

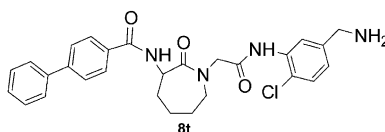
Contents

COMMUNICATIONS

Synthesis of potent and selective 2-azepanone inhibitors of human tryptase

pp 309–312

Guohua Zhao,* Scott A. Bolton, Chet Kwon, Karen S. Hartl, Steven M. Seiler, William A. Slusarchyk, James C. Sutton and Gregory S. Bisacchi

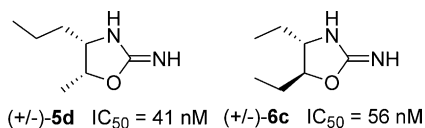


The synthesis, employing solution phase parallel methods, and SAR of a series of novel 2-azepanones are described leading to identification of **8t** as a potent inhibitor of human tryptase with high selectivity versus related serine proteases including trypsin.

4,5-Disubstituted-1,3-oxazolidin-2-imine derivatives: a new class of orally bioavailable nitric oxide synthase inhibitor

pp 313–316

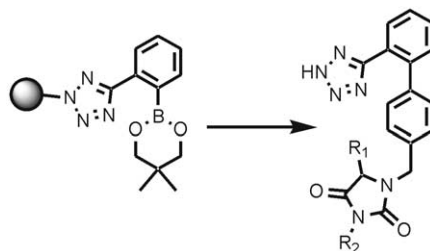
Shigeo Ueda,* Hideo Terauchi, Akihiro Yano, Motoharu Ido, Masashi Matsumoto and Motoji Kawasaki



Parallel solid-phase synthesis of disubstituted (5-biphenyltetrazolyl) hydantoins and thiohydantoins targeting the growth hormone secretagogue receptor

pp 317–320

Rune Severinsen, Jesper F. Lau, Kent Bondensgaard, Birgit S. Hansen, Mikael Begtrup and Michael Ankersen*



Pyridazines. Part 36: Synthesis and antiplatelet activity of 5-substituted-6-phenyl-3(2*H*)-pyridazinones

pp 321–324

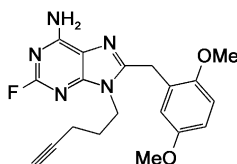
Alberto Coelho, Eddy Sotelo, Nuria Fraiz, Matilde Yáñez, Reyes Laguna, Ernesto Cano and Enrique Raviña*

A convenient and efficient palladium-catalysed retro-ene-assisted method has been developed to prepare a series of 5-substituted-6-phenyl-3(2*H*)-pyridazinones as potential antiplatelet drugs. The most active compounds were those that contain a 3-phenyl-3-oxo-propenyl fragment or a phenylthio group at position 5 of the heterocyclic ring.

Adenine derived inhibitors of the molecular chaperone HSP90—SAR explained through multiple X-ray structures

pp 325–328

Brian Dymock,* Xavier Barril, Mandy Beswick, Adam Collier, Nicholas Davies, Martin Drysdale, Alexandra Fink, Christophe Fromont, Roderick E. Hubbard, Andrew Massey, Allan Surgenor and Lisa Wright



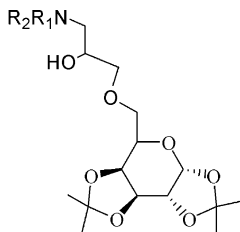
11

Several new crystal structure complexes of Hsp90 with known and new ligands explain the observed SAR for the series.

Synthesis of galactopyranosyl amino alcohols as a new class of antitubercular and antifungal agents

pp 329–332

Neetu Tewari, V. K. Tiwari, R. P. Tripathi,* V. Chaturvedi, A. Srivastava, R. Srivastava, P. K. Shukla, A. K. Chaturvedi, A. Gaikwad, S. Sinha and B. S. Srivastava

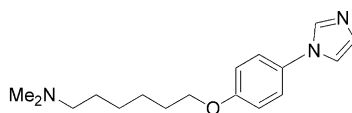


A series of galactopyranosyl amino alcohols have been synthesised and evaluated against *Mycobacterium tuberculosis* and one of them was found to be superior to ethambutol.

Imidazole derivatives as new potent and selective 20-HETE synthase inhibitors

pp 333–336

Toshio Nakamura,* Hiroyuki Kakinuma, Hiroki Umemiya, Hideaki Amada, Noriyuki Miyata, Kazuo Taniguchi, Kagumi Bando and Masakazu Sato*

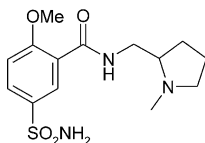
3g : IC₅₀ 8.8nM

This paper describes the design and synthesis of 1-(alkoxyphenyl)imidazole derivatives as CYP-selective 20-HETE synthase inhibitors.

