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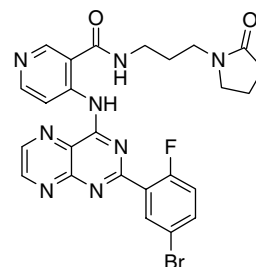
- Transformation of the amyloidogenic peptide amylin(20–29) into its corresponding peptoid and retropeptoid: Access to both an amyloid inhibitor and template for self-assembled supramolecular tapes** pp 1837–1842

Ronald C. Elgersma, Gwenn E. Mulder, John A. W. Kruijtzter, George Posthuma,
Dirk T. S. Rijkers and Rob M. J. Liskamp*

- Evaluation of the anti-hepatitis C virus effect of novel potent, selective, and orally bioavailable JNK and VEGFR kinase inhibitors** pp 1843–1849

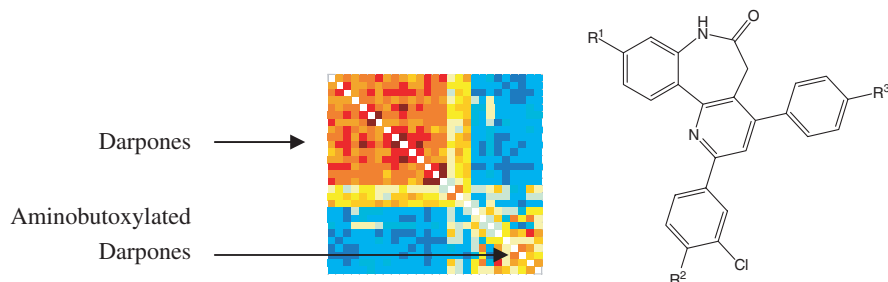
Pierre Raboisson,* Oliver Lenz, Tse-I Lin, Dominique Surleraux, Sarvajit Chakravarty, Annick Scholliers,
Katrien Vermeiren, Frederic Delouvroy, Thierry Verbinnen and Kenneth Simmen

Novel pteridines that act as HCV inhibitors are reported.



- Darpones and water-soluble aminobutoxylated darpone derivatives are distinguished by matrix COMPARE analysis** pp 1850–1854

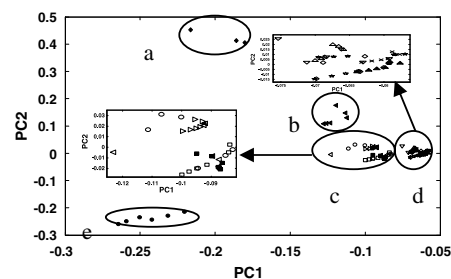
Christian Prühs and Conrad Kunick*



Validate antibacterial mode and find main bioactive components of traditional Chinese medicine *Aquilegia oxysepala*

Yan Yu, Zhi-biao Yi and Yi-Zeng Liang*

A possible procedure combining metabonomics and principal component analysis to investigate antibacterial modes of action and find main antimicrobial components in traditional Chinese medicine, *Aquilegia oxysepala*, is developed in this work. It was found that the target of *A. oxysepala* may be similar to that of some antibiotics whose targets are protein. The bioactive component of *A. oxysepala* playing main antimicrobial roles on *Staphylococcus aureus* might be maguoflorine.

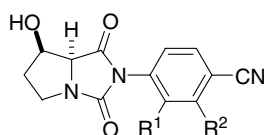


i⁺

Tandem optimization of target activity and elimination of mutagenic potential in a potent series of *N*-aryl bicyclic hydantoin-based selective androgen receptor modulators

pp 1860–1864

Lawrence G. Hamann,* Mark C. Manfredi, Chongqing Sun, Stanley R. Krystek, Jr., Yanting Huang, Yingzhi Bi, David J. Augeri, Tammy Wang, Yan Zou, David A. Betebenner, Aberra Fura, Ramakrishna Seethala, Rajasree Golla, Joyce E. Kuhns, John A. Lupisella, Celia J. Darienzo, Laura L. Custer, Jennifer L. Price, James M. Johnson, Scott A. Biller, Robert Zahler and Jacek Ostrowski

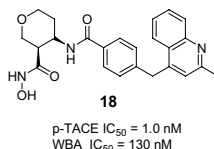


SAR relating to the optimization of androgen receptor agonist activity and elimination of mutagenic potential is reported.

A new 4-(2-methylquinolin-4-ylmethyl)phenyl P1' group for the β -amino hydroxamic acid derived TACE inhibitors

pp 1865–1870

Xiao-Tao Chen,* Bahman Ghavimi, Ronald L. Corbett, Chu-Biao Xue, Rui-Qin Liu, Maryanne B. Covington, Mingxin Qian, Krishna G. Vaddi, David D. Christ, Karl D. Hartman, Maria D. Ribadeneira, James M. Trzaskos, Robert C. Newton, Carl P. Decicco and James J.-W. Duan



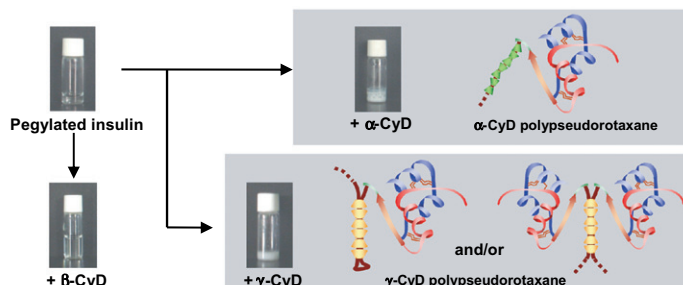
A new P1' group for TACE inhibitors was identified by eliminating the oxygen atom in the linker of the original 4-(2-methylquinolin-4-ylmethoxy)phenyl P1' group. The synthesis and profile of TACE inhibitor (**18**) was described.

