

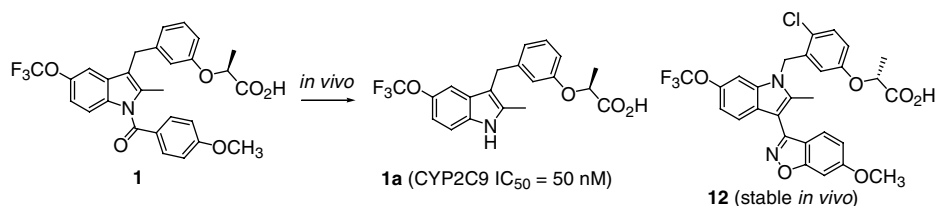
Contents

COMMUNICATIONS

Selective PPAR γ modulators with improved pharmacological profiles

pp 2437–2440

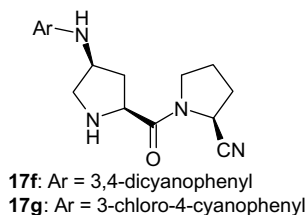
Kun Liu, Regina M. Black, John J. Acton, III, Ralph Mosley, Sheryl Debenham, Ramon Abola, Meng Yang, Richard Tschirret-Guth, Lawrence Colwell, Cherrie Liu, Margaret Wu, Chuanlin F. Wang, Karen L. MacNaul, Margaret E. McCann, David E. Moller, Joel P. Berger, Peter T. Meinke, A. Brian Jones and Harold B. Wood*



1-((S)- γ -Substituted prolyl)-(S)-2-cyanopyrrolidine as a novel series of highly potent DPP-IV inhibitors

pp 2441–2445

Hiroshi Sakashita, Hiroshi Kitajima, Mitsuharu Nakamura, Fumihiko Akahoshi* and Yoshiharu Hayashi

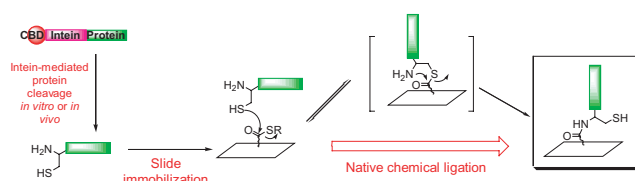


1-((S)- γ -Substituted prolyl)-(S)-2-cyanopyrrolidine was found to be suitable structure for DPP-IV inhibition. Of these, (3,4-dicyanophenyl)amino-(17f) and (3-chloro-4-cyanophenyl)amino-derivatives (17g) showed the highest inhibitory activity.

Site-specific immobilization of proteins in a microarray using intein-mediated protein splicing

pp 2447–2451

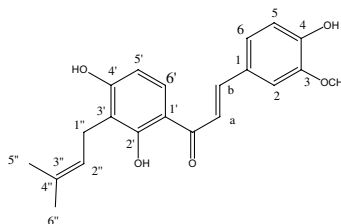
Aparna Girish, Hongyan Sun, Dawn S. Y. Yeo, Grace Y. J. Chen, Teck-Khiang Chua and Shao Q. Yao*



Prenylated chalcones isolated from *Crotalaria* genus inhibits in vitro growth of the human malaria parasite *Plasmodium falciparum*

pp 2453–2455

T. Narender,* Shweta, K. Tanvir, M. Srinivasa Rao, K. Srivastava and S. K. Puri



A chalcone **2**, crotaorixin, has been isolated from the aerial parts of the *Crotalaria orixensis*. Its structure has been established by extensive 1D and 2D NMR measurements. Compound **2** and few chalcones isolated from *C. medicagenia* and *C. ramosissima* have been screened for their in vitro antimalarial activity. The diprenylated chalcone **3** has shown 100% inhibition against malaria parasite *Plasmodium falciparum*'s (Strain NF-54) schizont maturation at 2 µg/ml concentration.

Synthesis of dehydroalanine fragments as thioestrepiton side chain mimetics

pp 2457–2460

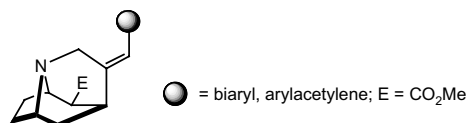
Benjamin K. Ayida, Klaus B. Simonsen, Dionisios Vourloumis and Thomas Hermann*



Discovery of novel conformationally constrained tropane-based biaryl and arylacetylene ligands as potent and selective norepinephrine transporter inhibitors and potential antidepressants

pp 2461–2465

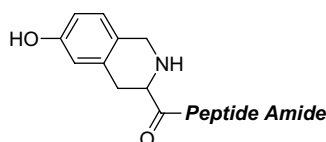
Jia Zhou,* Thomas Kläß, Kenneth M. Johnson, Kelly M. Giberson and Alan P. Kozikowski



6-Hydroxy-1,2,3,4-tetrahydro-isoquinoline-3-carboxylic acid mimics active conformation of tyrosine in opioid peptides

pp 2467–2469

Emanuela Sperlinga, Piotr Kosson, Zofia Urbanczyk-Lipkowska, Giuseppe Ronsisvalle, Daniel B. Carr and Andrzej W. Lipkowski*

