



Bioorganic & Medicinal Chemistry Volume 20, Issue 1, 2012

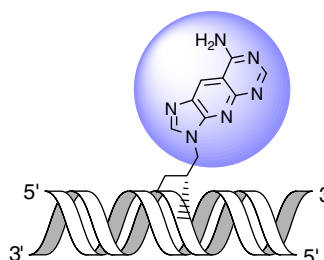
Contents

ARTICLES

Nucleic acid probe containing fluorescent tricyclic base-linked acyclonucleoside for detection of single nucleotide polymorphisms

pp 16–24

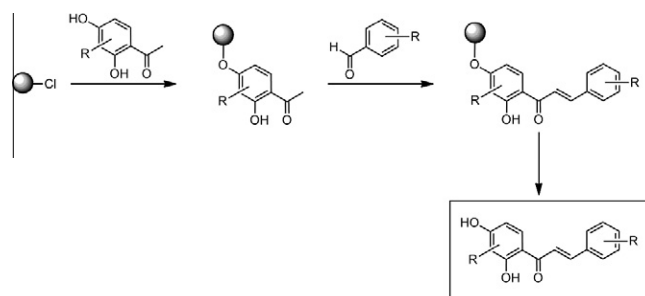
Kinji Furukawa, Mayumi Hattori, Tokimitsu Ohki, Yoshiaki Kitamura, Yukio Kitade, Yoshihito Ueno*



Solid-phase synthesis of 2'-hydroxychalcones. Effects on cell growth inhibition, cell cycle and apoptosis of human tumor cell lines

pp 25–33

Marta Perro Neves, Sara Cravo, Raquel T. Lima, M. Helena Vasconcelos, M. São José Nascimento, Artur M. S. Silva, Madalena Pinto, Honorina Cidade*, Arlene G. Corrêa*

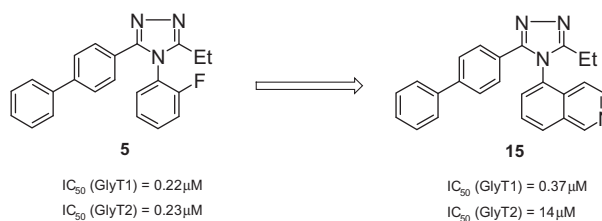


Thirty-one substituted 2'-hydroxychalcones were prepared via an aldol condensation via a solid-phase approach. Chalcones were tested for their growth inhibitory activity in three human tumor cell lines using a SRB assay. To gain further insight on the cellular mechanism of action of this class of compounds, studies of their effect on cell cycle profile as well as on induction of cellular apoptosis were also carried out.

Synthesis and biological evaluation of (4H-1,2,4-triazol-4-yl)isoquinoline derivatives as selective glycine transporter 1 inhibitors

pp 34–41

Takashi Sugane*, Takahiko Tobe, Wataru Hamaguchi, Itsuro Shimada, Kyoichi Maeno, Junji Miyata, Takeshi Suzuki, Tetsuya Kimizuka, Takuma Morita, Shuichi Sakamoto, Shin-ichi Tsukamoto

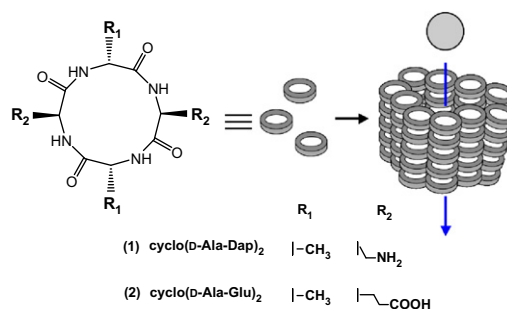


A series of 4H-1,2,4-triazole derivatives with heteroaromatic rings at the 4-position were synthesized as selective GlyT1 inhibitors. The modification of **5** led to identification of **15** with improved selectivity, solubility, and in vivo activity.

Formation of ion-selective channel using cyclic tetrapeptides

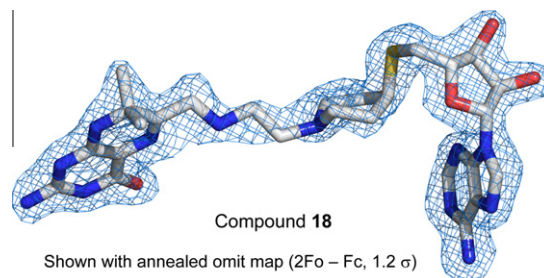
pp 42–46

Torao Suga, Satoshi Osada, Hiroaki Kodama*

**Bisubstrate analogue inhibitors of 6-hydroxymethyl-7,8-dihydropterin pyrophosphokinase: New design with improved properties**

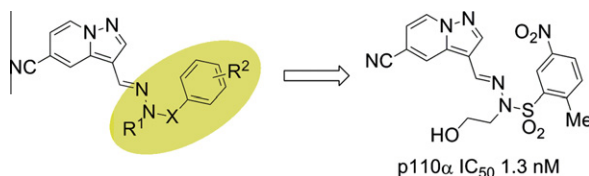
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Genbin Shi, Gary Shaw, Yu-He Liang, Priadarsini Subburaman, Yue Li, Yan Wu, Honggao Yan, Xinhua Ji*

**Novel pyrazolo[1,5-a]pyridines as p110α-selective PI3 kinase inhibitors: Exploring the benzenesulfonohydrazide SAR**

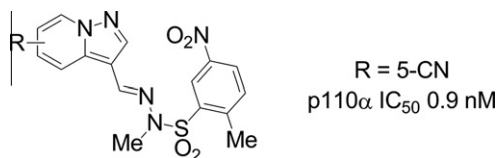
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Jackie D. Kendall*, Anna C. Giddens, Kit Yee Tsang, Raphaël Frédérick, Elaine S. Marshall, Ripudaman Singh, Claire L. Lill, Woo-Jeong Lee, Sharada Kolekar, Mindy Chao, Alisha Malik, Shuqiao Yu, Claire Chaussade, Christina Buchanan, Gordon W. Rewcastle, Bruce C. Baguley, Jack U. Flanagan, Stephen M. F. Jamieson, William A. Denny, Peter R. Shepherd

