

See discussions, stats, and author profiles for this publication at: <https://www.researchgate.net/publication/41423899>

# Synthesis and Antiinflammatory Evaluation of Some Condensed [4-(3,4-Dimethylphenyl)-1(2H)-oxo-phthalazin-2-yl]acetic Acid Hydrazide

ARTICLE in EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY · OCTOBER 2009

Impact Factor: 3.45 · DOI: 10.1016/j.ejmech.2009.10.028 · Source: PubMed

CITATIONS

18

READS

42

5 AUTHORS, INCLUDING:



**Mohamed I. Hegab**

National Research Center, Egypt

42 PUBLICATIONS 438 CITATIONS

SEE PROFILE



**Sherifa Hasabelnaby**

Concordia University Montreal

15 PUBLICATIONS 66 CITATIONS

SEE PROFILE



**Ayman Abouzeid**

Cairo University

59 PUBLICATIONS 434 CITATIONS

SEE PROFILE



## European Journal of Medicinal Chemistry Vol 45, No 4, 2010

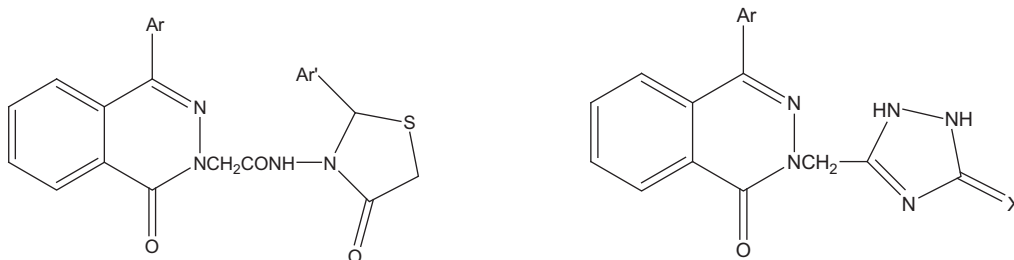
## Contents

## ORIGINAL RESEARCH ARTICLES

**Synthesis and anti-inflammatory evaluation of some condensed [4-(3,4-dimethylphenyl)-1(2H)-oxo-phthalazin-2-yl]acetic acid hydrazide**

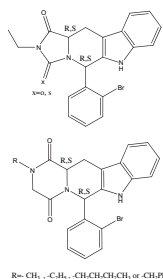
pp. 1267–1277

Mosaad S.M. Abd alla, Mohamed I. Hegab\*, Nageh A. Abo Taleb, Sherifa M. Hasabelnaby and A. Goudah

**Synthesis, molecular modeling and biological evaluation of novel tadalafil analogues as phosphodiesterase 5 and colon tumor cell growth inhibitors, new stereochemical perspective**

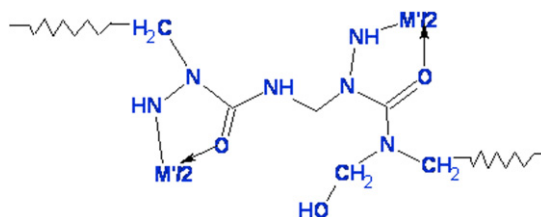
pp. 1278–1286

Ashraf H. Abadi\*, Bernard D. Gary, Heather N. Tinsley, Gary A. Piazza and Mohammad Abdel-Halim

**Synthesis, characterization, and biocide properties of semicarbazide–formaldehyde resin and its polymer metal complexes**

pp. 1287–1294

Nahid Nishat\*, Tansir Ahamad, Saad. M. Alshehri and Shadma Parveen



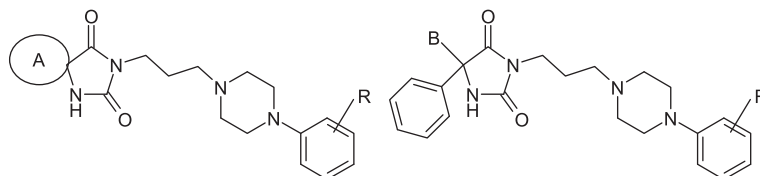
Polymer metal complexes are prepared by the reaction of polymeric resin with metal acetates in 2:1 molar ratio

**Synthesis and pharmacological evaluation of new 5-(cyclo)alkyl-5-phenyl- and 5-spiroimidazolidine-2,4-dione derivatives. Novel 5-HT<sub>1A</sub> receptor agonist with potential antidepressant and anxiolytic activity**

pp. 1295–1303

Anna Czopek, Hanna Byrtus, Marcin Kołaczkowski, Maciej Pawłowski\*, Małgorzata Dybała, Gabriel Nowak, Ewa Tatarczyńska, Anna Wesolowska and Ewa Chojnacka-Wójcik

Several investigated compounds exhibited high affinity for 5-HT<sub>1A</sub>/5-HT<sub>2A</sub> receptors and diversified pharmacological profile. The presence of spiroarylcycloalkyl system in amide fragment may contribute to anxiolytic/antidepressant activity.

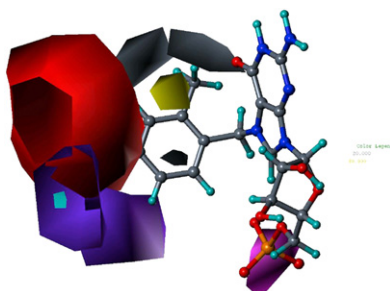


A = indanyl/tetralinyl; B = methyl or cyclopropyl  
R = H, 2-OCH<sub>3</sub>, 3-Cl

**Design, synthesis and evaluation of analogs of initiation factor 4E (eIF4E) cap-binding antagonist Bn<sup>7</sup>-GMP**

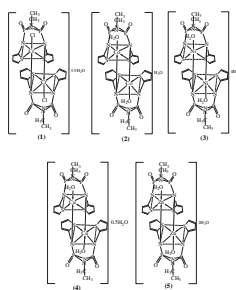
pp. 1304–1313

Yan Jia, Ting-Lan Chiu, Elizabeth A. Amin, Vitaly Polunovsky, Peter B. Bitterman and Carston R. Wagner\*

**New dimeric cyclodiphosph(V)azane complexes of Cr(III), Co(II), Ni(II), Cu(II), and Zn(II): Preparation, characterization and biological activity studies**

pp. 1314–1322

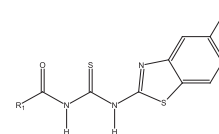
Abdel-Nasser M.A. Alaghaz\* and Reda A. Ammar

**Synthesis, characterization and biological evaluation of some thiourea derivatives bearing benzothiazole moiety as potential antimicrobial and anticancer agents**

pp. 1323–1331

Sohail Saeed\*, Naghmana Rashid, Peter G. Jones, Muhammad Ali and Rizwan Hussain

In this communication, we have described a novel procedure for the synthesis of thiourea derivatives using tetrabutyl ammonium bromide (TBAB) as phase transfer catalyst (PTC).



