

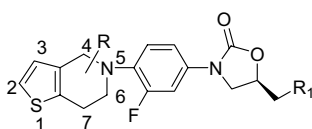
Contents

ARTICLES

Novel tetrahydro-thieno pyridyl oxazolidinone: an antibacterial agent

pp 4557–4564

Braj Bhushan Lohray,* Vidya Bhushan Lohray, Brijesh Kumar Srivastava,
Prashant B. Kapadnis and Purvi Pandya

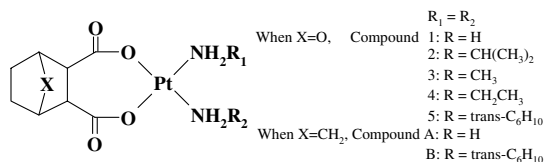


We have synthesized and evaluated antibacterial activity of a number of 4,5,6,7-tetrahydro-thieno[3,2-*c*]pyridine substituted oxazolidinones against several Gram-positive pathogens. Effect of various substituents on 5-position of the oxazolidinone ring has been studied.

Protein phosphatase 2A inhibition and circumvention of cisplatin cross-resistance by novel TCM-platinum anticancer agents containing demethylcantharidin

pp 4565–4573

Kenneth K. W. To, Xinning Wang, Chun Wing Yu, Yee-Ping Ho* and Steve C. F. Au-Yeung



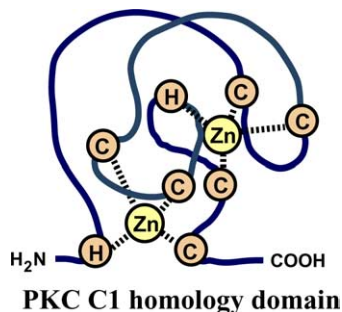
The structure–activity requirement of the PP2A-inhibiting demethylcantharidin for the circumvention of cisplatin cross-resistance demonstrated by the novel TCM–Pt compounds is discussed.

Tumor promoter binding of the protein kinase C C1 homology domain peptides of RasGRPs, chimaerins, and Unc13s

pp 4575–4583

Kazuhiro Irie,* Akiko Masuda, Mayumi Shindo,
Yu Nakagawa and Hajime Ohigashi

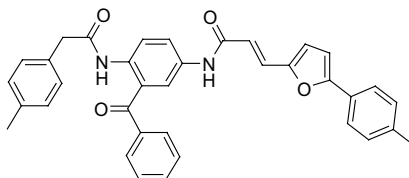
A C1 peptide library of nonPKC-type phorbol ester receptors has been established.



Non-thiol farnesyltransferase inhibitors: *N*-(4-tolylacetyl-amino-3-benzoylphenyl)-3-arylfurylacrylic acid amides

pp 4585–4600

Andreas Mitsch, Pia Wißner, Katrin Silber, Peter Haebel, Isabel Sattler, Gerhard Klebe and Martin Schlitzer*



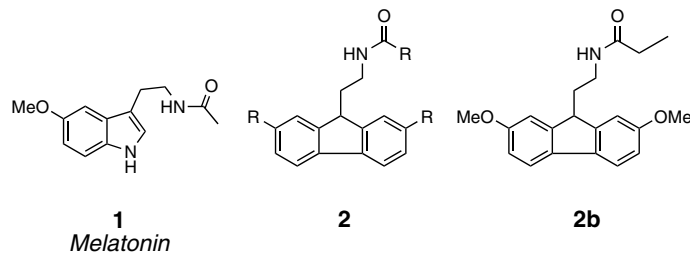
We have designed arylfurylacryl-substituted benzophenones as non-thiol farnesyltransferase inhibitors utilizing a novel aryl binding site of farnesyltransferase. These compounds display activity in the low nanomolar range.

Chronobiotic activity of *N*-[2-(2,7-dimethoxyfluoren-9-yl)ethyl]propanamide. Synthesis and melatonergic pharmacology of fluoren-9-ylethyl amides

pp 4601–4611

James R. Epperson,* Marc A. Bruce, John D. Catt, Jeffrey A. Deskus, Donald B. Hodges, George N. Karageorge, Daniel J. Keavy, Cathy D. Mahle, Ronald J. Mattson, Astrid A. Ortiz, Michael F. Parker, Katherine S. Takaki, Brett T. Watson and Joseph P. Yevich

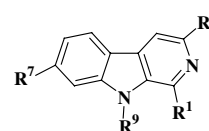
Compound **2b** demonstrated full agonism at both human MT₁ and MT₂ receptors and demonstrated chronobiotic activity in both acute and chronic rat models, producing an acute phase advance of 32 min at 1 mg/kg and chronically entraining free-running rats with a mean effective dose of 0.23 mg/kg. This compound was significantly less efficacious than melatonin in constricting human coronary artery.


