

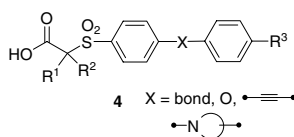
Contents

ARTICLES

Synthesis and SAR of α -sulfonylcarboxylic acids as potent matrix metalloproteinase inhibitors

pp 3096–3100

Yue-Mei Zhang,* Xiaodong Fan, Bangping Xiang, Devraj Chakravarty,
Robert Scannevin, Sharon Burke, Prabha Karnachi, Kenneth Rhodes and Paul Jackson



A series of novel carboxylic acid-based α -sulfone MMP inhibitors have been synthesized and the in vitro enzyme SAR and in vivo PK evaluation are discussed. These compounds are potent MMP-9 inhibitors and are selective over MMP-1.

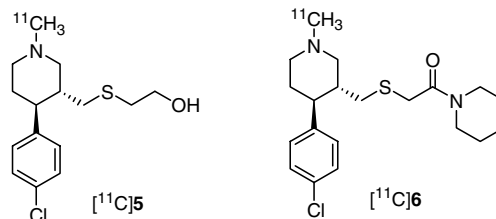


Development of new brain imaging agents based upon cocaine–modafinil hybrid monoamine transporter inhibitors

pp 3101–3104

John L. Musachio, Jinsoo Hong, Masanori Ichise, Nicholas Seneca, Amira K. Brown,
Jeih-San Liow, Christer Halldin, Robert B. Innis, Victor W. Pike, Rong He,
Jia Zhou and Alan P. Kozikowski*

[^{11}C]5 and [^{11}C]6 display high affinity for the NET in vitro ($K_i = 0.94$ and 0.68 nM, respectively) and significant selectivity over the dopamine (DAT) and serotonin transporters (SERT). Because of their high affinity and favorable transporter selectivities we speculated that these ligands might serve as useful PET agents for imaging NET in vivo. Contrary to our expectations, both of these ligands provided brain images that were more typical of those shown by agents binding to the DAT.

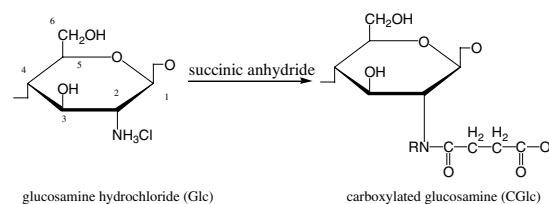


Carboxy derivatized glucosamine is a potent inhibitor of matrix metalloproteinase-9 in HT1080 cells

pp 3105–3110

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

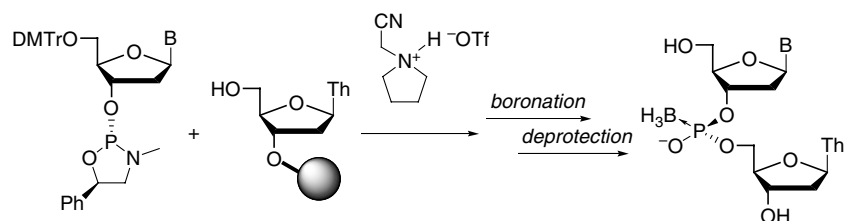
Carboxylated glucosamine (CGlc) synthesized through substitution of $-\text{OOC}-\text{CH}_2\text{CH}_2-\text{CO}-$ group to glucosamine hydrochloride (Glc) exhibited a potent matrix metalloproteinase-9 (MMP-9) expression inhibition in HT1080, human fibrosarcoma cells.



Stereoselective synthesis of dinucleoside boranophosphates by an oxazaphospholidine method

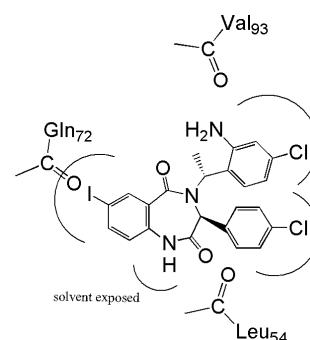
pp 3111–3114

Takeshi Wada,* Yukihiro Maizuru, Mamoru Shimizu, Natsuhisa Oka and Kazuhiko Saigo

**Enantiomerically pure 1,4-benzodiazepine-2,5-diones as Hdm2 antagonists**

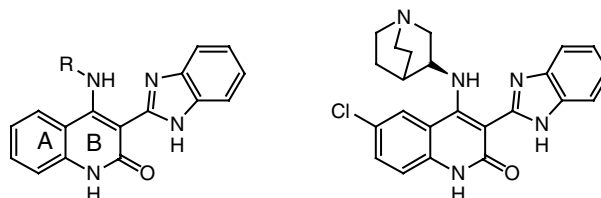
pp 3115–3120

Juan Jose Marugan,* Kristi Leonard, Pierre Raboisson, Joan M. Gushue, Raul Calvo, Holly K. Koblish, Jennifer Lattanze, Shuyuan Zhao, Maxwell D. Cummings, Mark R. Player, Carsten Schubert, Anna C. Maroney and Tianbao Lu

**4-(Aminoalkylamino)-3-benzimidazole-quinolinones as potent CHK-1 inhibitors**

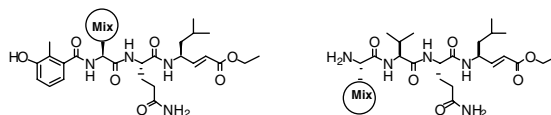
pp 3121–3124

Zhi-Jie Ni,* Paul Barsanti, Nathan Brammeier, Anthony Diebes, Daniel J. Poon, Simon Ng, Sabina Pecchi, Keith Pfister, Paul A. Renhowe, Savithri Ramurthy, Allan S. Wagman, Dirksen E. Bussiere, Vincent Le, Yasheen Zhou, Johanna M. Jansen, Sylvia Ma and Thomas G. Gesner