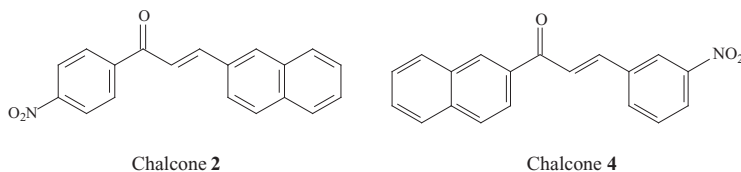
1a–1d: R<sub>1</sub> = 4-nitrophenyl      1a–5a: R = H  
2a–2d: R<sub>1</sub> = 2-thiophene      1b–5b: R = NO<sub>2</sub>  
3a–3d: R<sub>1</sub> = phenyl      1c–5c: R = NH<sub>2</sub>  
4a–4d: R<sub>1</sub> = n-butyl      1d–5d: R = Br  
5a–5d: R<sub>1</sub> = 4-morpholine

**Antihyperglycemic activity of naphthylchalcones**

pp. 1332–1337

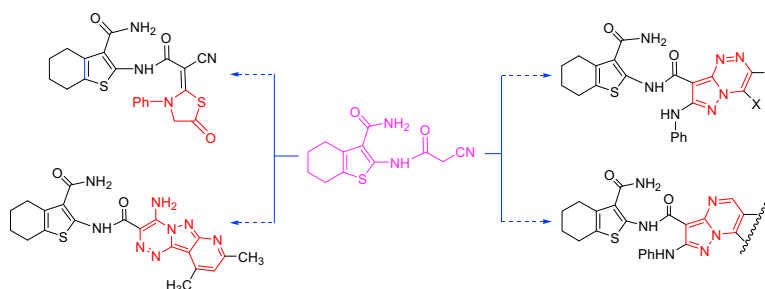
Rosangela Guollo Damazio, Ana Paula Zanatta, Luisa Helena Cazarolli, Louise Domeneghini Chiaradia, Alessandra Mascarello, Ricardo José Nunes, Rosendo Augusto Yunes and Fátima Regina Mena Barreto Silva\*

Chalcone **2** (*2E*)-3-(2-naphthyl)-1-(4'-nitrophenyl)-2-propen-1-one and chalcone **4** (*2E*)-1-(2-naphthyl)-3-(3-nitrophenyl)-2-propen-1-one showed stimulatory effect in insulin secretion and represent potential compounds with strong antihyperglycemic properties.

**Synthesis and antimicrobial activities of some new thiazole and pyrazole derivatives based on 4,5,6,7-tetrahydrobenzothiophene moiety**

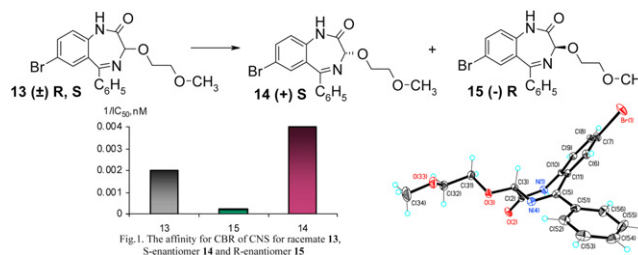
pp. 1338–1345

M.A. Gouda\*, M.A. Berghot, Ghada E. Abd El-Ghani and A.M. Khalil

**Synthesis, structure and affinity of novel 3-alkoxy-1,2-dihydro-3H-1,4-benzodiazepin-2-ones for CNS central and peripheral benzodiazepine receptors**

pp. 1346–1351

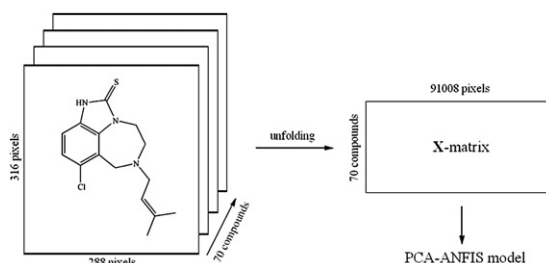
Sergey Andronati, Ekaterina Semenishyna, Victor Pavlovsky\*, Yuriy Simonov, Svetlana Makan, Irina Boyko, Natalya Burenkova, Maria Gdaniec, Pascal Cardinael, Jean-Philippe Bouillon and Alexander Mazepa

**MIA–QSAR coupled to principal component analysis-adaptive neuro-fuzzy inference systems (PCA–ANFIS) for the modeling of the anti-HIV reverse transcriptase activities of TIBO derivatives**

pp. 1352–1358

Mohammad Goodarzi and Matheus P. Freitas\*

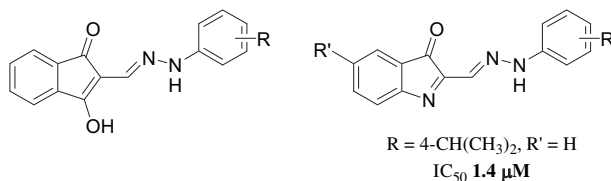
A QSAR method based on MIA descriptors, together with principal component analysis-adaptive neuro-fuzzy inference systems (PCA–ANFIS), provided a highly predictive model for the activities of a series of TIBO derivatives.



**Design, synthesis and biological evaluation of indane-2-aryldiazinylmethylene-1,3-diones and indol-2-aryldiazinylmethylene-3-ones as  $\beta$ -amyloid aggregation inhibitors**

pp. 1359–1366

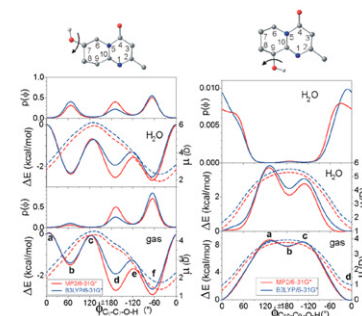
Marco Catto, Rosaria Aliano, Angelo Carotti, Saverio Cellamare, Fausta Palluotto, Rosa Purgatorio, Angelo De Stradis and Francesco Campagna\*

**Physicochemical characterization of neuroleptics. Relative stability of 7- and 9-hydroxyrisperidones and their protonated forms in gas phase and in solution**

pp. 1367–1373

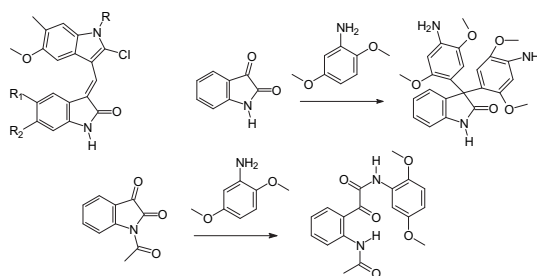
S. Millefiori\* and A. Alparone

Torsional potentials and rotational probabilities of 7-hydroxy- and 9-hydroxy-tetrahydropyrido[1,2-a]pyrimidin-4-one as model compounds of corresponding hydroxyrisperidones.