Polypseudorotaxanes of pegylated insulin with cyclodextrins: Application to sustained release system

pp 1871–1874

Taishi Higashi, Fumitoshi Hirayama, Hidetoshi Arima and Kaneto Uekama*

Pegylated insulin formed polypseudorotaxanes with α - and γ -cyclodextrins (CyDs) and the polypseudorotaxane worked as a sustained drug delivery system.



Isoform selective inhibition of STAT1 or STAT3 homo-dimerization via peptidomimetic probes: Structural recognition of STAT SH2 domains

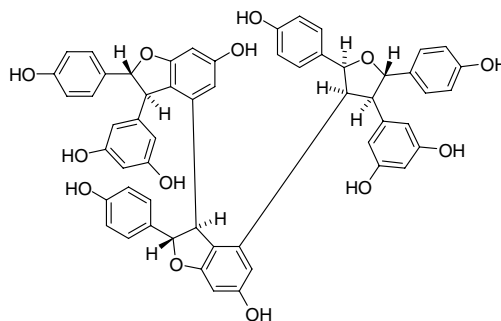
pp 1875–1878

Patrick T. Gunning, William P. Katt, Matthew Glenn, Khandaker Siddique, Joon S. Kim, Richard Jove, Saïd M. Sebt, James Turkson and Andrew D. Hamilton*

Neuroprotective effects of kobophenol A against the withdrawal of tropic support, nitrosative stress, and mitochondrial damage in SH-SY5Y neuroblastoma cells

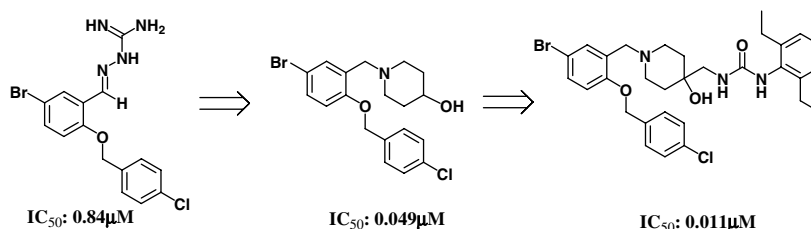
pp 1879–1882

Sung Ryul Lee, Jong Hwan Kwak, Hyoung Ja Kim and Suhkneung Pyo*

**CCR5 receptor antagonists: Discovery and SAR of novel 4-hydroxypiperidine derivatives**

pp 1883–1887

Shou-Fu Lu,* Binglong Chen, Dave Davey, Laura Dunning, Stefan Jaroch, Karen May, James Onuffer, Gary Phillips, Babu Subramanyam, Jih-Lie Tseng, Robert G. Wei, Ming Wei and Bin Ye*

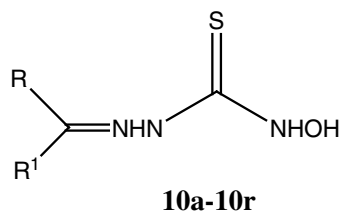


Optimizing the guanylhydrazone of 2-(4-chlorobenzoyloxy)-5-bromobenzaldehyde, which is a hit from high-throughput screening (HTS), led to discover the potent 4-hydroxypiperidine derivatives as a CCR5 receptor antagonist.

N-Hydroxythiosemicarbazones: Synthesis and in vitro antitubercular activity

pp 1888–1891

Dharmarajan Sriram,* Perumal Yogeeswari, Prathiba Dhakla, Palaniappan Senthilkumar and Debjani Banerjee

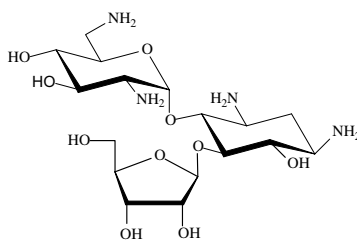


Eighteen *N*-hydroxythiosemicarbazones were prepared and tested for their in vitro activity against *Mycobacterium tuberculosis* H37Rv using the agar dilution method.

Production of aminoglycosides in non-aminoglycoside producing *Streptomyces lividans* TK24

pp 1892–1896

Bimala Subba, Nagendra Prasad Kurumbang, Young Soo Jung, Yeo Joon Yoon,
Hei Chan Lee, Kwangkyoung Liou and Jae Kyung Sohng*



[1]

**Synthesis, kinetic studies and pharmacological evaluation of mutual azo prodrug of 5-aminosalicylic acid with D-phenylalanine for colon specific drug delivery in inflammatory bowel disease**

pp 1897–1902

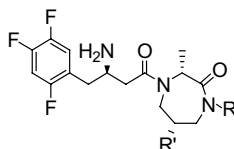
Suneela S. Dhaneshwar,* Neha Gairola, Mini Kandpal, Lokesh Bhatt,
Gaurav Vadnerkar and S. S. Kadam

Mutual azo prodrug of 5-aminosalicylic acid with D-phenylalanine was synthesized by coupling D-phenylalanine with salicylic acid, for targeted drug delivery to the inflamed gut tissue in inflammatory bowel disease.

