



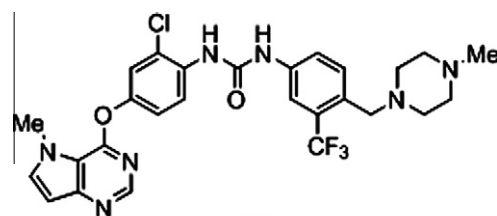
Bioorganic & Medicinal Chemistry Volume 18, Issue 20, 2010

Contents

ARTICLES

***N*-Phenyl-*N'*-[4-(5*H*-pyrrolo[3,2-*d*]pyrimidin-4-yloxy)phenyl]ureas as novel inhibitors of VEGFR and FGFR kinases** pp 7150–7163

Yuya Oguro*, Naoki Miyamoto, Terufumi Takagi, Kengo Okada, Yoshiko Awazu, Hiroshi Miki, Akira Hori, Keiji Kamiyama, Shinichi Imamura

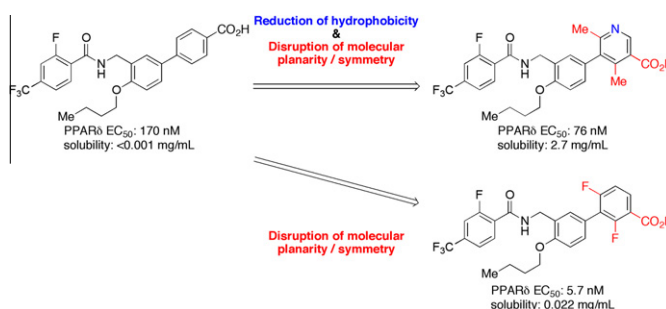


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VEGFR2 IC₅₀ = 9.0 nM
FGFR1 IC₅₀ = 14 nM

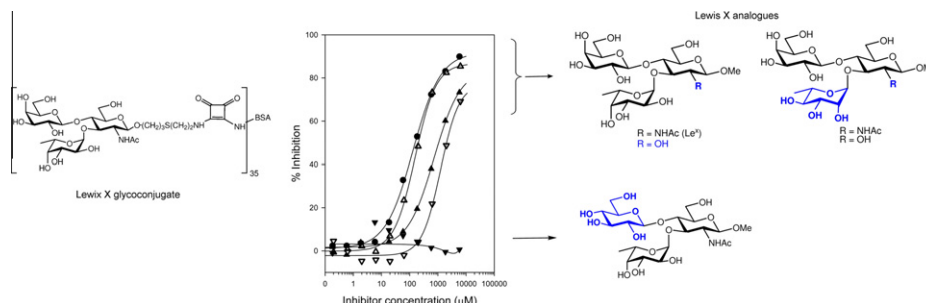
Improvement of water-solubility of biarylcarboxylic acid peroxisome proliferator-activated receptor (PPAR) δ-selective partial agonists by disruption of molecular planarity/symmetry pp 7164–7173

Jun-ichi Kasuga, Minoru Ishikawa*, Mitsuhiro Yonehara, Makoto Makishima, Yuichi Hashimoto, Hiroyuki Miyachi*



Synthesis of a BSA-Le^x glycoconjugate and recognition of Le^x analogues by the anti-Le^x monoclonal antibody SH1: The identification of a non-cross reactive analogue pp 7174–7185

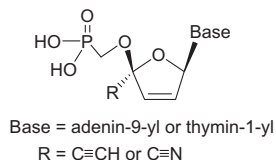
Jo-Wen Wang, Ari Asnani, France-Isabelle Auzanneau*