**New isatin derivatives with antioxidant activity**

pp. 1374–1378

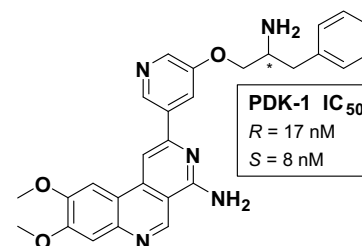
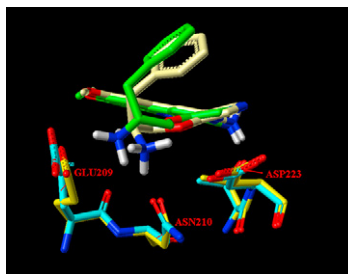
Aldo Andreani\*, Silvia Burnelli, Massimiliano Granaiola, Alberto Leoni, Alessandra Locatelli, Rita Morigi, Mirella Rambaldi, Lucilla Varoli, Mauro Andrea Cremonini, Giuseppe Placucci, Rinaldo Cervellati and Emanuela Greco

**The identification of 8,9-dimethoxy-5-(2-aminoalkoxy-pyridin-3-yl)-benzo[c][2,7]naphthyridin-4-ylamines as potent inhibitors of 3-phosphoinositide-dependent kinase-1 (PDK-1)**

pp. 1379–1386

Thomas Nittoli\*, Russell G. Dushin, Charles Ingalls, Katherine Cheung, M. Brawner Floyd, Heidi Fraser, Andrea Olland, Yongbo Hu, George Grosu, Xin Han, Kim Arndt, Bing Guo and Allan Wissner

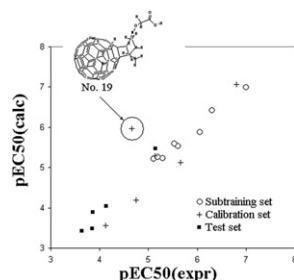
A series of potent and selective inhibitors of (PDK-1) has been identified. X-ray crystallographic analyses of enantiomeric inhibitors bound to the active site of PDK-1 revealed comparable binding modes.



**InChI-based optimal descriptors: QSAR analysis of fullerene[C60]-based HIV-1 PR inhibitors by correlation balance**

pp. 1387–1394

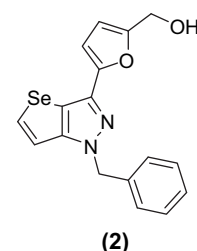
Andrey A. Toropov\*, Alla P. Toropova, Emilio Benfenati, Danuta Leszczynska and Jerzy Leszczynski

**Synthesis of 1-benzyl-3-(5-hydroxymethyl-2-furyl)selenolo[3,2-c]pyrazole derivatives as new anticancer agents**

pp. 1395–1402

Li-Chen Chou, Li-Jiau Huang, Mei-Hua Hsu, Mei-Chi Fang, Jai-Sing Yang, Shi-Hong Zhuang, Hui-Yi Lin, Fang-Yu Lee, Che-Ming Teng and Sheng-Chu Kuo\*

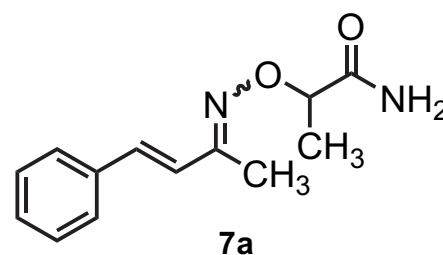
1-Benzyl-3-(5-hydroxymethyl-2-furyl)selenolo[3,2-c]pyrazole (2) with new core structure was synthesized and found to exhibit selective inhibition toward NCI-H226 non-small cell lung cancer and A-498 renal cancer cells.

**Synthesis and anti-inflammatory activity of novel (substituted)benzylidene acetone oxime ether derivatives: Molecular modeling study**

pp. 1403–1414

Mohammed I. El-Gamal, Said M. Bayomi, Saadia M. El-Ashry, Shehta A. Said, Alaa A.-M. Abdel-Aziz and Naglaa I. Abdel-Aziz\*

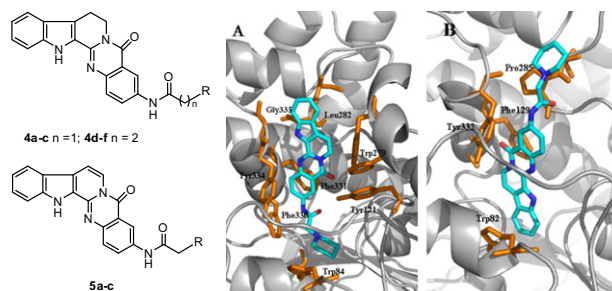
Compound **7a** was found to possess anti-inflammatory activity with negligible ulcerogenic effect and similar binding mode to SC-558, a selective COX-2 inhibitor.

**Synthesis and evaluation of novel rutaecarpine derivatives and related alkaloids derivatives as selective acetylcholinesterase inhibitors**

pp. 1415–1423

Bin Wang, Yi-Chi Mai, Yue Li, Jin-Qiang Hou, Shi-Liang Huang, Tian-Miao Ou, Jia-Heng Tan, Lin-Kun An, Ding Li, Lian-Quan Gu\* and Zhi-Shu Huang\*

The synthesis of **5c** with strong inhibitory activity for AChE and high selectivity for AChE over BuChE ( $ID_{50} = 10$  nM, Selectivity Index = 539) is reported.

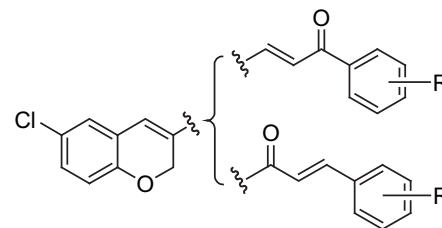


### Novel antileishmanial chalconoids: Synthesis and biological activity of 1- or 3-(6-chloro-2H-chromen-3-yl) propen-1-ones

pp. 1424–1429

Zohreh Nazarian, Saeed Emami, Samaneh Heydari, Sussan K. Ardestani, Maryam Nakhjiri, Fatemeh Poorrajab, Abbas Shafiee and Alireza Foroumadi\*

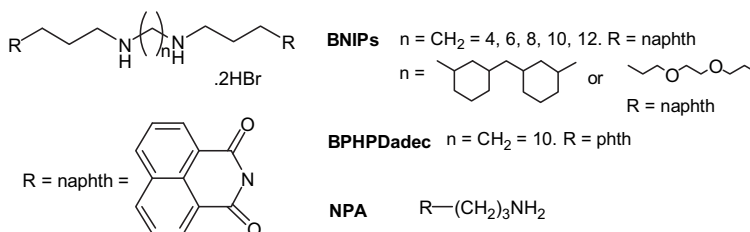
A series of novel chalconoids containing a 6-chloro-2H-chromen-3-yl group were prepared and evaluated against the promastigote form of *Leishmania major*.



### Synthesis, cytotoxicity and DNA-binding of novel bisnaphthalimidopropyl derivatives in breast cancer MDA-MB-231 cells

pp. 1430–1437

Gemma A Barron, Giovanna Bermano, Amanda Gordon and Paul Kong Thoo Lin\*

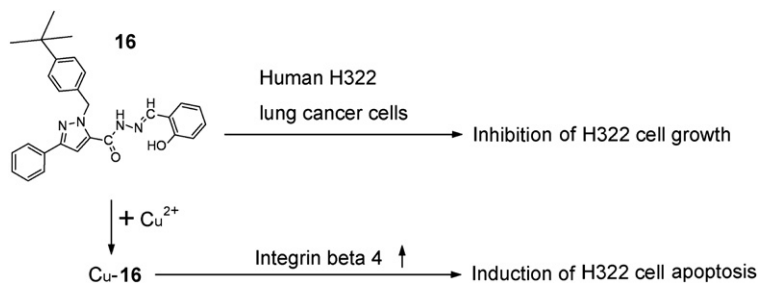


### A novel copper complex of salicylaldehyde pyrazole hydrazone induces apoptosis through up-regulating integrin $\beta 4$ in H322 lung carcinoma cells

pp. 1438–1446

ChuanDong Fan, Hua Su, Jing Zhao, BaoXiang Zhao\*, ShangLi Zhang and JunYing Miao\*

Cu-**16** promotes apoptosis in H322 cells through elevating the protein level of integrin  $\beta 4$ .