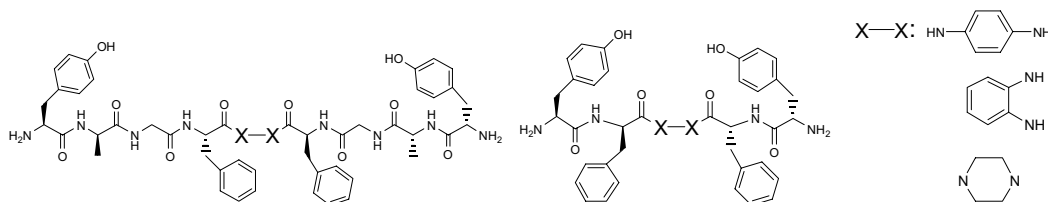


Synthesis and opioid receptor affinities of model opioid peptides with 6Htc substituting N-terminal tyrosine are reported.

Synthesis and biological evaluation of new biphalin analogues with non-hydrazine linkers

pp 2471–2475

Adriano Mollica, Peg Davis, Shou-Wu Ma, Josephine Lai, Frank Porreca and Victor J. Hruby*

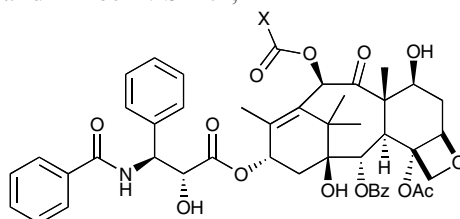


This study presents the synthesis and in vitro bioassay of new biphalin analogues with three novel non-hydrazine linkers.

A facile route to paclitaxel C-10 carbamates

pp 2477–2480

Carlo Ballatore,* Simon E. Aspland, Rosario Castillo, Joel Desharnais, Trisha Eustaquio,
Chengzao Sun, Angelo J. Castellino* and Amos B. Smith, III*

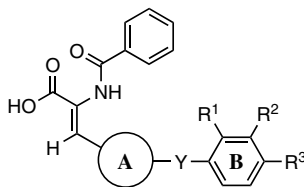


A general protocol for the synthesis of paclitaxel C-10 carbamates is described. The method entails MeI-mediated activation of 2'-*O*-TBS-7-*O*-TES-10-*O*-deacetyl-paclitaxel-10-*O*-carbonylimidazole prior to reaction with amines. This method is effective for the synthesis of paclitaxel C-10 derivatives, including bifunctional molecules.

Inhibitors of HCV NS5B polymerase. Part 1: Evaluation of the southern region of (2*Z*)-2-(benzoylamino)-3-(5-phenyl-2-furyl)acrylic acid

pp 2481–2486

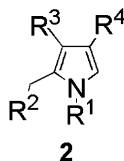
Jeffrey A. Pfefferkorn,* Meredith L. Greene, Richard A. Nugent, Rebecca J. Gross, Mark A. Mitchell, Barry C. Finzel, Melissa S. Harris, Peter A. Wells, John A. Shelly, Robert A. Anstadt, Robert E. Kilkuskie, Laurice A. Kopta and Francis J. Schwende



Antiproliferative activity in HL60 cells by tetrasubstituted pyrroles: a structure–activity relationship study

pp 2487–2490

José M. Padrón,* David Tejedor, Alicia Santos-Expósito, Fernando García-Tellado,*
Víctor S. Martín and Jesús Villar



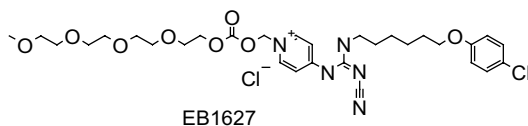
GI₅₀ = 4–45 μM

The synthesis of tetrasubstituted pyrrole derivatives **2** and their in vitro antiproliferative activity against HL60 cells is reported.

EB1627: a soluble prodrug of the potent anticancer cyanoguanidine CHS828

pp 2491–2494

Ernst Binderup, Fredrik Björklund,* Pernille Vig Hjarnaa, Scilla Latini,
Bodil Baltzer, Morten Carlsen and Lise Binderup

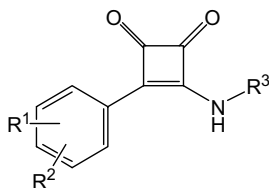


The preparation and biological activity of (EB1627), a soluble pro-drug of the potent of anticancer cyanoguanidine CHS828, is reported.

Synthesis and bladder smooth muscle relaxing properties of substituted 3-amino-4-aryl- (and aralkyl)-cyclobut-3-ene-1,2-diones

pp 2495–2501

John A. Butera,* Douglas J. Jenkins, Joseph R. Lennox, Jeffrey H. Sheldon, N. Wesley Norton,
Dawn Warga and Thomas M. Argentieri

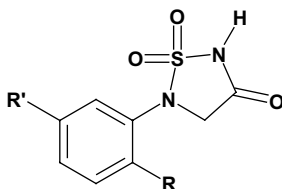


The synthesis and smooth muscle relaxant SAR of a series of novel phenyl- and benzyl-cyclobutenediones are reported.