Optimization of 1,4-diazepan-2-one containing dipeptidyl peptidase IV inhibitors for the treatment of type 2 diabetes

pp 1903–1907

Gui-Bai Liang,* Xiaoxia Qian, Dennis Feng, Tesfaye Biftu, George Eiermann,
Huaibing He, Barbara Leiting, Kathy Lyons, Aleksandr Petrov, Ranabir Sinha-Roy,
Bei Zhang, Joseph Wu, Xiaoping Zhang, Nancy A. Thornberry and Ann E. Weber



9i: R=cPro, R'=H; IC₅₀ = 8.0 nM

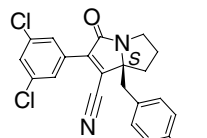
14a: R=H, R'=Me; IC₅₀ = 9.7 nM

Potent, selective, and efficacious DPP-4 inhibitors containing a 1,4-diazepan-2-one moiety were discovered and evaluated.

Design of LFA-1 antagonists based on a 2,3-dihydro-1H-pyrrolizin-5(7aH)-one scaffold

pp 1908–1911

Dharmpal S. Dodd,* Steven Sheriff, ChiehYing J. Chang, Dawn K. Stetsko, Linda M. Phillips, Yingru Zhang,
Michele Launay, Dominique Potin, Wayne Vaccaro, Michael A. Poss, Murray McKinnon, Joel C. Barrish,
Suzanne J. Suchard and T. G. Murali Dhar

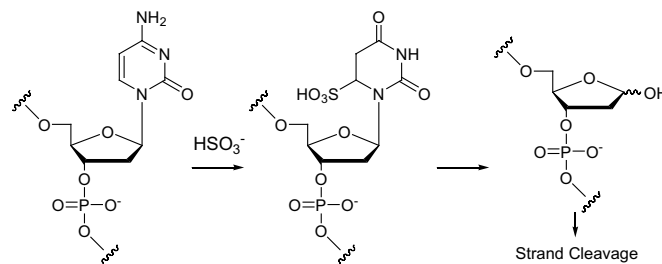


HeLa/HSB
binding IC₅₀=15 nM

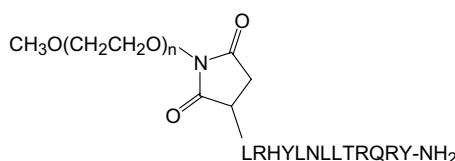
Degradation of DNA by bisulfite treatment

pp 1912–1915

Kazuo Tanaka and Akimitsu Okamoto*

**A long-acting selective neuropeptide Y2 receptor PEGylated peptide agonist reduces food intake in mice** pp 1916–1919

Lynn B. DeCarr, Thomas M. Buckholz, Lucinda F. Milardo, Michelle R. Mays, Astrid Ortiz and Kevin J. Lumb*



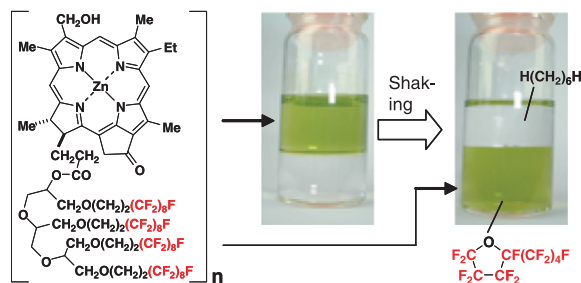
PEG SAR studies identify a potent appetite suppressant.

Self-aggregation of zinc chlorophylls possessing perfluoroalkyl chains in fluoruous solvents: Selective extraction of the self-aggregates with fluoruous phase and accelerated formation of the ordered supramolecules in this phase

pp 1920–1923

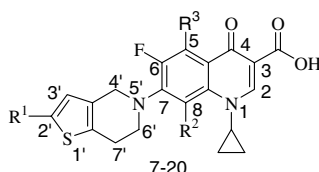
Hitoshi Tamiaki,* Takashi Nishiyama and Reiko Shibata

Synthetic zinc chlorophyll possessing four perfluorooctyl groups self-aggregated in a hexane solution and the resulting highly ordered *J*-aggregates were dissolved in fluoruous solvents by biphasic extraction.

**Synthesis and antibacterial activity of 4,5,6,7-tetrahydro-thieno[3,2-*c*]pyridine quinolones**

pp 1924–1929

Brijesh Kumar Srivastava,* Manish Solanki, Bhupendra Mishra, Rina Soni, Sanjaya Jayadev, Darshan Valani, Mukul Jain and Pankaj R. Patel



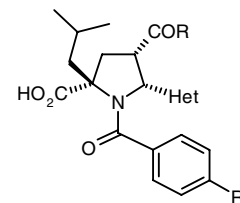
Synthesis and antibacterial activity of a number of substituted 4,5,6,7-tetrahydro-thieno[3,2-*c*]pyridine quinolones is reported. The antibacterial activities were evaluated in standard in vitro MIC assay method. Some of the compounds showed in vitro (MIC) antibacterial activity comparable to those of Gatifloxacin, Ciprofloxacin, and Sparfloxacin.

