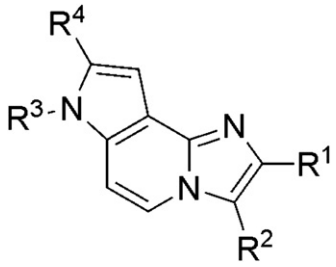
Synthesis and characterization of neurostatin-related compounds with high inhibitory activity of glioma growth **pp. 2034–2043**
Beatriz Valle-Argos, Diego Gómez-Nicola and Manuel Nieto-Sampedro*

New Neurostatin-related compounds, obtained by chemical O-acetylation or O-butyrylation of GD1b, with inhibitory activity of glioma growth.



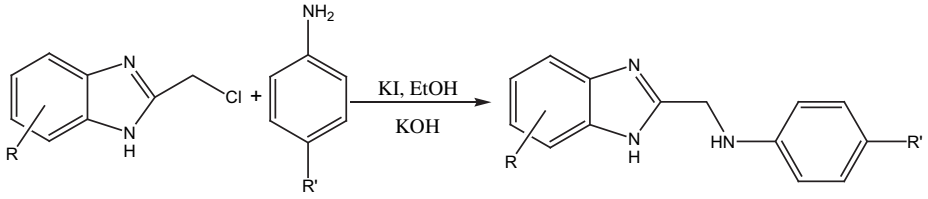
Synthesis and antiviral activity of an imidazo[1,2-*a*]pyrrolo[2,3-*c*]pyridine series against the bovine viral diarrhea virus **pp. 2044–2047**
Jean-Michel Chezal*, Jan Paeshuyse, Vincent Gaumet, Damien Canitrot, Aurélie Maisonial, Claire Lartigue, Alain Gueiffier, Emmanuel Moreau, Jean-Claude Teulade, Olivier Chavignon and Johan Neyts

The synthesis and the structure–activity relationship of some imidazo [1,2-*a*]pyrrolo[3,2-*c*]pyridine derivatives as anti-BVDV agents is reported.



***In-vivo* analgesic and anti-inflammatory activities of newly synthesized benzimidazole derivatives** **pp. 2048–2054**
Kavitha C.S. Achar, Kallappa M. Hosamani* and Harisha R. Seetharamareddy

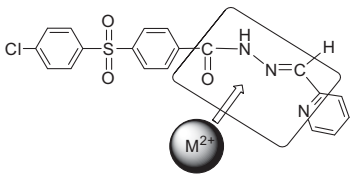
Synthesis of 2-methylaminobenzimidazole derivatives from 2-(chloromethyl)-1*H*-benzimidazole. Compound (**7**) and (**2**) shows potent analgesic (89%) and anti-inflammatory (100%) activities compared with standard drug Nimesulide.



Where R = H, Br, NO_2
R'= H, Cl, Br, CH_3, OCH_3

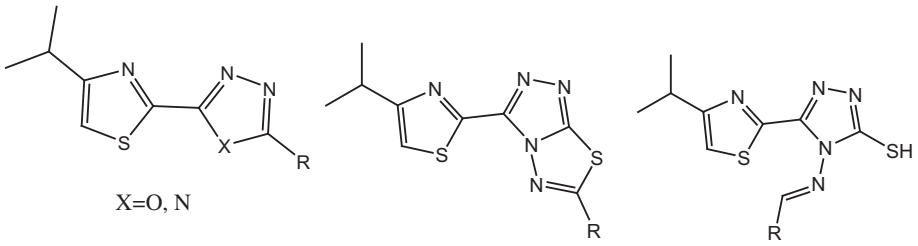
New Cu(II), Co(II), Ni(II) complexes with aroyl-hydrazone based ligand. Synthesis, spectroscopic characterization and *in vitro* antibacterial evaluation **pp. 2055–2062**
Madalina Veronica Angelusiu, Stefania-Felicia Barbuceanu, Constantin Draghici and Gabriela Laura Almajan*

A new aroyl-hydrazone, N-(2-pyridinecarbaldehyde)-N′-[4-(4-chloro-phenylsulfonyl) benzoyl]-hydrazone **(L)** and its Cu(II), Co(II) and Ni(II) complexes were synthesized and characterized on the basis of IR, UV, NMR, LC-MS, EPR spectral studies, elemental, magnetic susceptibility, thermal and molar conductance measurements. The ligand and its complexes were screened for their antibacterial activity by using minimum inhibitory concentrations (MICs) method.

