

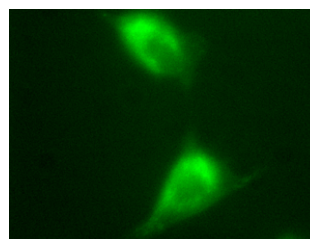
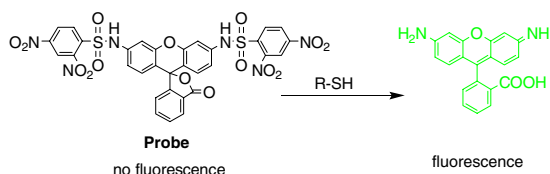
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Rhodamine-based fluorogenic probe for imaging biological thiol

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Aya Shibata, Kazuhiro Furukawa, Hiroshi Abe,* Satoshi Tsuneda and Yoshihiro Ito



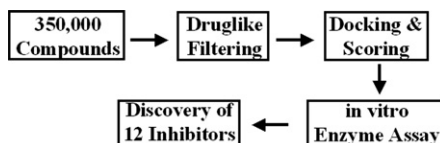
Fluorogenic probe for the detection of intracellular thiols.



Discovery of novel PRL-3 inhibitors based on the structure-based virtual screening

pp 2250–2255

Hwangseo Park,* Suk-Kyeong Jung, Dae Gwin Jeong, Seong Eon Ryu and Seung Jun Kim*

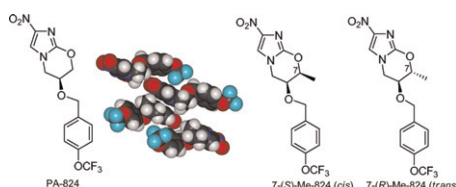


We have discovered 12 novel PRL-3 inhibitors by means of a computer-aided drug design protocol involving homology modeling of the target protein and the virtual screening with docking simulations.

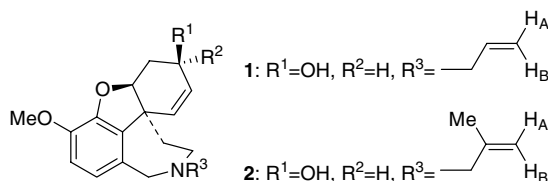
Synthesis and antitubercular activity of 7-(*R*)- and 7-(*S*)-methyl-2-nitro-6-(*S*)-(4-(trifluoromethoxy)benzyloxy)-6,7-dihydro-5*H*-imidazo[2,1-*b*][1,3]oxazines, analogues of PA-824

pp 2256–2262

Xiaojin Li, Ujjini H. Manjunatha, Michael B. Goodwin, John E. Knox, Christopher A. Lipinski, Thomas H. Keller, Clifton E. Barry, III and Cynthia S. Dowd*



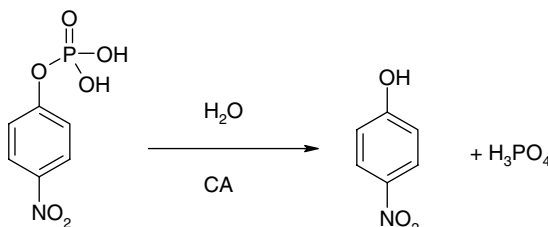
N-Alkylated galanthamine derivatives: Potent acetylcholinesterase inhibitors from *Leucojum aestivum* pp 2263–2266
 Strahil Berkov, Carles Codina, Francesc Viladomat and Jaume Bastida*



N-Allylnorgalanthamine (1) and *N*-(14-methylallyl)norgalanthamine (2) inhibit AChE more than the approved drug galanthamine.

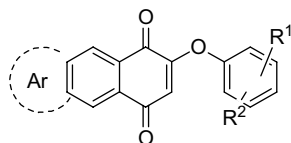
Investigations of the esterase, phosphatase, and sulfatase activities of the cytosolic mammalian carbonic anhydrase isoforms I, II, and XIII with 4-nitrophenyl esters as substrates pp 2267–2271

Alessio Innocenti, Andrea Scozzafava, Seppo Parkkila, Luca Puccetti, Giuseppina De Simone and Claudiu T. Supuran*



Synthesis of a small library of 2-phenoxy-1,4-naphthoquinone and 2-phenoxy-1,4-anthraquinone derivatives bearing anti-trypanosomal and anti-leishmanial activity pp 2272–2276

Maria Laura Bolognesi,* Federica Lizzi, Remo Perozzo, Reto Brun and Andrea Cavalli*



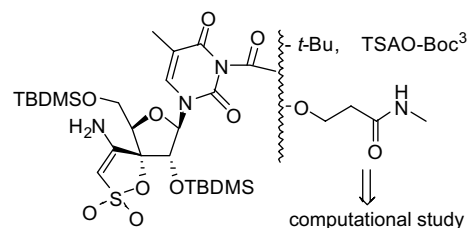
The parallel synthesis of new anti-parasitic compounds is described.