Studies on acyl pyrrolidine inhibitors of HCV RNA-dependent RNA polymerase to identify a molecule with replicon antiviral activity

pp 1930–1933

George Burton,* Thomas W. Ku, Thomas J. Carr, Terry Kiesow, Robert T. Sarisky, Juili Lin-Goerke, Glenn A. Hofmann, Martin J. Slater, David Haigh, Dashyant Dhanak, Victor K. Johnson, Nigel R. Parry and Pia Thommes

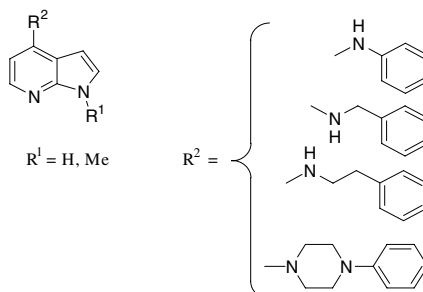
Optimisation of a series of *N*-acyl pyrrolidine inhibitors of the Hepatitis C Virus RNA-dependent RNA polymerase, NS5B, from tractable enzyme inhibitors to an example with antiviral activity in a cellular assay (HCV replicon).



Synthesis and biological evaluation of 7-azaindole derivatives, synthetic cytokinin analogues

pp 1934–1937

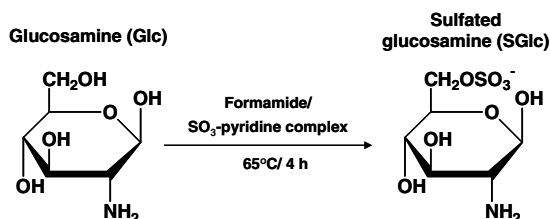
Jérôme Guillard, Maÿlis Decrop, Nathalie Gallay, Claire Espanel, Elodie Boissier, Olivier Herault and Marie-Claude Viaud-Massuard*



Glucosamine sulfate promotes osteoblastic differentiation of MG-63 cells via anti-inflammatory effect

pp 1938–1942

Moon Moo Kim, Eresha Mendis, Niranjan Rajapakse and Se-Kwon Kim*

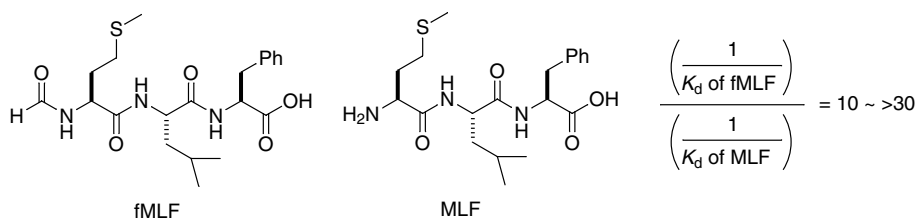


Glucosamine sulfate (SGlc) synthesized through substitution of $-\text{CH}_2\text{OSO}_3^-$ group to glucosamine (Glc) promoted cell differentiation in cultured MG-63 osteoblast cells via anti-inflammatory effect.

Anti-formyl peptide antibodies

pp 1943–1945

Fujie Tanaka,* Teresa Jones, Diane Kubitz and Richard A. Lerner



Antibodies that selectively bind to *N*-formylmethionyl leucyl phenylalanine (fMLF, also known as fMLP) are reported.

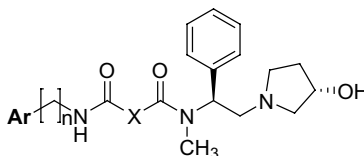
Synthesis and biological evaluation of unprecedented classes of spiro- β -lactams and azido- β -lactams as acyl-CoA:cholesterol acyltransferase inhibitors pp 1946–1950

Fides Benfatti, Giuliana Cardillo,* Luca Gentilucci and Alessandra Tolomelli*



Novel malonamide derivatives as potent κ opioid receptor agonists pp 1951–1955

Guo-Hua Chu,* Minghua Gu, Joel A. Cassel, Serge Belanger, Thomas M. Graczyk, Robert N. DeHaven, Nathalie Conway-James, Michael Koblish, Patrick J. Little, Diane L. DeHaven-Hudkins and Roland E. Dolle



A novel series of malonamide derivatives was synthesized. These amides were shown to be potent and selective κ opioid receptor agonists.

Discovery of novel benzimidazolones as potent non-nucleoside reverse transcriptase inhibitors active against wild-type and mutant HIV-1 strains pp 1956–1960

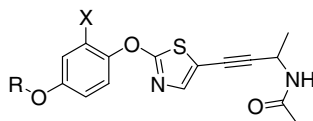
Maria Letizia Barreca,* Angela Rao,* Laura De Luca, Nunzio Iraci, Anna-Maria Monforte, Giovanni Maga, Erik De Clercq, Christophe Pannecouque, Jan Balzarini and Alba Chimirri

N_1 -arylsulfonyl-1,3-dihydro-2*H*-benzimidazol-2-one as a novel template for the design of new anti-HIV agents is reported.



Phenoxy thiazole derivatives as potent and selective acetyl-CoA carboxylase 2 inhibitors: Modulation of isozyme selectivity by incorporation of phenyl ring substituents pp 1961–1965

Richard F. Clark,* Tianyuan Zhang, Xiaojun Wang, Rongqi Wang, Xiaolin Zhang, Heidi S. Camp, Bruce A. Beutel, Hing L. Sham and Yu Gui Gu



Optimization studies aimed at improving the potency and selectivity profiles of a recently discovered series of acetyl-CoA carboxylase (ACC) inhibitors are described. The incorporation of 2-position phenyl ring substituents resulted in dramatic and general ACC2 selectivity enhancements (>3000-fold) within the lead series.

