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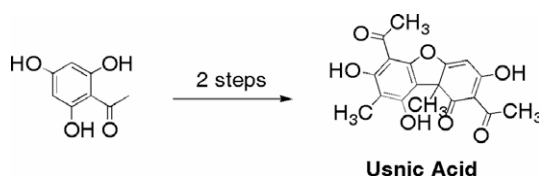
Contents

ARTICLES

The chemoenzymatic synthesis of usnic acid

pp 2383–2385

Drew J. Hawranik, Kurtis S. Anderson, Reesa Simmonds, John. L. Sorensen*

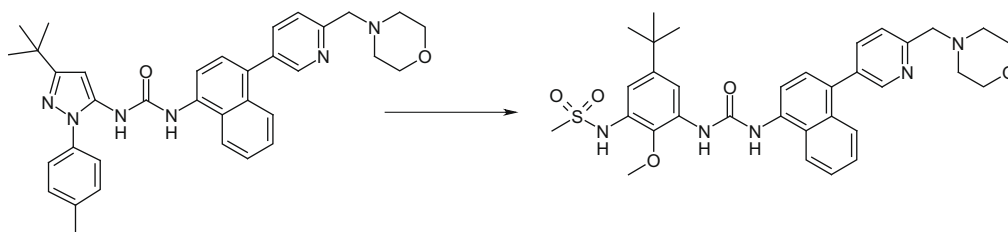


The two step synthesis of usnic acid starting from commercially available trihydroxyacetophenone is reported.

Discovery and characterization of the *N*-phenyl-*N'*-naphthylurea class of p38 kinase inhibitors

pp 2386–2391

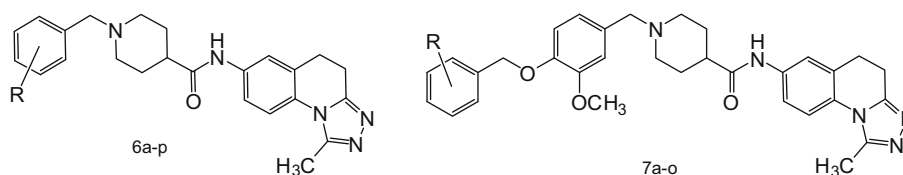
Pier F. Cirillo, Eugene R. Hickey, Neil Moss*, Steffen Breitfelder, Raj Betageri, Tazmeen Fadra, Faith Gaenzler, Thomas Gilmore, Daniel R. Goldberg, Victor Kamhi, Thomas Kirrane, Rachel R. Kroe, Jeffrey Madwed, Monica Moriak, Matthew Netherton, Christopher A. Pargellis, Usha R. Patel, Kevin C. Qian, Rajiv Sharma, Sanxing Sun, Alan Swinamer, Carol Torcellini, Hidenori Takahashi, Michele Tsang, Zhaoming Xiong



Synthesis and inotropic evaluation of 1-substituted-*N*-(4,5-dihydro-1-methyl-[1,2,4]triazolo[4,3-*a*]-quinolin-7-yl)piperidine-4-carboxamides

pp 2392–2395

Ji-Yong Liu, Hai-Ling Yu, Zhe-Shan Quan, Xun Cui*, Hu-Ri Piao*

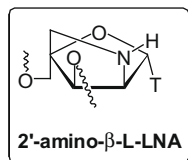


A series of 1-substituted-*N*-(4,5-dihydro-1-methyl-[1,2,4]triazolo[4,3-*a*]quinolin-7-yl)piperidine-4-carboxamides (**6a-p**, **7a-o**) was synthesized and their positive inotropic activity were evaluated by measuring left atrium stroke volume on isolated rabbit-heart preparations.

Parallel RNA-strand recognition by 2'-amino- β -L-LNA

pp 2396–2399

T. Santhosh Kumar, Michael E. Østergaard, Pawan K. Sharma, Poul Nielsen, Jesper Wengel, Patrick J. Hrdlicka*

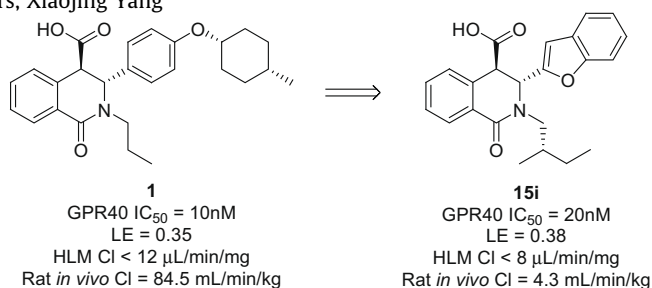


The synthesis of the first β -L-ribo configured LNA is reported. Incorporation of 2'-amino- β -L-LNA thymine monomers into α -DNA strands results in probes forming stable duplexes with complementary RNA in parallel orientation.