Carbonic anhydrase inhibitors: X-ray crystallographic structure of the adduct of human isozyme II with the antipsychotic drug sulpiride

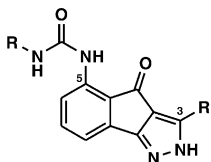
pp 337–341

Francesco Abbate, Anita Coetzee, Angela Casini, Samuele Ciattini, Andrea Scozzafava and Claudiu T. Supuran*

**Synthesis and evaluation of indenopyrazoles as cyclin-dependent kinase inhibitors. Part 4: Heterocycles at C3**

pp 343–346

Eddy W. Yue,* Susan V. DiMeo, C. Anne Higley, Jay A. Markwalder, Catherine R. Burton, Pamela A. Benfield, Robert H. Grafstrom, Sarah Cox, Jodi K. Muckelbauer, Angela M. Smallwood, Haiying Chen, Chong-Hwan Chang, George L. Trainor and Steven P. Seitz



The synthesis and evaluation of indeno[1,2-*c*]pyrazol-4-one with heterocycles at C3 are described.

Triaryl methane derivatives as antiproliferative agents

pp 347–350

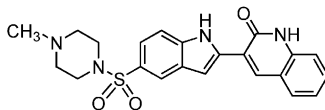
Raed A. Al-Qawasmeh,* Yoon Lee, Ming-Yu Cao, Xiaoping Gu, Aikaterini Vassilakos, Jim A. Wright and Aiping Young

Clotrimazole (CLT) **1**, a synthetic anti-fungal imidazole derivative, inhibits tumor cell proliferation and angiogenesis. In the current study, flow cytometric analysis demonstrated that the decrease in tumor cell growth by CLT **1** was associated with inhibition of cell cycle progression at the G₁-S phase transition, resulting in G₀-G₁ arrest. A series of CLT **1** analogues has been generated in order to develop CLT **1** derivatives that are devoid of the imidazole moiety which is responsible for the hepatotoxicity associated with CLT **1** while retaining CLT **1** efficacy. The majority of these analogues demonstrate in vitro antiproliferative activity ranging from submicromolar to micromolar concentrations.

Optimization of the indolyl quinolinone class of KDR (VEGFR-2) kinase inhibitors: effects of 5-amido- and 5-sulphonamido-indolyl groups on pharmacokinetics and hERG binding

pp 351–355

Mark E. Fraley,* Kenneth L. Arrington, Carolyn A. Buser, Patrice A. Ciecko, Kathleen E. Coll, Christine Fernandes, George D. Hartman, William F. Hoffman, Joseph J. Lynch, Rosemary C. McFall, Keith Rickert, Romi Singh, Sheri Smith, Kenneth A. Thomas and Bradley K. Wong

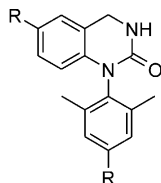


14, KDR IC₅₀ = 10 nM
 Dog PK: Cl = 0.5 mL/min/kg,
 t_{1/2} = 6.4 h, %F = 63%
 hERG IP = 3440 nM

A novel Pd-catalyzed cyclization reaction of ureas for the synthesis of dihydroquinazolinone p38 kinase inhibitors

pp 357–360

Achim Schlapbach,* Richard Heng and Franco Di Padova

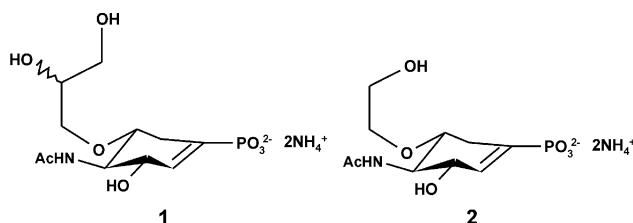


A series of potent p38 inhibitors based on the dihydroquinazolinone scaffold was synthesized using a novel Pd-catalyzed cyclization reaction of aryl-benzyl ureas. Optimization of this compound class led to compound **20**, which inhibits p38 α in vitro with IC₅₀ = 14 nM and is active in the mouse TNF α -release model.

Synthesis and evaluation as sialidase inhibitors of xylono-configured cyclohexenephosphonates carrying glycerol side-chain mimics

pp 361–364

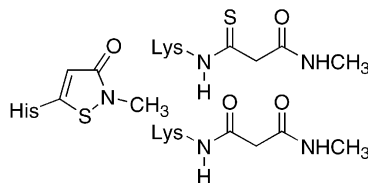
Hansjörg Streicher*



Covalent binding of the ¹³C-labeled skin sensitizers 5-chloro-2-methylisothiazol-3-one (MCI) and 2-methylisothiazol-3-one (MI) to a model peptide and glutathione

pp 365–368

Rubén Álvarez-Sánchez, David Basketter, Camilla Pease and Jean-Pierre Lepoittevin*

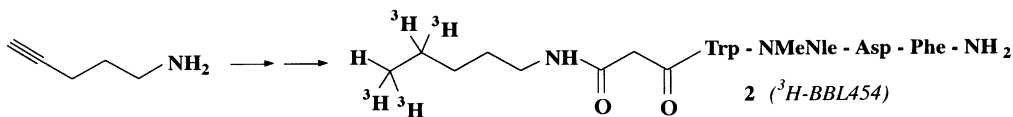


The reactivity of 4-[¹³C]- and 5-[¹³C]- MCI and MI towards a model peptide and glutathione was followed by ¹³C and ¹H{¹³C} NMR spectroscopy. While both molecules were found to react with GSH, only MCI was found to react with histidine and lysine to form stable adducts. MI was found to be non reactive under the same conditions.

