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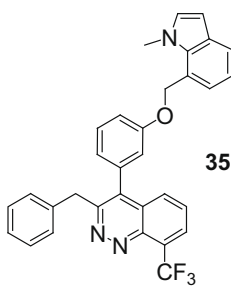
Bioorganic & Medicinal Chemistry Vol. 17, No. 10, 2009

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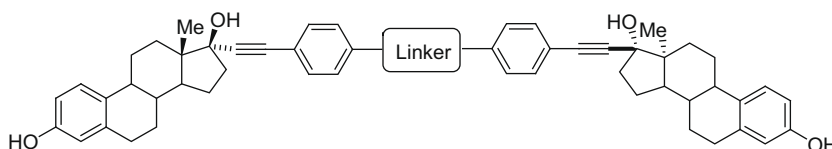
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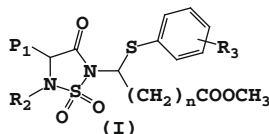
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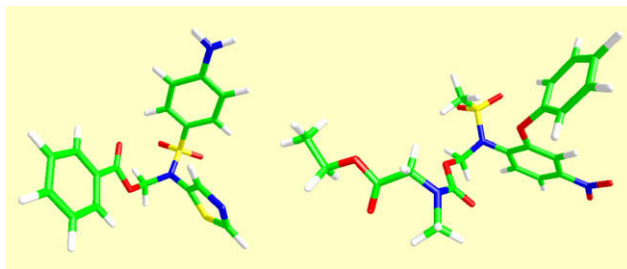
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pp 3543–3556

I. Massarelli, M. Macchia, F. Minutolo, G. Prota, A. M. Bianucci*

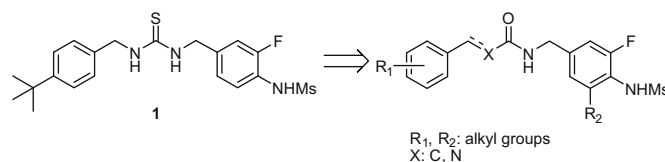


Compounds showing different enzymatic hydrolysis rates: very fast (left) and very slow (right).

**Design, synthesis, and biological evaluation of novel diarylalkyl amides as TRPV1 antagonists**

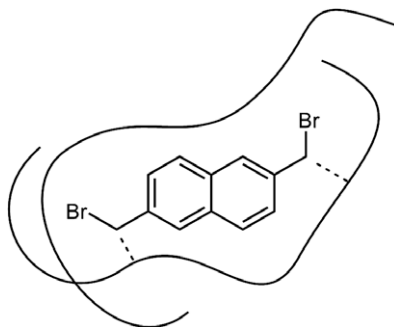
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**Unique behavior of 2,6-bis(bromomethyl)naphthalene as a highly active organic DNA crosslinking molecule**

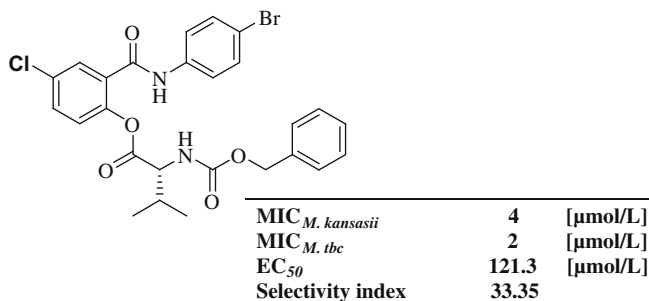
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**New antituberculotics originated from salicylanilides with promising in vitro activity against atypical mycobacterial strains**

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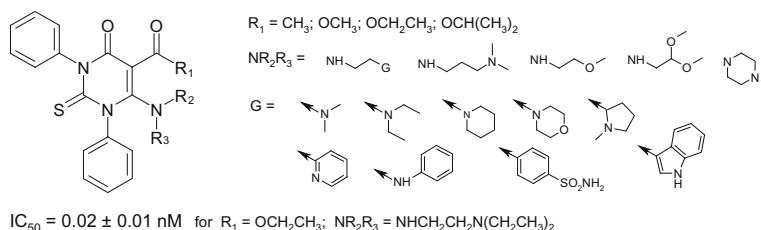
Aleš Imramovský*, Jarmila Vinšová*, Juana Monreal Férriz, Rafael Doležal, Josef Jampílek, Jarmila Kaustová, Filip Kunc