**Synthesis and SAR of 1,2,3,4-tetrahydroisoquinolin-1-ones as novel G-protein-coupled receptor 40 (GPR40) antagonists**

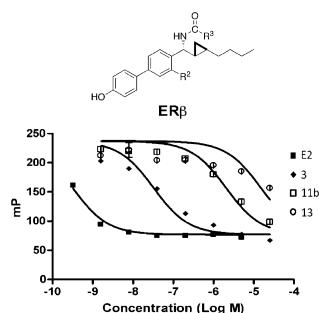
pp 2400–2403

Paul S. Humphries*, John W. Benbow, Paul D. Bonin, David Boyer, Shawn D. Doran, Richard K. Frisbie, David W. Piotrowski, Gayatri Balan, Bruce M. Bechle, Edward L. Conn, Kenneth J. Dirico, Robert M. Oliver, Walter C. Soeller, James A. Southers, Xiaojing Yang

**Biphenyl C-cyclopropylalkylamides: New scaffolds for targeting estrogen receptor β**

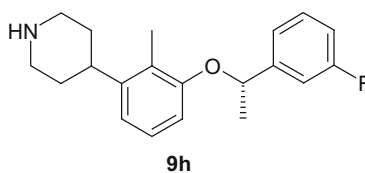
pp 2404–2408

Miranda J. Sarachine, Jelena M. Janjic, Peter Wipf, Billy W. Day*

**Synthesis and SAR of tolylamine 5-HT₆ antagonists**

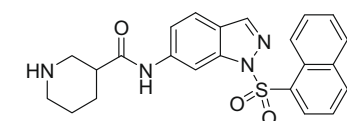
pp 2409–2412

Jamie M. Singer, Michael W. Wilson, Paul D. Johnson, Shelley R. Graham, Leonard W. Cooke, Robin L. Roof, Peter A. Boxer, Lisa H. Gold, Leonard T. Meltzer, Ann Janssen, Nicole Roush, Jeffrey E. Campbell, Ti-Zhi Su, Susan I. Hurst, Chad L. Stoner, Jacob B. Schwarz*



1-Sulfonylindazoles as potent and selective 5-HT₆ ligands

Kevin G. Liu*, Jennifer R. Lo, Thomas A. Comery, Guo Ming Zhang, Jean Y. Zhang, Dianne M. Kowal, Deborah L. Smith, Li Di, Edward H. Kerns, Lee E. Schechter, Albert J. Robichaud

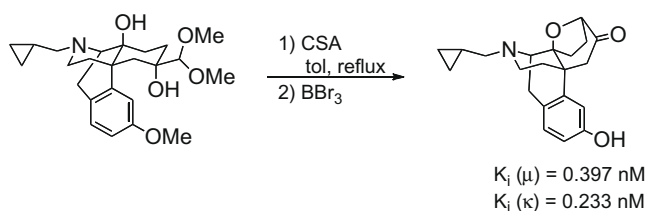


$K_i = 1.3 \text{ nM}$
 $IC_{50} = 10 \text{ nM}$
 Full 5-HT₆ antagonist
 Oral $F = 24\%$ (rats)
 Efficacious @ 10 mp/kg in NOR (rats)

Identification and SAR of a series of 1-sulfonylindazole derivatives as potent and selective 5-HT₆ antagonists are reported.

Synthesis of a new opioid ligand having the oxabicyclo[3.2.1]octane skeleton using a new rearrangement reaction

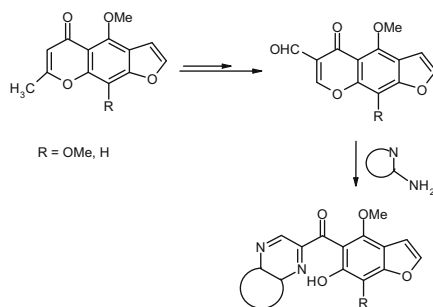
Akio Watanabe, Hideaki Fujii, Mayumi Nakajima, Ko Hasebe, Hidenori Mochizuki, Hiroshi Nagase*



Novel morphinan derivative having oxabicyclo[3.2.1]octane skeleton was prepared from dimethyl acetal derivative. The novel compound showed strong affinity for μ and κ opioid receptor types.

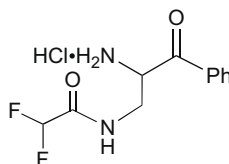
Synthesis of potent antitumor and antiviral benzofuran derivatives

Shadia A. Galal *, Amira S. Abd El-All, Mohamed M. Abdallah, Hoda I. El-Diwani



Induction of apoptosis promoted by Bang52; a small molecule that downregulates Bcl-x_L

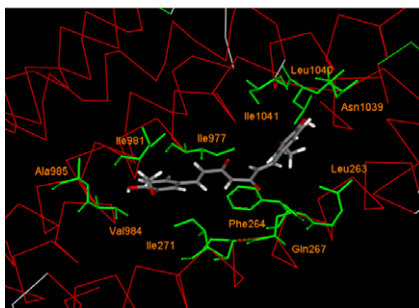
Matteo Rossi, Jeong-kyu Bang, Sharlyn Mazur, Jaclyn A. Iera, Darren C. Phillips, Gerard P. Zambetti, Daniel H. Appella *



Interactions of curcumin with the PfATP6 model and the implications for its antimalarial mechanism

pp 2453–2455

Hong-Fang Ji, Liang Shen *

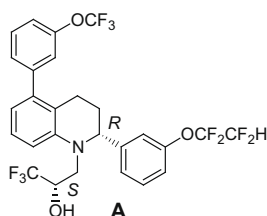


The efficient inhibition of curcumin to PfATP6 may be involved in its antimalarial mechanism.