Structure-based design of protein tyrosine phosphatase-1B inhibitors

pp 2503–2507

Emma Black, Jason Breed, Alexander L. Breeze, Kevin Embrey, Robert Garcia, Thomas W. Gero,
Linda Godfrey, Peter W. Kenny,* Andrew D. Morley,* Claire A. Minshull, Andrew D. Pannifer,
Jon Read, Amanda Rees, Daniel J. Russell, Dorin Toader and Julie Tucker

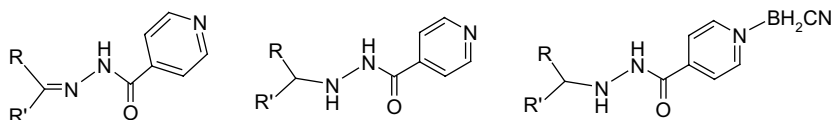


Using structure-based design, a new class of inhibitors of protein tyrosine phosphatase-1B (PTP1B) has been identified, which incorporate the 1,2,5-thiadiazolidin-3-one-1,1-dioxide template.

**In vitro advanced antimycobacterial screening of isoniazid-related hydrazones, hydrazides and cyanoboranes: Part 14**

pp 2509–2513

Rosanna Maccari,* Rosaria Ottanà and Maria Gabriella Vigorita

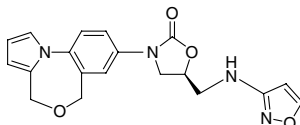


The in vitro antimycobacterial activities of some isoniazid derivatives are reported.

A synthetic route to a novel type of conformationally constrained *N*-aryloxazolidinones

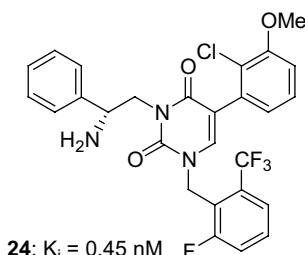
pp 2515–2517

Rosa Griera, Carme Cantos-Llopart, Mercedes Amat, Joan Bosch,*
Juan-C. del Castillo and Joan Huguet

**Uracils as potent antagonists of the human gonadotropin-releasing hormone receptor without atropisomers**

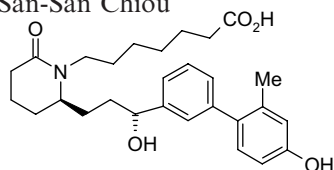
pp 2519–2522

Zhiqiang Guo, Yongsheng Chen, Charles Q. Huang, Timothy D. Gross, Joseph Pontillo,
Martin W. Rowbottom, John Saunders, Scott Struthers, Fabio C. Tucci, Qiu Xie, Warren Wade,
Yun-Fei Zhu, Dongpei Wu and Chen Chen*

**24:** $K_i = 0.45 \text{ nM}$ **Lactams as prostanoid receptor ligands. Part 4: 2-Piperidones as selective EP₄ receptor agonists**

pp 2523–2526

Todd R. Elworthy,* Emma R. Brill, Christopher C. Caires, Woongki Kim,
Leang K. Lach, Jahari Laurant Tracy and San-San Chiou

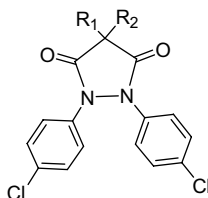
**hEP₄ EC₅₀ = 110 nM****>400-fold subtype selective**

2-Piperidones were prepared bearing heptanoic acid or a thioether heptanoic acid at the 1-position and appropriately substituted at the 6-position to mimic the structure of prostaglandins. The stereochemical purity at the 6-position was determined to be $\geq 95\%$ ee. The 2-piperidone ligands were identified as potent agonists at the EP₄ prostanoid receptor and also displayed subtype selectivity.

4-Alkyl and 4,4'-dialkyl 1,2-bis(4-chlorophenyl)pyrazolidine-3,5-dione derivatives as new inhibitors of bacterial cell wall biosynthesis

pp 2527–2531

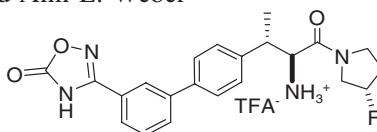
Kristina M. K. Kutterer,* Jamie M. Davis, Guy Singh, Youjun Yang, William Hu,
Anatoly Severin, Beth A. Rasmussen, Girija Krishnamurthy, Amedeo Failli and Alan H. Katz



An efficient microwave-assisted synthesis of substituted pyrazolidinediones was developed. These compounds showed good activity against MurB and Gram-positive bacteria.

Discovery of potent and selective phenylalanine based dipeptidyl peptidase IV inhibitors

Jinyou Xu,* Lan Wei, Robert Mathvink, Jiafang He, You-Jung Park, Huaibing He,
Barbara Leiting, Kathryn A. Lyons, Frank Marsilio, Reshma A. Patel,
Joseph K. Wu, Nancy A. Thornberry and Ann E. Weber

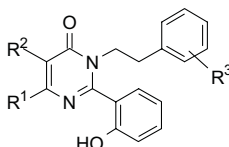


44, DPP-IV IC₅₀ = 3 nM

anti-Substituted β -methylphenylalanine derived amides have been shown to be potent DPP-IV inhibitors exhibiting excellent selectivity over both DPP8 and DPP9. These are among the most potent compounds reported to date lacking an electrophilic trap. The most potent compound among these is 5-oxo-1,2,4-oxadiazole **44**, which is a 3 nM DPP-IV inhibitor.