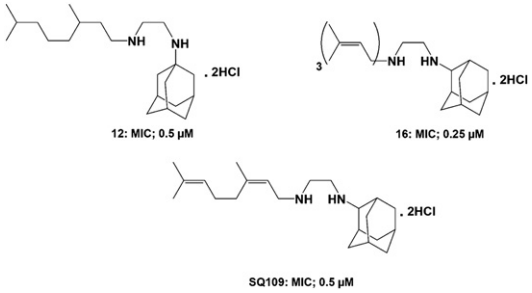


M^{2+} = Cu^{2+}, Co^{2+}, Ni^{2+}

Synthesis of some novel 2-substituted-5-[isopropylthiazole] clubbed 1,2,4-triazole and 1,3,4-oxadiazoles as potential antimicrobial and antitubercular agents **pp. 2063–2074**
G.V. Suresh Kumar*, Y. Rajendraprasad, B.P. Mallikarjuna, S.M. Chandrashekar and C. Kistayya



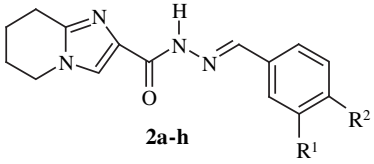
Synthesis and evaluation of SQ109 analogues as potential anti-tuberculosis candidates **pp. 2075–2079**
Oluseye K. Onajole, Patrick Govender, Paul D. van Helden, Hendrik G. Kruger*, Glenn E.M. Maguire, Ian Wiid and Thavendran Govender**



PRELIMINARY COMMUNICATIONS

Synthesis and the selective antifungal activity of 5,6,7,8-tetrahydroimidazo[1,2-a]pyridine derivatives **pp. 2080–2084**
Ahmet Özdemir*, Gülhan Turan-Zitouni, Zafer Asım Kaplancıklı, Gökalp İşcan, Shabana Khan and Fatih Demirci

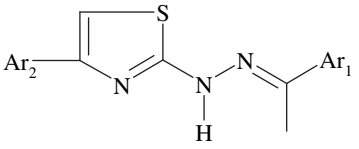
Novel 5,6,7,8-tetrahydroimidazo[1,2-a]pyridine derivatives were synthesized and evaluated for anticandidal activity and cytotoxicity.



2a-h

Synthesis and antituberculosis activity of some *N*-pyridyl-*N′*-thiazolylhydrazine derivatives **pp. 2085–2088**
Gülhan Turan-Zitouni, Zafer Asım Kaplancıklı* and Ahmet Özdemir

The N-[1-(2-pyridyl)ethylidene]-N′-[4-(2-hydroxy-5-methoxyphenyl)thiazol-2-yl]hydrazine (**2d**) showed high antituberculosis activity (IC_{50}: 6.22 μg/mL and IC_{90}:6.78 μg/mL) and low cytotoxicity (CC_{50}: >40 μg/mL)

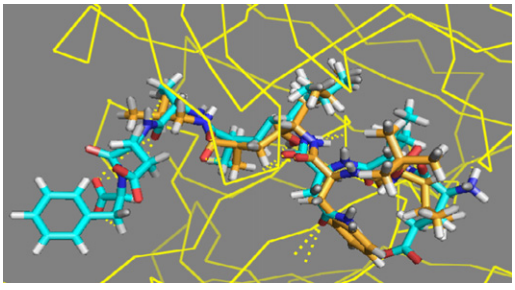


Ar_1: 2-pyridyl, 3-pyridyl, 4-pyridyl
Ar_2: 2-thiophenyl, 2-hydroxy-5-metoxyphenyl

LABORATORY NOTE

Synthesis and preliminary evaluation of peptidomimetic inhibitors of human β-secretase **pp. 2089–2094**
Yan Niu, Yuehua Wang, Xiaomin Zou, Xiaoming Yang, Chao Ma, Yang Lü, Bo Zhou, Yue Yuan, Guanhua Du and Ping Xu*

31 Compounds containing the Leu*Ala hydroxyethylene isostere as a scissile bond substitution were designed, synthesized and evaluated with their β-secretase inhibition activities. It was found that isobutyl group was a better R_3 substitution as C-terminus, and 4-nitrobenzyl group was the best R_2 side chain. With the aid of molecular modeling, the binding modes of compounds **9** and **22** with β-secretase were compared.



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

* Corresponding authors.

Cited/Abstracted in : Biological Abstracts, Chemical Abstracts, CABS, CNRS/Pascal, Current Contents (Life Sciences), EMbase, Index Medicus/Medline, Science Citation Index. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®.

ISSN 0223-5234

ELSEVIER