Design and synthesis of potent inhibitors of cholesteryl ester transfer protein (CETP) exploiting a 1,2,3,4-tetrahydroquinoline platform

pp 2456–2460

Thomas A. Rano *, Ellen Sieber-McMaster, Patricia D. Pelton, Maria Yang, Keith T. Demarest, Gee-Hong Kuo

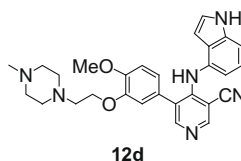


Tetrahydroquinoline A is a potent inhibitor of the cholesterol ester transfer protein (CETP), a target for the treatment of low HDL-C and atherosclerosis. Compound A inhibits partially purified CETP with an IC_{50} of 39 nM. The structure–activity relationship of a series of inhibitors of CETP is described herein.

Synthesis and PKC θ inhibitory activity of a series of 4-indolylamino-5-phenyl-3-pyridinecarbonitriles

pp 2461–2463

Russell G. Dushin *, Thomas Nittoli, Charles Ingalls, Diane H. Boschelli, Derek C. Cole, Allan Wissner, Julie Lee, Xiaoke Yang, Paul Morgan, Agnes Brennan, Divya Chaudhary

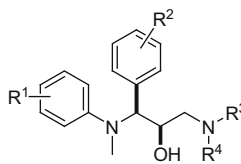


The synthesis and PKC θ inhibitory activity of a series of 4-indolylamino-5-phenyl-3-pyridinecarbonitriles is described. SAR studies led to the identification of compound **12d** as a potent inhibitor of PKC θ .

3-(Arylamino)-3-phenylpropan-2-olamines as a new series of dual norepinephrine and serotonin reuptake inhibitors

pp 2464–2467

An T. Vu *, Stephen T. Cohn, Eugene A. Terefenko, William J. Moore, Puwen Zhang, Paige E. Mahaney, Eugene J. Trybulski, Igor Goljer, Rebecca Dooley, Jenifer A. Bray, Grace H. Johnston, Jennifer Leiter, Darlene C. Deecher

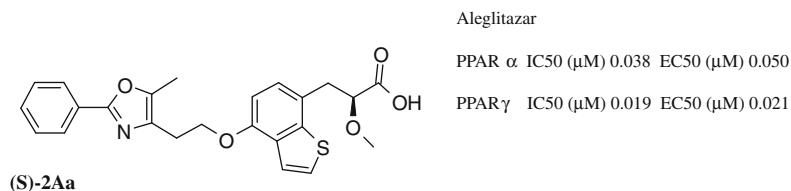


A series of 3-(arylamino)-3-phenylpropan-2-olamines was prepared. A number of analogues displayed significant dual norepinephrine and serotonin reuptake inhibition. Compounds in this class exhibited minimal affinity for the dopamine transporter.

Aleglitazar, a new, potent, and balanced dual PPAR α / γ agonist for the treatment of type II diabetes

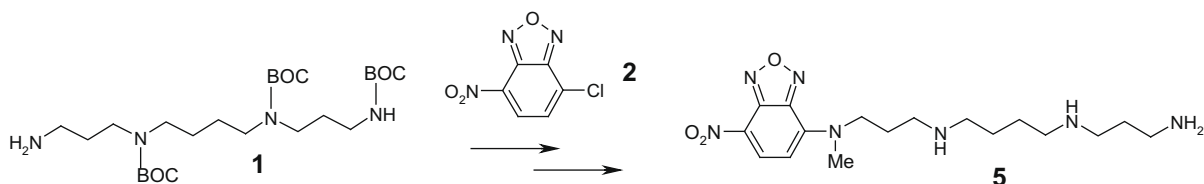
pp 2468–2473

Agnes Bénardeau, Jörg Benz, Alfred Binggeli, Denise Blum, Markus Boehringer, Uwe Grether, Hans Hilpert, Bernd Kuhn, Hans Peter Märki, Markus Meyer, Kurt Püntener, Susanne Raab, Armin Ruf, Daniel Schlatter, Peter Mohr*

**Synthesis of conjugated spermine derivatives with 7-nitrobenzoxadiazole (NBD), rhodamine and bodipy as new fluorescent probes for the polyamine transport system**

pp 2474–2477

Yves Guminski, Martial Grousseau, Sandrine Cugnasse, Viviane Brel, Jean-Philippe Annereau, Stéphane Vispé, Nicolas Guilbaud, Jean-Marc Barret, Christian Bailly, Thierry Imbert*



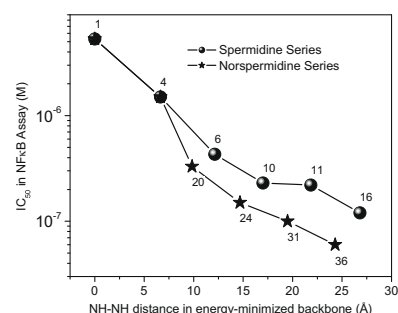
N₁-Methylspermine NBD **5** was synthesized from 4-chloro-7-nitrobenzo[1,2,5]oxadiazole (NBD) **2** and protected spermine **1**. **5** was used as a fluorescent probe to select polyamine transport system (PTS) expressing cells, sensitive to cytotoxic compounds vectored through the PTS.