Design, new synthesis, and calcilytic activity of substituted 3*H*-pyrimidin-4-ones

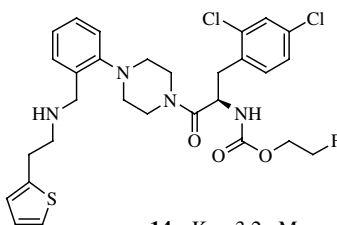
Irina Shcherbakova,* Guangfei Huang, Otto J. Geoffroy, Satheesh K. Nair, Krzysztof Swierczek, Manuel F. Balandrin, John Fox, William L. Heaton and Rebecca L. Conklin



Design, new synthesis, structure–activity relationship studies and calcium receptor antagonist (calcilytic) properties of novel 3*H*-pyrimidin-4-ones are described.

A potent and selective nonpeptide antagonist of the melanocortin-4 receptor induces food intake in satiated mice

Joseph Pontillo, Joseph A. Tran, Stacy Markison, Margaret Joppa, Beth A. Fleck, Dragan Marinkovic, Melissa Arellano, Fabio C. Tucci, Marion Lanier, Jodie Nelson, John Saunders, Sam R. J. Hoare, Alan C. Foster and Chen Chen*

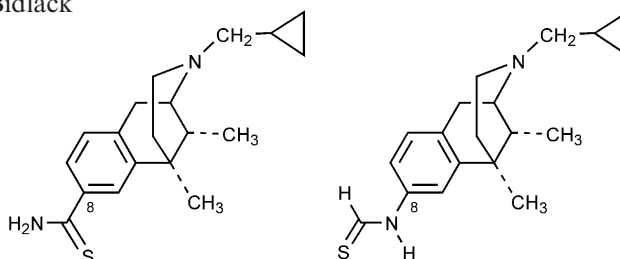


14c, $K_i = 3.2$ nM

Redefining the structure–activity relationships of 2,6-methano-3-benzazocines.

Part 3: 8-Thiocarboxamido and 8-thioformamido derivatives of cyclazocine

Mark P. Wentland,* Xufeng Sun, Yigong Bu, Rongliang Lou,
Dana J. Cohen and Jean M. Bidlack

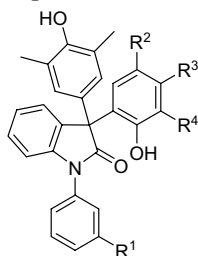


8-Thiocarboxamido and 8-thioformamido analogues of cyclazocine show high binding affinity for opioid receptors.

3,3-Bisaryloxindoles as mineralocorticoid receptor antagonists

pp 2553–2557

David A. Neel,* Matthew L. Brown, Peter A. Lander, Timothy A. Grese, Jean M. Defauw, Robert A. Doti, Todd Fields, Sally Ann Kelley, Stephon Smith, Karen M. Zimmerman, Mitchell I. Steinberg and Prabhakar K. Jadhav

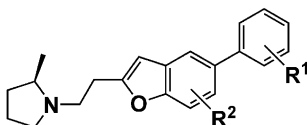


Synthesis and SAR studies of 3,3-bisaryloxindole analogues provided potent mineralocorticoid receptor (MR) antagonists that were selective over other hormone receptors.

Structure–activity relationships of arylbenzofuran H₃ receptor antagonists

pp 2559–2563

Gregory A. Gfesser,* Ramin Faghih, Youssef L. Bennani, Michael P. Curtis, Timothy A. Esbenshade, Arthur A. Hancock and Marlon D. Cowart



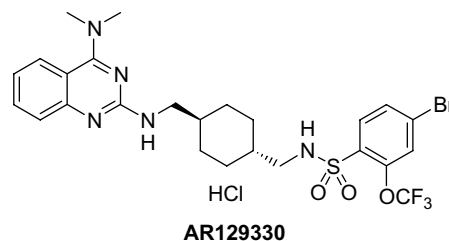
An SAR study of histamine H₃ receptor antagonists based on substituted (*R*)-2-methyl-1-[2-(5-phenyl-benzofuran-2-yl)-ethyl]-pyrrolidines is presented.

Discovery of 4-(dimethylamino)quinazolines as potent and selective antagonists for the melanin-concentrating hormone receptor 1

pp 2565–2569

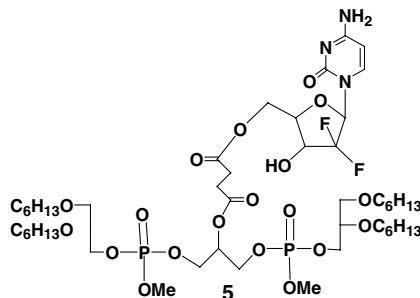
Kosuke Kanuma, Katsunori Omodera, Mariko Nishiguchi, Takeo Funakoshi, Shigeyuki Chaki, Graeme Semple, Thuy-Anh Tran, Bryan Kramer, Debbie Hsu, Martin Casper, Bill Thomsen, Nigel Beeley and Yoshinori Sekiguchi*

High-throughput screening of our in-house GPCR-directed library uncovered a series of 4-(dimethylamino)quinazolines as melanin-concentrating hormone receptor 1 (MCH-R1) antagonists (e.g., AR129330). The synthesis and early SAR investigation of this series are reported.