Synthesis and biological characterisation of [³H]BBL454, a new CCK₂ selective radiolabelled agonist displaying original pharmacological properties

pp 369–372

Bruno Bellier, Christophe Dugave, Frédéric Etivant, Roger Genet, Véronique Gigoux and Christiane Garbay*

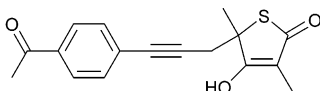


The CCK-5 analogue **1** (BBL454), a highly potent and specific CCK₂ agonist was proved to exert extremely interesting in vivo properties. It was prepared under its tritiated form **2** in order to further characterize its activity, both in vivo and in vitro.

Acetylene-based analogues of thiolactomycin, active against *Mycobacterium tuberculosis* mtFabH fatty acid condensing enzyme

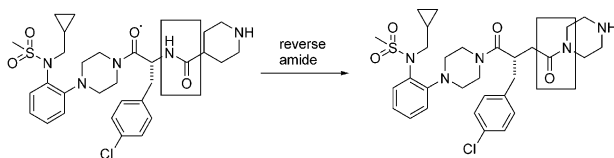
pp 373–376

Suzanne J. Senior, Petr A. Illarionov, Sudagar S. Gurcha, Ian B. Campbell,
Merrill L. Schaeffer, David E. Minnikin and Gurdyal S. Besra*

**Synthesis of novel melanocortin 4 receptor agonists and antagonists containing a succinamide core**

pp 377–381

Ning Xi,* Clarence Hale, Michael G. Kelly, Mark H. Norman, Markian Stec, Shimin Xu,
James W. Baumgartner and Christopher Fotsch

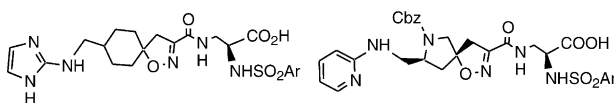


A novel series of piperazines appended to a succinamide backbone were synthesized and found to have a high affinity for the melanocortin-4 receptor (IC_{50} s ranging from <0.1 to 200 nM). Both agonists and antagonists of MC4R were prepared by modifying the groups attached to the right-hand side of the succinamide. This series also exhibits a high level of selectivity (up to 7000-fold) over mouse MC1R and human MC3R.

Synthesis and biological evaluation of nonpeptide integrin antagonists containing spirocyclic scaffolds

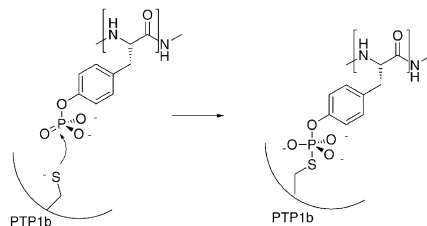
pp 383–387

Joanne M. Smallheer,* Carolyn A. Weigelt, Francis J. Woerner, Jennifer S. Wells,
Wayne F. Daneker, Shaker A. Mousa, Ruth R. Wexler and Prabhakar K. Jadhav

**Discovery of novel PTP1b inhibitors**

pp 389–391

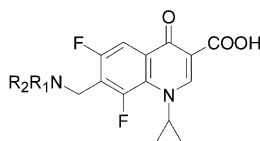
Denise A. Ockey and Thomas R. Gadek*



Synthesis and antibacterial activity of 7-(substituted)aminomethyl quinolones

pp 393–395

Zhenfa Zhang,* Weicheng Zhou and Aizhen Yu

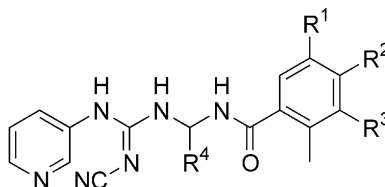


A series of 7-(substituted)aminomethyl quinolones was synthesized and evaluated for antibacterial activity. Some derivatives exhibited high potency comparable to Lomefloxacin and Vancomycin.

Design and synthesis of novel cyanoguanidine ATP-sensitive potassium channel openers for the treatment of overactive bladder

pp 397–400

Arturo Perez-Medrano,* Steven A. Buckner, Michael J. Coghlan, Robert J. Gregg, Murali Gopalakrishnan, Michael E. Kort, John K. Lynch, Victoria E. Scott, James P. Sullivan, Kristi L. Whiteaker and William A. Carroll

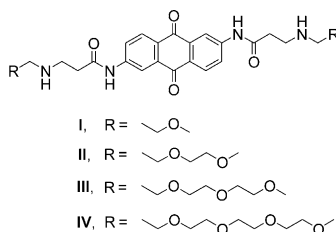


Novel cyanoguanidines which hyperpolarize human bladder K_{ATP} channels are reported.