Structure–activity relationships of lipopolysaccharide sequestration in N-alkylpolyamines

pp 2478–2481

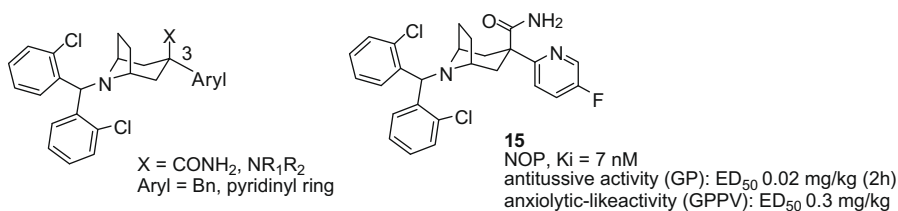
Anurupa Shrestha, Diptesh Sil, Subbalakshmi S. Malladi, Hemamali J. Warshakoon, Sunil A. David*

In exploring the relationship between variously elongated N-alkyl spermidine and norspermidine-type compounds consistently showed higher lipopolysaccharide-sequestering activities.

**Identification of 3-substituted N-benzhydryl-nortropane analogs as nociceptin receptor ligands for the management of cough and anxiety**

pp 2482–2486

Shu-Wei Yang*, Ginny Ho, Deen Tulshian, William J. Greenlee, Zheng Tan, Hongtao Zhang, April Smith-Torhan, Ahmad Fawzi, John Anthes, Sherry Lu, Geoffrey Varty, Xiomara Fernandez, Robbie L. McLeod, John Hey

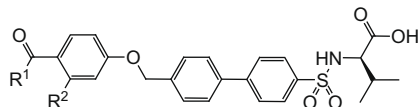


A series of 3-disubstituted-nortropane analogs have been identified to bind to the nociceptin receptor with high affinity. The syntheses and structure–activity relationships of these analogs are described. Compound **15** was identified to possess potent oral antitussive and anxiolytic-like activities in the guinea pig models.

Synthesis and biological evaluation of ((4-keto)-phenoxy)methyl biphenyl-4-sulfonamides: A class of potent aggrecanase-1 inhibitors

pp 2487–2491

Darrin W. Hopper*, Matthew D. Vera, David How, Joshua Sabatini, Jason S. Xiang, Manus Ipek, Jennifer Thomason, Yonghan Hu, Eric Feyfant, Qin Wang, Katy E. Georgiadis, Erica Reifenberg, Richard T. Sheldon, Cristin C. Keohan, Manas K. Majumdar, Elisabeth A. Morris, Jerauld Skotnicki, Phaik-Eng Sum



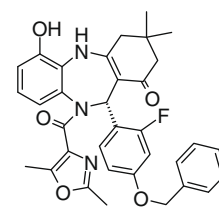
A subset of ((4-keto)-phenoxy)methyl biphenyl-4-sulfonamides were identified as potent Agg-1, MMP-2 and MMP-13 inhibitors through the synthesis of a series of (Phenoxy)methyl biphenylsulfonamide carboxylates.

1,5-Benzodiazepine inhibitors of HCV NS5B polymerase

pp 2492–2496

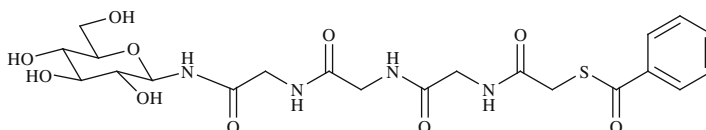
David McGowan, Origène Nyanguile, Maxwell D. Cummings, Sandrine Vendeville, Koen Vandyck, Walter Van den Broeck, Carlo W. Boutton, Hendrik De Bondt, Ludo Quiryne, Katie Amssoms, Jean-François Bonfanti, Stefaan Last, Klara Rombauts, Abdellah Tahri, Lili Hu, Frédéric Delouvroy, Katrien Vermeiren, Geneviève Vandercruyssen, Liesbet Van der Helm, Erna Cleiren, Wendy Mostmans, Pedro Lory, Geert Pille, Kristof Van Emelen, Gregory Fanning, Frederik Pauwels, Tse-I Lin, Kenneth Simmen, Pierre Raboisson*

Optimization through parallel synthesis of a novel series of hepatitis C virus (HCV) NS5B polymerase inhibitors led to the identification of potent (replicon EC₅₀ = 400 nM and 270 nM, respectively) and selective (CC₅₀ > 20 μM) inhibitors of HCV replication.

**(R)-11zk**NS5B IC₅₀ = 29 nMReplicon EC₅₀ = 270 nM**Synthesis and biological evaluation of technetium-labeled D-glucose-MAG₃ derivative as agent for tumor diagnosis**

pp 2497–2499

André Luís Branco de Barros, Valbert Nascimento Cardoso, Luciene das Graças Mota, Elaine Amaral Leite, Mônica Cristina de Oliveira, Ricardo José Alves*

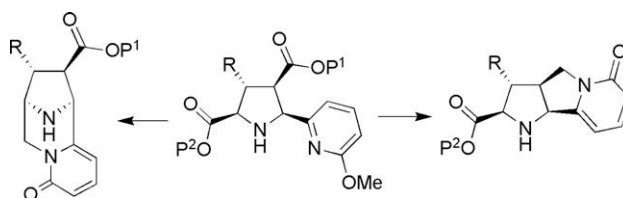


A novel D-glucose-MAG₃ derivative was synthesized and evaluated as diagnosis agent for tumor.