Discovering new inhibitors of bacterial glucosamine-6P synthase (GlmS) by docking simulations

pp 1966–1970

Nicolas Floquet, Céline Richez, Philippe Durand, Bernard Maigret, Bernard Badet and Marie-Ange Badet-Denisot*

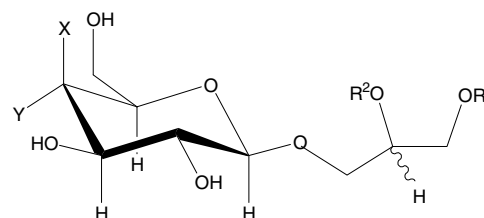
Results of an *in silico* screening of a freely accessible database encompassing 50,000 commercial compounds on bacterial glucosamine-6P synthase (GlmS) are described. 3 molecules predicted to bind at the interface between the two enzyme monomers exhibited good experimental inhibition properties ($IC_{50} = 70 \mu M$) opening the route to dimerization inhibitors.

Chemoenzymatic synthesis and in vitro studies on the hydrolysis of antimicrobial monoglycosyl diglycerides by pancreatic lipase

pp 1971–1978

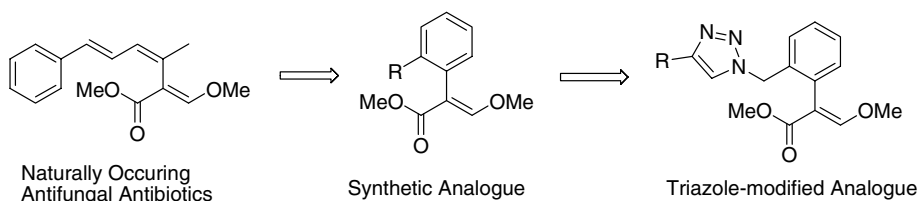
Francesca Cateni,* Paolo Bonivento, Giuseppe Procida, Marina Zacchigna, Giuditta Scialino and Elena Banfi

Monoglycosyl diglycerides with medium-long length acyl chains were prepared and examined for antimicrobial activity against Gram-positive, Gram-negative bacteria and fungi. The stereoselectivity of pancreatic lipase was investigated in vitro where the preference for the 1 position in MGDGs is strictly related to the length of the acyl chains.

X = H, Y = OH; R¹, R² = Fatty acidsX = OH, Y = H; R¹, R² = Fatty acids**Design and synthesis of β -methoxyacrylate analogues via click chemistry and biological evaluations**

pp 1979–1983

Hao Chen, Janet L. Taylor* and Suzanne R. Abrams

Naturally Occurring
Antifungal Antibiotics

Synthetic Analogue

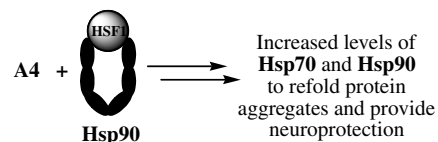
Triazole-modified Analogue

**A non-toxic Hsp90 inhibitor protects neurons from A β -induced toxicity**

pp 1984–1990

Sabah Ansar, Joseph A. Burlison, M. Kyle Hadden, Xiao Ming Yu, Kelly E. Desino, Jennifer Bean, Len Neckers, Ken L. Audus, Mary L. Michaelis and Brian S. J. Blagg*

The molecular chaperones have been implicated in numerous neurodegenerative disorders in which the defining pathology is misfolded proteins and the accumulation of protein aggregates. In this manuscript we report the first Hsp90 inhibitor that induces heat shock proteins, but exhibits no toxicity. Based on these findings, the molecule was evaluated for its neuroprotective properties against A β -induced toxicity of neurons, and demonstrated an EC_{50} of ~ 6 nM.



Thiazolone-acylsulfonamides as novel HCV NS5B polymerase allosteric inhibitors: Convergence of structure-based drug design and X-ray crystallographic study

pp 1991–1995

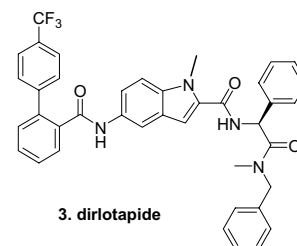
Shunqi Yan,* Todd Appleby, Gary Larson, Jim Z. Wu, Robert K. Hamatake, Zhi Hong and Nanhua Yao*

A novel series of allosteric inhibitors for HCV NS5B polymerase was designed and synthesized. An X-ray complex structure was determined at a resolution of 2.2 Å, and the result concurs with the principle of initial structure-based design.

In vitro and in vivo profile of 5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-1*H*-indole-2-carboxylic acid benzylmethyl carbamoylamide (dirlotapide), a novel potent MTP inhibitor for obesity pp 1996–1999