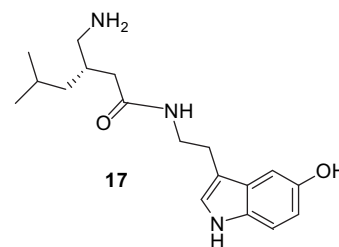


### Synthesis, characterization and *in vitro* pharmacology of novel pregabalin derivatives

pp. 1447–1452

Štefica Horvat, Zdenko Hameršak\*, Irena Stipetić and Thierry Jolas

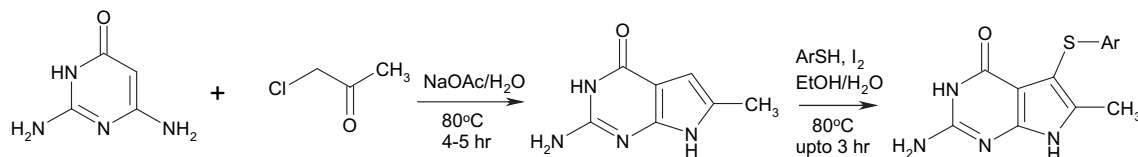
A series of novel pregabalin derivatives were synthesized starting from N-protected pregabalin, different amino sugars, adamantylamine, serotonin and tryptamine. Gabapentin receptor binding assay study showed the one among them, the serotonin-pregabalin adduct **17**, possesses a promising activity ( $K_i = 11 \mu\text{M}$ ).



**Synthesis of new pyrrolo[2,3-d]pyrimidine derivatives and evaluation of their activities against human colon cancer cell lines**

pp. 1453–1458

Saritha Jyostna Tangeda and Achaiah Garlapati\*



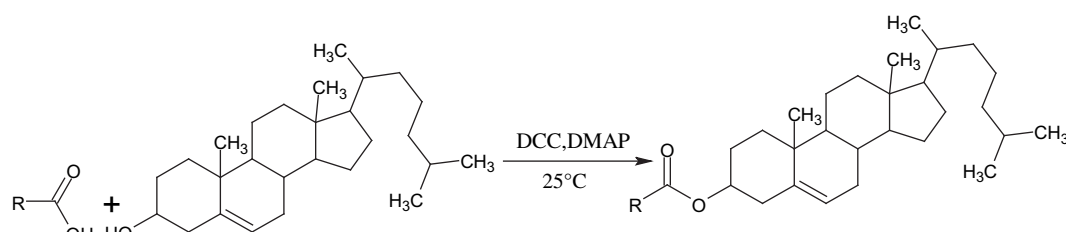
New pyrrolopyrimidines were synthesized using 2,4-diamino-6-hydroxypyrimidine and chloroacetone as starting compounds. On evaluation nitro-benzimidazole (Ar) linked pyrrolopyrimidine exhibited significant activity against HCT116 colon cancer cell lines ( $IC_{50} = 17.6 \mu M$ ) and dose dependent induction of apoptosis.

**Synthesis and characterization of novel fatty acid analogs of cholesterol: *In vitro* antimicrobial activity**

pp. 1459–1464

Mudasir R. Bandy, Nida N. Farshori, Anis Ahmad, Asad U. Khan and Abdul Rauf\*

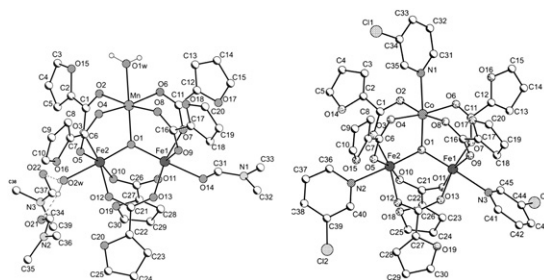
In this study we synthesized fatty acid analogs of cholesterol using DCC and DMAP as a catalyst. All synthesized compounds were characterized using different spectral techniques. The newly synthesized compounds were screened for their antimicrobial activity.

**Synthesis and anti-tuberculosis activity of new hetero(Mn, Co, Ni)trinuclear iron(III) furoates**

pp. 1465–1469

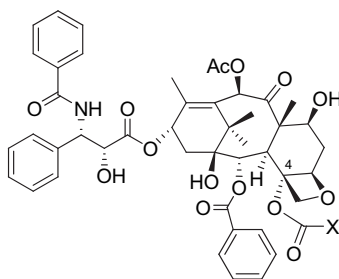
Silvia Melnic, Denis Prodius\*, Helene Stoeckli-Evans, Sergiu Shova and Constantin Turta

New hetero( $\mu_3$ -oxo)trinuclear iron (III) furoates have been prepared and investigated by X-ray, Mössbauer and IR spectroscopies. It was shown that the iron(III)–cobalt(II) containing compounds with furoate ligand exhibited high anti-tuberculosis activity, which is unexpected result for these class of compounds.

**QSAR modeling of taxane analogues against colon cancer**

pp. 1470–1477

Rajeshwar P. Verma\* and Corwin Hansch

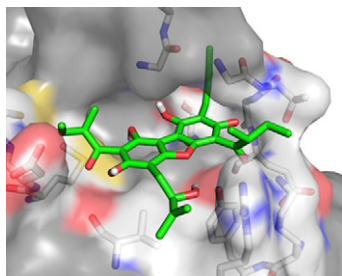




**Designing inhibitors against fructose 1,6-bisphosphatase: Exploring natural products for novel inhibitor scaffolds**

pp. 1478–1484

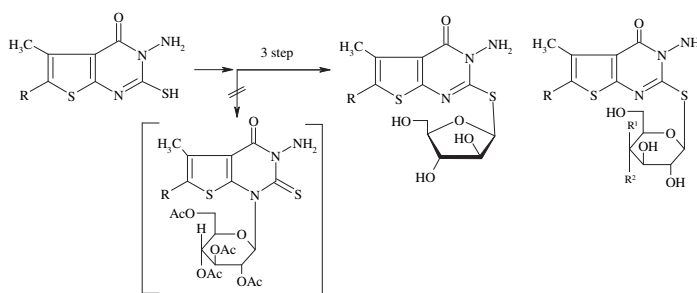
Sabrina Heng, Katharine M. Harris and Evan R. Kantrowitz\*

**Synthesis, biological and medicinal significance of S-glycosido-thieno[2,3-d]-pyrimidines as new anti-inflammatory and analgesic agents**

pp. 1485–1493

Hend N. Hafez\*, Abdel-Rahman B.A. El-Gazzar and Galal A.M. Nawwar

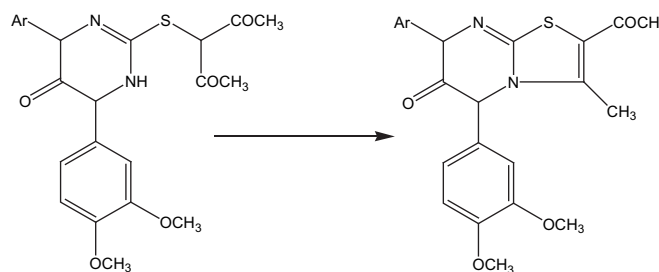
2-Thioglycosides were prepared, glycosylation of 2-thioxo-thieno[2,3-d]-pyrimidines with 1-bromo-2,3,5-tri-O-acetyl- $\alpha$ -D-arabinofuranosyle, 2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl and galacto-pyranosyl bromide gave  $\beta$ -D-nucleosides in high yields, Anti-inflammatory and Analgesic activities screening of the new compounds possess highly promising activities.