**Discovery of pyrazolo[1,5-a]pyridines as p110α-selective PI3 kinase inhibitors**

pp 69–85

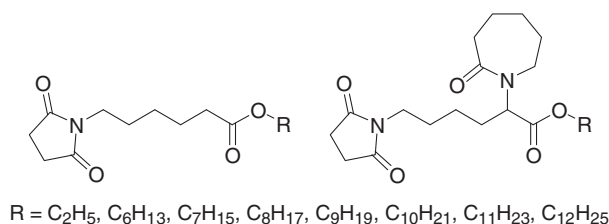
Jackie D. Kendall*, Patrick D. O'Connor, Andrew J. Marshall, Raphaël Frédérick, Elaine S. Marshall, Claire L. Lill, Woo-Jeong Lee, Sharada Kolekar, Mindy Chao, Alisha Malik, Shuqiao Yu, Claire Chaussade, Christina Buchanan, Gordon W. Rewcastle, Bruce C. Baguley, Jack U. Flanagan, Stephen M. F. Jamieson, William A. Denny, Peter R. Shepherd



Investigation of substituted 6-aminohexanoates as skin penetration enhancers

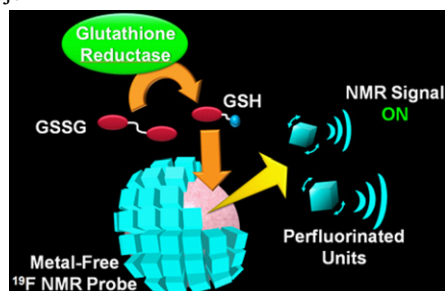
pp 86–95

Katerina Brychtova, Lenka Dvorakova, Radka Opatrilova, Ivan Raich, Sandra Kacerova, Lukas Placek, Danuta S. Kalinowski*, Des R. Richardson*, Josef Jampilek*

**Heavy metal-free ¹⁹F NMR probes for quantitative measurements of glutathione reductase activity using silica nanoparticles as a signal quencher**

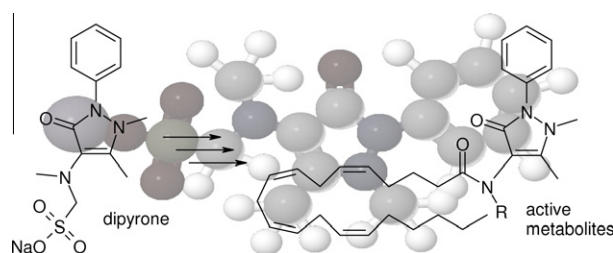
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Kazuo Tanaka, Narufumi Kitamura, Yoshiki Chujo*

**Novel bioactive metabolites of dipyrone (metamizol)**

pp 101–107

Tobias Rogosch, Christian Sinning, Agnes Podlewski, Bernhard Watzer, Joel Schlosburg, Aron H. Lichtman, Maria G. Cascio, Tiziana Bisogno, Vincenzo Di Marzo, Rolf Nüsing, Peter Imming*

**Synthesis and biological activities of 2-[(heteroaryl)methyl]imidazolines**

pp 108–116

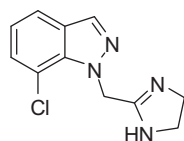
Jaroslav Saczewski*, Alan Hudson, Mika Scheinin, Apolonia Rybczynska, Daqing Ma, Franciszek Saczewski, Shayna Laird, Jonne M. Laurila, Konrad Boblewski, Artur Lehmann, Jianteng Gu, Helena Watts

Biological activity *in vitro* :

$\alpha_1 K_i = 14.1$ (nM)

$\alpha_2 K_i = 6.41$ (nM)

negligible intrinsic activity
at human α_{2A} adrenoceptor

**Circulatory effects in rats:**

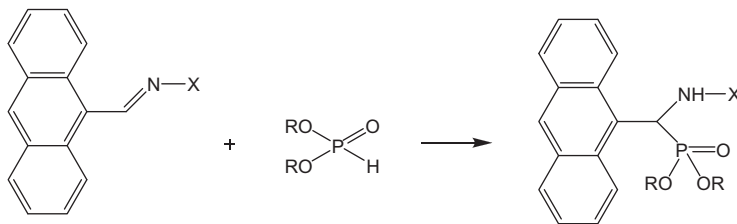
$\Delta MAP = -47.7 \pm 4.3$ mmHg

$\Delta HR = -131.8 \pm 11.5$ bpm

at dose 0.1 mg/kg i.v.

Synthesis, antiproliferative activity and genotoxicity of novel anthracene-containing aminophosphonates and a new anthracene-derived Schiff base pp 117–124

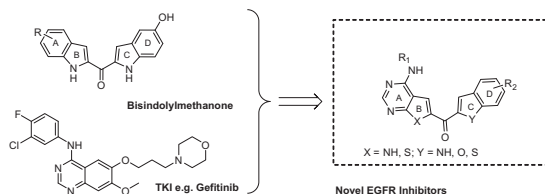
I. Kraicheva*, I. Tsacheva, E. Vodenicharova, E. Tashev, T. Tosheva, A. Kril, M. Topashka-Ancheva, I. Iliev, Ts. Gerasimova, K. Troev



Novel anthracene-containing aminophosphonates and a new anthracene-derived Schiff base were synthesized and tested for antitumor activity and safety. The compounds could be considered promising as a novel class antiproliferative agents.

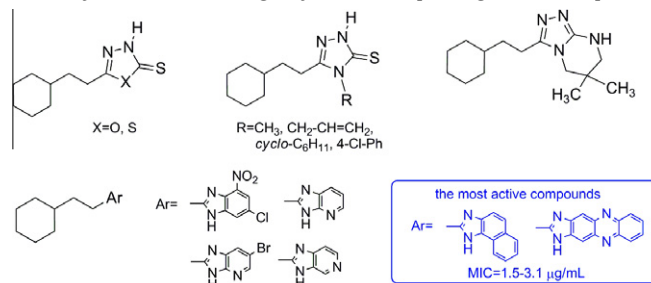
Novel inhibitors of epidermal growth factor receptor: (4-(Arylamino)-7H-pyrrolo[2,3-d]pyrimidin-6-yl)(1H-indol-2-yl)methanones and (1H-indol-2-yl)(4-(phenylamino)thieno[2,3-d]pyrimidin-6-yl)methanones pp 125–136

Thomas Beckers*, Andreas Sellmer, Emerich Eichhorn, Herwig Pongratz, Christoph Schächtele, Frank Totzke, Gerhard Kelter, Rebekka Krumbach, Heinz-Herbert Fiebig, Frank-D. Böhmer, Siavosh Mahboobi*