Diversity-oriented synthesis of a cytosine-inspired pyridone library leading to the discovery of novel inhibitors of Bcl-2

pp 2500–2503

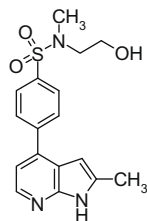
Lisa A. Marcaurelle*, Charles Johannes, Daniel Yohannes, Bonnie P. Tillotson, David Mann



4-Phenyl-7-azaindoles as potent and selective IKK2 inhibitors

pp 2504–2508

John Liddle*, Paul Bamborough, Michael D. Barker, Sebastien Campos, Rick P. C. Cousins, Geoffrey J. Cutler, Heather Hobbs, Duncan S. Holmes, Chris Ioannou, Geoff W. Mellor, Mary A. Morse, Jeremy J. Payne, John M. Pritchard, Kathryn J. Smith, Daniel T. Tape, Caroline Whitworth, Richard A. Williamson

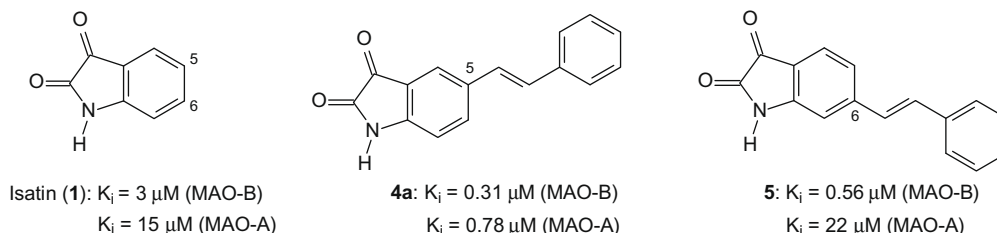


The synthesis and SAR of 4-aryl-7-azaindoles as ATP-competitive IKK2 inhibitors is described. Modification around the hinge binding region of the 7-azaindole led to a series of potent and selective inhibitors with good cellular activity.

Inhibition of monoamine oxidase by (E)-styrylisatin analogues

pp 2509–2513

Elizna M. Van der Walt, Erika M. Milczek, Sarel F. Malan, Dale E. Edmondson, Neal Castagnoli Jr., Jacobus J. Bergh, Jacobus P. Petzer*

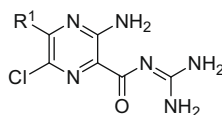


Using the small molecule isatin (**1**) as lead compound, (E)-5-styrylisatin (**4a–c**) and (E)-6-styrylisatin (**5**) analogues were synthesized and found to be more potent as inhibitors of MAO-B than was isatin. Similar to isatin, these analogues also inhibited MAO-A.

Amiloride derived inhibitors of acid-sensing ion channel-3 (ASIC3)

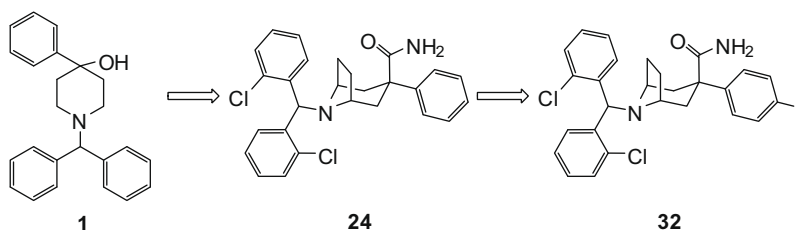
pp 2514–2518

Scott D. Kuduk*, Christina N. Di Marco, Ronald K. Chang, Robert M. DiPardo, Sean P. Cook, Matthew J. Cato, Aneta Jovanovska, Mark O. Urban, Michael Leitl, Robert H. Spencer, Stefanie A. Kane, Mark T. Bilodeau, George D. Hartman, Mark G. Bock

**The discovery of tropane derivatives as nociceptin receptor ligands for the management of cough and anxiety**

pp 2519–2523

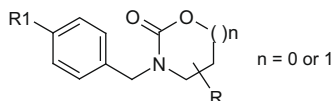
Ginny D. Ho*, John Anthes, Ana Bercovici, John P. Caldwell, Kuo-Chi Cheng, Xiaoming Cui, Ahmad Fawzi, Xiomara Fernandez, William J. Greenlee, John Hey, Walter Korfmacher, Sherry X. Lu, Robbie L. McLeod, Fay Ng, April Smith Torhan, Zheng Tan, Deen Tulshian, Geoffrey B. Varty, Xiaoying Xu, Hongtao Zhang



3-Benzyl-1,3-oxazolidin-2-ones as mGluR2 positive allosteric modulators: Hit-to lead and lead optimization

pp 2524–2529

Allen J. Duplantier*, Ivan Efremov*, John Candler, Angela C. Doran, Alan H. Ganong, Jessica A. Haas, Ashley N. Hanks, Kenneth G. Kraus, John T. Lazzaro Jr., Jiemin Lu, Noha Maklad, Sheryl A. McCarthy, Theresa J. O'Sullivan, Bruce N. Rogers, Judith A. Siuciak, Douglas K. Spracklin, Lei Zhang

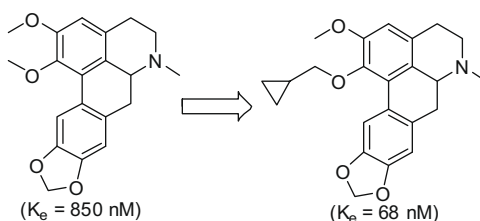


The discovery, synthesis and SAR of a novel series of 3-benzyl-1,3-oxazolidin-2-ones as positive allosteric modulators (PAMs) of mGluR2 is described which led to potent, metabolically stable and orally available mGluR2 PAMs.

