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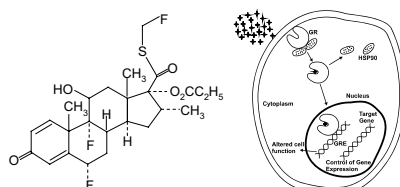
Publisher's Announcement—New Chairman of the Executive Board of Editors for Tetrahedron Publications p 6329

REVIEW

Corticosteroids: the mainstay in asthma therapy

pp 6331–6342

Ranju Gupta,* Dharam Paul Jindal and Gulshan Kumar



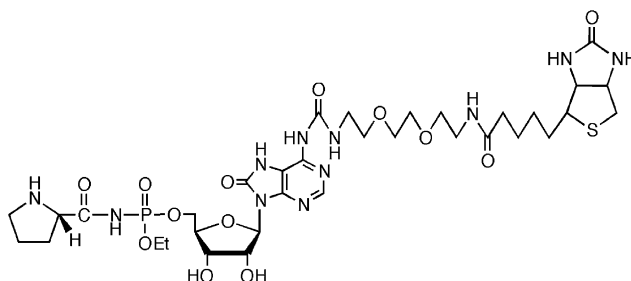
Corticosteroids remain the first line treatment in the management of all grades of asthma.

ARTICLES

Synthesis of a biotin-conjugate of phosmidosine *O*-ethyl ester as a G1 arrest antitumor drug

pp 6343–6349

Mitsuo Sekine,* Kazuhisa Okada, Kohji Seio, Tohru Obata, Takuma Sasaki, Hideaki Kakeya and Hiroyuki Osada

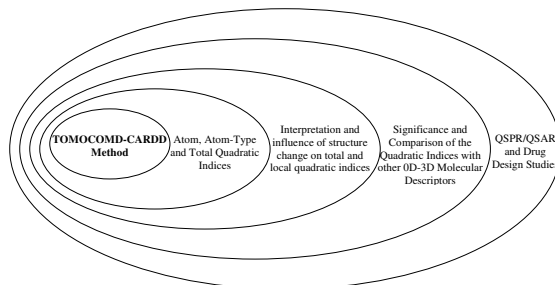


Total and local (atom and atom type) molecular quadratic indices: significance interpretation, comparison to other molecular descriptors, and QSPR/QSAR applications

pp 6351–6369

Yovani Marrero Ponce

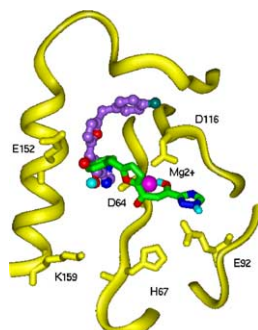
Schematic Representation of the Present *in silico* Study



Active site binding modes of the β -diketoacids: a multi-active site approach in HIV-1 integrase inhibitor design

pp 6371–6381

Raveendra Dayam and Nouri Neamati*

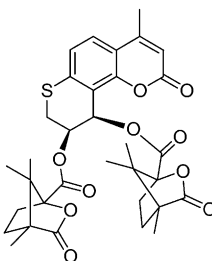


S-1360 inside the HIV-1 IN

Anti-AIDS agents. Part 56: Synthesis and anti-HIV activity of 7-thia-di-*O*-(–)-camphanoyl-(+)-*cis*-khellactone (7-thia-DCK) analogs

pp 6383–6387

Ying Chen, Qian Zhang, Beina Zhang, Peng Xia,* Yi Xia, Zheng-Yu Yang, Nicole Kilgore, Carl Wild, Susan L. Morris-Natschke and Kuo-Hsiung Lee*