**Anti-HSV-1 activity and mechanism of action of some new synthesized substituted pyrimidine, thiopyrimidine and thiazolopyrimidine derivatives**

pp. 1494–1501

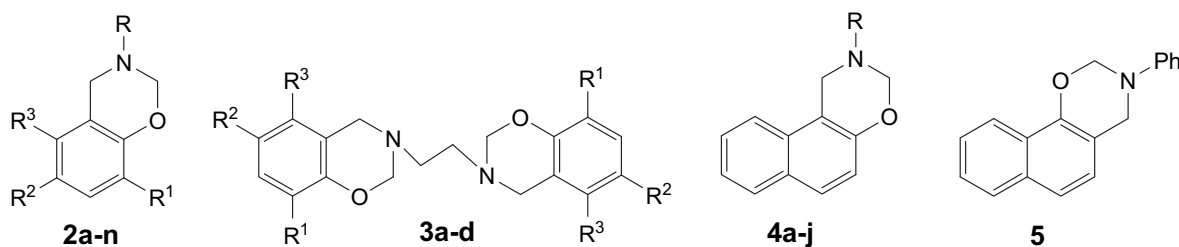
Salwa F. Mohamed, Eman M. Fefel, Abd El-Galil E. Amr\* and Dina N. Abd El-Shafy

A series of heterocyclic derivatives **2–16** conjugated with tetrahydronaphthalene moiety were synthesized as antiviral agents by using 1-(5,6,7,8-tetrahydronaphthalen-2-yl)ethanone as starting material. The antiviral screening showed that many of these obtained compounds have good antiviral activities comparable to Acyclovir as reference control.

**An eco-friendly synthesis and antimicrobial activities of dihydro-2H-benzo- and naphtho-1,3-oxazine derivatives**

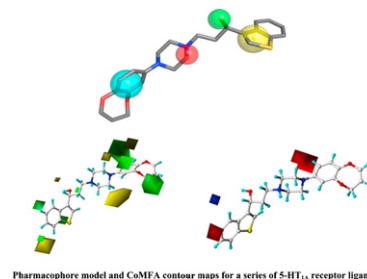
pp. 1502–1507

Bijoy P. Mathew, Awanit Kumar, Satyasheel Sharma, P.K. Shukla and Mahendra Nath\*



An eco-friendly synthesis, characterization and *in vitro* antimicrobial activities of a series of 3,4-dihydro-2H-benzo[e]-, 2,3-dihydro-1H-naphtho[1,2-e]-, 3,4-dihydro-2H-naphtho[2,1-e]-1,3-oxazines and 1,2-bis(3,4-dihydro-benzo[e]-1,3-oxazin-3(4H)-yl)ethanes are described.

## pp. 1508–1514

Pharmacophore model and CoMFA contour maps for a series of 5-HT<sub>1A</sub> receptor ligands.