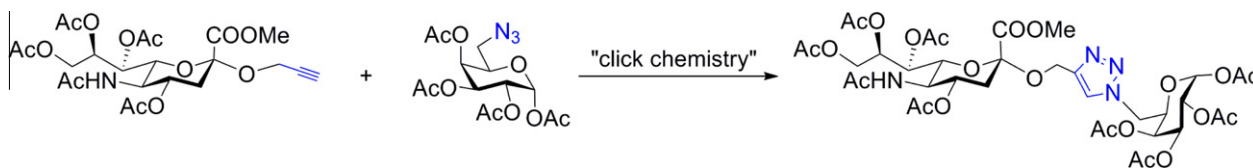
Synthesis of novel 3-cyclohexylpropanoic acid-derived nitrogen heterocyclic compounds and their evaluation for tuberculostatic activity pp 137–144

Katarzyna Gobis*, Henryk Foks, Krzysztof Bojanowski, Ewa Augustynowicz-Kopeć, Agnieszka Napiórkowska



Design, synthesis and the effect of 1,2,3-triazole sialylmimetic neoglycoconjugates on *Trypanosoma cruzi* and its cell surface *trans*-sialidase pp 145–156

Vanessa L. Campo, Renata Sesti-Costa, Zumira A. Carneiro, João S. Silva, Sergio Schenkman, Ivone Carvalho*



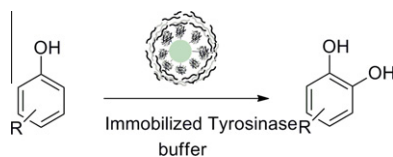
Synthesis of 1,2,3-triazole-linked sialic acid glycoside by Cu(I)-assisted 1,3-dipolar azide-alkyne cycloaddition (CuAAC) reaction.



Layer-by-Layer coated tyrosinase: An efficient and selective synthesis of catechols

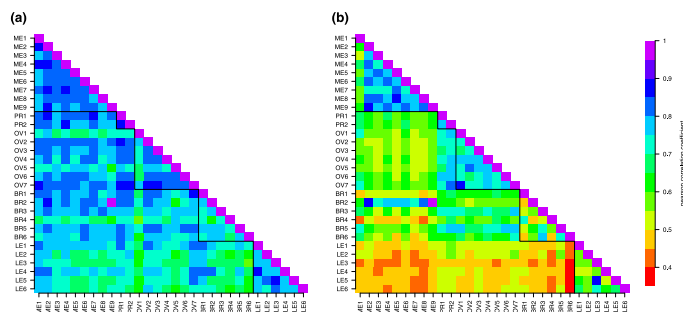
pp 157–166

Melissa Guazzaroni, Claudia Crestini, Raffaele Saladino*

**Prediction of drug efficacy for cancer treatment based on comparative analysis of chemosensitivity and gene expression data**

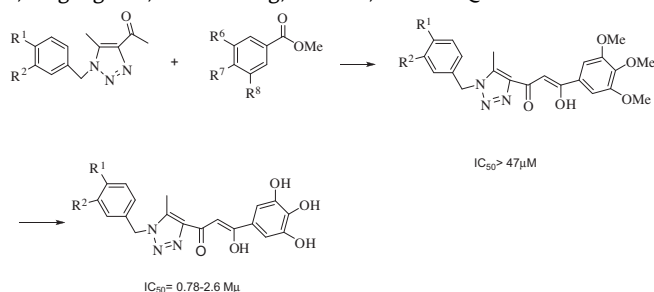
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Peng Wan, Qiyuan Li, Jens Erik Pontoppidan Larsen, Aron C. Eklund, Alexandr Parlesak, Olga Rigina, Søren Jensby Nielsen, Fredrik Björkling, Svava Ósk Jónsdóttir*

**Design and synthesis of novel β -diketo derivatives as HIV-1 integrase inhibitors**

pp 177–182

Liming Hu*, Sulei Zhang, Xianzhuo He, Zaigang Luo, Xiaoli Wang, Wei Liu, Xuemei Qin

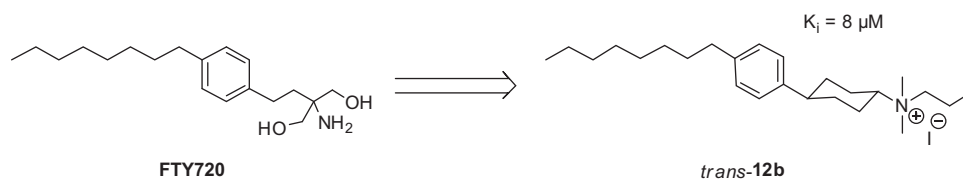


A series of novel β -diketo derivatives which combined the virtues of 1,3-diketo, 1,2,3-triazole and polyhydroxylated aromatics moieties were prepared and their HIV-1 IN inhibitory activities were evaluated. The polyhydroxylated aromatic moiety plays an important role in the inhibitory of HIV integrase.

Design, synthesis and biological activity of sphingosine kinase 2 selective inhibitors

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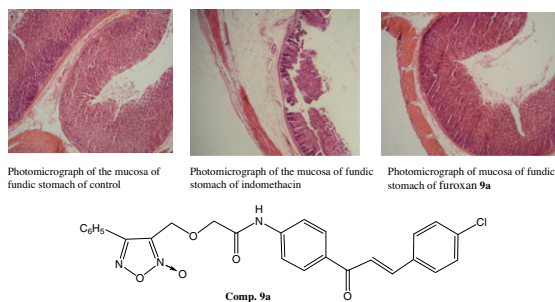
Mithun R. Raje, Kenneth Knott, Yugesh Kharel, Philippe Bissel, Kevin R. Lynch, Webster L. Santos*



Synthesis, anti-inflammatory activity and ulcerogenic liability of novel nitric oxide donating/chalcone hybrids

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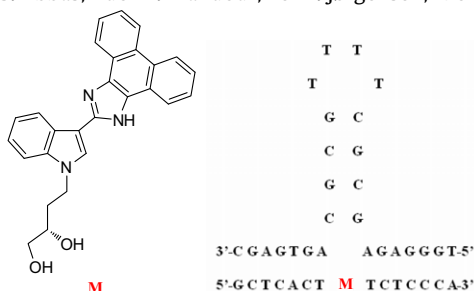
Gamal El-Din A. A. Abuo-Rahma, Mohamed Abdel-Aziz*, Mai A. E. Mourad, Hassan H. Farag



Conjugation of a 3-(1*H*-phenanthro[9,10-*d*]imidazol-2-yl)-1*H*-indole intercalator to a triplex oligonucleotide and to a three-way junction