6-Amino-4-oxo-1,3-diphenyl-2-thioxo-1,2,3,4-tetrahydropyrimidine-5-carbonyl derivatives as a new class of potent inhibitors of Interleukin-8-induced neutrophil chemotaxis

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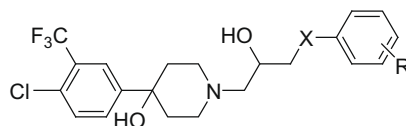
Sara Cesarini*, Andrea Spallarossa, Angelo Ranise, Olga Bruno, Nicoletta Arduino, Maria Bertolotto, Franco Dallegrì, Massimiliano Tognolini, Thomas Gobetti, Elisabetta Barocelli



Discovery, synthesis, and biological evaluation of piperidinol analogs with anti-tuberculosis activity

pp 3588–3594

Dianqing Sun, Michael S. Scherman, Victoria Jones, Julian G. Hurdle, Lisa K. Woolhiser, Susan E. Knudson, Anne J. Lenaerts, Richard A. Slayden, Michael R. McNeil, Richard E. Lee*



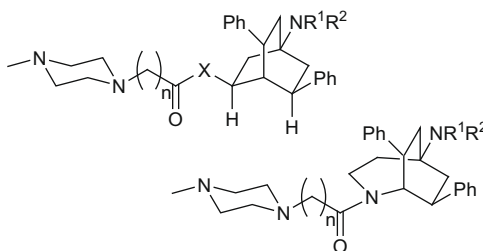
A novel series of piperidinol analogs have been discovered to have good anti-tuberculosis activity.



Antiplasmodial and antitrypanosomal activity of bicyclic amides and esters of dialkylamino acids

pp 3595–3603

Johanna Faist, Werner Seebacher, Christian Schlapper, Marcel Kaiser, Reto Brun, Robert Saf, Robert Weis*

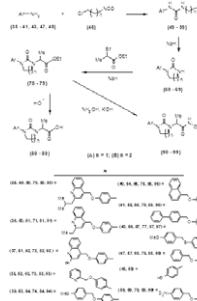


The esters were the more active compounds, exhibiting good antitrypanosomal and remarkable antiplasmodial activity.

Studies on novel 2-imidazolidinones and tetrahydropyrimidin-2(1H)-ones as potential TACE inhibitors: Design, synthesis, molecular modeling, and preliminary biological evaluation

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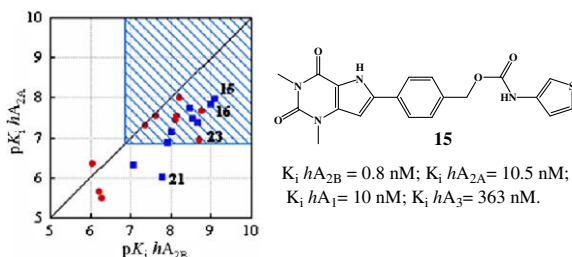
Shirshendu DasGupta, Prashant R. Murumkar, Rajani Giridhar, Mange Ram Yadav*



1,3-Dialkyl-8-N-substituted benzyloxycarbonylamino-9-deazaxanthines as potent adenosine receptor ligands: Design, synthesis, structure–affinity and structure–selectivity relationships

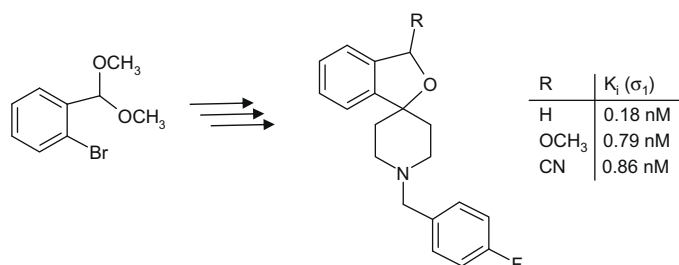
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Franco Fernández, Olga Caamaño, M. Isabel Nieto, Carmen López, Xerardo García-Mera, Angela Stefanachi, Orazio Nicolotti, M. Isabel Loza, Jose Brea, Cristina Esteve, Victor Segarra, Bernat Vidal, Angelo Carotti*