## pp. 1515–1523

CCOC(=O)C(Cc1ccc[n+]1C2=CC=C3C(=C2)C(=C4C(=C3)C(=C5C(=C4)C(=C6C(=C5)C(=C7C(=C6)N(R9)C7)C=C8C(=C7)C(=C9C(=C8)C(=C10C(=C9)C(=C11C(=C10)C(=C12C(=C11)C(=C13C(=C12)C(=C14C(=C13)C(=C15C(=C14)C(=C16C(=C15)C(=C17C(=C16)C(=C18C(=C17)C(=C19C(=C18)C(=C20C(=C19)C(=C21C(=C20)C(=C22C(=C21)C(=C23C(=C22)C(=C24C(=C23)C(=C25C(=C24)C(=C26C(=C25)C(=C27C(=C26)C(=C28C(=C27)C(=C29C(=C28)C(=C30C(=C29)C(=C31C(=C30)C(=C32C(=C31)C(=C33C(=C32)C(=C34C(=C33)C(=C35C(=C34)C(=C36C(=C35)C(=C37C(=C36)C(=C38C(=C37)C(=C39C(=C38)C(=C40C(=C39)C(=C41C(=C40)C(=C42C(=C41)C(=C43C(=C42)C(=C44C(=C43)C(=C45C(=C44)C(=C46C(=C45)C(=C47C(=C46)C(=C48C(=C47)C(=C49C(=C48)C(=C50C(=C49)C(=C51C(=C50)C(=C52C(=C51)C(=C53C(=C52)C(=C54C(=C53)C(=C55C(=C54)C(=C56C(=C55)C(=C57C(=C56)C(=C58C(=C57)C(=C59C(=C58)C(=C60C(=C59)C(=C61C(=C60)C(=C62C(=C61)C(=C63C(=C62)C(=C64C(=C63)C(=C65C(=C64)C(=C66C(=C65)C(=C67C(=C66)C(=C68C(=C67)C(=C69C(=C68)C(=C70C(=C69)C(=C71C(=C70)C(=C72C(=C71)C(=C73C(=C72)C(=C74C(=C73)C(=C75C(=C74)C(=C76C(=C75)C(=C77C(=C76)C(=C78C(=C77)C(=C79C(=C78)C(=C80C(=C79)C(=C81C(=C80)C(=C82C(=C81)C(=C83C(=C82)C(=C84C(=C83)C(=C85C(=C84)C(=C86C(=C85)C(=C87C(=C86)C(=C88C(=C87)C(=C89C(=C88)C(=C90C(=C89)C(=C91C(=C90)C(=C92C(=C91)C(=C93C(=C92)C(=C94C(=C93)C(=C95C(=C94)C(=C96C(=C95)C(=C97C(=C96)C(=C98C(=C97)C(=C99C(=C98)C(=C100C(=C99)C(=C101C(=C100)C(=C102C(=C101)C(=C103C(=C102)C(=C104C(=C103)C(=C105C(=C104)C(=C106C(=C105)C(=C107C(=C106)C(=C108C(=C107)C(=C109C(=C108)C(=C110C(=C109)C(=C111C(=C110)C(=C112C(=C111)C(=C113C(=C112)C(=C114C(=C113)C(=C115C(=C114)C(=C116C(=C115)C(=C117C(=C116)C(=C118C(=C117)C(=C119C(=C118)C(=C120C(=C119)C(=C121C(=C120)C(=C122C(=C121)C(=C123C(=C122)C(=C124C(=C123)C(=C125C(=C124)C(=C126C(=C125)C(=C127C(=C126)C(=C128C(=C127)C(=C129C(=C128)C(=C130C(=C129)C(=C131C(=C130)C(=C132C(=C131)C(=C133C(=C132)C(=C134C(=C133)C(=C135C(=C134)C(=C136C(=C135)C(=C137C(=C136)C(=C138C(=C137)C(=C139C(=C138)C(=C140C(=C139)C(=C141C(=C140)C(=C142C(=C141)C(=C143C(=C142)C(=C144C(=C143)C(=C145C(=C144)C(=C146C(=C145)C(=C147C(=C146)C(=C148C(=C147)C(=C149C(=C148)C(=C150C(=C149)C(=C151C(=C150)C(=C152C(=C151)C(=C153C(=C152)C(=C154C(=C153)C(=C155C(=C154)C(=C156C(=C155)C(=C157C(=C156)C(=C158C(=C157)C(=C159C(=C158)C(=C160C(=C159)C(=C161C(=C160)C(=C162C(=C161)C(=C163C(=C162)C(=C164C(=C163)C(=C165C(=C164)C(=C166C(=C165)C(=C167C(=C166)C(=C168C(=C167)C(=C169C(=C168)C(=C170C(=C169)C(=C171C(=C170)C(=C172C(=C171)C(=C173C(=C172)C(=C174C(=C173)C(=C175C(=C174)C(=C176C(=C175)C(=C177C(=C176)C(=C178C(=C177)C(=C179C(=C178)C(=C180C(=C179)C(=C181C(=C180)C(=C182C(=C181)C(=C183C(=C182)C(=C184C(=C183)C(=C185C(=C184)C(=C186C(=C185)C(=C187C(=C186)C(=C188C(=C187)C(=C189C(=C188)C(=C190C(=C189)C(=C191C(=C190)C(=C192C(=C191)C(=C193C(=C192)C(=C194C(=C193)C(=C195C(=C194)C(=C196C(=C195)C(=C197C(=C196)C(=C198C(=C197)C(=C199C(=C198)C(=C200C(=C199)C(=C201C(=C200)C(=C202C(=C201)C(=C203C(=C202)C(=C204C(=C203)C(=C205C(=C204)C(=C206C(=C205)C(=C207C(=C206)C(=C208C(=C207)C(=C209C(=C208)C(=C210C(=C209)C(=C211C(=C210)C(=C212C(=C211)C(=C213C(=C212)C(=C214C(=C213)C(=C215C(=C214)C(=C216C(=C215)C(=C217C(=C216)C(=C218C(=C217)C(=C219C(=C218)C(=C220C(=C219)C(=C221C(=C220)C(=C222C(=C221)C(=C223C(=C222)C(=C224C(=C223)C(=C225C(=C224)C(=C226C(=C225)C(=C227C(=C226)C(=C228C(=C227)C(=C229C(=C228)C(=C230C(=C229)C(=C231C(=C230)C(=C232C(=C231)C(=C233C(=C232)C(=C234C(=C233)C(=C235C(=C234)C(=C236C(=C235)C(=C237C(=C236)C(=C238C(=C237)C(=C239C(=C238)C(=C240C(=C239)C(=C241C(=C240)C(=C242C(=C241)C(=C243C(=C242)C(=C244C(=C243)C(=C245C(=C244)C(=C246C(=C245)C(=C247C(=C246)C(=C248C(=C247)C(=C249C(=C248)C(=C250C(=C249)C(=C251C(=C250)C(=C252C(=C251)C(=C253C(=C252)C(=C254C(=C253)C(=C255C(=C254)C(=C256C(=C255)C(=C257C(=C256)C(=C258C(=C257)C(=C259C(=C258)C(=C260C(=C259)C(=C261C(=C260)C(=C262C(=C261)C(=C263C(=C262)C(=C264C(=C263)C(=C265C(=C264)C(=C266C(=C265)C(=C267C(=C266)C(=C268C(=C267)C(=C269C(=C268)C(=C270C(=C269)C(=C271C(=C270)C(=C272C(=C271)C(=C273C(=C272)C(=C274C(=C273)C(=C275C(=C274)C(=C276C(=C275)C(=C277C(=C276)C(=C278C(=C277)C(=C279C(=C278)C(=C280C(=C279)C(=C281C(=C280)C(=C282C(=C281)C(=C283C(=C282)C(=C284C(=C283)C(=C285C(=C284)C(=C286C(=C285)C(=C287C(=C286)C(=C288C

## pp. 1524–1528

## pp. 1529–1535

Oc1ccc(cc1)N2C(=Nc3ccccc3)SC(c4ccccc4Cl)CC2Nc5ccc(OC)cc5

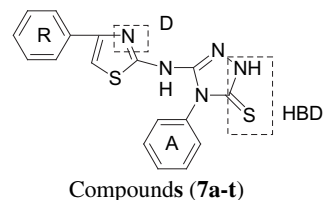
(3g)

**Triazole incorporated thiazoles as a new class of anticonvulsants: Design, synthesis and *in vivo* screening**

pp. 1536–1543

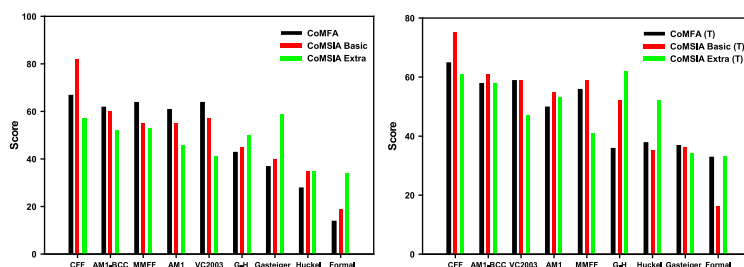
Nadeem Siddiqui\* and Waquar Ahsan

3-[4-(substituted phenyl)-1,3-thiazol-2-ylamino]-4-(substituted phenyl)-4,5-dihydro-1*H*-1,2,4-triazole-5-thiones (**7a–t**) were designed, synthesized and their anticonvulsant screening were performed by maximal electroshock seizure and subcutaneous pentylenetetrazole test. Compounds **7d** and **7f** showed significant anticonvulsant activity in both the screens.

**A comparison of different electrostatic potentials on prediction accuracy in CoMFA and CoMSIA studies**

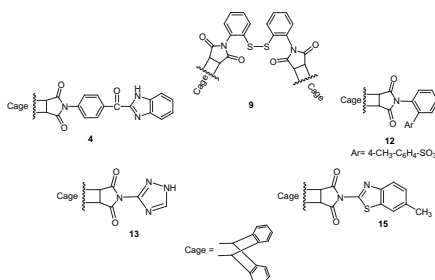
pp. 1544–1551

Keng-Chang Tsai, Yu-Chen Chen, Nai-Wan Hsiao, Chao-Li Wang, Chih-Lung Lin, Yu-Ching Lee, Minyong Li\* and Binghe Wang\*\*

**Synthesis and study of some new 1,3-isoxindoleione derivatives as potential antibacterial agents**

pp. 1552–1559

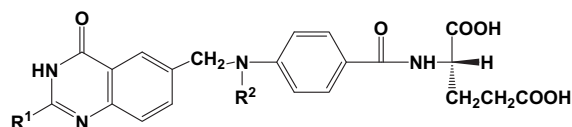
A.M. Khalil, M.A. Berghot and M.A. Gouda\*

**3D-QSAR studies on quinazoline antifolate thymidylate synthase inhibitors by CoMFA and CoMSIA models**

pp. 1560–1571

Vivek Srivastava, S.P. Gupta\*, M.I. Siddiqi and B.N. Mishra\*\*

Some 3D-QSAR studies have been made on quinazoline antifolate thymidylate synthase inhibitors using CoMFA and CoMSIA approaches.