Synthesis, anti-HIV-1 activity, and modeling studies of *N*-3 Boc TSAO compound pp 2277–2281

Cyrille Tomassi, Albert Nguyen Van Nhlen, José Marco-Contelles, Jan Balzarini, Christophe Pannecouque, Erik De Clercq, Elena Soriano and Denis Postel*

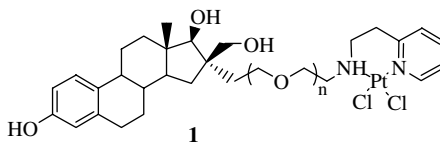
The synthesis and the biological evaluation of the anti-HIV-1 activity of TSAO-Boc³T (8) are described. The computational analysis showed that *N*-3 Boc group promotes new interactions in the binding site of the enzyme leading to a good inhibitory value.



Synthesis of 17 β -estradiol-platinum(II) hybrid molecules showing cytotoxic activity on breast cancer cell lines

pp 2282–2287

Josée Provencher-Mandeville, Caroline Descôteaux, Sanat K. Mandal, Valérie Leblanc, Éric Asselin and Gervais Bérubé*

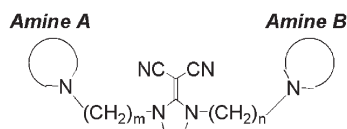


The synthesis of a series of 17 β -estradiol-platinum(II) hybrid molecules is reported. The hybrids are made of a PEG linking tether chain of various length and a 2-(2'-aminoethyl)pyridine ligand. MTT assays showed that the derivative with the longest PEG chain showed the best activity against breast cancer cell lines (MCF-7 and MDA-MB-231).

Diamine derivatives containing imidazolidinylidene propanedinitrile as a new class of histamine H₃ receptor antagonists. Part I

pp 2288–2291

Setsuya Sasho,* Takashi Seishi, Mariko Kawamura, Ryo Hirose, Shinichiro Toki and Jun-ich Shimada



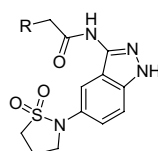
Synthesis of a series of imidazolidinylidene propanedinitrile derivatives as a potent H₃ receptor antagonist is described.



Synthesis and biological evaluation of 3,5-diaminoindazoles as cyclin-dependent kinase inhibitors

pp 2292–2295

Jinho Lee,* Hwangeun Choi, Kyoung-Hee Kim, Shinwu Jeong, Jong-Wook Park, Chul-Su Baek and Sei-Hee Lee

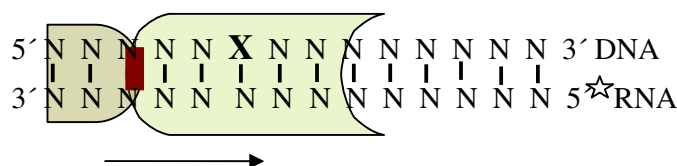


A novel series of 3,5-diaminoindazoles were prepared and found to be CDK inhibitors. Potent inhibitors against CDK1 and CDK2 were obtained by the introduction of 1 λ^6 -isothiazolidine-1,1-dioxide at 5-position of indazole. Anti-proliferative activities of compounds were evaluated using EJ, HCT116, SW620, and A549 cancer cell lines.

Chemically modified oligonucleotides with efficient RNase H response

pp 2296–2300

Birte Vester, Anne Marie Boel, Sune Lobedanz, B. Ravindra Babu, Michael Raunkjær, Dorte Lindegaard, Raunak, Patrick J. Hrdlicka, Torben Højland, Pawan K. Sharma, Surender Kumar, Poul Nielsen and Jesper Wengel*

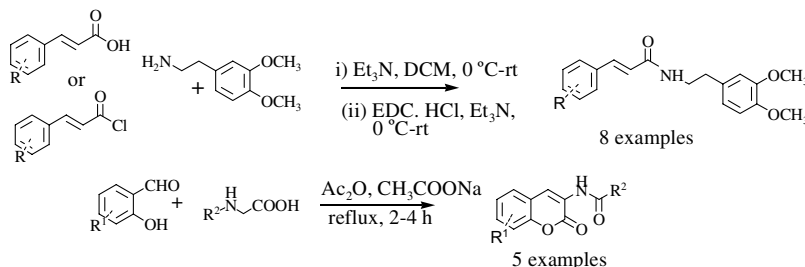


Schematic model of RNase H enzyme (in green) with its cleaving site in red. The horizontal arrow indicates direction of movement of the enzyme and the star indicates P³² labelling of the RNA to allow visualization of RNA fragments by gel electrophoresis. The study shows that it is possible to introduce modified nucleotides in an antisense oligonucleotide across RNA cleavage sites, which maintain or even improve RNA cleavage by RNaseH.