Binding of a homologous series of anthraquinones to DNA

pp 401–404

Ruel E. McKnight, Jianguo Zhang and Dabney W. Dixon*

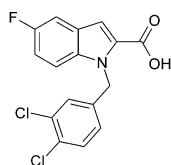


The binding of a series of homologous 2,6-disubstituted anthraquinones to DNA is a function of the length of the side chain.

N-Benzylindole-2-carboxylic acids: potent functional antagonists of the CCR2b chemokine receptor

pp 405–408

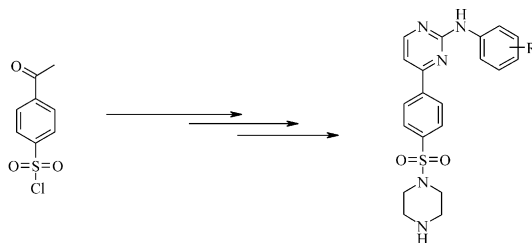
Jason G. Kettle,* Alan W. Faull,* Andy J. Barker, D. Huw Davies and Michael A. Stone



A novel series of potent and selective IKK2 inhibitors

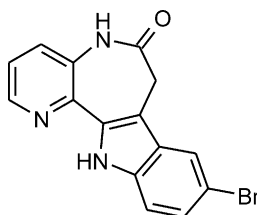
pp 409–412

Alistair H. Bingham, Richard J. Davenport,* Lewis Gowers, Roland L. Knight, Christopher Lowe, David A. Owen, David M. Parry and Will R. Pitt

**1-Azakenpaulone is a selective inhibitor of glycogen synthase kinase-3 β**

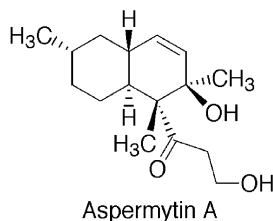
pp 413–416

Conrad Kunick,* Kathrin Lauenroth, Maryse Leost, Laurent Meijer and Thomas Lemcke

**Aspermytin A: a new neurotrophic polyketide isolated from a marine-derived fungus of the genus *Aspergillus***

pp 417–420

Sachiko Tsukamoto,* Shunsuke Miura, Yuko Yamashita and Tomihisa Ohta

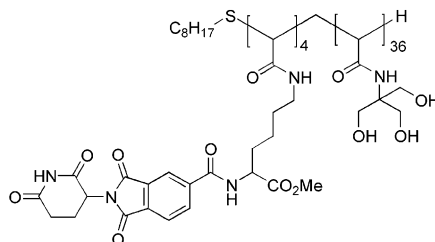


A new polyketide, aspermytin A, was isolated from a cultured marine fungus, *Aspergillus* sp., which was separated from the mussel, *Mytilus edulis*. It induced neurite outgrowth in rat pheochromocytoma (PC-12) cells as concentration of 50 μ M.

Inhibition of angiogenesis by THAM-derived cotelomers endowed with thalidomide moieties

pp 421–425

Sandrine Périno, Christiane Contino-Pépin,* Ronit Satchi-Fainaro, Catherine Butterfield and Bernard Pucci*

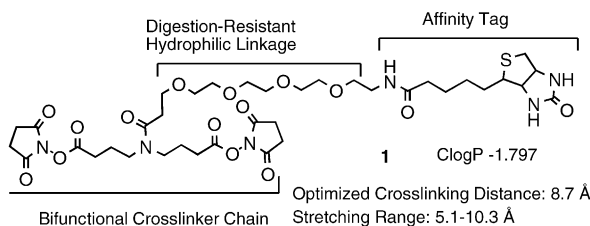


Synthesis and biological assessments of THAM derived telomers bearing thalidomide moieties as antiangiogenic drug.

A novel protein crosslinking reagent for the determination of moderate resolution protein structures by mass spectrometry (MS3-D)

pp 427–429

Naoaki Fujii, Richard B. Jacobsen, Nichole L. Wood, Joseph S. Schoeniger and R. Kiplin Guy*



Synthesis and pharmacological evaluation of prenylated and benzylated pterocarpan against snake venom

pp 431–435

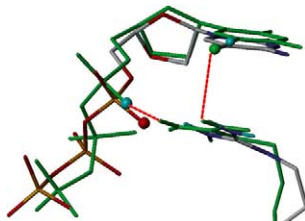
Alcides J. M. da Silva, Antonio L. Coelho, Alessandro B. C. Simas, Raphael A. M. Moraes, Diogo A. Pinheiro, Fabrício F. A. Fernandes, Emerson Z. Arruda, Paulo R. R. Costa* and Paulo A. Melo*

Edunol (**3**), a pterocarpan isolated from *Harpalyce brasiliensis*, a plant used in the northeast of Brazil against snakebites, was obtained by synthesis and showed antimyotoxic, antiproteolytic and PLA2 inhibitor properties. These proprieties could be improved through the synthesis of a bioisoster (**5**), where the prenyl group was replaced by the benzyl group.