(±)-Nantenine analogs as antagonists at human 5-HT_{2A} receptors: C1 and flexible congeners

pp 2530–2532

Sandeep Chaudhary, Stevan Pecic, Onica LeGendre, Hérrnan A. Navarro, Wayne W. Harding*

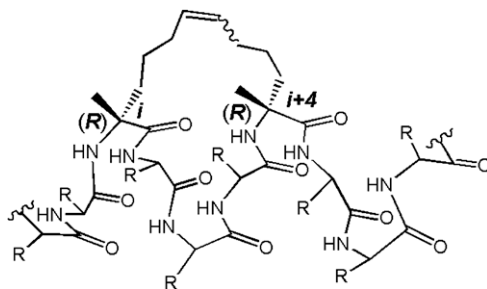


Evaluation of nantenine analogs at human 5-HT_{2A} receptors is reported.

Stereochemical effects of all-hydrocarbon tethers in *i,i*+4 stapled peptides

pp 2533–2536

Young-Woo Kim, Gregory L. Verdine*

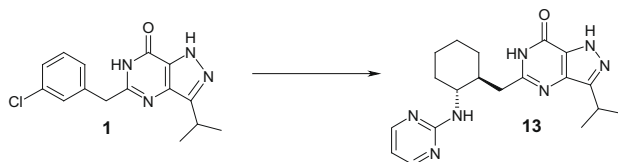


An analysis of stereochemical effects of the hydrocarbon crosslink on the helical stability and cellular uptake of *i,i*+4 stapled peptides reveals that *S,S* is superior in both respects to *R,R*.

The discovery of potent, selective, and orally bioavailable PDE9 inhibitors as potential hypoglycemic agents

pp 2537–2541

Michael P. DeNinno*, Melissa Andrews, Andrew S. Bell, Yue Chen, Cynthia Eller-Zarbo, Nan Eshelby, John B. Etienne, Dianna E. Moore, Michael J. Palmer, Michael S. Visser, Li J. Yu, William J. Zavadoski, E. Michael Gibbs



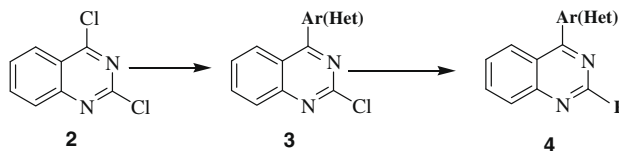
Starting from the non-selective lead **1**, the sequential use of library and directed synthesis led to the optimized PDE9 inhibitor **13**.



Synthesis and biological evaluation of novel 4-(hetero) aryl-2-piperazino quinazolines as anti-leishmanial and anti-proliferative agents

pp 2542–2545

Shailesh Kumar, Nishi Shakya, Suman Gupta, Jayanta Sarkar, Devi Prasad Sahu*

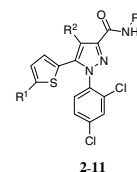


Hair growth stimulator property of thienyl substituted pyrazole carboxamide derivatives as a cb1 receptor antagonist with in vivo antiobesity effect

pp 2546–2550

Brijesh Kumar Srivastava*, Rina Soni, Jayendra Z. Patel, Amit Joharapurkar, Nisha Sadhwani, Samadhan Kshirsagar, Bhupendra Mishra, Vijay Takale, Sunil Gupta, Purvi Pandya, Prashant Kapadnis, Manish Solanki, Harilal Patel, Prasenjit Mitra, Mukul R. Jain, Pankaj R. Patel

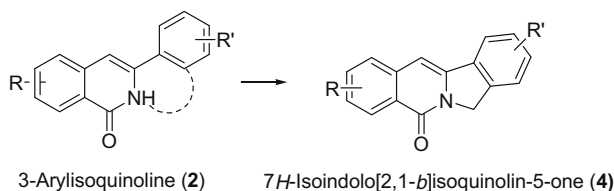
A few thienyl substituted pyrazole derivatives were synthesized to aid in the characterization of the cannabinoid receptor antagonist and also to serve as potentially useful antiobesity agent.



Structural modification of 3-arylisquinolines to isoindolo[2,1-b]isoquinolinones for the development of novel topoisomerase 1 inhibitors with molecular docking study

pp 2551–2554

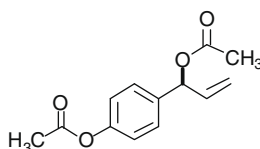
Hue Thi My Van, Won-Jea Cho*



New Rev-export inhibitor from *Alpinia galanga* and structure–activity relationship

pp 2555–2557

Satoru Tamura, Atsushi Shiomi, Masafumi Kaneko, Ying Ye, Minoru Yoshida, Masayuki Yoshikawa, Tominori Kimura, Motomasa Kobayashi, Nobutoshi Murakami*

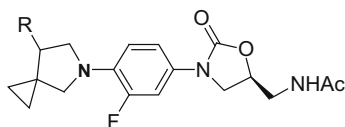


A new Rev export inhibitor, 1'-acetoxychavicol acetate (1) was disclosed *Alpinia galanga* through bioassay guided separation. Both biotinylated probe (2) and several synthesized analogs clarified crucial portions in 1.