Synthesis and anti-HIV-1 evaluation of phosphonates which mimic the 5'-monophosphate of 4'-branched 2',3'-dideohydro-2',3'-dideoxy nucleosides

pp 7186–7192

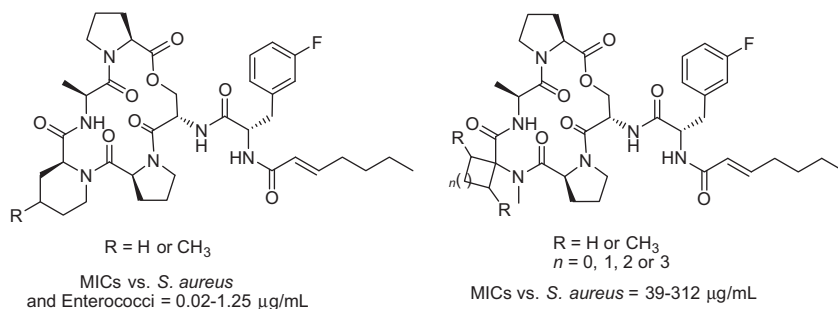
Yutaka Kubota*, Nobuhide Ishizaki, Kazuhiro Haraguchi, Takayuki Hamasaki, Masanori Baba, Hiromichi Tanaka



Diversity-oriented synthesis of cyclic acyldepsipeptides leads to the discovery of a potent antibacterial agent

pp 7193–7202

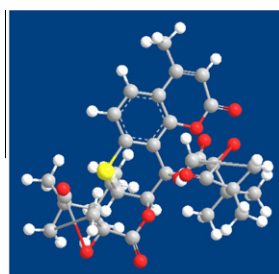
Aaron M. Socha, Nicholas Y. Tan, Kerry L. LaPlante, Jason K. Sello*



Anti-AIDS agents 84. Synthesis and anti-human immunodeficiency virus (HIV) activity of 2'-monomethyl-4-methyl- and 1'-thia-4-methyl-(3'R,4'R)-3',4'-di-O-(S)-camphanoyl-(+)-cis-khellactone (DCK) analogs

pp 7203–7211

Shi-Qing Xu, Xin Yan, Ying Chen*, Peng Xia*, Keduo Qian, Donglei Yu, Yi Xia, Zheng-Yu Yang, Susan L. Morris-Natschke, Kuo-Hsiung Lee*



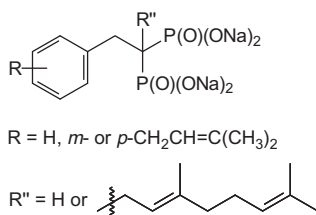
1'-thia-2'-monomethyl-DCK analog



Synthesis and biological evaluation of a series of aromatic bisphosphonates

pp 7212–7220

Rocky J. Barney, Brian M. Wasko, Amel Dudakovic, Raymond J. Hohl, David F. Wiemer*



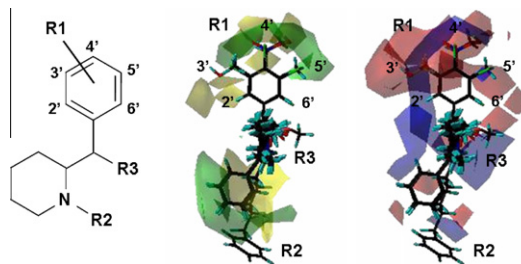
A series of isoprenoid bisphosphonates has been prepared where an aromatic ring has been used to replace one of the isoprenoid olefins. These compounds have been investigated for their ability to inhibit protein geranylgeranylation in enzyme assays and within cells.



Quantitative structure–activity relationship studies of *threo*-methylphenidate analogs

pp 7221–7238

Milind Misra, Qing Shi, Xiaocong Ye, Ewa Gruszecka-Kowalik, Wei Bu, Zhanzhu Liu, Margaret M. Schweri, Howard M. Deutsch, Carol A. Venanzi*

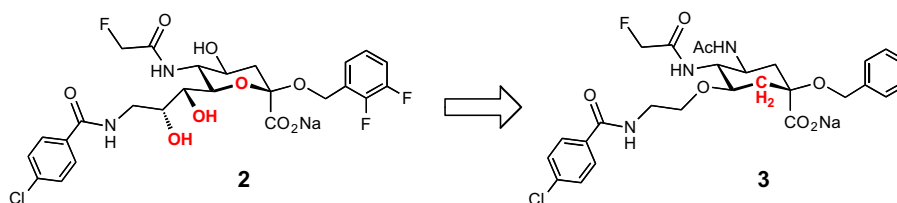


2D and 3D QSAR models show importance of phenyl ring substitution to DAT binding affinity.