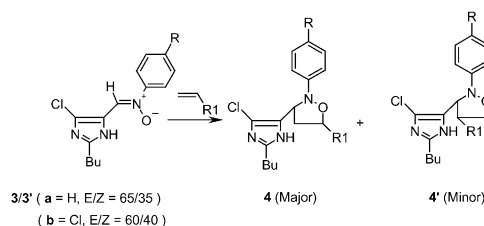
3a

Synthesis and microbial inhibition study of novel 5-imidazolyl substituted isoxazolidines

pp 6389–6395

M. P. Sadashiva, H. Mallesha, N. A. Hitesh and K. S. Rangappa*

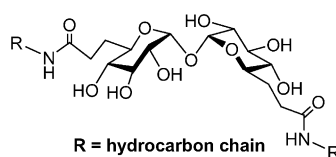
Cycloaddition of *C*-imidazolyl-*N*-phenylnitrones with monosubstituted alkenes afforded 5-imidazolyl substituted isoxazolidines with high regioselectivity. Novel isoxazolidines were screened for their antibacterial activities against *Staphylococcus aureus*, *Escherichia coli* and *Bacillus subtilis* by using streptomycin as a positive control. They were also tested for their antifungal activities against *Fusarium moniliforme*, *Aspergillus niger* and *Cephalosporium acremonium* by using nystatin as positive control. Isoxazolidines, **4a** and **4f** exhibited more potent inhibition towards antifungal activity than the other isoxazolidines prepared.



Synthesis of trehalose-based compounds and their inhibitory activities against *Mycobacterium smegmatis*

pp 6397–6413

Jinhua Wang, Bryan Elchert, Yu Hui, Jon Y. Takemoto, Mekki Bensaci, John Wennergren, Huiwen Chang, Ravi Rai and Cheng-Wei Tom Chang*

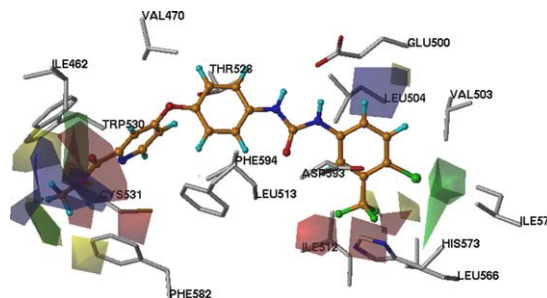
Trehalose derivatives against *Mycobacterium*

3D-QSAR CoMFA, CoMSIA studies on substituted ureas as Raf-1 kinase inhibitors and its confirmation with structure-based studies

pp 6415–6425

Ram Thaimattam,* Pankaj Daga, Shaikh Abdul Rajjak, Rahul Banerjee and Javed Iqbal*

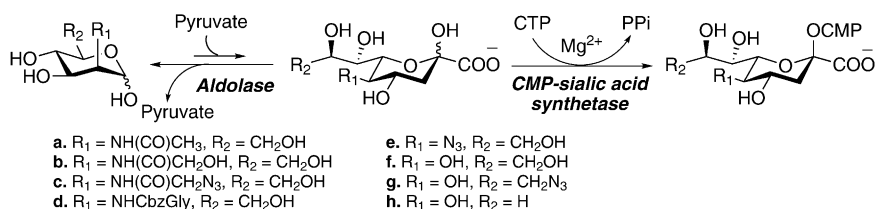
Predictive 3D-QSAR models were developed for a series of substituted ureas inhibiting Raf-1 kinase. The results of best 3D-QSAR model were compared with structure-based studies using a Raf-1 homology model in order to gain insight into the structural requirements for activity of this class of molecules.



Chemoenzymatic synthesis of CMP-sialic acid derivatives by a one-pot two-enzyme system: comparison of substrate flexibility of three microbial CMP-sialic acid synthetases

pp 6427–6435

Hai Yu, Hui Yu, Rebekah Karpel and Xi Chen*

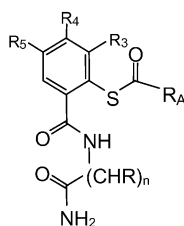


Three microbial CMP-sialic acid synthetases were cloned from *Neisseria meningitidis*, *Streptococcus agalactiae*, and *Escherichia coli*, respectively. Their activities in the production of CMP-sialic acid analogs were compared by HPLC analysis. The *N. meningitidis* synthetase was used in the preparative synthesis of eight CMP-sialic acid derivatives in a one-pot two-enzyme system.