Synthesis and antihyperglycemic activity of novel *N*-acyl-2-arylethylamines and *N*-acyl-3-coumarylamines

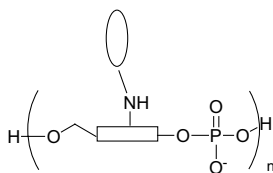
pp 2301–2305

Atma P. Dwivedi, Shailesh Kumar, Vandana Varshney, Amar B. Singh, Arvind K. Srivastava and Devi P. Sahu*



Solid-phase synthesis of oligomers carrying several chromophore units linked by phosphodiester backbones pp 2306–2310

Anna Aviñó, Isabel Navarro, Josep Farrera-Sinfreu, Miriam Royo, Juan Aymamí, Antonio Delgado, Amadeu Llebaria, Fernando Albericio and Ramon Eritja*



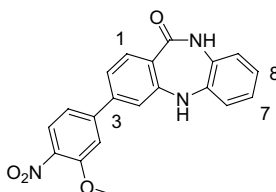
The synthesis of oligomers having several DNA-intercalating units linked by phosphodiester bonds is reported.



Investigation of novel 7,8-disubstituted-5,10-dihydro-dibenzo[*b,e*][1,4]diazepin-11-ones as potent Chk1 inhibitors

pp 2311–2315

Lisa A. Hasvold,* Le Wang,* Magdalena Przytulinska, Zhan Xiao, Zehan Chen, Wen-Zhen Gu, Philip J. Merta, John Xue, Peter Kovar, Haiying Zhang, Chang Park, Thomas J. Sowin, Saul H. Rosenberg and Nan-Horng Lin

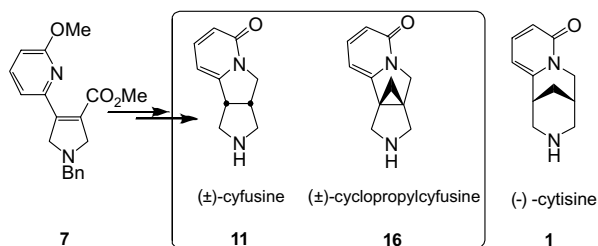


Deconstructing cytosine: The syntheses of (±)-cyfusine and (±)-cyclopropylcyfusine, fused ring analogs of cytosine

pp 2316–2319

Daniel Yohannes,* Kristen Procko, Lorraine A. Lebel, Carol B. Fox and Brian T. O'Neill

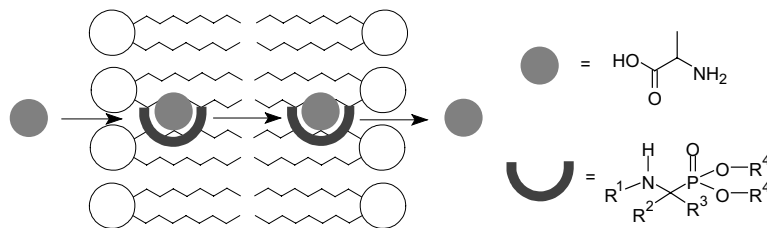
A novel fused tricyclic analog (**11**) of cytosine has been prepared (coined 'cyfusine') and determined to have high affinity at neuronal nicotinic acetylcholine receptors. A [3 + 2] cycloaddition protocol permitted entry into a 3,4-differentially difunctionalized dihydropyrrrole (**7**). The penultimate cyclization was accomplished using the modified Van Tamelen conditions developed in our earlier synthesis of (±)-cytosine. Sequential ring-forming reactions ([3 + 2] cycloaddition/cyclopropanation/pyridone cyclization) gives a unique cyclopropyl analog (**16**) possessing a skeleton isoatomic with that of cytosine.