Synthesis, acute toxicities, and antitumor effects of novel 9-substituted β -carboline derivatives

pp 4613–4623

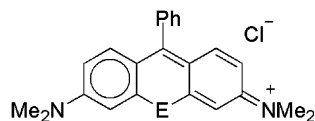
Rihui Cao, Qi Chen, Xuerui Hou, Hongsheng Chen, Huaji Guan, Yan Ma, Wenlie Peng and Anlong Xu*

A series of novel 9-substituted β -carboline derivatives was synthesized from the starting material harmine and L-tryptophan, respectively. Cytotoxic activities, acute toxicities, and antitumor effects of these compounds were investigated.

2a-2g R¹=CH₃ R³=H R⁷=OCH₃4a-4d R¹=R³=R⁷=H5a-5d R¹=R⁷=H R³=COOCH₃6a-6f R¹=R⁷=H R³=COOC₂H₅7a-7d R¹=R⁷=H R³=COOC₄H₉8a-8f R¹=R⁷=H R³=COOHR⁹=CH₃, C₂H₅, n-C₄H₉, CH₂C₆H₅, CH₂C₆F₅, (CH₂)₃C₆H₅
Analogues of tetramethylrosamine as transport molecules for and inhibitors of P-glycoprotein-mediated multidrug resistance

pp 4625–4631

Scott L. Gibson, Russell Hilf, David J. Donnelly and Michael R. Detty*



TMR-O, E = O

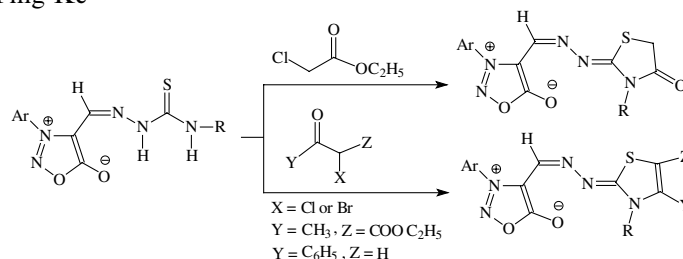
TMR-S, E = S

TMR-Se, E = Se

Syntheses and evaluation of antioxidant activity of sydnonyl substituted thiazolidinone and thiazoline derivatives

pp 4633–4643

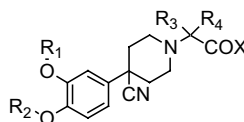
Mei-Hsiu Shih* and Fang-Ying Ke



Highly potent PDE4 inhibitors with therapeutic potential

pp 4645–4665

Hiroshi Ochiai, Tazumi Ohtani, Akiharu Ishida, Kensuke Kusumi, Masashi Kato, Hiroshi Kohno, Yoshihiko Odagaki, Katuya Kishikawa, Susumu Yamamoto, Hiroshi Takeda, Takaaki Obata, Hisao Nakai* and Masaaki Toda

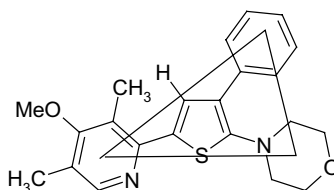


Synthesis and biological evaluation of piperidine derivatives is reported.

Design, synthesis, and pharmacological evaluation of some 2-[4-morpholino]-3-aryl-5-substituted thiophenes as novel anti-inflammatory agents: generation of a novel anti-inflammatory pharmacophore

pp 4667–4671

Ajay D. Pillai, Parendu D. Rathod, Franklin P. Xavier, Kamala K. Vasu,*
Harish Padh and Vasudevan Sudarsanam

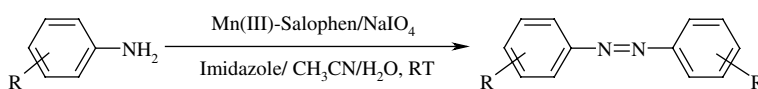


We report the synthesis and pharmacological evaluation of some novel 2-morpholino-3-aryl-5-substituted thiophenes, as possible anti-inflammatory leads. The studies culminate in the generation of a novel pharmacophore, which will enable the designing of better anti-inflammatory agents.