**Synthesis and biological evaluation of gemcitabine–lipid conjugate (NEO6002)**

pp 2571–2574

Shoukath M. Ali, Abdul R. Khan, Moghis U. Ahmad, Paul Chen, Saifuddin Sheikh and Imran Ahmad*

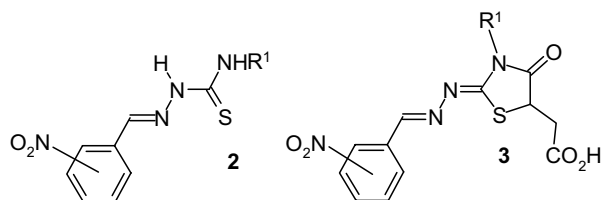


The synthesis and biological evaluation of novel gemcitabine–lipid conjugate is reported.

Synthesis of thiosemicarbazone and 4-thiazolidinone derivatives and their in vitro anti-*Toxoplasma gondii* activity

pp 2575–2578

Rômulo P. Tenório, Cristiane S. Carvalho, Carla S. Pessanha, José G. de Lima, Antônio R. de Faria, Antônio J. Alves, Edésio J. T. de Melo and Alexandre J. S. Góes*

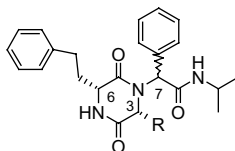


The synthesis of thiosemicarbazone **2** and 4-thiazolidinone derivatives **3** and their evaluation against *Toxoplasma gondii* are reported.

2,5-Diketopiperazines as potent and selective oxytocin antagonists 1: identification, stereochemistry and initial SAR

pp 2579–2582

Paul G. Wyatt, Michael J. Allen, Alan D. Borthwick,* Dave E. Davies, Anne M. Exall, Richard J. D. Hatley, Wendy R. Irving, David G. Livermore, Neil D. Miller, Fabrizio Nerozzi, Steve L. Sollis and Anna Katrin Szardenings

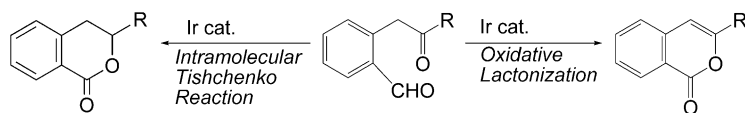


Screening pooled libraries identified a novel series of 2,5-diketopiperazine derivatives with antagonist activity at the human oxytocin receptor. We report the initial structure activity relationship investigations and the determination of the stereochemistry of a series of potent and selective oxytocin antagonists.

Iridium-catalyzed oxidative lactonization and intramolecular Tishchenko reaction of δ -ketoaldehydes for the synthesis of isocoumarins and 3,4-dihydroisocoumarins

pp 2583–2585

Takeyuki Suzuki,* Taichiro Yamada, Kazuhiro Watanabe and Tadashi Katoh*

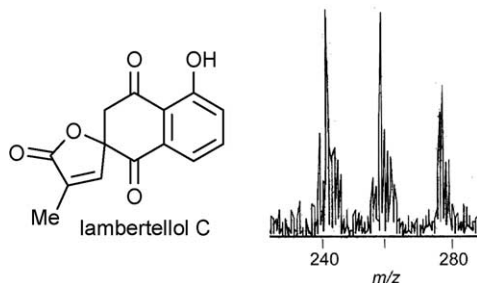


Ir-catalyzed oxidative lactonization of δ -ketoaldehydes proceeded smoothly at room temperature to give coumarin derivatives in excellent yields. Intramolecular Tishchenko reaction of δ -ketoaldehydes afforded 3,4-dihydroisocoumarins in good yields.

Lambertellol C, a labile and novel biosynthetic congener of lambertellols A and B

pp 2587–2590

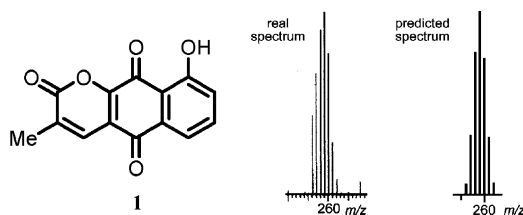
Takanori Murakami, Akane Sasaki, Eri Fukushi, Jun Kawabata, Masaru Hashimoto* and Toshikatsu Okuno



Optimization of isotope-labeling conditions for lambertellin based on isotope patterns observed by mass spectrometry

pp 2591–2594

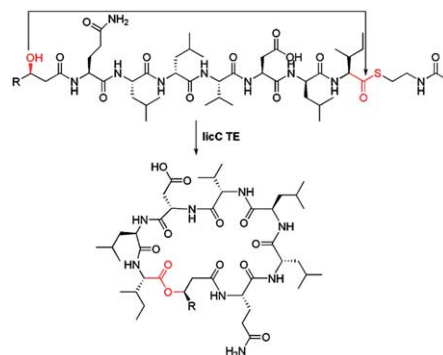
Takanori Murakami, Akane Sasaki, Eri Fukushi, Jun Kawabata, Masaru Hashimoto* and Toshikatsu Okuno