**P3 and P4 position analysis of vinyl ester pseudo peptide proteasome inhibitors**

pp 3125–3130

Mauro Marastoni,* Anna Baldisserotto, Claudio Trapella, Riccardo Gavioli and Roberto Tomatis

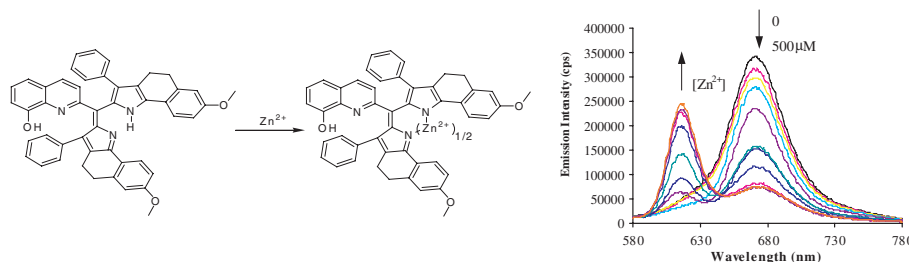


Here following is the report on the use of small, focused libraries to study P3 and P4 positions of vinyl ester pseudo peptides, selective inhibitors for trypsin-like activity of the 20S proteasome.

A ratiometric fluorescent sensor for Zn^{2+} based on internal charge transfer (ICT)

pp 3131–3134

Yujiang Mei and Paul A. Bentley*

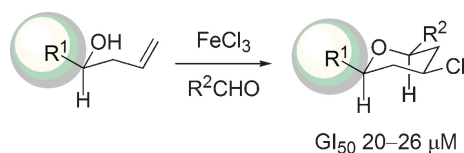


8-Hydroxyquinolinedipyrin derivative ratiometric chemosensors were designed, prepared, and shown to have a high selectivity and sensitivity for Zn^{2+} ions.

**One-pot synthesis and SAR study of *cis*-2,6-dialkyl-4-chloro-tetrahydropyrans**

pp 3135–3138

Pedro O. Miranda, Leticia G. León, Víctor S. Martín,* Juan I. Padrón* and José M. Padrón*

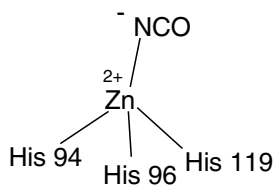


The in vitro antitumor activity of 2,6-dialkyl-4-chloro-tetrahydropyrans against human solid tumor cells is reported.

Carbonic anhydrase inhibitors: Inhibition of the cytosolic human isozyme VII with anions

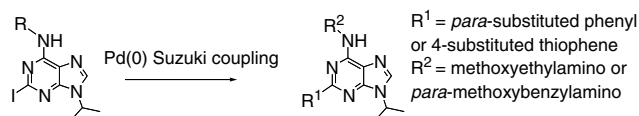
pp 3139–3143

Daniela Vullo, Eva Ruusuvauro, Kai Kaila, Andrea Scozzafava and Claudiu T. Supuran*

**Suzuki-type Pd(0) coupling reactions in the synthesis of 2-arylpurines as Cdk inhibitors**

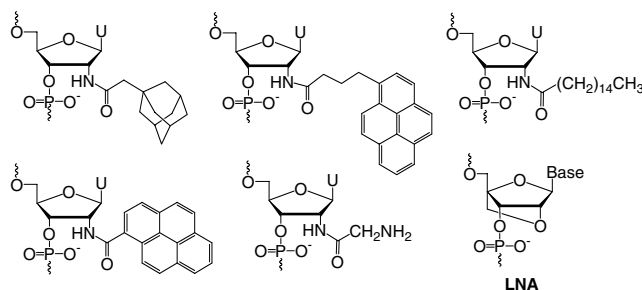
pp 3144–3146

Lucie Vandromme, Sandrine Piguel, Olivier Lozach, Laurent Meijer, Michel Legraverend* and David S. Grierson



DNA and LNA oligonucleotides containing N2'-functionalised derivatives of 2'-amino-2'-deoxyuridine pp 3166–3169

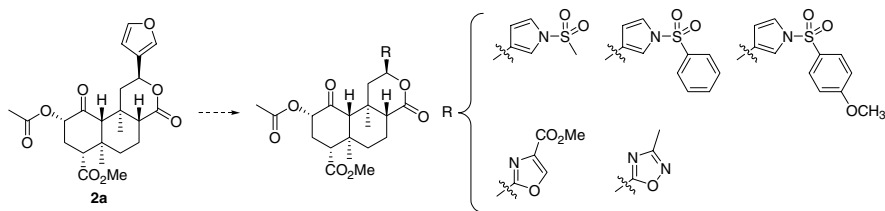
Neerja Kalra, Maria C. Parlato, Virinder S. Parmar and Jesper Wengel*

**Synthetic studies of neoclerodane diterpenes from *Salvia divinorum*:**

pp 3170–3174

Selective modification of the furan ring

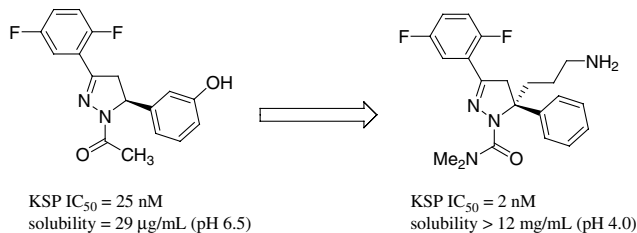
Wayne W. Harding, Matthew Schmidt, Kevin Tidgewell, Pavitra Kannan, Kenneth G. Holden, Christina M. Dersch, Richard B. Rothman and Thomas E. Prisinzano*