Optimization of unique, uncharged thioesters as inhibitors of HIV replication

pp 6437–6450

Pratibha Srivastava, Marco Schito,* Rasem J. Fattah, Toshiaki Hara, Tracy Hartman, Robert W. Buckheit, Jr., Jim A. Turpin, John K. Inman and Ettore Appella*

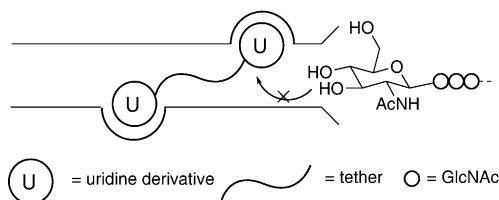


Synthesis and antiviral activity of uncharged thioesters is described.

Second-generation dimeric inhibitors of chitin synthase

pp 6451–6460

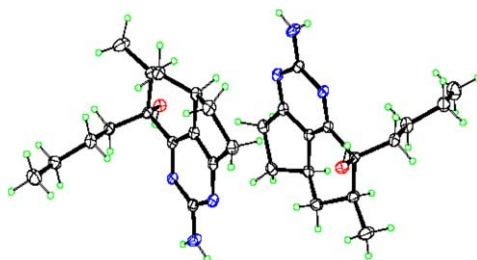
Adam R. Yeager and Nathaniel S. Finney*



Crystallographic and NMR studies of antiinfective tricyclic guanidine alkaloids from the sponge *Monanchora unguifera*

pp 6461–6464

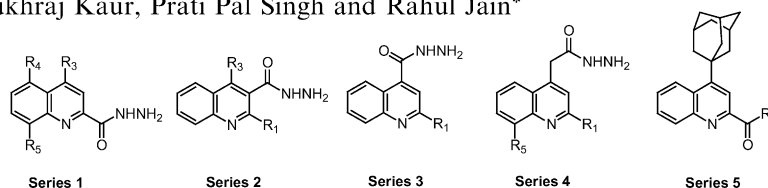
Hui-ming Hua, Jiangnan Peng, Frank R. Fronczek, Michelle Kelly and Mark T. Hamann*



Ring-substituted quinolines. Part 2: Synthesis and antimycobacterial activities of ring-substituted quinolinecarbohydrazide and ring-substituted quinolinecarboxamide analogues

pp 6465–6472

Vikramdeep Monga, Amit Nayyar, Balasubramanian Vaitilingam, Prakash B. Palde, Sarbjit Singh Jhamb, Sukhraj Kaur, Prati Pal Singh and Rahul Jain*

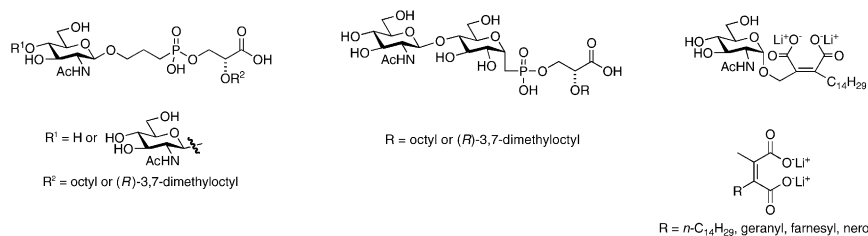


The antimycobacterial activities of ring-substituted quinolinecarbohydrazide and ring-substituted quinolinecarboxamide analogues (series 1–5) against *M. tuberculosis* H37Rv strains are described. The most effective analogues have exhibited excellent antimycobacterial efficacy.