**Macrolactonization catalyzed by the terminal thioesterase domain of the nonribosomal peptide synthetase responsible for lichenysin biosynthesis**

pp 2595–2599

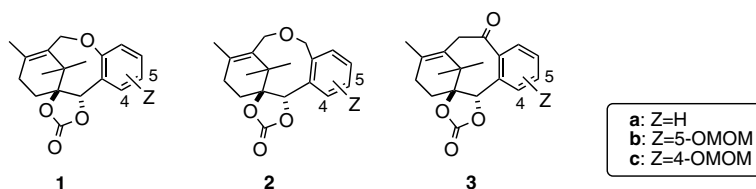
Shuyong Cao, Yanzhen Yang, Na Lee Joyce Ng and Zhihong Guo*

The catalytic activities and substrate specificities of the carboxy terminal thioesterase of the nonribosomal lichenysin synthetase are reported.

**Synthesis and evaluation of 3D templates based on a taxane skeleton to circumvent P-glycoprotein-associated multidrug resistance of cancer**

pp 2601–2605

Takashi Takahashi,* Kazuoki Nakai, Takayuki Doi, Masa Yasunaga, Hiroshi Nakagawa and Toshihisa Ishikawa*

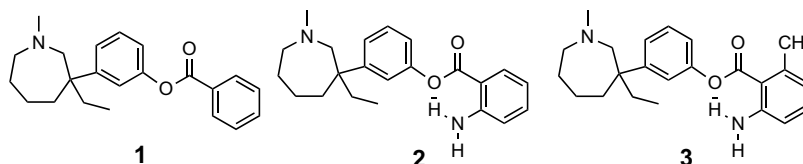


The synthesis of the C-aromatic taxoid analogues **1–3**, and their affinity for P-glycoprotein are reported. An evolution of multidrug resistant reversal activity of **2b** is also described.

Synthesis and relative bioavailability of meptazinol benzoyl esters as prodrugs

pp 2607–2609

Meiyan Lu, Chengji Zhang, Jinglai Hao and Zhuibai Qiu*

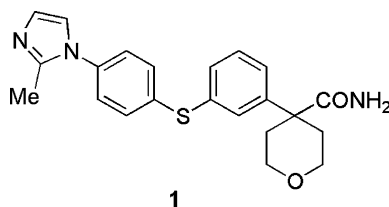


Three prodrugs (**1–3**) of meptazinol were prepared to avoid the first-pass effect of meptazinol. When evaluated in the in situ closed loop study in rats, prodrug **3** showed high absorption efficacy in rat intestine.

5-Lipoxygenase inhibitors: convenient synthesis of 4-[3-(4-heterocyclylphenylthio)phenyl]-3,4,5,6-tetrahydro-2H-pyran-4-carboxamide analogues

pp 2611–2615

Takashi Mano,* Rodney W. Stevens, Yoshiyuki Okumura, Makoto Kawai, Takako Okumura and Minoru Sakakibara

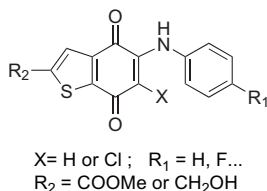


The improved synthesis of 5-LO inhibitor **1** was developed and enabled rapid development of structure–activity relationship.


Synthesis and antifungal activity of 5-arylamino-4,7-dioxobenzo[*b*]thiophenes

pp 2617–2620

Chung-Kyu Ryu,* Su-Kyung Lee, Ja-Young Han, Ok-Jai Jung, Jung Yoon Lee and Seong Hee Jeong

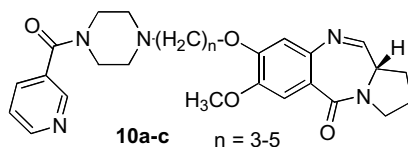


5-Arylamino-4,7-dioxobenzo[*b*]thiophenes were synthesized and tested for in vitro antifungal activity against *Candida* species and *Aspergillus niger*. Among them, 5-arylamino-6-chloro-2-(methoxycarbonyl)-4,7-dioxobenzo[*b*]thiophenes exhibited potent antifungal activity against *Candida* species.

Synthesis of pyrrolo[2,1-*c*][1,4]benzodiazepines and their conjugates by azido reductive cyclization strategy as potential DNA-binding agents

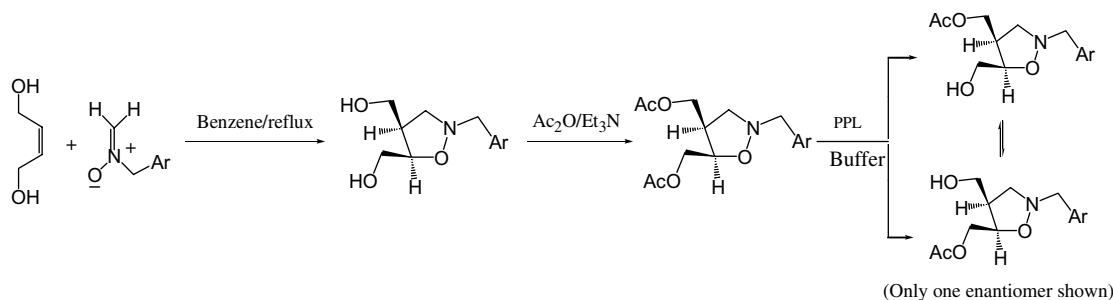
pp 2621–2623

Ahmed Kamal,* A. Hari Babu, A. Venkata Ramana, K. Venkata Ramana, E. Vijaya Bharathi and M. Shiva Kumar