Synthesis of spirocyclic σ_1 receptor ligands as potential PET radiotracers, structure–affinity relationships and in vitro metabolic stability

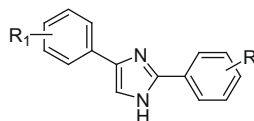
pp 3630–3641

Eva Große Mastrup, Christian Wiese, Dirk Schepmann, Achim Hiller, Steffen Fischer, Matthias Scheunemann, Peter Brust, Bernhard Wünsch*


2,4(5)-Diarylimidazoles as inhibitors of hNav1.2 sodium channels: Pharmacological evaluation and structure–property relationships

pp 3642–3648

Marco Fantini, Mirko Rivara, Valentina Zuliani*, Christopher L. Kalmar, Federica Vacondio, Claudia Silva, Aparna R. Baheti, Natasha Singh, Ellen C. Merrick, Ravi S. Katari, Giuseppe Cocconcelli, Chiara Ghiron, Manoj K. Patel

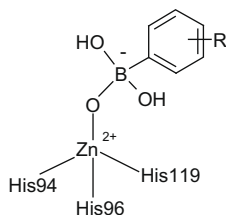


The synthesis, biological evaluation for block of hNav1.2 sodium channels and physico-chemical properties of a series of 2,4(5)-diarylimidazoles with different substituents on phenyl rings are described and discussed. The most active compound obtained inhibits hNav1.2 currents within the nanomolar concentration range.

Carbonic anhydrase inhibitors. Inhibition of the human cytosolic isoforms I and II and transmembrane, tumor-associated isoforms IX and XII with boronic acids

pp 3649–3652

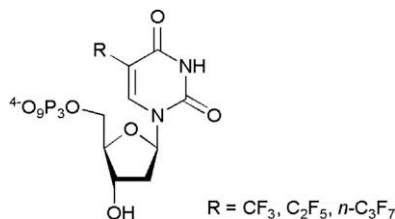
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Enzymatic synthesis of perfluoroalkylated DNA

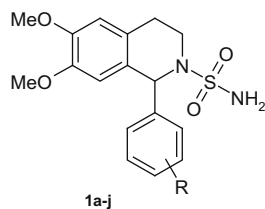
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Bastian Holzberger, Andreas Marx*

**Synthesis and evaluation of pharmacological profile of 1-aryl-6,7-dimethoxy-3,4-dihydroisoquinoline-2(1H)-sulfonamides**

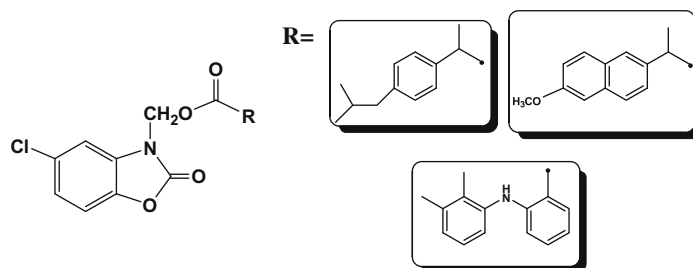
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Rosaria Gitto*, Stefania Ferro, Stefano Agnello, Laura De Luca, Giovanbattista De Sarro, Emilio Russo, Daniela Vullo, Claudiu T. Supuran, Alba Chimirri

**Chlorzoxazone esters of some non-steroidal anti-inflammatory (NSAI) carboxylic acids as mutual prodrugs: Design, synthesis, pharmacological investigations and docking studies**

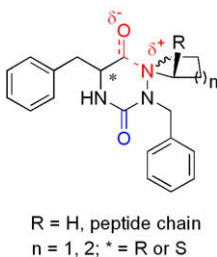
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Ahmed Z. Abdel-Azeem, Atef A. Abdel-Hafez*, Gamal S. El-Karamany, Hassan H. Farag