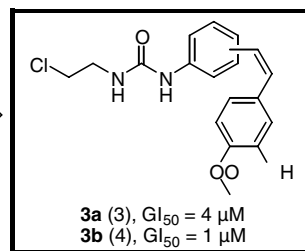
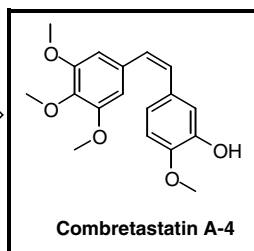
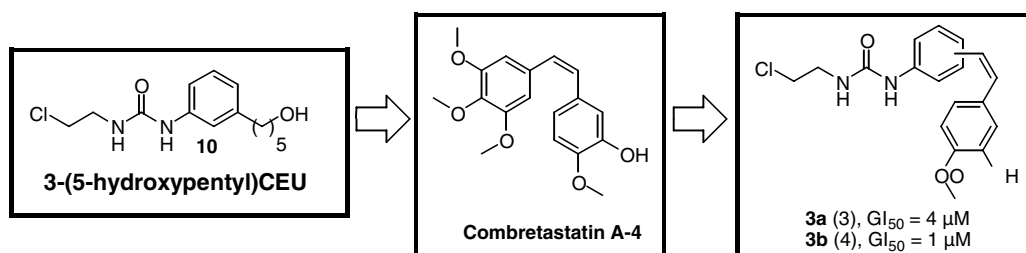
Jin Li,* Brian S. Bronk, John P. Dirlam, Alan E. Blize, Peter Bertinato, Burton H. Jaynes,
 Anne Hickman, Christine Miskell, Usha A. Pillai, Jay S. Tibbitts, Michelle L. Haven,
 Nicole L. Kolosko, Chris J. Barry and Tara B. Manion

The synthesis of a novel gut selective MTP inhibitor, 5-[(4'-trifluoromethyl-biphenyl-2-carbonyl)-amino]-1*H*-indole-2-carboxylic acid benzylmethyl carbamoylamide (dirlotapide), and its in vitro and in vivo profile are described. Dirlotapide (**3**) demonstrated excellent potency against MTP enzyme in HepG2 cells and canine hepatocytes. This novel MTP inhibitor also showed excellent efficacy when tested in a canine food intake model.



N-Phenyl-*N'*-(2-chloroethyl)urea analogues of combretastatin A-4: Is the *N*-phenyl-*N'*-(2-chloroethyl)urea pharmacophore mimicking the trimethoxy phenyl moiety? pp 2000–2004

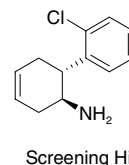
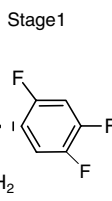
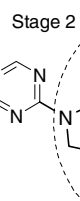
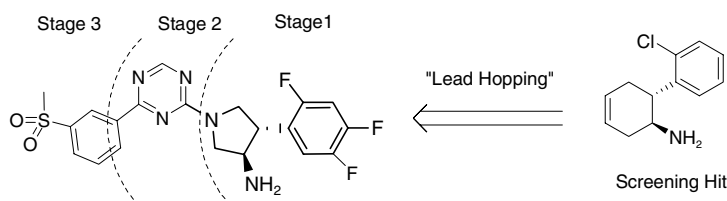
Sébastien Fortin, Emmanuel Moreau,* Jacques Lacroix, Jean-Claude Teulade, Alexandre Patenaude and René C-Gaudreault*



Pyrrolidine-constrained phenethylamines: The design of potent, selective, and pharmacologically efficacious dipeptidyl peptidase IV (DPP4) inhibitors from a lead-like screening hit

pp 2005–2012

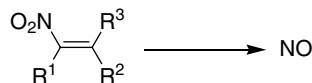
Bradley J. Backes,* Kenton Longenecker, Gregory L. Hamilton, Kent Stewart, Chunqiu Lai,
Hana Kopecka, Thomas W. von Geldern, David J. Madar, Zhonghua Pei, Thomas H. Lubben,
Bradley A. Zinker, Zhenping Tian, Stephen J. Ballaron, Michael A. Stashko, Amanda K. Mika,
David W. A. Beno, Anita J. Kempf-Grote, Candace Black-Schaefer, Hing L. Sham and James M. Trevillyan



Evaluation of nitroalkenes as nitric oxide donors

pp 2013–2017

Michael J. Gorczynski, Jinming Huang, Heather Lee and S. Bruce King*

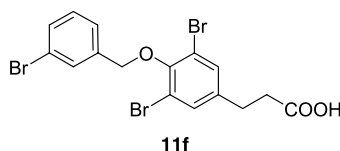


Nitroalkenes decompose to small amounts of NO as judged by chemiluminescence NO detection.

**Thyroid receptor ligands. Part 7: Indirect antagonists of the thyroid hormone receptor with improved affinity**

pp 2018–2021

Johan Malm,* Sandra Gordon, Peter Brandt, Bo Carlsson, Peter Agback, Anna Bäckbro Saeidi and Johnny Sandberg

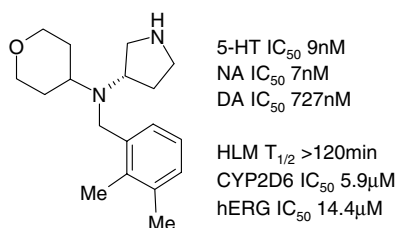


Based on the concept of ‘indirect antagonism’ of nuclear receptors, a series of thyroid hormone receptor (TR) antagonists were prepared with improved affinity compared with what was previously described. The results of a binding assay for the human TR and reporter cell assay revealed, within this series, that an *m*-bromobenzoyl substituent (**11f**) was optimal in terms of affinity and antagonist activity.

***N*-Benzyl-*N*-(tetrahydro-2*H*-pyran-4-yl)pyrrolidin-3-amines as selective dual serotonin/noradrenaline reuptake inhibitors**

pp 2022–2025

Paul V. Fish, M. Jonathan Fray, Alan Stobie, Florian Wakenhut and Gavin A. Whitlock*

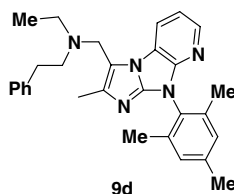


A series of amino-pyrrolidines with potent dual 5-HT/NA reuptake inhibition, good metabolic stability and weak CYP2D6 inhibition is described.