A divergent chemoenzymatic route to an intermediate for nucleoside analogues

pp 2625–2628

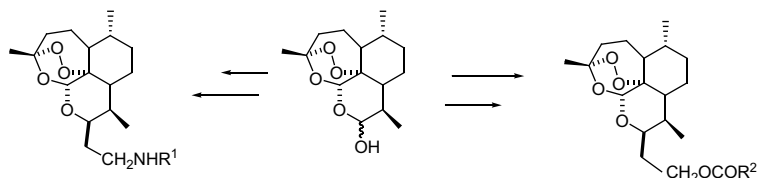
Amit Basak* and Shrabani Bisai



Synthesis of novel 10-deoxoartemisinins

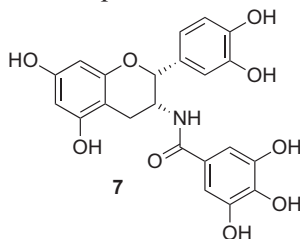
pp 2629–2631

Vu Tran Khac,* Tuyen Nguyen Van and Sung Tran Van

**Synthesis and antibacterial activity of hydrolytically stable (–)-epicatechin gallate analogues for the modulation of β -lactam resistance in *Staphylococcus aureus***

pp 2633–2635

James C. Anderson,* Catherine Headley, Paul D. Stapleton and Peter W. Taylor*



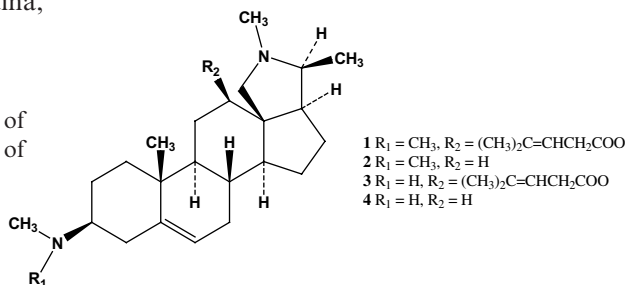
The synthesis of hydrolytically more stable analogues of (–)-epicatechin gallate (ECg) are reported with **7** reducing the resistance of methicillin resistant *Staphylococcus aureus* to oxacillin comparable to levels achieved with ECg.

Isolation, characterization and antiplasmodial activity of steroidal alkaloids from *Funtumia elastica* (Preuss) Stapf

pp 2637–2640

Guédé Noël Zirihi, Philippe Grellier, Frédérick Guédé-Guina, Bernard Bodo and Lengo Mambu*

Four steroidal alkaloids (**1–4**) were isolated from the stem bark of *Funtumia elastica*. The antiplasmodial and cytotoxic activities of these compounds are reported.

**Geometry, topology, and atom-weights assembly descriptors to predicting A_1 adenosine receptors agonists**

pp 2641–2645

Maykel Pérez González,* Carmen Terán, Marta Teijeira and Pedro Besada

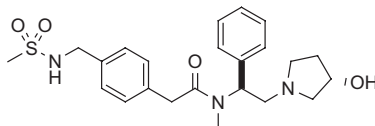
The GETAWAY approach has been applied to the study of the A_1 adenosine receptor agonist effect with excellent results. Five different approaches failed to give satisfactory models for this property.



Arylacetamide κ opioid receptor agonists with reduced cytochrome P450 2D6 inhibitory activity

pp 2647–2652

Bertrand Le Bourdonnec,* Christopher W. Ajello, Pamela R. Seida, Roberta G. Susnow, Joel A. Cassel, Serge Belanger, Gabriel J. Stabley, Robert N. DeHaven, Diane L. DeHaven-Hudkins and Roland E. Dolle



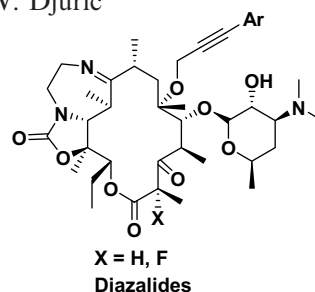
Synthetic strategies to improve the selectivity of the arylacetamide class of κ opioid agonists toward CYP2D6 is reported.

Design, synthesis and structure–activity relationships of 6-*O*-arylpropargyl diazolides with potent activity against multidrug-resistant *Streptococcus pneumoniae*

pp 2653–2658

Hong Yong,* Yu Gui Gu, Richard F. Clark, Thomas Marron, Zhenkun Ma, Niru Soni, Gregory G. Stone, Angela M. Nilius, Kennan Marsh and Stevan W. Djuric

A novel series of 6-*O*-arylpropargyl diazolides was synthesized and evaluated for their antibacterial activity. Members of this series exhibited potent activity against erythromycin-resistant respiratory tract pathogens.