Synthesis of mono- and disaccharide analogs of moenomycin and lipid II for inhibition of transglycosylase activity of penicillin-binding protein 1b

pp 6473–6494

Sylvie Garneau, Lei Qiao, Lan Chen, Suzanne Walker and John C. Vederas*

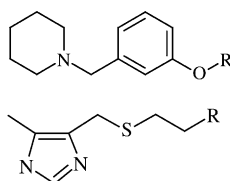


Three types of mono- and disaccharides as well as some chaetomelic acid A analogs were synthesized and evaluated for inhibitory activity against the *E. coli* transglycosylase PBP1b.

Fluorescent ligands for the histamine H₂ receptor: synthesis and preliminary characterization

pp 6495–6503

Sarel F. Malan,* Andre van Marle, Wiro M. Menge, Valentina Zuliana, Marcel Hoffman, Henk Timmerman and Rob Leurs

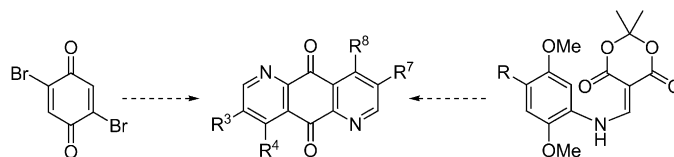


Fluorescent 3-[3-(piperidinomethyl)phenoxy]alkyl and 2-(5-methyl-4-imidazolyl)methylthioethyl derivatives were synthesized and exhibited H₂ receptor affinity ranging in pK_i from <4 to 8.85.

Synthesis and biological evaluation of new 1,5-diazaanthraquinones with cytotoxic activity

pp 6505–6515

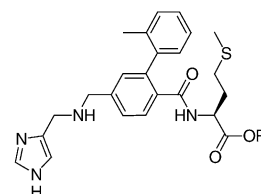
Sonia Manzanaro, María Jesús Vicent, María Jesús Martín, Nélida Salvador-Tormo, José María Pérez, María del Mar Blanco, Carmen Avendaño, José Carlos Menéndez and Jesús Ángel de la Fuente*

**In vitro and in vivo antimalarial activity of peptidomimetic protein farnesyltransferase inhibitors with improved membrane permeability**

pp 6517–6526

Dora Carrico, Junko Ohkanda, Howard Kendrick, Kohei Yokoyama, Michelle A. Blaskovich, Cynthia J. Bucher, Frederick S. Buckner,* Wesley C. Van Voorhis, Debopam Chakrabarti, Simon L. Croft, Michael H. Gelb,* Saïd M. Sebt and Andrew D. Hamilton*

A series of ester derivatives of **17** with increased lipophilicity were synthesized and tested against *P. falciparum* in red blood cells, where the benzyl ester derivative **16** exhibited the best inhibition activity ($ED_{50} = 150$ nM). Compound **16** showed in vivo antimalarial activity by 46.1% at a daily dose of 50 mg kg^{-1} using murine malaria models infected with *Plasmodium berghei*.



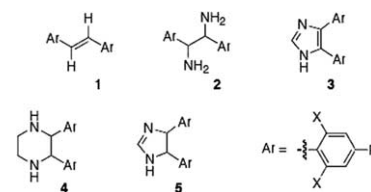
10 R = Methyl
11 R = Ethyl
12 R = Allyl
13 R = Isopropyl
14 R = 2-Ethyl-hexyl
15 R = Cyclohexyl
16 R = Benzyl
17 R = H

A molecular docking study of estrogenically active compounds with 1,2-diarylethane and 1,2-diarylethene pharmacophores

pp 6527–6537

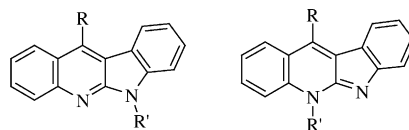
Peter M. Kekenus-Huskey, Ingo Muegge, Moriz von Rauch, Ronald Gust and Ernst-Walter Knapp*

Molecular structures of the alkene (**1**), diamine (**2**), imidazole (**3**), imidazoline (**4**), and piperazine (**5**) test compounds. Ar is an abbreviation for a substituted benzene ring, for which X can be either chlorine or fluorine, and R is either a hydroxyl or methoxy group.