**Kinesin spindle protein (KSP) inhibitors. Part 4: Structure-based design of 5-alkylamino-3,5-diaryl-4,5-dihydropyrazoles as potent, water-soluble inhibitors of the mitotic kinesin KSP**

pp 3175–3179

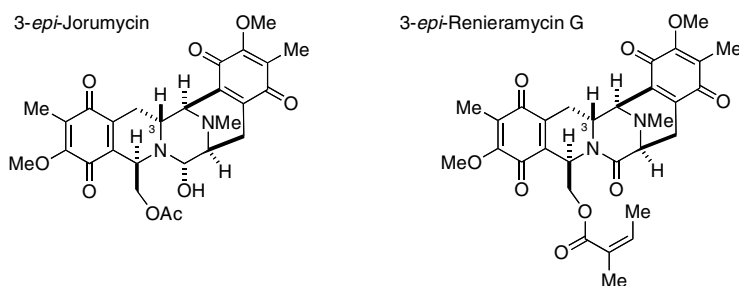
Christopher D. Cox,* Maricel Torrent, Michael J. Breslin, Brenda J. Mariano, David B. Whitman, Paul J. Coleman, Carolyn A. Buser, Eileen S. Walsh, Kelly Hamilton, Michael D. Schaber, Robert B. Lobell, Weikang Tao, Vicki J. South, Nancy E. Kohl, Youwei Yan, Lawrence C. Kuo, Thomayant Prueksaritanont, Donald E. Slaughter, Chunze Li, Elizabeth Mahan, Bing Lu and George D. Hartman

A successful effort was undertaken to improve potency and solubility in a class of anti-mitotic agents that was guided by computer-generated structural models of an inhibitor–enzyme complex.

**Antitumor activity of tetrahydroisoquinoline analogues 3-*epi*-jorumycin and 3-*epi*-renieramycin G**

pp 3180–3183

Jonathan W. Lane, Alberto Estevez, Kyle Mortara, Ondine Callan, Jeffrey R. Spencer and Robert M. Williams*

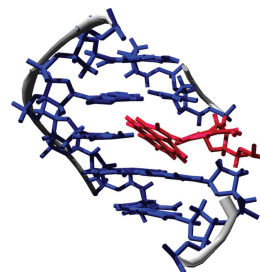


8-(Pyren-1-yl)-2'-deoxyguanosine as an optical probe for DNA hybridization and for charge transfer with small peptides

pp 3184–3187

Linda Valis, Elke Mayer-Enthart and Hans-Achim Wagenknecht*

Pyrene-modified guanine as an internal optical label and photoinducible charge donor for DNA analytics.

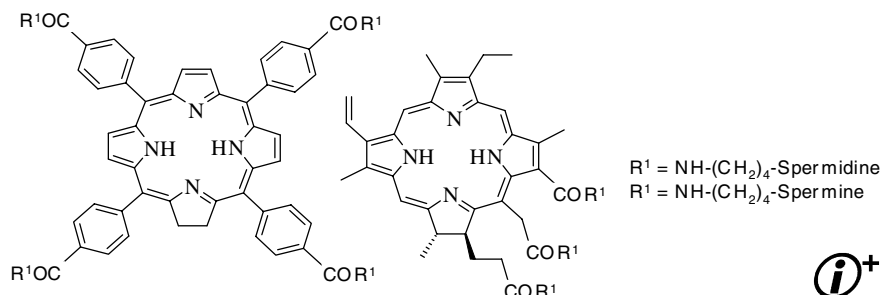


Synthesis and photocytotoxic activity of new chlorin–polyamine conjugates

pp 3188–3192

Guillaume Garcia, Vincent Sol,* François Lamarche, Robert Granet, Michel Guilloton, Yves Champavier and Pierre Krausz

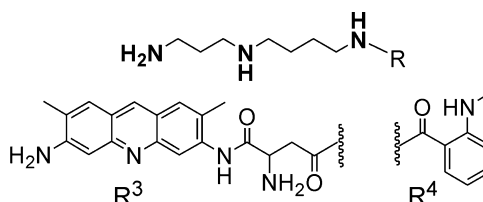
Biological tests were realized on K562 cells.



Fluorophore-labeled spermidine derivatives as fluorescent markers in optical tumor imaging

pp 3193–3196

Markus Wolf,* Ulrike Bauder-Wüst, Rüdiger Pipkorn, Helmut Eskerski and Michael Eisenhut



The synthesis and initial biological evaluation of fluorophore tagged spermidine derivatives for intraoperative tumor imaging is reported.