**Design, synthesis, biological evaluation, and modeling of a non-carbohydrate antagonist of the myelin-associated glycoprotein**

pp 7239–7251

Oliver Schwardt, Hendrik Koliwer-Brandl, Raphael Zimmerli, Stefanie Mesch, Gianluca Rossato, Morena Spreafico, Angelo Vedani, Sørge Kelm, Beat Ernst*

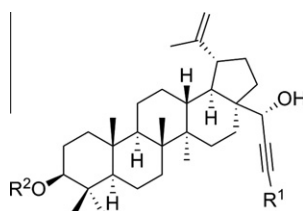


Starting from MAG antagonist **2**, the replacement of the pyran ring oxygen by a methylene group and the deoxygenation of the glycerol side chain in the 7- and 8-position yielded glycomimetic **3**. Unexpectedly, its biological evaluation exhibited a dramatic loss in affinity, predominantly resulting from a modified docking mode of the benzamido side chain.

**Synthesis, cytotoxicity and liposome preparation of 28-acetylenic betulin derivatives**

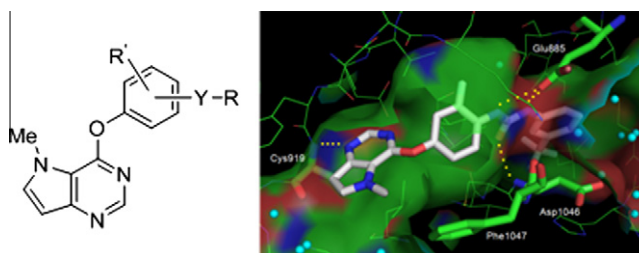
pp 7252–7259

René Csuk*, Alexander Barthel, Ralph Kluge, Dieter Ströhl

**Design, synthesis, and evaluation of 5-methyl-4-phenoxy-5*H*-pyrrolo[3,2-*d*]pyrimidine derivatives: Novel VEGFR2 kinase inhibitors binding to inactive kinase conformation**

pp 7260–7273

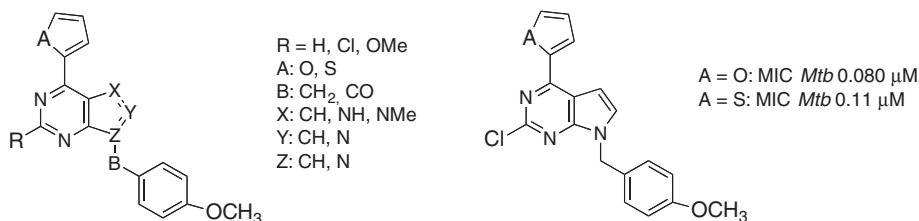
Yuya Oguro*, Naoki Miyamoto, Kengo Okada, Terufumi Takagi, Hidehisa Iwata, Yoshiko Awazu, Hiroshi Miki, Akira Hori, Keiji Kamiyama, Shinichi Imamura



Synthesis of non-purine analogs of 6-aryl-9-benzylpurines, and their antimycobacterial activities.

pp 7274–7282

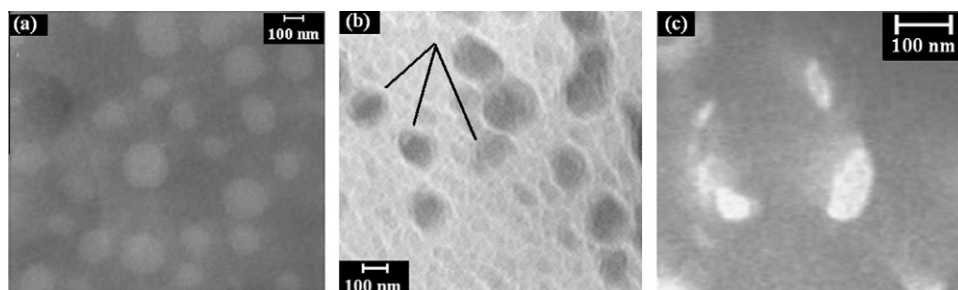
Compounds modified in the imidazole ring
 Abhijit Datta Khoje, Aisvareya Kulendrn, Colin Charnock, Baojie Wan, Scott Franzblau, Lise-Lotte Gundersen*