**Synthesis and anticancer evaluation of certain indolo[2,3-b]quinoline derivatives**

pp 6539–6546

Yeh-Long Chen,* Hsien-Ming Hung, Chih-Ming Lu, Kuang-Chieh Li and Cherng-Chyi Tzeng

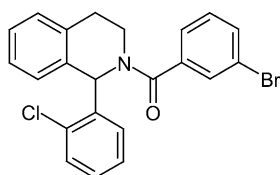


R = OCH_3 , NHPh , NHPh-4-OCH_3
R' = H, CH_3

Design, synthesis, and inhibition of platelet aggregation for some 1-*o*-chlorophenyl-1,2,3,4-tetrahydroisoquinoline derivatives

pp 6547–6557

Jie Yang,* Wei-Yi Hua, Fu-Xiang Wang, Zhi-Yuan Wang and Xiang Wang



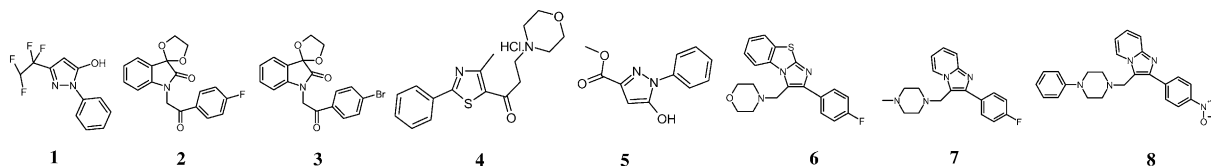
TQP-3

Four analogs proved to be potential antiplatelet aggregation agents, and compound **9** (TQP-3, applying for patent), which inhibits ADP-induced human platelet aggregation with IC₅₀ values of approximately 0.206 nM was the most active.

Design, synthesis, computational and biological evaluation of new anxiolytics

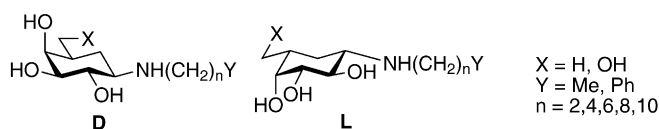
pp 6559–6568

Athina Geronikaki,* Eugeni Babaev, John Dearden, Wim Dehaen, Dmitrii Filimonov, Irina Galaeva, Valentina Krajneva, Alexey Lagunin, Fliur Macaev, Guenadiy Molodavkin, Vladimir Poroikov, Serghei Pogrebnoi, Victor Saloutin, Alla Stepanchikova, Eugenia Stingaci, Natalia Tkach, Liudmila Vlad and Tatiana Voronina


Synthesis and glycosidase inhibitory activity of some N-substituted 5a-carba-β-fuco- and β-galactopyranosylamines, and selected derivatives

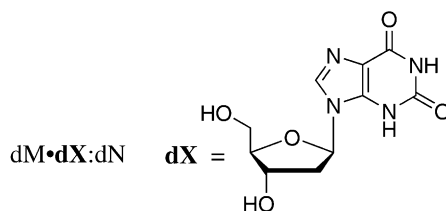
pp 6569–6579

Seiichi Ogawa,* Shigeo Fujieda, Yuko Sakata, Masahiro Ishizaki, Seiichi Hisamatsu, Kensuke Okazaki, Yoriko Ooki, Midori Mori, Masayoshi Itoh and Takashi Korenaga


Thermal stability of triple helical DNAs containing 2'-deoxyinosine and 2'-deoxyxanthosine

pp 6581–6586

Yoshihito Ueno, Aya Shibata, Akira Matsuda and Yukio Kitade*



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*Corresponding author

Ⓜ⁺ Supplementary data available via ScienceDirect**COVER**

The cover illustrates the modulation of gene expression by interaction of glucocorticoids with intracellular glucocorticoid receptors. [Gupta, R.; Jindal, D. P.; Kumar, G. *Bioorg. Med. Chem.* **2004**, *12*, 6331–6342].

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