Increasing permeability of phospholipid bilayer membranes to alanine with synthetic α -aminophosphonate carriers

pp 2320–2323

Delia C. Danila, Xinyan Wang, Heather Hubble, Igor S. Antipin and Eugene Pinkhassik*

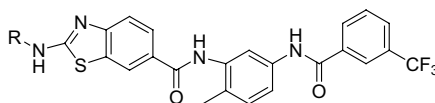


Aminophosphonates facilitate the membrane transport of alanine at moderate rates, which make them a suitable platform for the design of carriers for continuous drug release devices.

Discovery of 2-amino-6-carboxamidobenzothiazoles as potent Lck inhibitors

pp 2324–2328

Shenlin Huang,* Zuosheng Liu, Shin-Shay Tian, Mark Sandberg, Tracy A. Spalding, Russell Romeo, Maya Iskandar, Zhiliang Wang, Donald Karanewsky and Yun He*

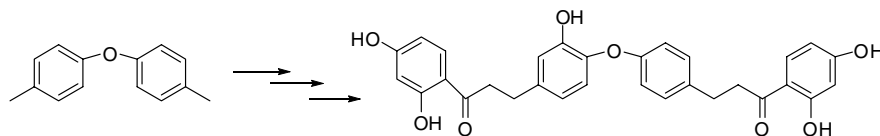


A novel series of 2-amino-6-carboxamidobenzothiazole was discovered to have potent Lck inhibitory properties. A highly efficient chemistry was developed. Many potent analogues were generated with urea, carbamate, heteroarylamine or alkylamine at the C-2 position. The SAR for the middle ring and the right side aryl group was also explored. The selectivity profile was herein included.

Synthesis and antibacterial activity of littorachalcone and related diphenyl ethers

pp 2329–2332

George A. Kraus,* Ganesh Kumar, Gregory Phillips, Kris Michalson and Maria Mangano

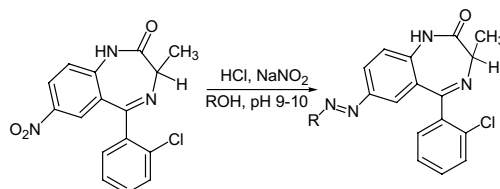


Littorachalcone (**1**) and diacid **10** were synthesized by direct routes. The antibacterial activity of **1**, **10** and synthetic precursors were evaluated. Dialdehyde **3** (R = pivaloyl) showed potent antibacterial activity.

Meclonazepam analogues as potential new antihelmintic agents

pp 2333–2336

Aman Mahajan, Vipin Kumar, Nuha R. N. Mansour, Quentin Bickle and Kelly Chibale*

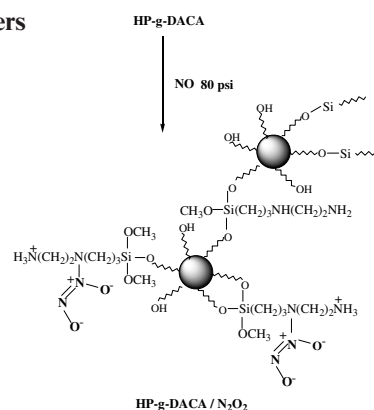


New analogues of the potent antihelmintic meclonazepam were prepared and evaluated against *Schistosoma mansoni*. The biological data suggests substitution at positions 2 and 4 of meclonazepam could provide promising analogues for prophylactic and therapeutic activity against *S. mansoni*.

Synthesis of novel *N*-diazoniumdiolates based on hyperbranched polyethers

Yuxia Kou and Ajun Wan*

Synthesis scheme for preparing *N*-diazoniumdiolate based on hyperbranched polyether HP-g-DACA/N₂O₂.

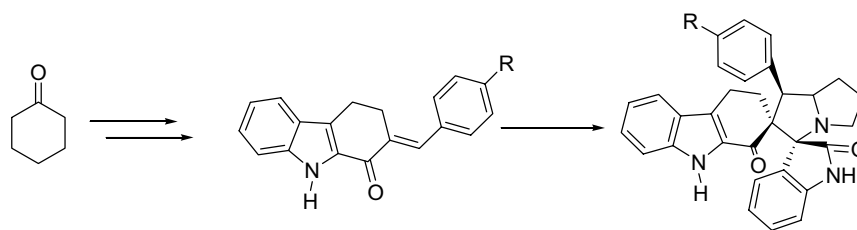


pp 2337–2341

Synthesis of novel spiropyrrolizidines as potent antimicrobial agents for human and plant pathogens