**On the inhibition of HIV-1 protease by hydrazino-ureas displaying the $N \rightarrow C=O$ interaction**

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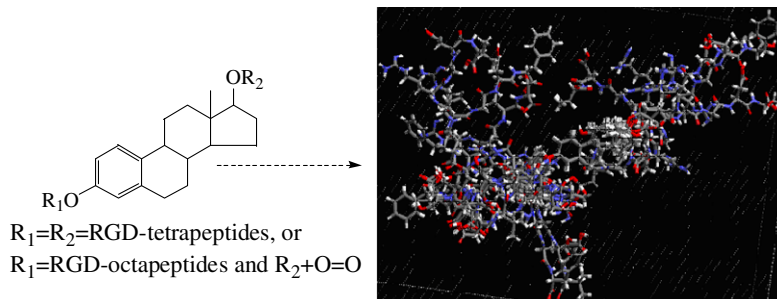
Michael Waibel, Delphine Pitrat, Jens Hasserodt*



3D QSAR of novel estrogen–RGD peptide conjugates: Getting insight into structural dependence of anti-osteoporosis activity and side effect of estrogen in ERT

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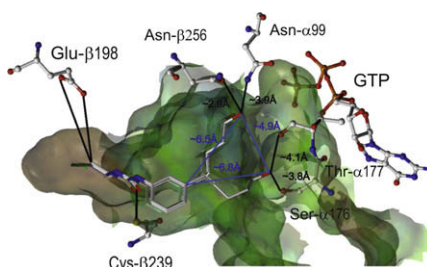
Ming Zhao, Jiangyuan Liu, Xiaoyi Zhang, Li Peng, Chunyu Li, Shiqi Peng*



Mechanism of action of *N*-phenyl-*N'*-(2-chloroethyl)ureas in the colchicine-binding site at the interface between α - and β -tubulin

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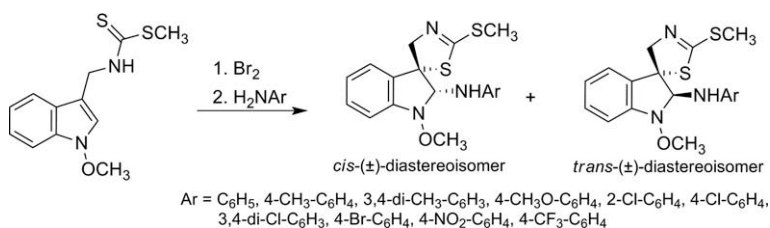
Sébastien Fortin*, Lianhu Wei, Emmanuel Moreau, Philippe Labrie, Éric Petitclerc, Lakshmi P. Kotra, René C.-Gaudreault*



2-(Substituted phenyl)amino analogs of 1-methoxyspirobrassinol methyl ether: Synthesis and anticancer activity

pp 3698–3712

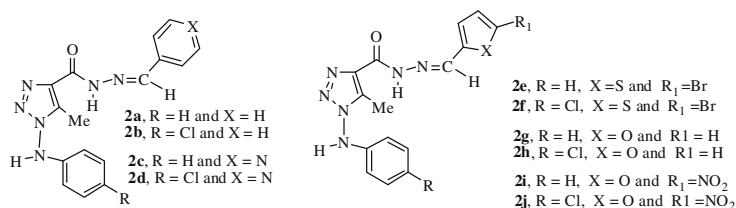
Peter Kutschy*, Aneta Salayová, Zuzana Čurillová, Tibor Kožár, Roman Mezencev, Ján Mojžiš, Martina Pilátová, Eva Balentová, Pavel Pazdera, Marián Sabol, Michaela Zburová



Synthesis, antiplatelet and in silico evaluations of novel *N*-substituted-phenylamino-5-methyl-1*H*-1,2,3-triazole-4-carbohydrazides

pp 3713–3719

Alessandro K. Jordão, Vitor F. Ferreira*, Emerson S. Lima, Maria C. B. V. de Souza, Eduardo C. L. Carlos, Helena C. Castro, Reinaldo B. Geraldo, Carlos R. Rodrigues, Maria C. B. Almeida, Anna C. Cunha*