Cytochrome P-450 dependent monooxygenases model system: rapid and efficient oxidation of primary aromatic amines to azo derivatives with sodium periodate catalyzed by manganese(III) Schiff base complexes

pp 4673–4677

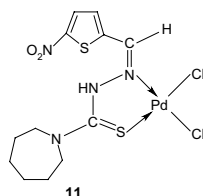
Valiollah Mirkhani,* Shahram Tangestaninejad, Majid Moghadam and Maryam Moghbel



Synthesis, characterization and in vitro anti-amoebic activity of new palladium(II) complexes with 5-nitrothiophene-2-carboxaldehyde N(4)-substituted thiosemicarbazones

pp 4679–4684

Neelam Bharti, Fareeda Athar, Mannar R. Maurya and Amir Azam*

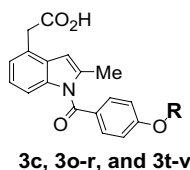


A series of palladium(II) complexes were synthesized from 5-nitrothiophene-2-carboxaldehyde thiosemicarbazone derivatives and their in vitro anti-amoebic activity was evaluated against (*HK-9*) strain of *Entamoeba histolytica* by using microdilution method. Among all the complexes, **11** exhibited better anti-amoebic activity.

Development of prostaglandin D₂ receptor antagonist: discovery of highly potent antagonists

pp 4685–4700

Kazuhiko Torisu,* Kaoru Kobayashi, Maki Iwahashi, Yoshihiko Nakai, Takahiro Onoda, Toshihiko Nagase, Isamu Sugimoto, Yutaka Okada, Ryoji Matsumoto, Fumio Nanbu, Shuichi Ohuchida, Hisao Nakai and Masaaki Toda

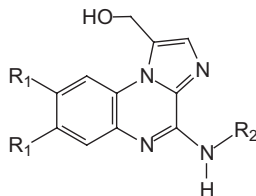


A series of *N*-(*p*-alkoxy)benzoyl-2-methylindole-4-acetic acids **3c**, **3o-r**, and **3t-v** were discovered as highly potent DP receptor antagonists.

Design, synthesis, and biological evaluation of novel 4-alkylamino-1-hydroxymethylimidazo[1,2-*a*]quinoxalines as adenosine A₁ receptor antagonists

pp 4701–4707

Chun-He Liu, Bo Wang, Wei-Zhang Li, Liu-Hong Yun,* Ying Liu, Rui-Bing Su, Jin Li and He Liu*



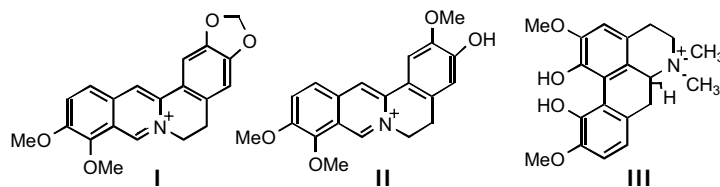
The preparation and biological evaluation of a series of 4-alkylamino-1-hydroxymethylimidazo[1,2-*a*]quinoxalines as adenosine A₁ receptor antagonists are described. 4-Cyclopentylamino-7,8-dichloro-1-hydroxymethylimidazo[1,2-*a*]quinoxaline shows potent adenosine A₁ receptor inhibitory activity, having $K_i = 7$ nM.

Antiradical and antioxidant activities of alkaloids isolated from *Mahonia aquifolium*.

pp 4709–4715

Structural aspects

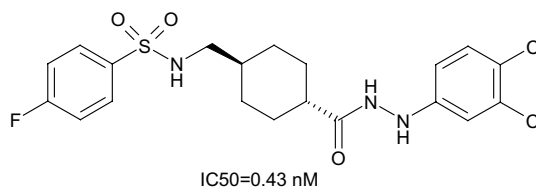
Lucia Račková,* Magdaléna Májeková, Daniela Košťálová and Milan Štefek



Synthesis and evaluation of new hydrazide derivatives as neuropeptide Y₅ receptor antagonists for the treatment of obesity

pp 4717–4723

Laura Juanenea, Silvia Galiano, Oihana Erviti, Antonio Moreno, Silvia Pérez, Ignacio Aldana* and Antonio Monge