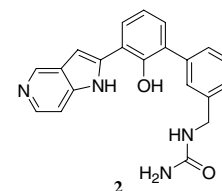


Novel 5-azaindole factor VIIa inhibitors

pp 3197–3200

Jennifer R. Riggs,* Huiyong Hu, Aleksandr Kolesnikov, Ellen M. Leahy, Kieron E. Wesson, William D. Shrader, Dange Vijaykumar, Troy A. Wahl, Zhiwei Tong, Paul A. Sprengeler, Michael J. Green, Christine Yu, Brad A. Katz, Ellen Sanford, Margaret Nguyen, Ronnel Cabuslay and Wendy B. Young

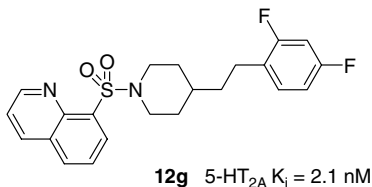
We have developed a series of potent and selective factor VIIa inhibitors based on the 2-[5-(5-carbamimidoyl-1*H*-benzimidazol-2-yl)-6-hydroxy-biphenyl-3-yl]-succinic acid scaffold. These biaryl amidine-containing compounds suffer from low oral bioavailability. In an attempt to apply the knowledge we have gained from our amidine factor VIIa inhibitor parenteral program to an oral program, we began with our 5-amidinobenzimidazole scaffold and replaced the amidine with a less basic 5-azaindole (1*H*-pyrrolo[3,2-*c*]pyridine, **2**). The discovery and development of 5-azaindole factor VIIa inhibitors will be described.



A new class of selective, non-basic 5-HT_{2A} receptor antagonists

pp 3201–3204

Tammy Ladduwahetty,* Amanda L. Boase, Andrew Mitchinson, Caroline Quin, Smita Patel, Kerry Chapman and Angus M. MacLeod

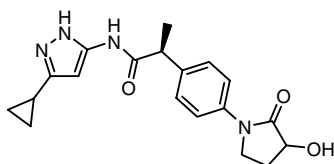


This paper describes the design of a novel series of non-basic 5-HT_{2A} receptor antagonists thus disproving the generally accepted view that a basic nitrogen is crucial to binding at this receptor.

A practical synthesis of the major 3-hydroxy-2-pyrrolidinone metabolite of a potent CDK2/cyclin A inhibitor

pp 3205–3208

Marcella Nesi,* Daniela Borghi, Maria Gabriella Brasca, Francesco Fiorentini and Paolo Pevarello

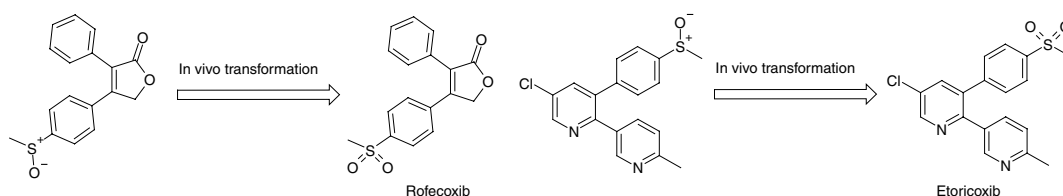


The synthesis of the metabolite of a potent 3-aminopyrazole CDK2/cyclin A inhibitor is presented. The use of polymer-supported cyanoborohydride in trifluoroethanol proved most convenient in the reductive amination step.

Racemic and chiral sulfoxides as potential prodrugs of the COX-2 inhibitors Vioxx® and Arcoxia®

pp 3209–3212

Francisco Caturla,* Mercè Amat, Raquel F. Reinoso, Mónica Córdoba and Graham Warrellow

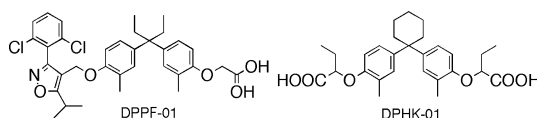


The enantiomeric synthesis and profiling of sulfoxide-based rofecoxib and etoricoxib (Merck) prodrugs are reported.

Diphenylmethane skeleton as a multi-template for nuclear receptor ligands: Preparation of FXR and PPAR ligands

pp 3213–3218

Masahiko Kainuma, Jun-ichi Kasuga, Shinnosuke Hosoda, Ken-ichi Wakabayashi, Aya Tanatani, Kazuo Nagasawa, Hiroyuki Miyachi, Makoto Makishima and Yuichi Hashimoto*

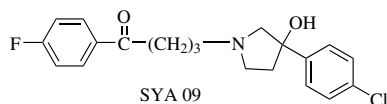


Design and preparation of novel ligands for FXR, DPPF-01, and for PPAR α , DPHK-01, were described.

Evaluation of the eutomer of 4-{3-(4-chlorophenyl)-3-hydroxypyrrolidin-1-yl}-1-(4-fluorophenyl) butan-1-one, {(+)-SYA 09}, a pyrrolidine analog of haloperidol

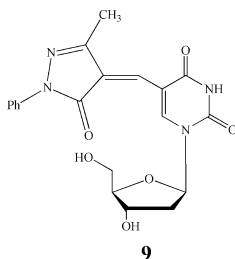
pp 3219–3223

Seth Y. Ablordeppay,* Margaret Lyles-Eggleston, Barbara Bricker, Wang Zhang,
Xue Zhu, Carl Goodman and Bryan L. Roth

**A pyrimidine–pyrazolone nucleoside chimera with potent in vitro anti-orthopoxvirus activity**

pp 3224–3228

Xuesen Fan, Xinying Zhang, Longhu Zhou, Kathy A. Keith, Earl R. Kern and Paul F. Torrence*

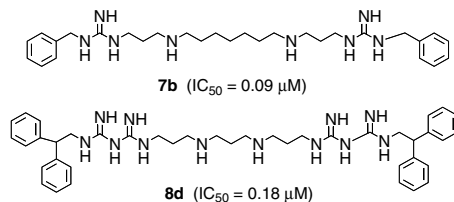


5-Formyl-2'-deoxyuridine was condensed with 1-phenyl-3-methyl-2-pyrazolin-5-one to give a novel pyrimidinylidene–pyrazolone nucleoside (**9**) with potent in vitro anti-orthopoxvirus properties.