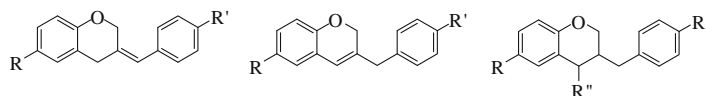


We described the synthesis, antiplatelet and in silico evaluations of novel *N*-substituted-phenylamino-5-methyl-1*H*-1,2,3-triazole-4-carbohydrazides **2a–j**. Among these *NAH* derivatives, the compounds **2a**, **2c**, **2e**, **2g** and **2h** were the most promising molecules with significant antiplatelet activity.

Synthesis and antirhinovirus activity of new 3-benzyl chromene and chroman derivatives

pp 3720–3727

Cinzia Conti, Nicoletta Desideri *

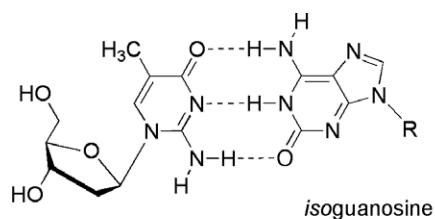


A series of 3-benzyl chromene and chroman were synthesized and evaluated for their anti-rhinovirus activity in cell cultures. Several compounds were proved to be both potent and selective HRV 1B inhibitors.

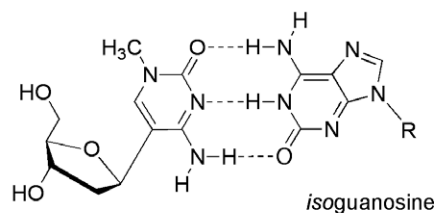
2'-Deoxy-1-methylpseudocytidine, a stable analog of 2'-deoxy-5-methylisocytidine

pp 3728–3732

Hyo-Joong Kim, Nicole A. Leal, Steven A. Benner *



2'-deoxy-5-methylisocytidine

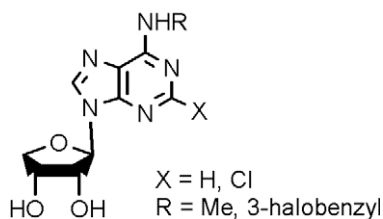


1-deaza-5-aza-5-methyl-isocytidine
(2'-deoxy-1-methylpseudocytidine)
Chemically more stable, cheaper

Structure–activity relationships of truncated adenosine derivatives as highly potent and selective human A₃ adenosine receptor antagonists

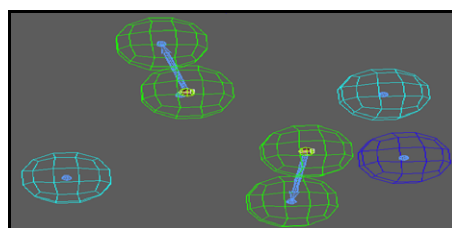
pp 3733–3738

Shantanu Pal, Won Jun Choi, Seung Ah Choe, Cara L. Heller, Zhan-Guo Gao, Moshe Chinn, Kenneth A. Jacobson, Xiyan Hou, Sang Kook Lee, Hea Ok Kim, Lak Shin Jeong *

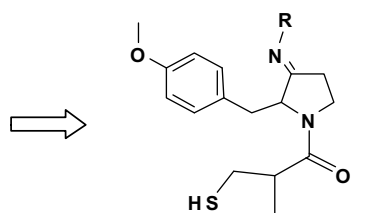
**ACE inhibitors hypothesis generation for selective design, synthesis and biological evaluation of 3-mercapto-2-methyl-propanoyl-pyrrolidine-3-imine derivatives as antihypertensive agents**

pp 3739–3746

Mohamed A. H. Ismail *, M. Nabil Aboul-Enein, Khaled A. M. Abouzid, Dalal A. Abou El Ella, Nasser S. M. Ismail




ACE inhibitors hypothesis



1-(3-mercapto-2-methyl-propanoyl)
pyrrolidine-3-imine derivatives (VIa-e)

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*Corresponding author

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An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (<http://www.pymol.org>). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, 102, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, 61(6), 355–360].

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