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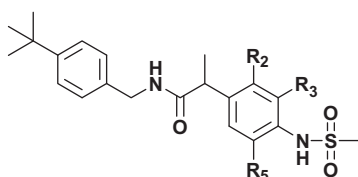
Maha I. Fatthalla, Yehya M. Elkholy, Nermeen S. Abbas, Adel H. Mandour, Per T. Jørgensen, Niels Bomholt, Erik B. Pedersen*



N-4-*t*-Butylbenzyl 2-(4-methylsulfonylaminophenyl) propanamide TRPV1 antagonists: Structure-activity relationships in the A-region

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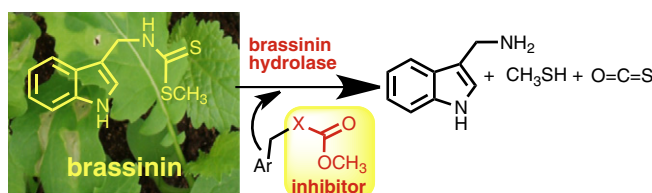
Yong Soo Kim, Min-Jung Kil, Sang-Uk Kang, HyungChul Ryu, Myeong Seop Kim, Yongsung Cho, Rahul S. Bhondwe, Shivaji A. Thorat, Wei Sun, Keliang Liu, Jin Hee Lee, Sun Choi, Larry V. Pearce, Vladimir A. Pavlyukovets, Matthew A. Morgan, Richard Tran, Jozsef Lazar, Peter M. Blumberg, Jeewoo Lee*



Discovery of inhibitors and substrates of brassinin hydrolase: Probing selectivity with dithiocarbamate bioisosteres

pp 225–233

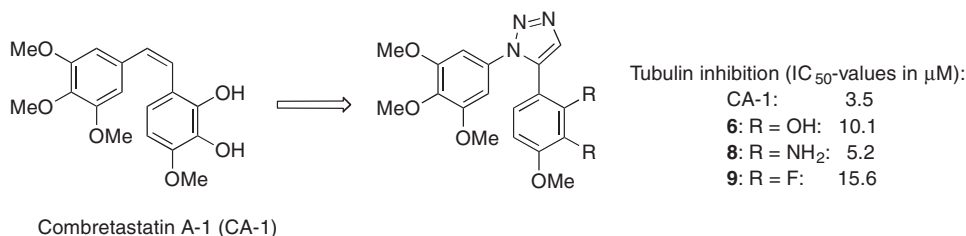
M. Soledade C. Pedras*, Zoran Minic, Sajjad Hossain



Synthesis, biological evaluation and molecular modeling of 1,2,3-triazole analogs of combretastatin A-1

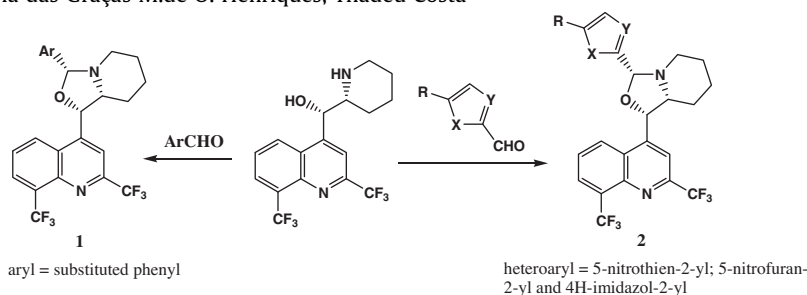
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Øyvind W. Akselsen, Kristin Odlo, Jing-Jy Cheng, Giorgio Maccari, Maurizio Botta, Trond Vidar Hansen*

**Mefloquine–oxazolidine derivatives, derived from mefloquine and arenecarbaldehydes: In vitro activity including against the multidrug-resistant tuberculosis strain T113**

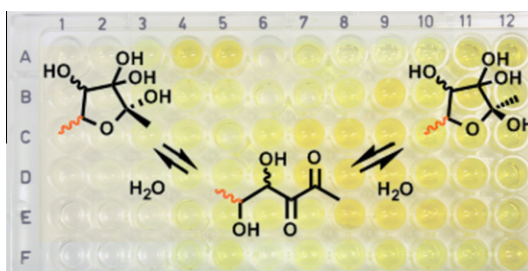
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Raoni S. B. Gonçalves, Carlos R. Kaiser, Maria C. S. Lourenço, Flavio A.F. M. Bezerra, Marcus V. N. de Souza*, James L. Wardell, Solange M.S. V. Wardell, Maria das Graças M.de O. Henriques, Thadeu Costa

**Stereochemical diversity of AI-2 analogs modulates quorum sensing in *Vibrio harveyi* and *Escherichia coli***

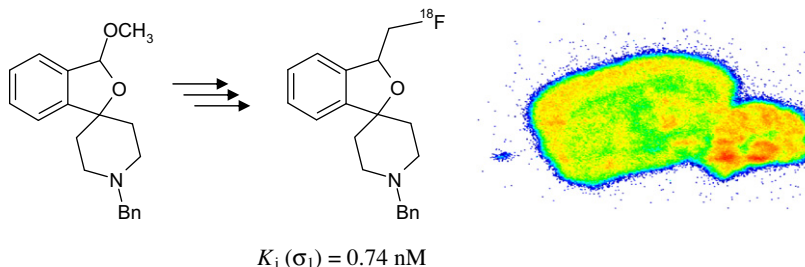
pp 249–256

Fabio Rui, João C. Marques, Stephen T. Miller, Christopher D. Maycock, Karina B. Xavier, M. Rita Ventura*

**Synthesis, radiofluorination and pharmacological evaluation of a fluoromethyl spirocyclic PET tracer for central σ_1 receptors and comparison with fluoroalkyl homologs**

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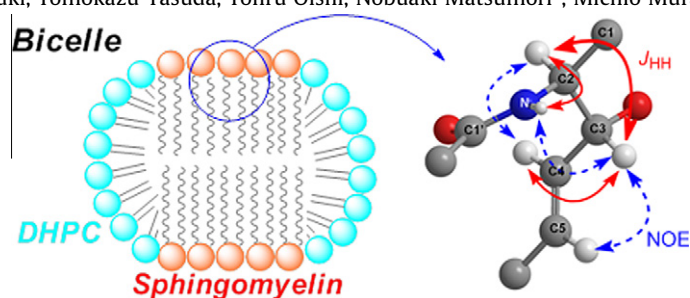
Aur lie Maisonial, Eva Gro e Maestrup, Christian Wiese, Achim Hiller, Dirk Schepmann, Steffen Fischer, Winnie Deuther-Conrad, J rg Steinbach, Peter Brust, Bernhard W nsch*