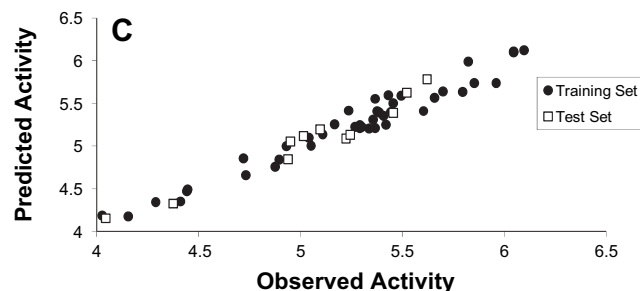


**Application of PC-ANN and PC-LS-SVM in QSAR of CCR1 antagonist compounds: A comparative study**

pp. 1572–1582

Mohsen Shahlaei, Afshin Fassihi\* and Lotfollah Saghale

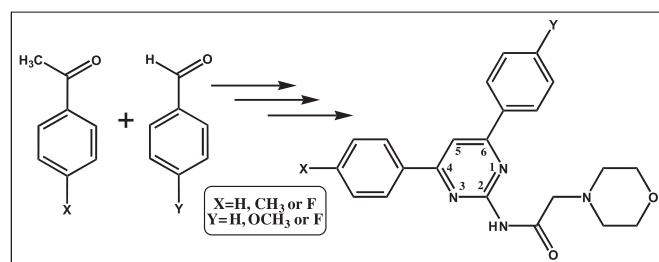
PCR, PC-ANN, and PC-LS-SVM as regression methods were investigated for building quantitative structure-activity relationships for the prediction of inhibitory activity of some CCR1 antagonists.

**Synthesis and *in vitro* microbiological evaluation of an array of biolabile 2-morpholino-N-(4,6-diarylpyrimidin-2-yl)acetamides**

pp. 1583–1589

V. Kanagarajan, J. Thanusu and M. Gopalakrishnan\*

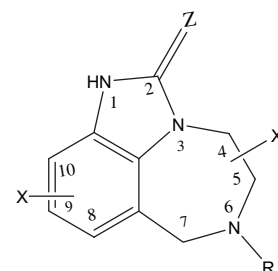
Biolabile 2-morpholino-N-(4,6-diarylpyrimidin-2-yl)acetamides **34–42** have been synthesized and studied for their *in vitro* antibacterial and antifungal activities.

**Support vector machines: Development of QSAR models for predicting anti-HIV-1 activity of TIBO derivatives**

pp. 1590–1597

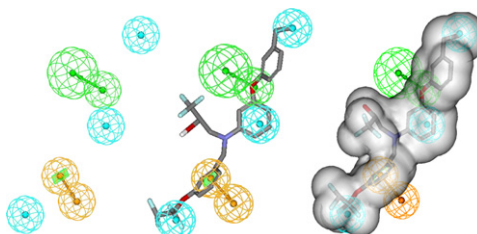
Rachid Darnag, E.L. Mostapha Mazouz, Andreea Schmitzer, Didier Villemin, Abdellah Jarid and Driss Cherqaoui\*

The support vector machines are used to develop quantitative relationships between the anti-HIV activity and four molecular descriptors of 82 TIBO derivatives.

**Discovery of new cholesteryl ester transfer protein inhibitors via ligand-based pharmacophore modeling and QSAR analysis followed by synthetic exploration**

pp. 1598–1617

Reema Abu Khalaf, Ghassan Abu Sheikha, Yasser Bustanji and Mutasem O. Taha\*

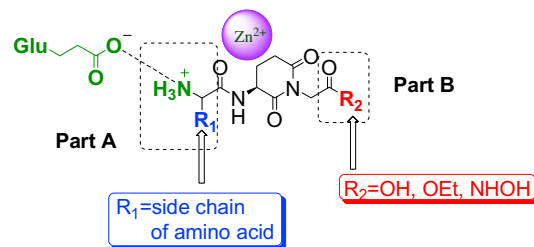


## Novel cyclic-imide peptidomimetics as aminopeptidase N inhibitors. Structure-based design, chemistry and activity evaluation. II

pp. 1618–1626

Qianbin Li, Hao Fang, Xuejian Wang and Wenfang Xu\*

Novel 3-amino-cyclic-imide peptidomimetics were synthesized and evaluated for their inhibitory activities against aminopeptidase N and human leukemia cell lines, and in vivo anti-metastasis activities as well. Compound 13f reported potent activities either in vitro or in vivo.



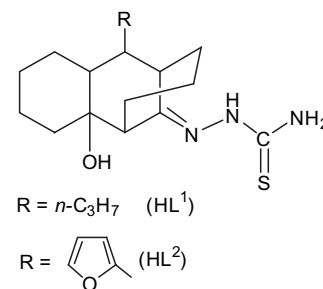
## SHORT COMMUNICATIONS

### Synthesis, characterization antibacterial and antiproliferative activity of novel Cu(II) and Pd(II) complexes with 2-hydroxy-8-R-tricyclo[7.3.1.0<sup>2,7</sup>]tridecane-13-one thiosemicarbazone

pp. 1627–1634

Tudor Rosu\*, Elena Pahontu, Simona Pasculescu, Rodica Georgescu, Nicolae Stanica, Adelina Curaj, Alexandra Popescu and Mircea Leabu

Complex combinations of Cu(II) and Pd(II) with thiosemicarbazone derivatives of 2-hydroxy-8-R-tricyclo[7.3.1.0<sup>2,7</sup>]tridecane-13-one (R = *n*-propyl; 2-furyl) were synthesized. The characterization of the ligands and the newly synthesized complexes was done by <sup>1</sup>H NMR, <sup>13</sup>C NMR, UV–vis, IR, ESR spectroscopy, molar conductivity, magnetic measurements, thermal studies and elemental analyses. Potential antibacterial effect has been investigated against four different microorganisms and we also studied the effect on HeLa cells proliferation.

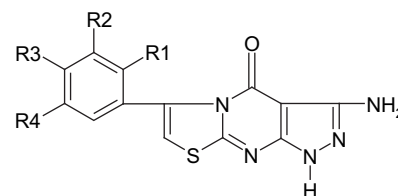


### Synthesis and antimicrobial activity of novel pyrazolo[3,4-*d*]pyrimidin derivatives

pp. 1635–1638

Chandras N. Khobragade\*, Ragini G. Bodade, Shankaraiah G. Konda, Bhaskar S. Dawane and Anand V. Manwar

A series of pyrazolo[3,4-*d*]thiazolo[3,2-*a*]pyrimidin-4-one derivatives were synthesized and evaluated for antimicrobial activity.