Effects of fluorine substitution of cytosine analogues on the binding affinity to HIV-1 reverse transcriptase

pp 437–440

Youhoon Chong, Hyunah Choo and Chung K. Chu*

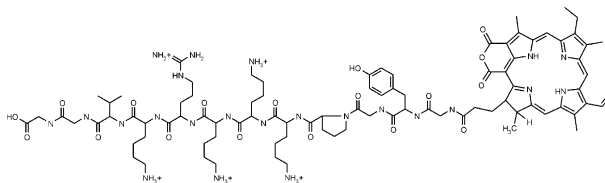


The molecular dynamics simulation of various fluorine-substituted nucleoside triphosphate analogues complexed with HIV-1 RT is presented.

The solid-phase conjugation of purpurin-18 with a synthetic targeting peptide

pp 441–443

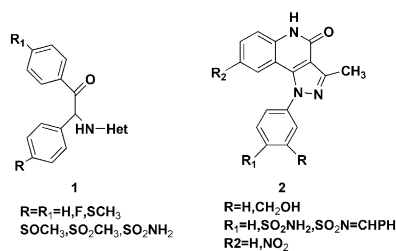
Ian Walker,* David I. Vernon and Stanley B. Brown



1,2-Diaryl-1-ethanone and pyrazolo [4,3-*c*] quinoline-4-one as novel selective cyclooxygenase-2 inhibitors

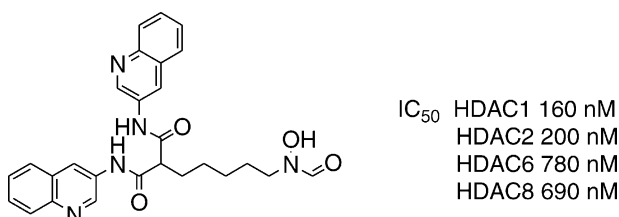
pp 445–448

Bipul Baruah, Kavitha Dasu, Balasubramanian Vaitilingam, Akhila Vanguri, Seshagiri Rao Casturi and Koteswar Rao Yeleswarapu*

**Design, synthesis, and activity of HDAC inhibitors with a *N*-formyl hydroxylamine head group**

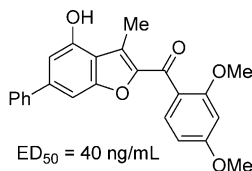
pp 449–453

Tom Y. H. Wu, Christian Hassig, Yiqin Wu, Sheng Ding and Peter G. Schultz*

Hybrid polar compounds with a *N*-formyl hydroxylamino head group were synthesized and tested for HDAC inhibitory activities.**4-Hydroxy-3-methyl-6-phenylbenzofuran-2-carboxylic acid ethyl ester derivatives as potent anti-tumor agents**

pp 455–458

Ichiro Hayakawa, Rieko Shioya, Toshinori Agatsuma, Hidehiko Furukawa, Shunji Naruto and Yuichi Sugano*

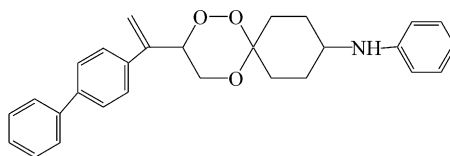


A lead optimization program was carried out on a screening hit to discover novel type of anti-tumor agents.

Orally active amino functionalized antimalarial 1,2,4-trioxanes

pp 459–462

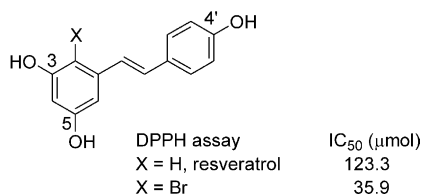
Chandan Singh,* Heetika Malik and Sunil K. Puri



Syntheses and radical scavenging activities of resveratrol derivatives

pp 463–466

Hyun Jung Lee, Jai Woong Seo, Bong Ho Lee, Kyoo-Hyun Chung and Dae Yoon Chi*

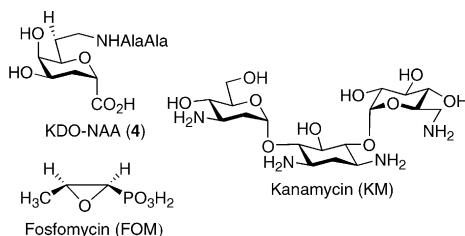


Nine new resveratrol derivatives, were designed and synthesized. Among them, 2-bromoresveratrol **19** has a similar free radical scavenging activity to (+)-catechin.