NMR-based conformational analysis of sphingomyelin in bicelles

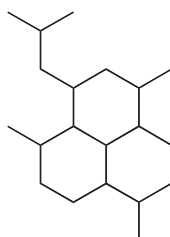
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Toshiyuki Yamaguchi, Takashi Suzuki, Tomokazu Yasuda, Tohru Oishi, Nobuaki Matsumori*, Michio Murata*

**Marine sponge *Hymeniacidon* sp. amphilectane metabolites potently inhibit rat brain microglia thromboxane B₂ generation**

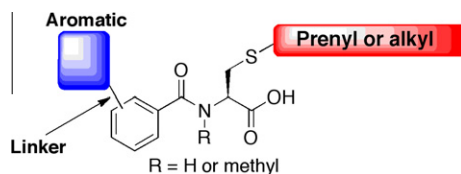
pp 279–282

Alejandro M. S. Mayer, Edward Avilés, Abimael D. Rodríguez*

**Amide-modified prenylcysteine based Icmt inhibitors: Structure–activity relationships, kinetic analysis and cellular characterization**

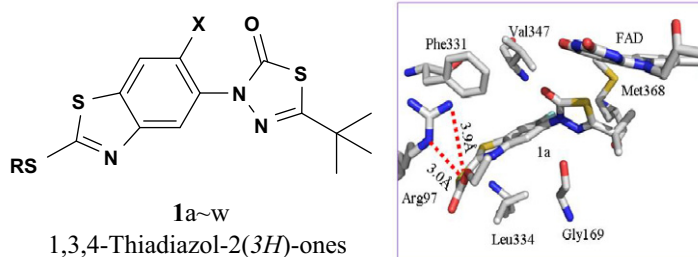
pp 283–295

Jaimeen D. Majmudar, Heather B. Hodges-Loaiza, Kalub Hahne, James L. Donelson, Jiao Song, Liza Shrestha, Marietta L. Harrison, Christine A. Hrycyna*, Richard A. Gibbs*

**Quantitative structure–activity relationships of 1,3,4-thiadiazol-2(3H)-ones and 1,3,4-oxadiazol-2(3H)-ones as human protoporphyrinogen oxidase inhibitors**

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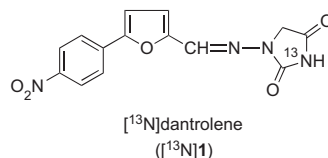
Yang Zuo, Sheng-Gang Yang, Li-Li Jiang, Ge-Fei Hao, Zhi-Fang Wang, Qiong-You Wu, Zhen Xi*, Guang-Fu Yang*



Radiosynthesis of [^{13}N]dantrolene, a positron emission tomography probe for breast cancer resistant protein, using no-carrier-added [^{13}N]ammonia

pp 305–310

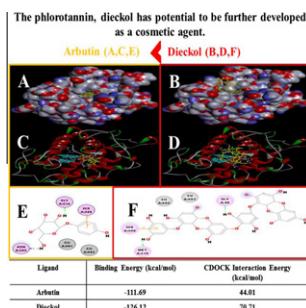
Katsushi Kumata, Masanao Ogawa, Makoto Takei, Masayuki Fujinaga, Yuichiro Yoshida, Nobuki Nengaki, Toshimitsu Fukumura, Kazutoshi Suzuki, Ming-Rong Zhang*



Molecular docking studies of a phlorotannin, dieckol isolated from *Ecklonia cava* with tyrosinase inhibitory activity

pp 311–316

Sung-Myung Kang, Soo-Jin Heo, Kil-Nam Kim, Seung-Hong Lee, Hae-Mi Yang, Areum-Daseul Kim, You-Jin Jeon*

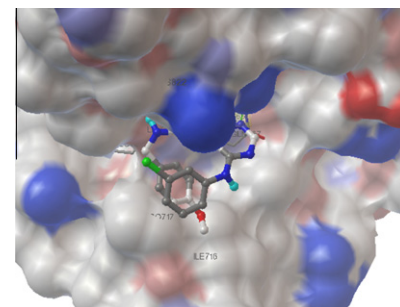


Design and synthesis of 4,6-substituted-(diarylamino)quinazolines as potent EGFR inhibitors with antitumor activity

pp 317–323

Huan-Qiu Li*, Dong-Dong Li, Xiang Lu, Yun-Yun Xu, Hai-Liang Zhu*

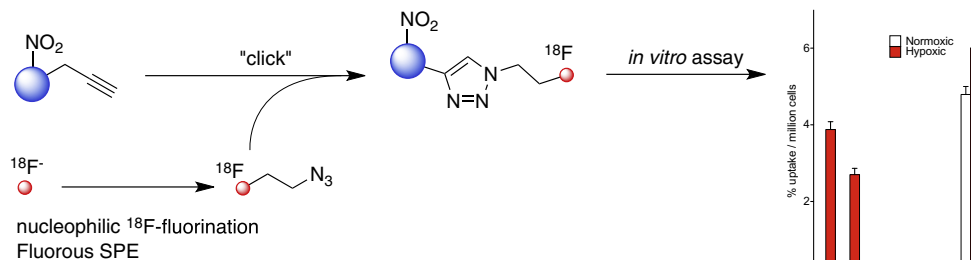
A type of novel 4,6-substituted-(diarylamino)quinazolines, which designed based on the 4-(phenylamino)quinazoline moiety, have been discovered as potential EGFR inhibitors. These compounds displayed good antiproliferative activity and EGFR-TK inhibitory activity. Especially, 4-((4-(3-bromophenylamino)quinazolin-6-ylamino)methyl)phenol (**5b**), showed the most potent inhibitory activity ($\text{IC}_{50} = 0.28 \mu\text{M}$ for Hep G2, $\text{IC}_{50} = 0.59 \mu\text{M}$ for A16-F10 and $\text{IC}_{50} = 0.87 \mu\text{M}$ for EGFR) and effectively induces apoptosis in a dose-dependent manner in the Hep G2 cell line. Molecular docking of **5b** into EGFR TK active site was also performed. This inhibitor nicely fitting the active site might well explain its excellent inhibitory activity.