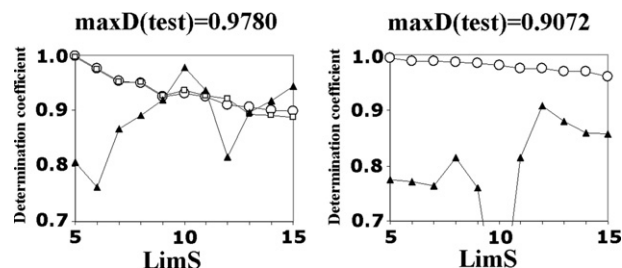


### QSPR modeling of octanol/water partition coefficient of antineoplastic agents by balance of correlations

pp. 1639–1647

Andrey A. Toropov\*, Alla P. Toropova, Ivan Raska and Emilio Benfenati

The determination coefficient (square of the correlation coefficient) between optimal SMILES-based descriptor and octanol/water partition coefficient for an external test set of antineoplastic agents can be improved by the balance of correlations.

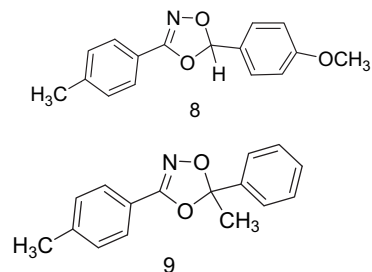


**New dioxazole derivatives: Synthesis and effects on the growth of *Entamoeba histolytica* and *Giardia intestinalis***

pp. 1648–1653

Iram Irfan, Nongyao Sawangjaroen, Abdul R. Bhat and Amir Azam\*

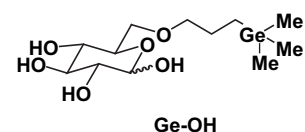
The effect of diaoxazoles on the inhibition of growth of *E. histolytica* and *G. intestinalis* and cytotoxicity activity *in vitro* against Vero Cell line is reported.

**Synthesis and biological evaluation of water-soluble organogermanium**

pp. 1654–1656

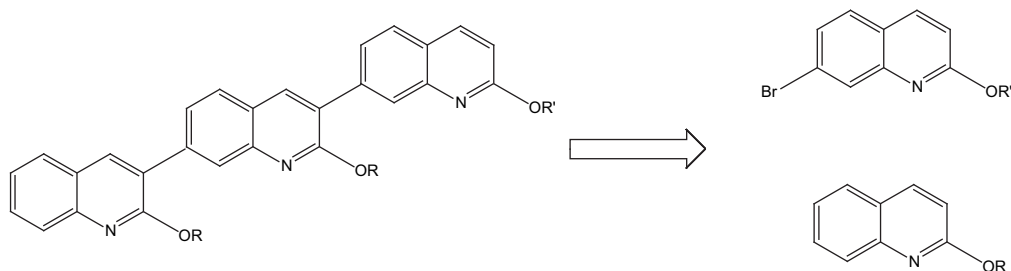
Sumi Choi, Changwon Oh, Jeongsoo Han, Jina Park, Jee-Hye Choi, Na Young Min, Kwang-Ho Lee, Ae Ja Park, Yeo Jin Kim, Su Jeong Jang, Duck-Hyung Lee\*\* and Seung Wook Ham\*

New water-soluble organogermanium compound (Ge–OH) was synthesized. An animal study showed that Ge–OH is a better INF- $\gamma$  inducer than Ge-132.

**Synthesis and *in vitro* antiproliferative activities of quinoline derivatives**

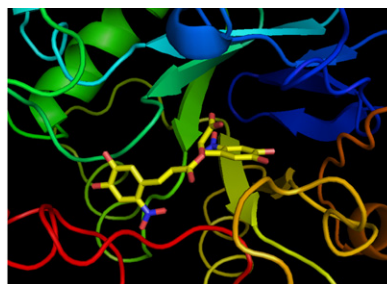
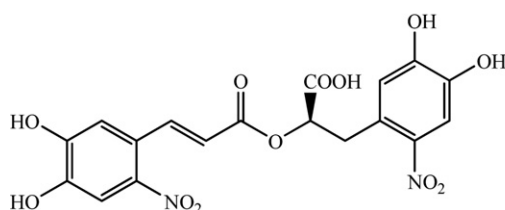
pp. 1657–1662

Sidonie Broch, Bettina Aboab, Fabrice Anizon and Pascale Moreau\*

**PRELIMINARY COMMUNICATIONS****Evaluation of aldose reductase inhibition and docking studies of 6'-nitro and 6',6''-dinitrorosmarinic acids**

pp. 1663–1666

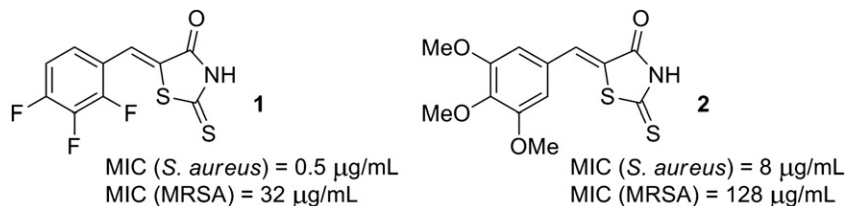
Catherine Koukoulitsa\*, Fabrice Bailly, Kiriaki Pegklidou, Vassilis J. Demopoulos and Philippe Cotellet\*



**Synthesis and antibacterial activity of 5-ylidenethiazolidin-4-ones and 5-benzylidene-4,6-pyrimidinediones**

pp. 1667–1672

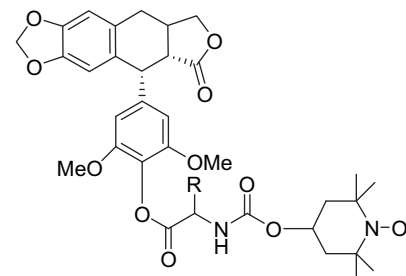
Tihomir Tomašić, Nace Zidar, Manica Mueller-Premru, Danijel Kikelj\* and Lucija Peterlin Mašić\*

**First synthesis and biological evaluation of novel spin-labeled derivatives of deoxypodophyllotoxin**

pp. 1673–1677

Zhi-Wei Zhang, Jia-Qiang Zhang, Ling Hui, Shi-Wu Chen\* and Xuan Tian\*

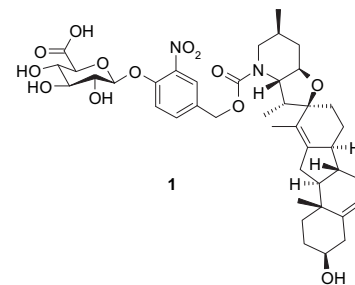
The spin-labeled derivatives of deoxypodophyllotoxin **11a–h** were more potent cytotoxicities (HL-60, RPMI-8226 and A-549) and antioxidative activities than parent compound DPPT and anticancer drug VP-16.

**Study of a cyclopamine glucuronide prodrug for the selective chemotherapy of glioblastoma**

pp. 1678–1682

Florian Hamon, Brigitte Renoux, Corinne Chadéneau, Jean-Marc Muller and Sébastien Papot\*

The glucuronide prodrug **1** of the hedgehog signaling inhibitor cyclopamine was synthesized and evaluated as a potential selective antitumor agent for the treatment of glioblastoma.



**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.



Full text of this journal is available online from **ScienceDirect**. Visit [www.sciencedirect.com](http://www.sciencedirect.com) for more information.

---

*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®.*

---



**ELSEVIER**

ISSN 0223-5234