Novel alkylpolyaminoguanidines and alkylpolyaminobiguanides with potent antitrypanosomal activity

pp 3229–3232

Xiangdong Bi, Christina Lopez, Cyrus J. Bacchi, Donna Rattendi and Patrick M. Woster*

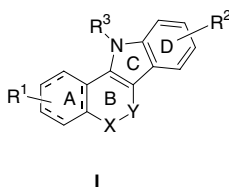


The synthesis and antitrypanosomal activity of analogues related to **7b** ($IC_{50} = 0.09 \mu M$) and **8d** ($IC_{50} = 0.18 \mu M$) are described.

**Discovery of indole-containing tetracycles as a new scaffold for androgen receptor ligands**

pp 3233–3237

Xuqing Zhang,* Xiaojie Li, George F. Allan, Amy Musto, Scott G. Lundeen and Zhihua Sui



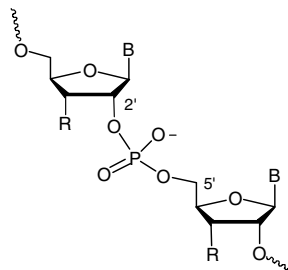
A novel series of tetracyclic indoles (**I**) have been designed, synthesized and evaluated as androgen receptor (AR) ligands. Studies of structure–activity relationships (SARs) were investigated, which led to some compounds in this series as strong binders to androgen receptors.



RNA interference by 2',5'-linked nucleic acid duplexes in mammalian cells

pp 3238–3240

Thazha P. Prakash,* Bryan Kraynack, Brenda F. Baker, Eric E. Swayze and Balkrishen Bhat

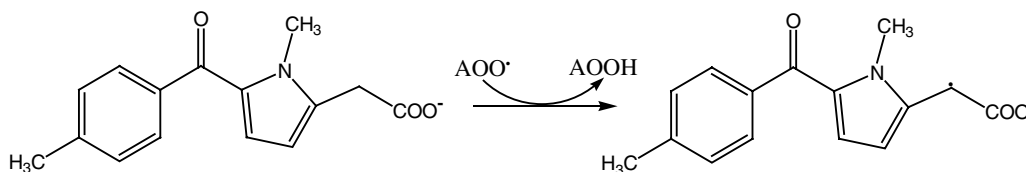


2',5'-DNA: R = H
2',5'-RNA: R = OH

An exploratory theoretical elucidation on the peroxy-radical-scavenging mechanism and structure–activity relationship of nonsteroidal anti-inflammatory drugs

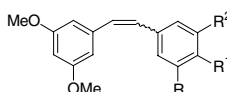
pp 3241–3244

Lan-fen Wang, Yu-guang Song, Xiu-feng Zhang and Yang Liu*

**Stilbene-based anticancer agents: Resveratrol analogues active toward HL60 leukemic cells with a non-specific phase mechanism**

pp 3245–3248

Daniele Simoni,* Marinella Roberti, Francesco Paolo Invidiata, Enrico Aiello, Stefania Aiello, Paolo Marchetti, Riccardo Baruchello, Marco Eleopra, Antonietta Di Cristina, Stefania Grimaudo, Nicola Gebbia, Lucia Crosta, Francesco Dieli and Manlio Tolomeo



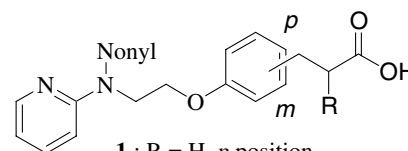
The effects of several stilbenes on HL60 cell cycle have been detected. Particularly interesting is the non-selective phase block caused by a known compound.

Identification of novel PPAR α ligands by the structural modification of a PPAR γ ligand

pp 3249–3254

Shinya Usui, Hiroki Fujieda, Takayoshi Suzuki, Naoaki Yoshida, Hidehiko Nakagawa and Naoki Miyata*

To develop novel PPAR α ligands, we designed and synthesized several 3-{3-[2-(nonylpyridin-2-ylamino)ethoxy]phenyl}propanoic acid derivatives which were designed based on the structure of PPAR γ agonist **1**. Among these compounds, compounds **10**, **13** and **14** were found to be strong PPAR α ligands.

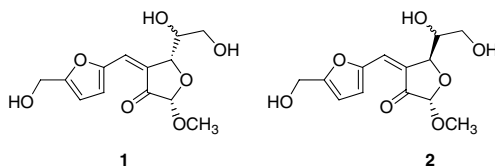


1 : R = H, *p* position
10 : R = H, *m* position
13 : R = Me, *m* position
14 : R = Et, *m* position

Two novel furan derivatives from *Phellinus linteus* with anti-complement activity

pp 3255–3257

Byung-Sun Min, Bong-Sik Yun, Hyeong-Kyu Lee, Hee-Jin Jung, Hyun-Ah Jung and Jae-Sue Choi*

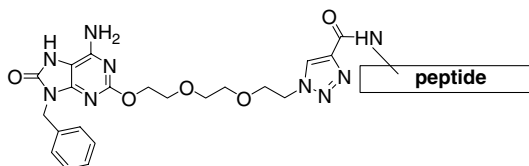


Two unique furan derivatives, named phellinusfurans A (**1**) and B (**2**), were isolated from the fruiting body of *Phellinus linteus*, and exhibited significant anti-complement activity in the classical pathway assay.