A fluoros and click approach for screening potential PET probes: Evaluation of potential hypoxia biomarkers

pp 324–329

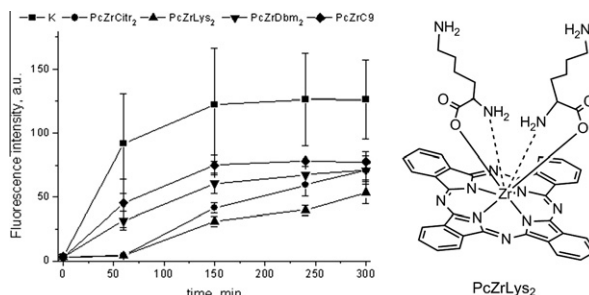
Romain Bejot*, Laurence Carroll, Kishore Bhakoo, Jérôme Declerck, Veronique Gouverneur



Studies of anti-fibrillogenic activity of phthalocyanines of zirconium containing out-of-plane ligands

pp 330–334

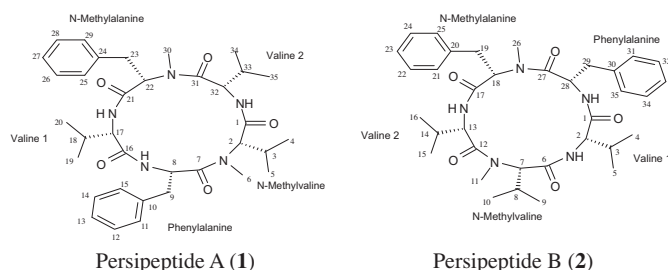
Vladyslava Kovalska*, Mykhaylo Losytskyy, Viktor Chernii, Kateryna Volkova, Iryna Tretyakova, Vsevolod Cherepanov, Sergiy Yarmoluk, Sergiy Volkov



Persipeptides A and B, two cyclic peptides from *Streptomyces* sp. UTM 1154

pp 335–339

Fatemeh Mohammadipanah, Joshat Matasyoh, Javad Hamed, Hans-Peter Klenk, Hartmut Laatsch*



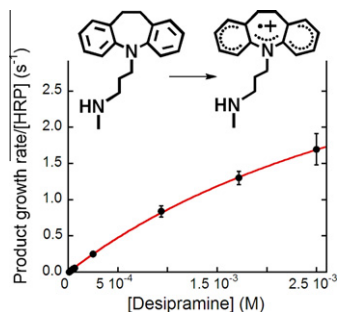
Two new N-methylated cyclopeptides, persipeptide A (1) and B (2), have been isolated from *Streptomyces* sp. UTM1154. According to Marfey's method, all amino acids had the L-configuration. The two cyclic peptides had the same ring size and amino acid composition.



Reactive metabolites of desipramine and clomipramine: The kinetics of formation and reactivity with DNA

pp 340–345

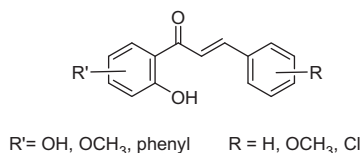
Ekaterina A. Korobkova*, John Nemeth, Mikeisha Cadougan, Abhishek Venkatratnam, Mohanram Bassit, Nikolay Azar



Investigation of chalcones and benzochalcones as inhibitors of breast cancer resistance protein

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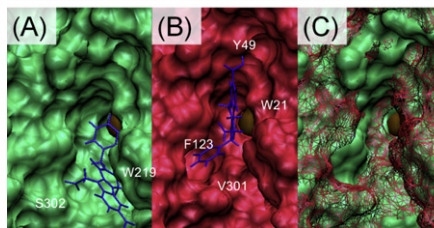
Kapil Juvala, Veronika F. S. Pape, Michael Wiese*



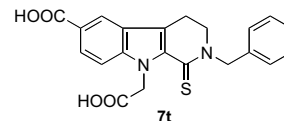
Design, synthesis, and biological evaluation of novel (1-thioxo-1,2,3,4-tetrahydro- β -carbolin-9-yl)acetic acids as selective inhibitors for AKR1B1

pp 356–367

Daisuke Minehira, Daisuke Takeda, Hirokazu Urata, Atsushi Kato*, Isao Adachi, Xu Wang, Yuji Matsuya, Kenji Sugimoto, Mayuko Takemura, Satoshi Endo, Toshiyuki Matsunaga, Akira Hara, Jun Koseki, Kayo Narukawa, Shuichi Hirono, Naoki Toyooka*



The binding conformation of the **7t** (blue stick) for AKR1B1 (A) and for AKR1B10 (B), and the difference of binding pocket (C) between AKR1B1 (green solid surface) and AKR1B10 (red wireframe).

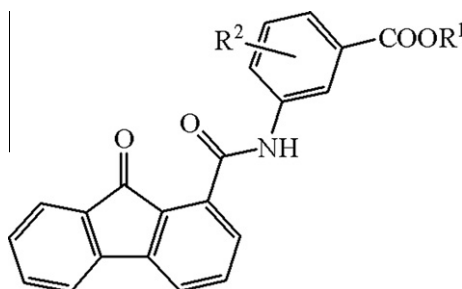


7t
AKR1B1 (IC_{50} = 0.17 μ M)
Selectivity : AKR1B1 vs AKR1A1 (312 : 1)
AKR1B1 vs AKR1B10 (253 : 1)

Structure-based redesign of an edema toxin inhibitor

pp 368–376

Deliang Chen, Lili Ma, John J. Kanalas, Jian Gao, Jennifer Pawlik, Maria Estrella Jimenez, Mary A. Walter, Johnny W. Peterson, Scott R. Gilbertson, Catherine H. Schein*



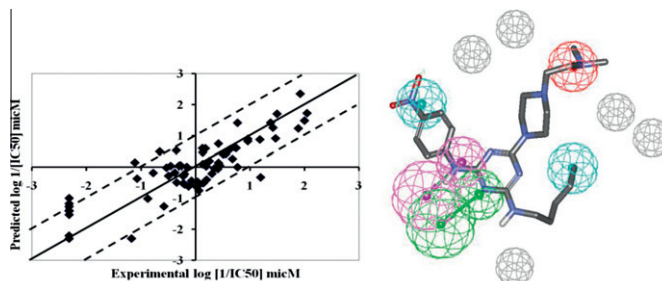
Derivatives of (3-[(9-oxo-9H-fluorene-1-carbonyl)-amino]-benzoic acid) were synthesized to obtain other acceptable inhibitors of edema toxin (EF) catalyzed stimulation of cyclic AMP production in monocyte-macrophage cells.