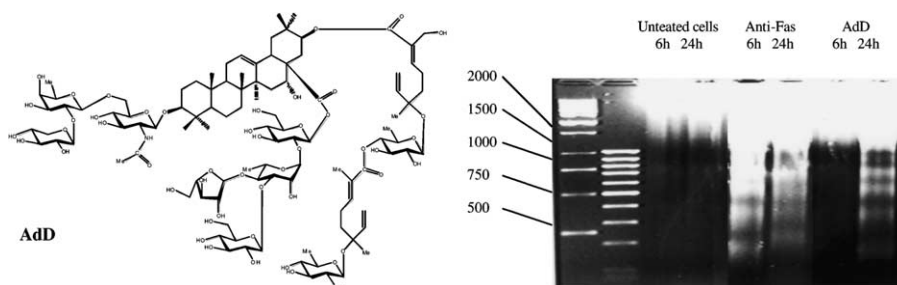
NPY is the most potent orexigenic agent known to man, with NPY Y₁ and NPY Y₅ being the receptor subtypes that are most likely responsible for centrally-mediated NPY-induced feeding responses. Based on the aforementioned, novel hydrazide derivatives were prepared for the purpose of searching new NPY Y₅ receptor antagonists. Many of the compounds exhibited nanomolar binding affinity for this receptor, affording *trans*-N-{4-[N'-(3,4-dichlorophenyl)-hydrazinocarbonyl]cyclohexylmethyl}-4-fluorobenzenesulfonamide, which showed the best activity (IC₅₀ = 0.43 nM).



Induction of apoptosis in a leukemia cell line by triterpene saponins from *Albizia adianthifolia*

pp 4725–4734

Mohamed Haddad, Véronique Laurens and Marie-Aleth Lacaille-Dubois*



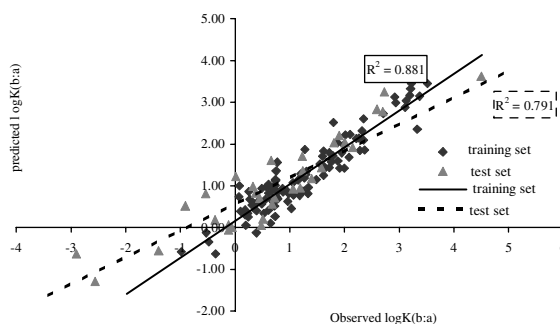
DNA ladder observed in the case of 1 μ M AdD-treated cells after 24 h.

QSPR treatment of rat blood:air, saline:air and olive oil:air partition coefficients using theoretical molecular descriptors

pp 4735–4748

Alan R. Katritzky,* Minati Kuanar, Dan C. Fara, Mati Karelson and William E. Acree, Jr.

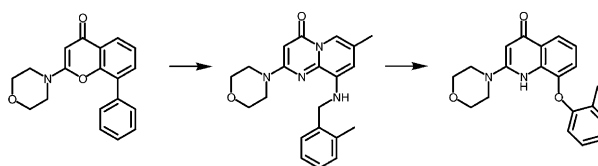
A QSPR treatment has been applied to a data set that consists of 100 diverse organic compounds to relate the logarithmic function of rat blood:air, saline:air and olive oil:air partition coefficients with theoretical molecular and fragment descriptors. Three QSPR models with squared correlation coefficients of 0.881, 0.926, and 0.922, respectively, were obtained.



Isoform-specific phosphoinositide 3-kinase inhibitors from an arylmorpholine scaffold

pp 4749–4759

Zachary A. Knight, Gary G. Chiang, Peter J. Alaimo, Denise M. Kenski, Caroline B. Ho, Kristin Coan, Robert T. Abraham and Kevan M. Shokat*



Targets:

p110 α , p110 β , p110 δ , p110 γ
DNA-PK, mTOR, CK2
PI3KC2 β , PI3KC2 γ

p110 α , p110 β , p110 δ
DNA-PK
PI3KC2 β

p110 β , p110 δ
DNA-PK




OTHER CONTENTS

Contributors to this issue
Instructions to contributors

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pp III–VII

*Corresponding author

 * Supplementary data available via ScienceDirect

COVER

2004: Overlaps of the eight known aldolase alpha-beta barrels in 2-deoxyribose-5-phosphate aldolase (DERA). Ribbon model for DERA is shown in green, with key Lys residues capable of Schiff base formation highlighted in stick figure. Reactive Lys167 is shown in yellow. DeSantis, G.; Liu, J.; Clark, D. P.; Heine, A.; Wilson, I. A.; and Wong, C.-H. *Bioorganic & Medicinal Chemistry* **2003**, *11*, 43–52.



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