Synthesis of 2-alkoxy-8-hydroxyadenylpeptides: Towards synthetic epitope-based vaccines

pp 3258–3261

Jimmy J. Weterings, Selina Khan, Gerbrand J. van der Heden, Jan W. Drijfhout, Cornelis J. M. Melief, Herman S. Overkleef, Sjoerd H. van der Burg, Ferry Ossendorp, Gijsbert A. van der Marel* and Dmitri V. Filippov*

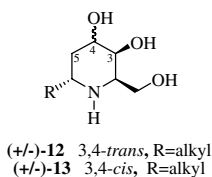


The design, synthesis and immunogenic properties of 2-alkoxy-8-hydroxyadenylpeptides are reported.

**Flexible synthesis and biological evaluation of novel 5-deoxyadenophorine analogues**

pp 3262–3267

Morwenna S. M. Pearson, Rim Ouled Saad, Thierry Dintinger, Hassen Amri, Monique Mathé-Allainmat* and Jacques Lebreton*

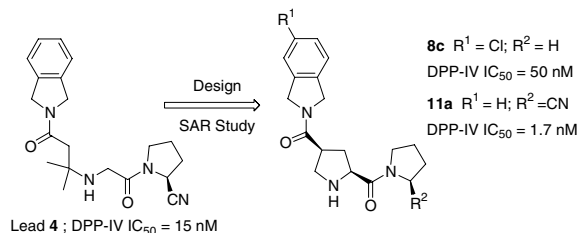


Two series of novel analogues of 5-deoxyadenophorine with lipophilic side-chains (C_2 – C_{11}) are prepared and tested on a range of glycosidases.

Substituted pyrrolidine-2,4-dicarboxylic acid amides as potent dipeptidyl peptidase IV inhibitors

pp 3268–3272

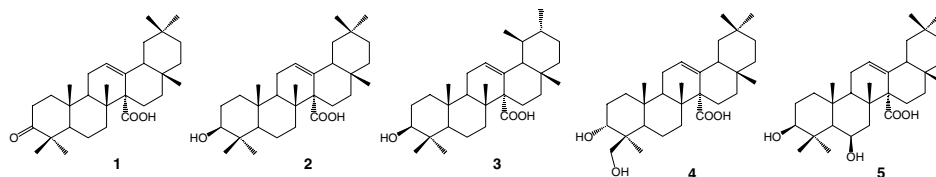
Ting-Yueh Tsai, Mohane Selvaraj Coumar, Tsu Hsu, Hsing-Pang Hsieh, Chia-Hui Chien, Chiung-Tong Chen, Chung-Nien Chang, Yu-Kang Lo, Ssu-Hui Wu, Chung-Yu Huang, Yu-Wen Huang, Min-Hsien Wang, Hsin-Yi Wu, Hong-Jen Lee, Xin Chen, Yu-Sheng Chao and Weir-Torn Jiaang*



Protein tyrosine phosphatase 1B inhibitory activity of triterpenes isolated from *Astilbe koreana*

pp 3273–3276

MinKyun Na, Long Cui, Byung Sun Min, KiHwan Bae, Jae Kuk Yoo,
Bo Yeon Kim, Won Keun Oh* and Jong Seog Ahn

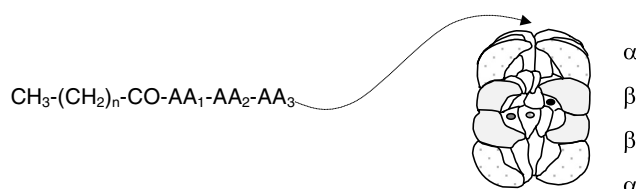


Bioassay-guided fractionation of a MeOH extract of the rhizomes of *Astilbe koreana* led to the isolation of five PTP1B inhibitory triterpenes including a new one, 3 α ,24-dihydroxyolean-12-en-27-oic acid (**4**).

Development of lipopeptides for inhibiting 20S proteasomes

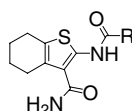
pp 3277–3281

Nicolas Basse, David Papapostolou, Maurice Pagano, Michèle Reboud-Ravaux,*
Elise Bernard, Anne-Sophie Felten and Régis Vanderesse

**Identification of 2-acylaminothiophene-3-carboxamides as potent inhibitors of FLT3**

pp 3282–3286

Raymond J. Patch, Christian A. Baumann, Jian Liu, Alan C. Gibbs,
Heidi Ott, Jennifer Lattanze and Mark R. Player*

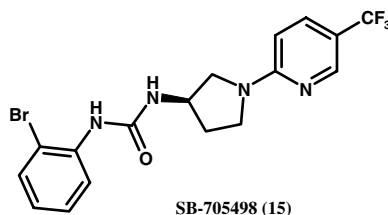


A series of 2-acylaminothiophene-3-carboxamides has been identified which exhibit potent inhibitory activity against the FLT3 tyrosine kinase. Structure–activity relationship studies within this series are described in the context of a proposed binding model within the ATP binding site of the enzyme.

Discovery of SB-705498: A potent, selective and orally bioavailable TRPV1 antagonist suitable for clinical development

pp 3287–3291

Harshad K. Rami,* Mervyn Thompson, Geoffrey Stemp, Steve Fell, Jeffrey C. Jerman,
Alexander J. Stevens, Darren Smart, Becky Sargent, Dominic Sanderson,
Andrew D. Randall, Martin J. Gunthorpe and John B. Davis



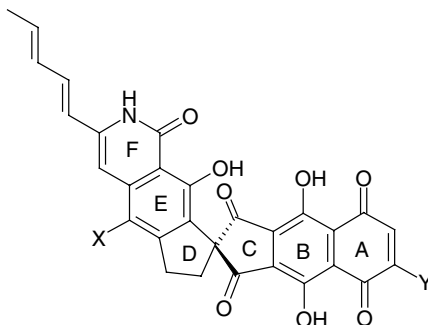
TRPV1 antagonist activity of SB-705498 (**15**) and its in vitro and in vivo activity are reported.