Elaborate ligand-based modeling and subsequent synthetic exploration unveil new nanomolar Ca^{2+} /calmodulin-dependent protein kinase II inhibitory leads

pp 377–400

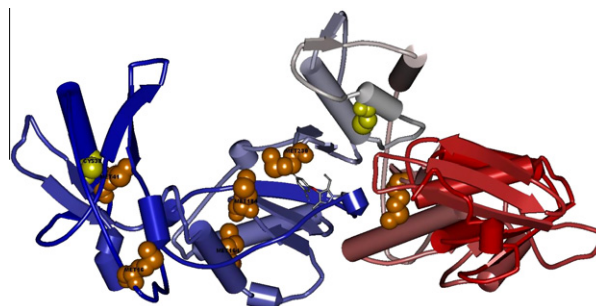
Rand Shahin, Mutasem O. Taha*



Modification of HIV-1 reverse transcriptase and integrase activity by gold(III) complexes in direct biochemical assays

pp 401–407

Morore Mphahlele, Maria Papathanasopoulos, Maria Agostina Cinellu, Mabel Coyanis, Salerwe Mosebi, Telisha Traut, Refilwe Modise, Judy Coates, Raymond Hewer*

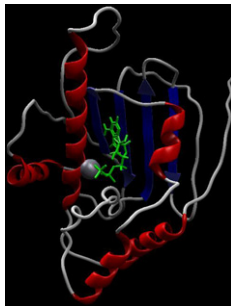


Schematic model of HIV-1 reverse transcriptase (RT) illustrating the positions of cysteine residues (in yellow) and methionine residues (in orange). In this manuscript, gold(III) compounds are shown to reduce HIV-1 RT activity, potentially through the oxidation of these residues.

Prediction of inhibitory activities of Hsp90 inhibitors

pp 408–414

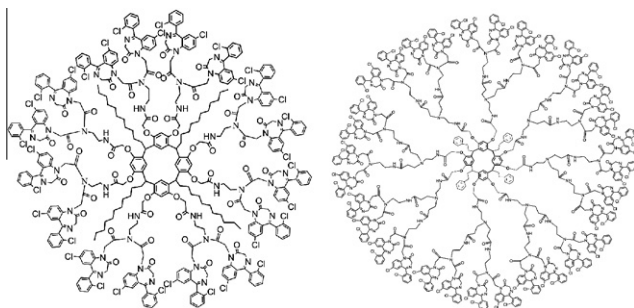
Paolo Swuec, David J. Barlow*



Synthesis of 5-aryl-1,4-benzodiazepine derivatives attached in resorcinaren-PAMAM dendrimers and their anti-cancer activity

pp 415–421

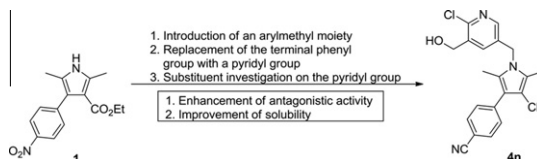
Sandra Cortez-Maya, Simón Hernández-Ortega, Teresa Ramírez-Apan, Irina V. Lijanova, Marcos Martínez-García*



Design, synthesis, and biological evaluation of 4-phenylpyrrole derivatives as novel androgen receptor antagonists

pp 422–434

Satoshi Yamamoto*, Nobuyuki Matsunaga, Takenori Hitaka, Masami Yamada, Takahito Hara, Junichi Miyazaki, Takashi Santou, Masami Kusaka, Masuo Yamaoka, Naoyuki Kanzaki, Shuichi Furuya, Akihiro Tasaka, Kazumasa Hamamura, Mitsuihiro Ito

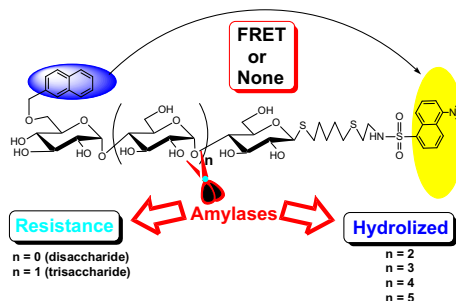


A series of 4-phenylpyrrole derivatives were designed, synthesized, and evaluated for their potential as novel orally available androgen receptor antagonists therapeutically effective against castration-resistant prostate cancers.

Synthetic studies of bi-fluorescence-labeled maltooligosaccharides as substrates for α -amylase on the basis of fluorescence resonance energy transfer (FRET)

pp 435–445

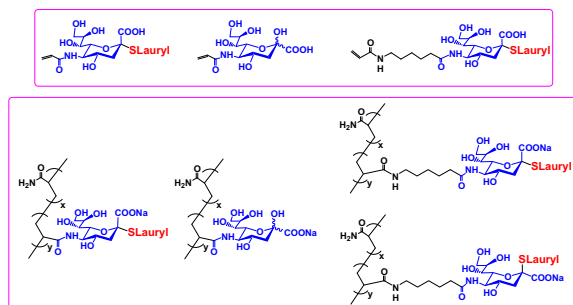
Hiroyuki Oka, Tetsuo Koyama, Ken Hatano, Koji Matsuoka*



Synthesis and biological evaluation of sialic acid derivatives containing a long hydrophobic chain at the anomeric position and their C-5 linked polymers as potent influenza virus inhibitors

pp 446–454

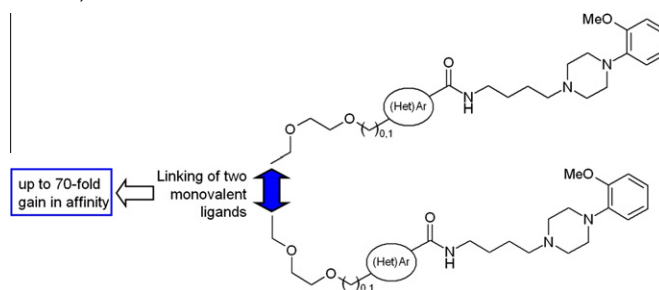
Kaori Suzuki, Tetsuo Koyama, Sangchai Yingsakmongkon, Yasuo Suzuki, Ken Hatano, Koji Matsuoka*



Bivalent molecular probes for dopamine D₂-like receptors

pp 455–466

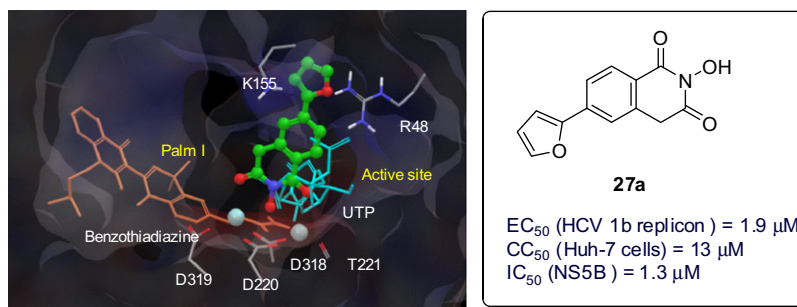
Daniela Huber, Stefan Löber, Harald Hübner, Peter Gmeiner*