Synergistic effect of CMP/KDO synthase inhibitors with antimicrobial agents on inhibition of production and release of vero toxin by enterohaemorrhagic *Escherichia coli* O157:H7

pp 467–470

Ken-ichiro Kondo, Hiroyasu Doi, Hayamitsu Adachi and Yoshio Nishimura*

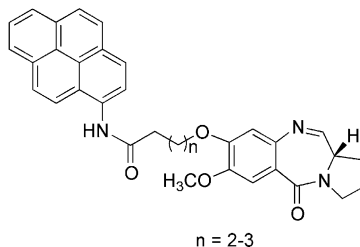


Synergistic effect of CMP:KDO synthase inhibitors (**4**) with both KM and FOM on inhibition of release of vero toxin by *Escherichia coli* O157 was observed.

Synthesis and antitumour activity of pyrene-linked pyrrolo [2,1-c][1,4]benzodiazepine hybrids

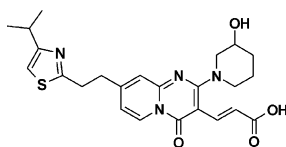
pp 471–474

Ahmed Kamal,* G. Ramesh, O. Srinivas and P. Ramulu

**MexAB-OprM specific efflux pump inhibitors in *Pseudomonas aeruginosa*. Part 3: Optimization of potency in the pyridopyrimidine series through the application of a pharmacophore model**

pp 475–479

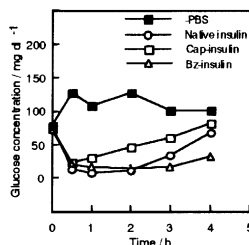
Kiyoshi Nakayama,* Haruko Kawato, Jun Watanabe, Masami Ohtsuka, Ken-ichi Yoshida, Yoshihiro Yokomizo, Atsunobu Sakamoto, Noriko Kuru, Toshiharu Ohta, Kazuki Hoshino, Kumi Yoshida, Hiroko Ishida, Aesop Cho, Monica H. Palme, Jason Z. Zhang, Ving J. Lee and William J. Watkins



Enzymatic and hyperglycemia stability of chemically modified insulins with hydrophobic acyl groups

pp 481–483

Kentaro Nakashima, Makoto Miyagi, Koichi Goto, Yoko Matsumoto and Ryuichi Ueoka*

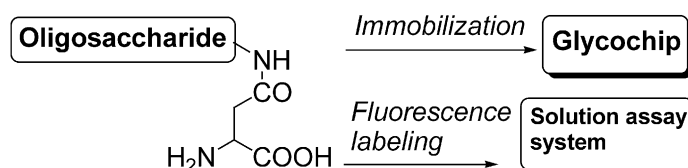


Hypoglycemia effects of acylated insulin with benzoyl groups (Bz-insulin) almost similar to that of native insulin was obtained in the animal experiments using normal rats in vivo.

Interaction assay of oligosaccharide with lectins using glycosylasparagine

pp 485–490

Mamoru Mizuno,* Midori Noguchi, Mie Imai, Tetsuya Motoyoshi and Toshiyuki Inazu*

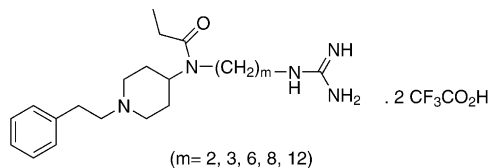


A glycochip containing the whole structure of an oligosaccharide was easily prepared by the immobilization of the glycosylasparagines. Furthermore, fluorescence-labeled glycosylasparagines were used to analyze carbohydrate–lectin interaction in a solution assay system by fluorescence polarization (FP) and fluorescence correlation spectroscopy (FCS).

Fentanyl derivatives bearing aliphatic alkaneguanidinium moieties: a new series of hybrid molecules with significant binding affinity for μ -opioid receptors and I₂-imidazoline binding sites

pp 491–493

Christophe Dardonville,* Nadine Jagerovic, Luis F. Callado and J. Javier Meana

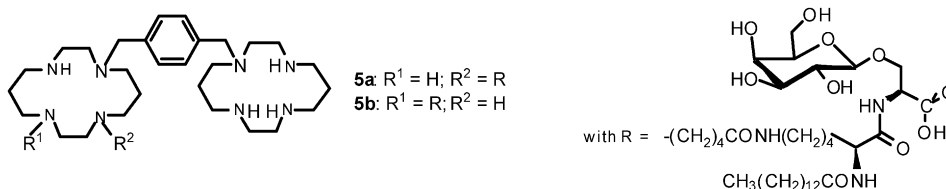


A new series of fentanyl derivatives bearing aliphatic alkaneguanidinium moieties were prepared. Their affinities for the μ opioid receptors and for the I₂-imidazoline binding sites (IBS) were determined on human post-mortem prefrontal cortex membranes. All of these hybrid compounds had significant and/or very high affinity for both receptors.