Design and semisynthesis of novel fredericamycin A derivatives with an improved antitumor profile

pp 3292–3297

Ulrich Abel, Werner Simon, Peter Eckard and Friedrich G. Hansske*

Starting from natural Fredericamycin A, a series of semisynthetic derivatives was prepared exhibiting increased potency and selectivity in vitro in a human tumor cell line panel.



1: X=H, Y=OMe (Fredericamycin A)
mean cellular IC_{70} = 517 nM
differential cytotoxicity = 14 fold

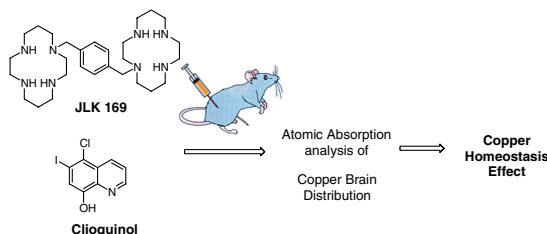
1e: X=I, Y=OMe
mean cellular IC_{70} = 11 nM
differential cytotoxicity = 837 fold

12: X=H, Y=morpholine
mean cellular IC_{70} = 77 nM
differential cytotoxicity = 153 fold

**1,1'-Xylyl bis-1,4,8,11-tetraaza cyclotetradecane: A new potential copper chelator agent for neuroprotection in Alzheimer's disease. Its comparative effects with clioquinol on rat brain copper distribution**

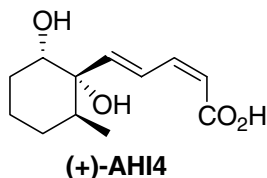
pp 3298–3301

Vincent Moret, Younes Laras, Nicolas Pietrancosta, Cédrik Garino, Gilles Quéléver, Amandine Rolland, Bernard Mallet, Jean-Christien Norreel and Jean-Louis Kraus*

**A new non-azole inhibitor of ABA 8'-hydroxylase: Effect of the hydroxyl group substituted for geminal methyl groups in the six-membered ring**

pp 3302–3305

Yoshiharu Araki, Arisa Miyawaki, Tomoyuki Miyashita, Masaharu Mizutani, Nobuhiro Hirai and Yasushi Todoroki*

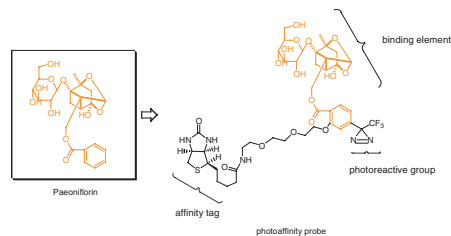


The axial hydroxyl group at C-6' stabilizes the enzyme–ligand complex more strongly than the geminal methyls.

Design and synthesis of a biotin-tagged photoaffinity probe of paeoniflorin

pp 3306–3309

Wen-Wei Qiu, Jie Xu, Da-Zhi Liu, Jing-Ya Li, Yang Ye, Xing-Zu Zhu, Jia Li* and Fa-Jun Nan*



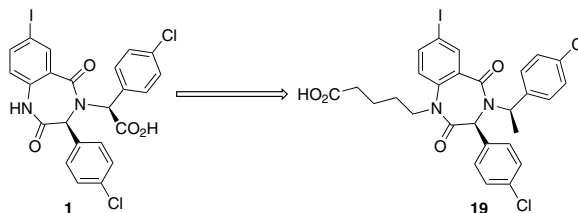
A trifunctional biotin-tagged photoaffinity probe of paeoniflorin was designed and synthesized, and this new biotinylated probe is a potential tool for labeling, purification, and identification of the target proteins.

Enhanced pharmacokinetic properties of 1,4-benzodiazepine-2,5-dione antagonists of the HDM2-p53 protein–protein interaction through structure-based drug design

pp 3310–3314

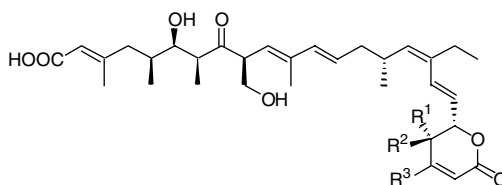
Daniel J. Parks,* Louis V. LaFrance, Raul R. Calvo, Karen L. Milkiewicz, Juan José Marugán, Pierre Raboisson, Carsten Schubert, Holly K. Koblish, Shuyuan Zhao, Carol F. Franks, Jennifer Lattanze, Theodore E. Carver, Maxwell D. Cummings, Diane Maguire, Bruce L. Grasberger, Anna C. Maroney and Tianbao Lu*

Guided by structure-based drug design, modification of the BDP lead compound **1** resulted in the discovery of **19**, a potent and orally bioavailable antagonist of the HDM2-p53 protein–protein interaction (FP IC_{50} = 0.7 μ M, F 100%).