The design, synthesis and biological evaluations of C-6 or C-7 substituted 2-hydroxyisoquinoline-1,3-diones as inhibitors of hepatitis C virus

pp 467–479

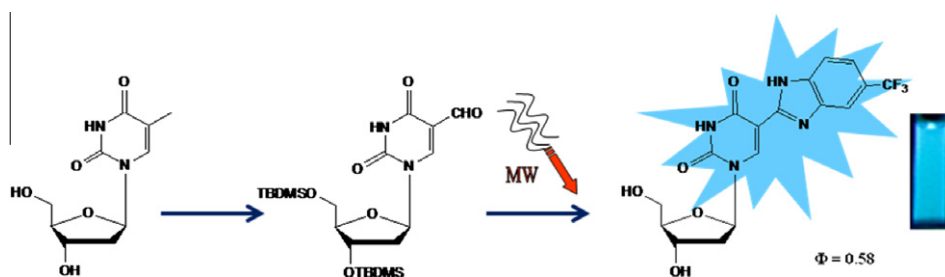
Yue-Lei Chen, Jing Tang, Matthew J. Kesler, Yuk Y. Sham, Robert Vince, Robert J. Geraghty, Zhengqiang Wang*



Efficient microwave-assisted synthesis, antibacterial activity and high fluorescence of 5 benzimidazolyl-2'-deoxyuridines

pp 480–486

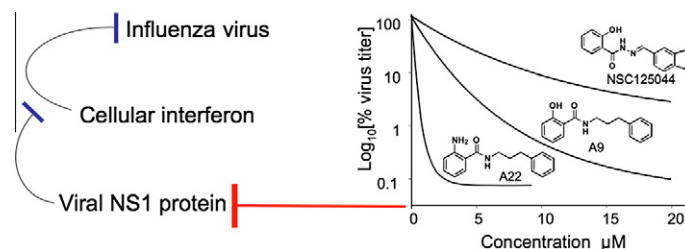
Jamal Krim, Christian Grünewald, Moha Taourirte, Joachim W. Engels*



Design, synthesis, and evaluation of novel small molecule inhibitors of the influenza virus protein NS1

pp 487–497

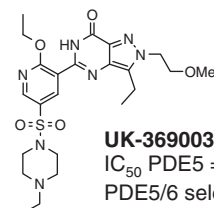
Joseph J. Jablonski, Dipwanita Basu, Daniel A. Engel*, H. Mario Geysen

**The discovery of UK-369003, a novel PDE5 inhibitor with the potential for oral bioavailability and dose-proportional pharmacokinetics**

pp 498–509

David J. Rawson*, Stephen Ballard, Christopher Barber, Laura Barker, Kevin Beaumont, Mark Bunnage, Susan Cole, Martin Corless, Stephen Denton, David Ellis, Marion Floc'h, Laura Foster, James Gosset, Frances Holmwood, Charlotte Lane, David Leahy, John Mathias, Graham Maw, William Million, Cedric Poinard, Jenny Price, Rachel Russel, Stephen Street, Lesa Watson

A potent series of PDE5 inhibitors has been synthesised which show PDE5 potency, selectivity over PDE6, metabolic stability and flux in the Caco-2 model of membrane permeability. The lead compound, UK-369003 (**19a**), has been progressed to man and shows efficacy in patients with lower urinary tract symptoms associated with benign prostate hyperplasia.

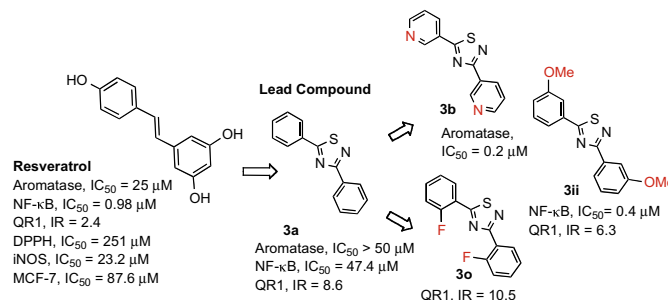


UK-369003 (19a)
 IC_{50} PDE5 = 1.23 nM
 PDE5/6 selectivity = 117

Optimizing thiadiazole analogues of resveratrol versus three chemopreventive targets

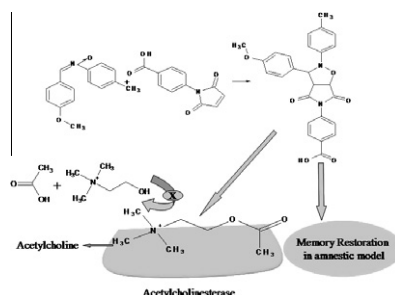
pp 510–520

Abdelrahman S. Mayhoub, Laura Marler, Tamara P. Kondratyuk, Eun-Jung Park, John M. Pezzuto, Mark Cushman*

**Synthesis and evaluation of novel 4-[(3H,3aH,6aH)-3-phenyl]-4,6-dioxo-2-phenyldihydro-2H-pyrrolo[3,4-d]isoxazol-5(3H,6H,6aH)-yl]benzoic acid derivatives as potent acetylcholinesterase inhibitors and anti-amnesic agents**


pp 521–530

Preet Anand, Baldev Singh*



OTHER CONTENTS**CORRIGENDA****p 531**

*Corresponding author

* Supplementary data available via SciVerse ScienceDirect**COVER**

Dipyrone (metamizol) is a common antipyretic drug and the most popular non-opioid analgesic in many countries. In spite of its long and widespread use, molecular details of its fate in the body are not fully known. Two unknown metabolites were now found, viz. arachidonoyl amides, and positively tested for cannabis receptor binding (CB1 and CB2) and cyclooxygenase inhibition. Two more puzzle pieces of the dipyrone story found! (Rogosch, T.; Sinning, C.; Podlewski, A.; Watzer, B.; Schlosburg, J.; Lichtman, A.H.; Cascio, M.G.; Bisogno, T.; Di Marzo, V.; Nüsing, R.; Imming, P. *Bioorg. Med. Chem.* **2012**, 20, 103–109.]

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