New bicyclam–GalCer analogue conjugates: synthesis and in vitro anti-HIV activity

pp 495–498

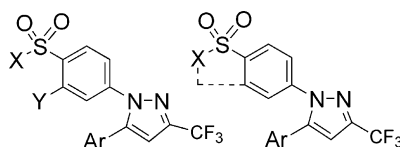
Jean-Michel Daoudi, Jacques Greiner, Anne-Marie Aubertin and Pierre Vierling*



Polar substitutions in the benzenesulfonamide ring of celecoxib afford a potent 1,5-diarylpyrazole class of COX-2 inhibitors

pp 499–504

Sunil K. Singh,* P. Ganapati Reddy, K. Srinivasa Rao, Braj B. Lohray, P. Misra, Shaikh A. Rajjak, Yeleswarapu K. Rao* and A. Venkateswarlu



Several modifications in the N¹-benzenesulfonamide ring of celecoxib with their in vitro COX-2 inhibitory activity are reported.

Effect of digestive site acidity and compatibility on the species, lipopily and bioavailability of iron, manganese and zinc in *Prunus persica* Batsch and *Carthamus tinctorus*

pp 505–510

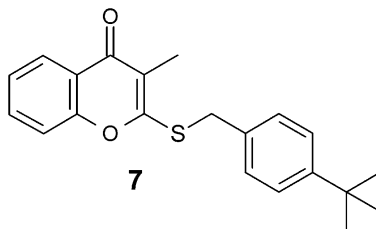
Li Shun-xing,* Deng Nan-sheng and Zheng Feng-ying

Octanol- and water-solubility were used to define the species of trace element in phytomedicine, to identify the lipopily and bioavailability of trace element. Combination of *Prunus persica* Batsch and *Carthamus tinctoru* enhances the extract percent, octanol-solubility concentration, and stability of coordinated complex of iron, manganese, and zinc. Different acidity of digestive site and compatibility of medicines greatly affect the species and its quantification, the lipopily and bioavailability of trace element. Such factors, especially the concentration of octanol-solubility trace element, could be the basis of the dosage to avoid trace element overload.

The design and synthesis of novel inhibitors of NADH:ubiquinone oxidoreductase

pp 511–514

Stephen D. Lindell,* Oswald Ort, Peter Lümmen and Robert Klein

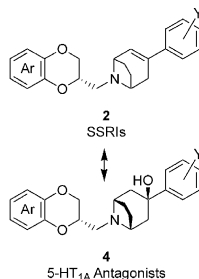


The chromone **7** is a potent inhibitor of NADH:ubiquinone oxidoreductase (IC₅₀ 15 nM) with acaricidal activity against spider mites.

Modulation of selective serotonin reuptake inhibitor and 5-HT_{1A} antagonist activity in 8-aza-bicyclo[3.2.1]octane derivatives of 2,3-dihydro-1,4-benzodioxane

pp 515–518

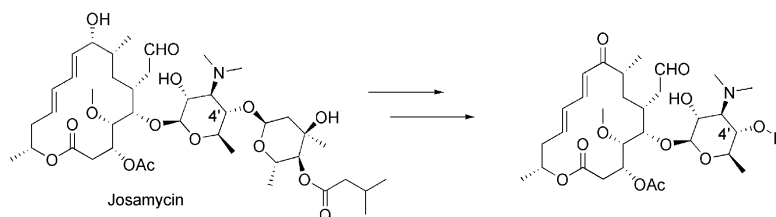
Adam M. Gilbert,* Gary P. Stack, Ramaswamy Nilakantan, Jason Kodah, Megan Tran, Rosemary Scerni, Xiaojie Shi, Deborah L. Smith and Terrance H. Andree



Synthesis of novel 4'-substituted 16-membered ring macrolide antibiotics derived from leucomycins

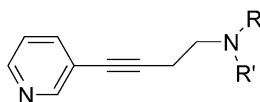
pp 519–521

Zhaolin Wang,* Tianying Jian, Ly T. Phan and Yat Sun Or

**3-(4-Aminobutyn-1-yl)pyridines: binding at $\alpha 4\beta 2$ nicotinic cholinergic receptors**

pp 523–526

Deniz Dogruer, Mase Lee, Malgorzata Dukat, M. Imad Damaj, Billy R. Martin and Richard A. Glennon*

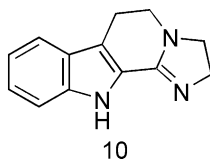


The binding of a series of pyridylbutynylamines at $\alpha 4\beta 2$ nACh receptors was shown to be sensitive to, and dependent upon, the nature of ring and terminal amine substituents.