**Radical scavenging activity of protein from tentacles of jellyfish *Rhopilema esculentum***

pp 2659–2664

Huahua Yu, Xiguang Liu, Rong Xing, Song Liu, Cuiping Li and Pengcheng Li*

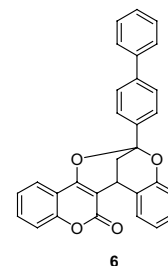
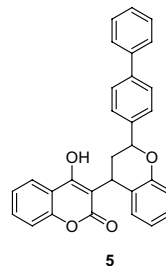
Radical scavenging activity of protein from tentacles of jellyfish *Rhopilema esculentum* by $(\text{NH}_4)_2\text{SO}_4$ fractionation and Sephadex G-100 chromatography was assayed. The protein samples showed strong scavenging activity on superoxide anion and hydroxyl radical and the radical scavenging activity was stable at high temperature.

Design and synthesis of novel diphenacoum-derived, conformation-restricted vitamin K 2,3-epoxide reductase inhibitors

pp 2665–2668

Ding-Wei Chen, Pei-Yu Kuo and Ding-Yah Yang*

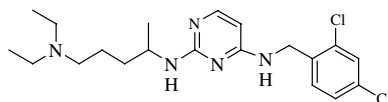
Efficient syntheses of two novel diphenacoum-derived, conformation-restricted analogues **5** and **6** are presented. Biological evaluation indicated that **5** is a potent vitamin K 2,3-epoxide reductase inhibitor and **6** is a moderate inhibitor, which may serve as a lead compound for further mode of action studies.



Identification of chemokine receptor CCR4 antagonist

pp 2669–2672

Ashok V. Purandare,* Aiming Gao, Honghe Wan, John Somerville, Christine Burke, Carrie Seachord, Wayne Vaccaro, John Wityak and Michael A. Poss

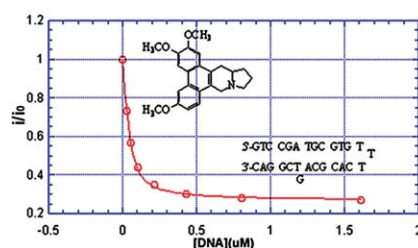


The present study reports the identification and hits to leads optimization of CCR4 antagonists. The compound shown is a high affinity, non-cytotoxic antagonist of CCR4 that blocks the functional activity mediated by the receptor.

Selective interaction between tylophorine B and bulged DNA

pp 2673–2677

Zhen Xi,* Ruoyu Zhang, Zhihong Yu, Di Ouyang and Runqiu Huang

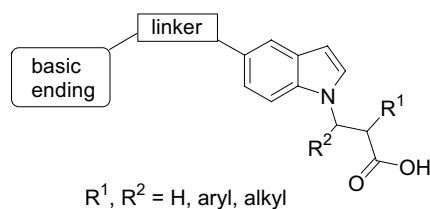


Tylophorine B has favorable molecular interaction with bulged DNA, the oligo-deoxynucleotide, which has a tentative structure of a hairpin with a one base bulge, shows the tightest binding by tylophorine B with a dissociation constant of 18 nM.

Non-peptidic $\alpha_v\beta_3$ antagonists containing indol-1-yl propionic acids

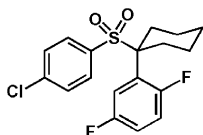
pp 2679–2684

Kristi Leonard, Wenxi Pan, Beth Anaclerio, Joan M. Gushue, Zihong Guo, Renee L. DesJarlais, Marge A. Chaikin, Jennifer Lattanze, Carl Crysler, Carl L. Manthey, Bruce E. Tomczuk and Juan Jose Marugan*

**Aryl sulfones: a new class of γ -secretase inhibitors**

pp 2685–2688

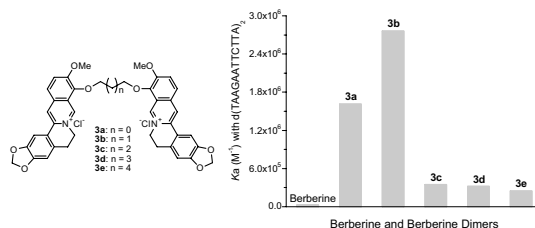
Martin Teall,* Paul Oakley, Timothy Harrison, Duncan Shaw, Euan Kay, Jason Elliott, Ute Gerhard, José L. Castro, Mark Shearman, Richard G. Ball and Nancy N. Tsou



Synthesis of linked berberine dimers and their remarkably enhanced DNA-binding affinities

pp 2689–2692

Wen-Hua Chen,* Ji-Yan Pang, Yong Qin, Qian Peng, Zongwei Cai and Zhi-Hong Jiang*



Berberine dimers were synthesized in moderate to high yields and showed remarkably enhanced affinities toward double-stranded DNA.

OTHER CONTENTS

Contributors to this issue
Instructions to contributors

pp I–II
pp III–VI

*Corresponding author

† Supplementary data available via ScienceDirect

COVER

The use of synthetically modified (–)-epicatechin gallate, a constituent of green tea, to a hydrolytically more stable amide analogue, restores the antibacterial effectiveness of the β-lactam antibiotic oxacillin towards MRSA. [Anderson, J. C.; Headley, C.; Stapleton, P. D.; Taylor, P. W. *Bioorg. Med. Chem. Lett.* **2005**, *15*, 2633.]



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