**Design, synthesis, and evaluation of novel kazusamycin A derivatives as potent antitumor agents**

pp 3315–3318

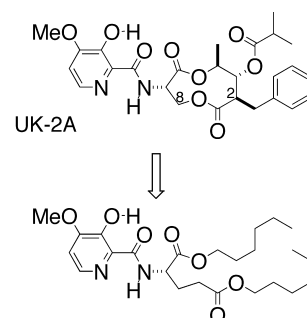
Ryoichi Ando,* Yusaku Amano, Hideo Nakamura, Noriyoshi Arai and Isao Kuwajima

**Structure–activity relationship studies on UK-2A, a novel antifungal antibiotic from *Streptomyces* sp. 517-02. Part 5: Roles of the 9-membered dilactone-ring moiety in respiratory inhibition**

pp 3319–3322

Yoshinosuke Usuki,* Noriko Adachi, Ken-Ichi Fujita, Akio Ichimura, Hideo Iio and Makoto Taniguchi

Several open-chained analogues of UK-2A, a novel antifungal antibiotic isolated from *Streptomyces* sp. 517-02, were prepared for structure–activity studies. The in vitro antifungal activities of these compounds against *Rhodotorula mucilaginosa* IFO 0001 and the inhibition of uncoupler-stimulated respiration in bovine heart submitochondrial particles (SMP) were evaluated. Oxidative potentials were measured by cyclic voltammetry. An analogue prepared from dihexyl L-glutamate showed comparable inhibitory activity as UK-2A.



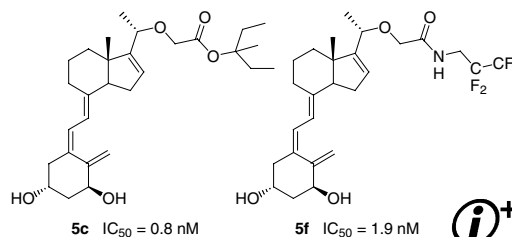
Open-chained UK-2A analogue (2)

Design and evaluation of new antipsoriatic antedrug candidates having 16-en-22-oxa-vitamin D₃ structures

pp 3323–3329

Kazuki Shimizu,* Akira Kawase, Tsuyoshi Haneishi, Yasuharu Kato, Kazutomo Kinoshita, Masayuki Ohmori, Yoshiyuki Furuta, Takashi Emura, Nobuaki Kato, Tetsuya Mitsui, Koji Yamaguchi, Keiichi Morita, Nobuo Sekiguchi, Tessai Yamamoto, Tomochika Matsushita, Shin Shimaoka, Atsuko Sugita and Kazumi Morikawa

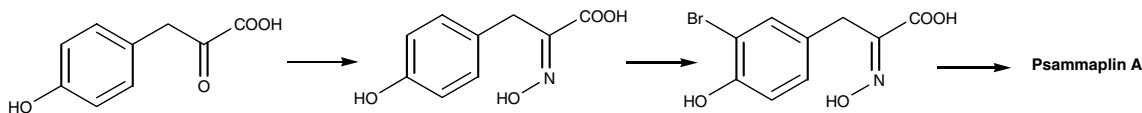
Design, synthesis, and biological evaluation of the promising antipsoriatic vitamin D₃ antedrugs **5c** and **5f** are reported.



An improved synthesis of psammaplin A

pp 3330–3333

Amy M. Godert, Norman Angelino, Anna Woloszynska-Read, Shannon R. Morey, Smitha R. James, Adam R. Karpf and Janice R. Sufrin*



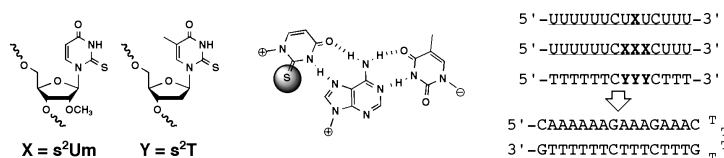
An improved synthesis of psammaplin A has been developed, making it more accessible for biological evaluations.



Triplex forming ability of oligonucleotides containing 2'-O-methyl-2-thiouridine or 2-thiothymidine

pp 3334–3336

Itaru Okamoto, Kohji Seio and Mitsuo Sekine*



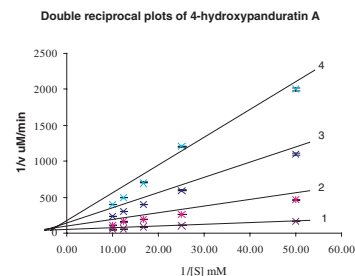
Triplex stabilities of oligonucleotides containing 2'-O-methyl-2-thiouridine (s²Um) and 2-thiothymidine (s²T) in third strand were studied. Both s²Um and s²T could stabilize parallel triplexes.

Inhibitory activity of cyclohexenyl chalcone derivatives and flavonoids of fingerroot, *Boesenbergia rotunda* (L.), towards dengue-2 virus NS3 protease

pp 3337–3340

Tan Siew Kiat, Richard Phippen, Rohana Yusof, Halijah Ibrahim, Norzulaani Khalid and Noorsaadah Abd Rahman*

Boesenbergia rotunda (L.) cyclohexenyl chalcone derivatives, 4-hydroxypanduratin A and panduratin A, showed good competitive inhibitory activities towards dengue 2 virus NS3 protease with the K_i values of 21 and 25 μ M, respectively, whilst those of pinostrobin and cardamonin were observed to be non-competitive. NMR and GCMS spectroscopic data formed the basis of assignment of structures of the six compounds isolated. Lineweaver–Burk plot of inhibitor compound 6, 4-hydroxypanduratin A.



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*Corresponding author

Supplementary data available via ScienceDirect

COVER

View of the crystal structure of the DB819-d(CGCGAATTCGCG)₂ complex, looking down the minor groove of the DNA (see Campbell, N.H.; Evans, D.A.; Lee, M.P.H.; Parkinson, G.N.; Neidle, S. *Bioorg. Med. Chem. Lett.* **2006**, 16, 15.). The DB819 molecule is shown in space-filling mode. Visualisation produced with the VMD program. [Humphrey, W.; Dalke, A.; Schulten, K. *J. Mol. Graphics* **1996**, 14, 33.]



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