Intermolecular interaction and morphology investigation of drug loaded ABA-triblock copolymers with different hydrophilic/lipophilic ratios

pp 7283–7290

Sepideh Khoee*, Hasan B. Rahimi

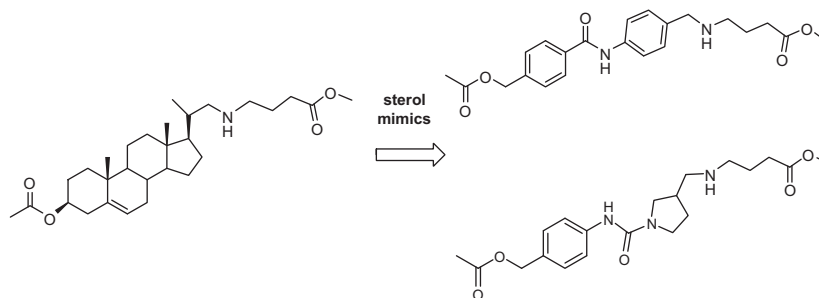


The morphology changed from spherical to bean-like by decreasing HLR of TCs.

Design and preparation of sterol mimetics as potential antiparasitics

pp 7291–7301

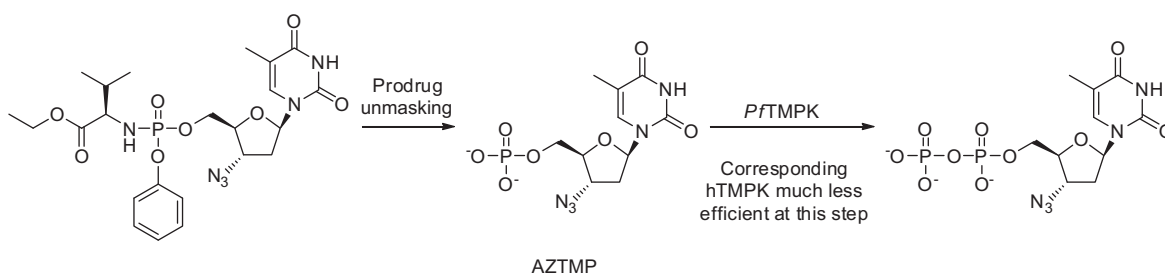
Federica Gigante, Marcel Kaiser, Reto Brun, Ian H. Gilbert*



Potential application of thymidylate kinase in nucleoside analogue activation in *Plasmodium falciparum*

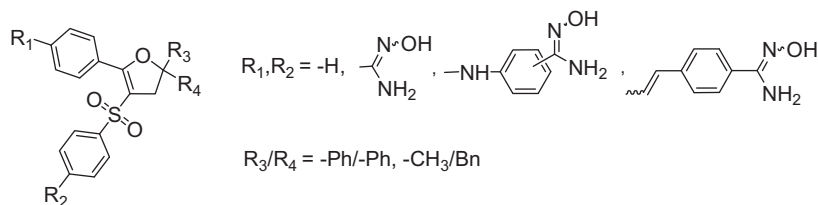
pp 7302–7309

Huaqing Cui, Luis M. Ruiz-Pérez, Dolores González-Pacanowska*, Ian H. Gilbert*



Synthesis and evaluation of original amidoximes as antileishmanial agents**pp 7310–7320**

Ahlem Bouhlel, Christophe Curti, Aurélien Dumètre, Michèle Laget, Maxime D. Crozet, Nadine Azas, Patrice Vanelle*



*Corresponding author

Supplementary data available via ScienceDirect

COVER

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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