Binding of an imidazopyridoindole at imidazoline I_2 receptors

pp 527–529

Richard A. Glennon,* Brian Grella, Robin J. Tyacke, Alice Lau, Julie Westaway and Alan L. Hudson

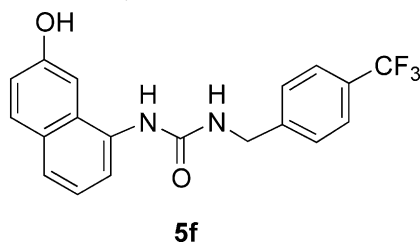


Imidazopyridoindole **10** (I_2 $K_i = 7.3$ nM) suggests how two structural classes of I_2 receptor ligands, 2-(2-benzofuranyl)-2-imidazolines and β -carboline, might bind relative to one another.

7-Hydroxynaphthalen-1-yl-urea and -amide antagonists of human vanilloid receptor 1

pp 531–534

Mark E. McDonnell, Sui-Po Zhang, Nadia Nasser, Adrienne E. Dubin and Scott L. Dax*

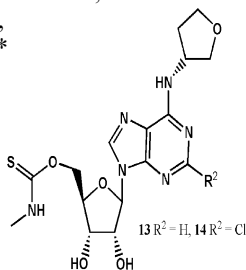


Structurally simple 7-hydroxynaphthalenyl ureas and amides were discovered to be potent ligands of human Vanilloid Receptor 1. 1-(7-Hydroxynaphthalen-1-yl)-3-(4-(trifluoromethyl)benzyl)urea **5f** exhibited nanomolar binding affinity and upon capsaicin challenge, behaved as a potent functional antagonist.

Affinity and intrinsic efficacy (IE) of 5'-carbamoyl adenosine analogues for the A₁ adenosine receptor—efforts towards the discovery of a chronic ventricular rate control agent for the treatment of atrial fibrillation (AF)

pp 535–539

Venkata P. Palle, Vaibhav Varkhedkar, Prabha Ibrahim, Hiba Ahmed, Zhihe Li, Zhenhai Gao, Mark Ozeck, Yuzhi Wu, Dewan Zeng, Lin Wu, Kwan Leung, Nancy Chu and Jeff A. Zablocki*

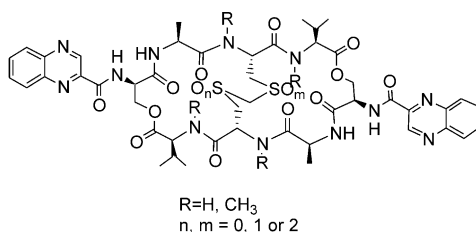


Potent partial A₁ AdoR agonists have been discovered.

Synthesis and biological activity of new quinoxaline antibiotics of echinomycin analogues

pp 541–544

Yun Bong Kim, Yong Hae Kim,* Ju Youn Park and Soo Kie Kim



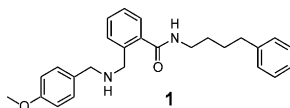
The novel compound **1a** shows potential activities against various human cancer cell lines.



2-(Aminomethyl)-benzamide-based glycine transporter type-2 inhibitors

pp 545–548

Koc-Kan Ho,* Kenneth C. Appell, John J. Baldwin, Adolph C. Bohnstedt, Guizhen Dong, Tao Guo, Robert Horlick, Khondaker R. Islam, Steven G. Kultgen, Christopher M. Masterson, Edward McDonald, Kirk McMillan, J. Richard Morphy, Zoran Rankovic, Hardy Sundaram and Maria Webb

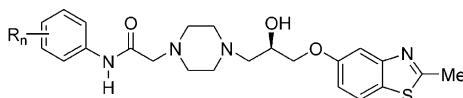


Structure–activity studies on benzamide **1** obtained from library screening led to the discovery of a novel series of potent and selective glycine transporter type-2 inhibitors.

New fatty acid oxidation inhibitors with increased potency lacking adverse metabolic and electrophysiological properties

pp 549–552

Dmitry O. Koltun,* Timothy A. Marquart, Kevin D. Shenk, Elfatih Elzein, Yuan Li, Marie Nguyen, Suresh Kerwar, Dewan Zeng, Nancy Chu, Daniel Soohoo, Jia Hao, Victoria Y. Maydanik, David A. Lustig, Khing-Jow Ng, Heather Fraser and Jeffery A. Zablocki




New inhibitors of palmitoylCoA oxidation were synthesized based on CVT-3501 (R_n = 2,6-dimethyl) as a lead. Investigation of structure–activity relationships was conducted and led to discovery of analogues with high potency, favorable metabolic and electrophysiological properties.

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*Corresponding author

 Supplementary data available via ScienceDirect

COVER

Cover figure provided by **Indraneel Ghosh**, Department of Chemistry, University of Arizona. The cover depicts the **Dual Surface Selection** methodology developed by the author: the blue helix of htB1 (center) allows structural selection with the Fc portion of Immunoglobulin (left), while the residues randomized on the red sheet of htB1 (center) allows for functional selection against thrombin (right). © 2003 Indraneel Ghosh. Published by Elsevier Ltd.



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