Synthesis and antibacterial activities of novel oxazolidinones having spiro[2,4]heptane moieties

pp 2558–2561

So-Young Kim, Hyeong Beom Park, Jung-Hyuck Cho, Kyung Ho Yoo, Chang-Hyun Oh *

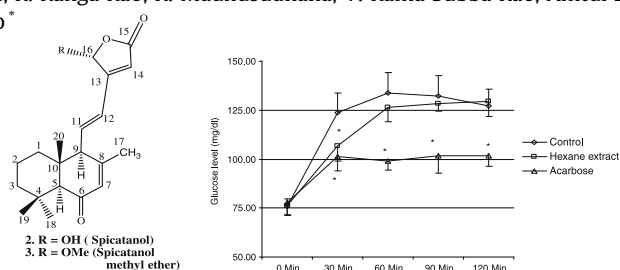


The synthesis of a new series of oxazolidinones having spiro[2,4]heptane moieties is described. Their in vitro antibacterial activities against both Gram-positive and Gram-negative bacteria were tested and the effect of substituents on the oxazolidinone ring was investigated. A particular compound **1h** having fluoro group showed the most potent antibacterial activity.

New Labdane diterpenes as intestinal α -glucosidase inhibitor from antihyperglycemic extract of *Hedychium spicatum* (Ham. Ex Smith) rhizomes

pp 2562–2565

P. Prabhakar Reddy, Ashok K. Tiwari, R. Ranga Rao, K. Madhusudhana, V. Rama Subba Rao, Amtul Z. Ali, K. Suresh Babu, J. Madhusudana Rao *

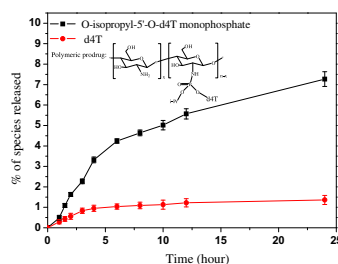


Antihyperglycemic extract of the rhizomes of *Hedychium spicatum* yielded two new labdane diterpenes, spicatanol (**2**) and spicatanol methyl ether (**3**) as novel intestinal α -glucosidase inhibitors along with other known compounds, possessing varying degree of α -glucosidase inhibitory potentials.

Novel synthesis and in vitro drug release of polymeric prodrug: Chitosan-*O*-isopropyl-5'-*O*-d4T monophosphate conjugate

pp 2566–2569

Lin Yang, Rong Zeng *, Chao Li, Gang Li, Renzhong Qiao *, Liming Hu, Zelin Li

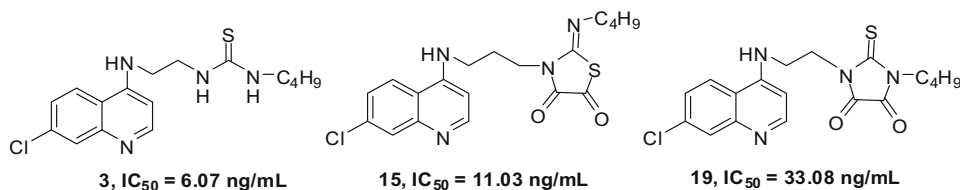


This work describes the novel synthesis of chitosan-*O*-isopropyl-5'-*O*-d4T monophosphate conjugate, allowing a sustained release of d4T 5'-(*O*-isopropyl)monophosphate for antiretroviral treatment.

Synthesis of novel thiourea, thiazolidinedione and thioparabanic acid derivatives of 4-aminoquinoline as potent antimalarials

pp 2570–2573

Naresh Sunduru, Kumkum Srivastava, S. Rajakumar, S. K. Puri, J. K. Saxena, Prem M. S. Chauhan *

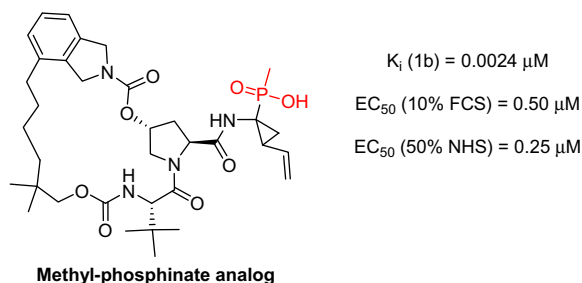


New prototypes of thiourea, thiazolidinedione and thioparabanic acid derivatives of 4-aminoquinoline were synthesized and screened for their antimalarial activities. Among all, compound **3** found to be the most active against both chloroquine sensitive strain 3D7 of *Plasmodium falciparum* and chloroquine resistant strain N-67 of *Plasmodium yoelii* with a suppression of 99.27% on day 4 in an in vivo assay.

Phosphorous acid analogs of novel P2–P4 macrocycles as inhibitors of HCV-NS3 protease

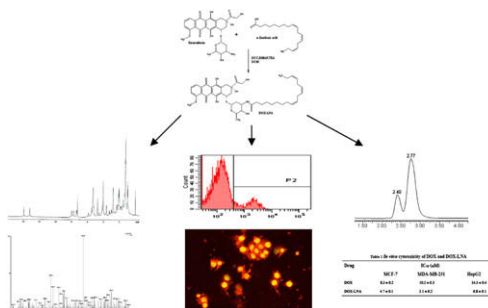
pp 2574–2578

Marco Pompei*, Maria Emilia Di Francesco, Uwe Koch, Nigel J. Liverton, Vincenzo Summa