An orally active corticotropin releasing factor 1 receptor antagonist from 8-aryl-1,3a,7,8-tetraaza-cyclopenta[*a*]indenes

pp 2026–2030

Xiaojun Han,* Rita Civiello, Sokhom S. Pin, Kevin Burris, Lynn A. Balanda, Jay Knipe, Shelly Ren, Tracey Fiedler, Kaitlin E. Browman, Robert Macci, Matthew T. Taber, Jie Zhang and Gene M. Dubowchik

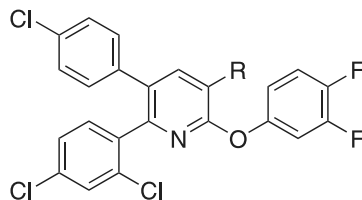


The synthesis and SAR of tetraazacyclopenta[*a*]indenes, pharmacokinetic properties and the anxiolytic activity of an orally dosed exemplary compound **9d** (K_i 8.0 nM) are reported.

Lead optimization of 5,6-diarylpyridines as CB1 receptor inverse agonists

pp 2031–2035

Christina B. Madsen-Duggan,* John S. Debenham, Thomas F. Walsh, Richard B. Toupence, Song X. Huang, Junying Wang, Xinchun Tong, Julie Lao, Tung M. Fong, Marie-Therese Schaeffer, Jing Chen Xiao, Cathy R.-R. C. Huang, Chun-Pyn Shen, D. Sloan Stribling, Lauren P. Shearman, Alison M. Strack, D. Euan MacIntyre, Lex H. T. Van der Ploeg and Mark T. Goulet



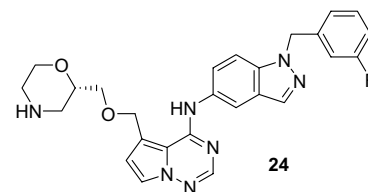
The synthesis and biological optimization for 5,6-diarylpyridines as CB1 receptor inverse agonists is described.

New C-5 substituted pyrrolotriazine dual inhibitors of EGFR and HER2 protein tyrosine kinases

pp 2036–2042

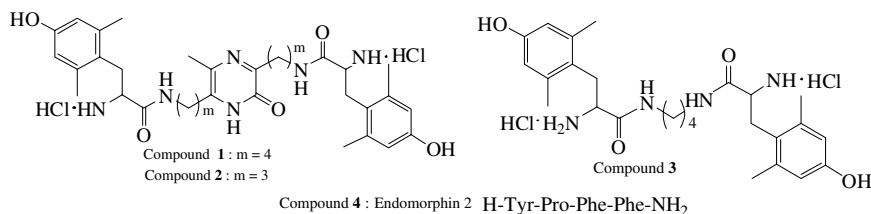
Harold Mastalerz,* Ming Chang, Ping Chen, Pierre Dextraze, Brian E. Fink, Ashvinikumar Gavai, Bindu Goyal, Wen-Ching Han, Walter Johnson, David Langley, Francis Y. Lee, Punit Marathe, Arvind Mathur, Simone Oppenheimer, Edward Ruediger, James Tarrant, John S. Tokarski, Gregory D. Vite, Dolatrai M. Vyas, Henry Wong, Tai W. Wong, Hongjian Zhang and Guifen Zhang

Novel C-5 substituted pyrrolotriazines were optimized for dual EGFR and HER2 protein tyrosine kinase inhibition. The lead compound exhibited promising oral efficacy in both EGFR and HER2 driven human tumor xenograft models. It is hypothesized that its C-5 morpholine side chain binds in the ribose phosphate portion of the ATP binding pocket.

**Comparison of the in vitro apparent permeability and stability of opioid mimetic compounds with that of the native peptide**

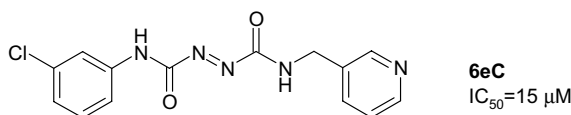
pp 2043–2046

Yasuko Koda, Kimitaka Shiotani, Istvan Toth, Yuko Tsuda, Yoshio Okada and Joanne T. Blanchfield*

**Diazenedicarboxamides as inhibitors of D-alanine-D-alanine ligase (Ddl)**

pp 2047–2054

Andreja Kovač, Vita Majce, Roman Lenaršič, Sergeja Bombek, Julieanne M. Bostock, Ian Chopra, Slovenko Polanc* and Stanislav Gobec*



A series of new inhibitors of the D-alanine-D-alanine ligase (Ddl) is presented. Thirteen diazenedicarboxamides were better inhibitors than D-cycloserine, and some of them also possess antibacterial activity, which makes them a promising starting point for further development.

Novel mitochondria-localizing TEMPO derivative for measurement of cellular oxidative stress in mitochondria

pp 2055–2058

Shizuka Ban, Hidehiko Nakagawa,* Takayoshi Suzuki
and Naoki Miyata*

We designed and synthesized a mitochondria-localizing TEMPO derivative, **1**, and demonstrated that synthesized probe **1** localized and detected oxidative stress in mitochondria in an activated mouse macrophage-like cell line.

**Advantages and limitation of BODIPY as a probe for the evaluation of lipid peroxidation and its inhibition by antioxidants in plasma**

pp 2059–2063

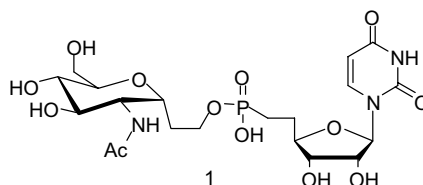
Nanako Itoh, Jiaofei Cao, Zhi-Hua Chen, Yasukazu Yoshida* and Etsuo Niki

The scheme of reaction between radicals and BODIPY in lipoproteins in the presence of lipophilic (VE) or water-soluble (VC) antioxidants is described.

Rational design and synthesis of novel nucleotide anti-*Giardia* agents

pp 2064–2067

Dae-Hwan Suk, Laurent Bonnac, Christine C. Dykstra, Krzysztof W. Pankiewicz and Steven E. Patterson*



Design and synthesis of a novel nucleotide anti-*Giardia* agent (**1**) that is a micromolar inhibitor of *Giardia* trophozoite growth in culture is described.

**Modifications of the GSK3 β substrate sequence to produce substrate-mimetic inhibitors of Akt as potential anti-cancer therapeutics**

pp 2068–2073

Katherine J. Kayser, Matthew P. Glenn, Said M. Sebt, Jin Q. Cheng and Andrew D. Hamilton*

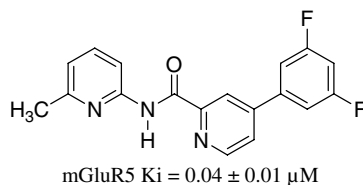
The progression of GSK3 β substrate-mimetic inhibitors towards the development of a potent, small molecule substrate-mimetic inhibitor of Akt is reported.



Design and synthesis of novel heterobiaryl amides as metabotropic glutamate receptor subtype 5 antagonists

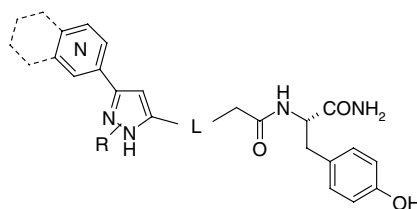
pp 2074–2079

Santosh S. Kulkarni and Amy Hauck Newman*

**Identification, synthesis, and biological evaluation of novel pyrazoles as low molecular weight luteinizing hormone receptor agonists**

pp 2080–2085

Catherine Jorand-Lebrun,* Bill Brondyk, Jing Lin, Sharad Magar, Robert Murray, Adulla Reddy, Hitesh Shroff, Greg Wands, Weishui Weiser, Qihong Xu, Sean McKenna and Nadia Brugger*

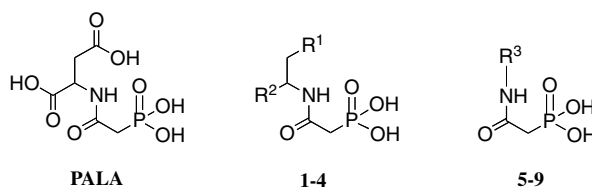


The synthesis and structure–activity relationship of pyrazole derivatives are described as novel low molecular weight LH-receptor agonists.

Design, synthesis, and bioactivity of novel inhibitors of *E. coli* aspartate transcarbamoylase

pp 2086–2090

Joby Eldo, Sabrina Heng and Evan R. Kantrowitz*



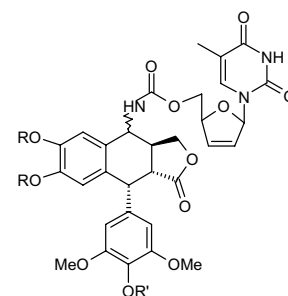
A series of novel PALA derivatives were synthesized and ability to inhibit aspartate transcarbamoylase was determined.

Synthesis and anti-HIV-1 activities of novel podophyllotoxin derivatives

pp 2091–2095

Shi-Wu Chen, Yun-Hua Wang, Yan Jin, Xuan Tian,* Yong-Tang Zheng,* Du-Qiang Luo and Yong-Qiang Tu*

A novel series of derivatives of podophyllotoxin as anti-HIV-1 agents were synthesized, **19d** and **19c** showed the highest anti-HIV activity with EC_{50} values of 0.17 and 0.29 μM and TI values of 466.9 and 354.5, respectively.



Study on synthesis, structure, and DNA-binding of lanthanide complexes with 2-carboxylbenzaldehyde thiosemicarbazone pp 2096–2101

Zheng-Yin Yang,* Yuan Wang and Yan Wang


The coordination geometry of each Sm(III) ion is a distorted tetradecahedron with nine oxygen atoms. The experimental results indicate that the binding affinity of the Sm-complex is higher than that of the ligand and the intrinsic binding constant K_b of the complex is $3.22 \times 10^5 \text{ M}^{-1}$.

OTHER CONTENTS

Summary of instructions to authors

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*Corresponding author

 Supplementary data available via ScienceDirect**COVER**

The identification of peptidomimetic inhibitors that selectively disrupt STAT1 or STAT3 homodimerization at low μM concentrations [Gunning, P. T.; Katt, W. P.; Glenn, M.; Siddique, K.; Kim, J. S.; Jove, R.; Sebt, S. M.; Turkson, J.; Hamilton, A. D. *Bioorg. Med. Chem. Lett.* **2007**, 17, 1875].

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