**Conjugation with α -linolenic acid improves cancer cell uptake and cytotoxicity of doxorubicin**

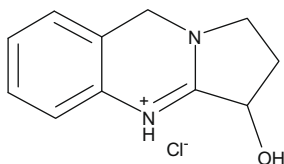
pp 2579–2584

Meng-lei Huan, Si-yuan Zhou, Zeng-hui Teng, Bang-le Zhang, Xin-you Liu, Jie-pin Wang, Qi-bing Mei*

**Peganine hydrochloride dihydrate an orally active antileishmanial agent**

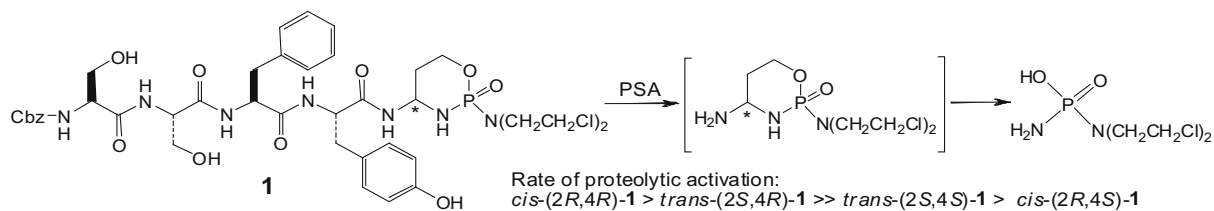
pp 2585–2586

Tanvir Khaliq, Pragya Misra, Swati Gupta, K. Papi Reddy, Ruchir Kant, P. R. Maulik, Anuradha Dube*, T. Narender*

**Synthesis and stereochemical preference of peptide 4-aminocyclophosphamide conjugates as potential prodrugs of phosphoramidate mustard for activation by prostate-specific antigen (PSA)**

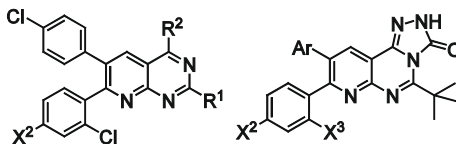
pp 2587–2590

Yongying Jiang, Robert S. DiPaola, Longqin Hu*

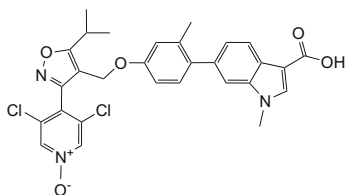


Pyridopyrimidine based cannabinoid-1 receptor inverse agonists: Synthesis and biological evaluation**pp 2591–2594**

John S. Debenham^{*}, Christina B. Madsen-Duggan, Junying Wang, Xinchun Tong, Julie Lao, Tung M. Fong, Marie-Therese Schaeffer, Jing Chen Xiao, Cathy C. R.-R. Huang, Chun-Pyn Shen, D. Sloan Stribling, Lauren P. Shearman, Alison M. Strack, D. Euan MacIntyre, Jeffrey J. Hale, Thomas F. Walsh

**Identification of an N-oxide pyridine GW4064 analog as a potent FXR agonist****pp 2595–2598**

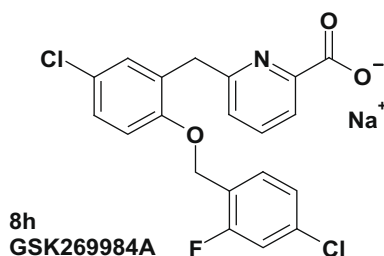
Song Feng^{*}, Minmin Yang, Zhenshan Zhang, Zhanguo Wang, Di Hong, Hans Richter, Gregory Martin Benson, Konrad Bleicher, Uwe Grether, Rainer E. Martin, Jean-Marc Plancher, Bernd Kuhn, Markus Georg Rudolph, Li Chen



A series of 3-aryl heterocyclic isoxazole analogs were designed and synthesized, N-oxide pyridine analog (**7b**) was identified as a promising FXR agonist with potent binding affinity and good efficacy.

Discovery of sodium 6-[(5-chloro-2-[(4-chloro-2-fluorophenyl)methyl]oxy)phenyl)methyl]-2-pyridinecarboxylate (GSK269984A) an EP₁ receptor antagonist for the treatment of inflammatory pain**pp 2599–2603**


Adrian Hall^{*}, Andy Billinton, Susan H. Brown, Anita Chowdhury, Nicholas M. Clayton, Gerard M. P. Giblin, Mairi Gibson, Paul A. Goldsmith, David N. Hurst, Alan Naylor, Caroline F. Peet, Tiziana Scoccitti, Alexander W. Wilson, Wendy Winchester



This Letter details the discovery of GSK269984A (**8h**) which was selected as a clinical development candidate for the treatment of inflammatory pain.

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^{*}Corresponding author

 Supplementary data available via ScienceDirect

COVER

Overlay of high resolution co-crystal structures of *R*-**22**-ADP (cyan) and **1**-ADP (green) bound in an allosteric binding site of the mitotic kinesin KSP. [Roecker, A. J.; Coleman, P. J.; Mercer, S. P.; Schreier, J. D.; Buser, C. A.; Walsh, E. S.; Hamilton, K.; Lobell, R. B.; Tao, W.; Diehl, R. E.; South, V. J.; Davide, J. P.; Kohl, N. E.; Yan, Y.; Kuo, L. C.; Li, C.; Fernandez-Metzler, C.; Mahan, E. A.; Prueksaritanont, T.; Hartman, G. D. *Bioorg. Med. Chem. Lett.* **2007**, 17, 5677.]

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