Govindasami Periyasami, Raghavachary Raghunathan,*
Gangadharan Surendiran and Narayanasamy Mathivanan

pp 2342–2345

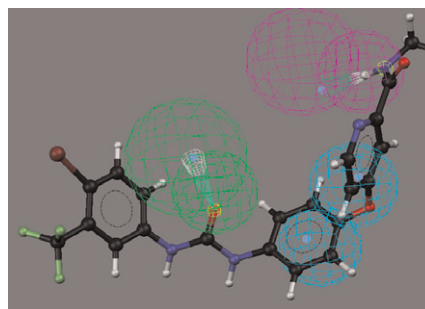


Pharmacophore identification of Raf-1 kinase inhibitors

Tian Zhu, Yu Jiao, Ya-Dong Chen, Xuan Wang, Hui-Fang Li, Lu-Yong Zhang and Tao Lu*

pp 2346–2350

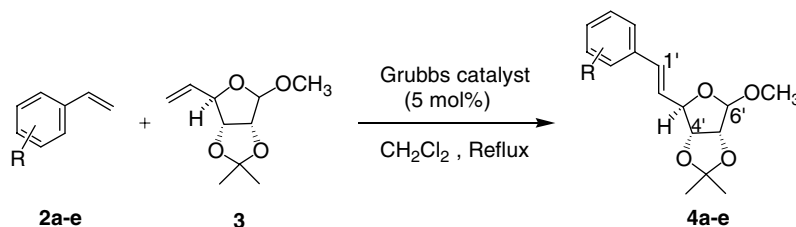
The best pharmacophore hypothesis (Hypo1), consisting of four chemical features, and the high active compound (compound 6) can be well mapped onto the Hypo1 model.



Synthesis of novel analogues of (+)-varitriol via olefin cross-metathesis reaction

Lingaiah Nagarapu,* Venkateswarlu Paparaju and Apuri Satyender

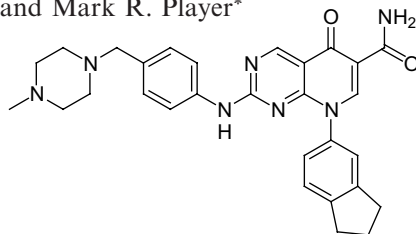
pp 2351–2354



Novel analogues of (+)-varitriol have been synthesized via olefin cross-metathesis reaction using Grubbs's catalyst. Newly synthesized compounds were screened for cytotoxicity.

Design and synthesis of a pyrido[2,3-*d*]pyrimidin-5-one class of anti-inflammatory FMS inhibitors pp 2355–2361

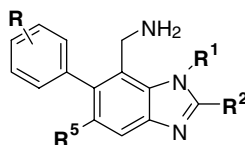
Hui Huang, Daniel A. Hutta, Huaping Hu, Renee L. DesJarlais, Carsten Schubert, Ioanna P. Petrounia, Margery A. Chaikin, Carl L. Manthey and Mark R. Player*



A series of pyrimidinopyridones has been designed, synthesized and shown to be potent and selective inhibitors of the FMS tyrosine kinase. Introduction of an amide substituent at the 6-position of the pyridone core resulted in a significant potency increase. Compound **24** effectively inhibited in vivo LPS-induced TNF in mice greater than 80%.

Structure-based design and synthesis of benzimidazole derivatives as dipeptidyl peptidase IV inhibitors pp 2362–2367

Michael B. Wallace,* Jun Feng, Zhiyuan Zhang, Robert J. Skene, Lihong Shi, Christopher L. Caster, Daniel B. Kassel, Rongda Xu and Stephen L. Gwaltney, II

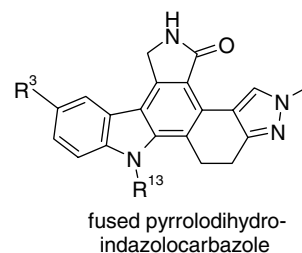


A series of potent benzimidazole-based inhibitors of DPP-4 was designed and synthesized. Structural properties and biological activities are described.

TIE-2/VEGF-R2 SAR and in vitro activity of C3-acyl dihydroindazolo[5,4-*a*]pyrrolo[3,4-*c*]carbazole analogs pp 2368–2372

Ted L. Underiner,* Bruce Ruggeri, Lisa Aimone, Mark Albom, Thelma Angeles, Hong Chang, Robert L. Hudkins, Kathryn Hunter, Kurt Josef, Candy Robinson, Linda Weinberg, Shi Yang and Allison Zulli

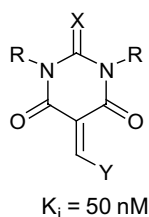
Orally bioavailable, dual inhibitors of TIE-2/VEGF-R2 were identified by elaborating the C3/N13 SAR around a fused pyrroloindazolocarbazole scaffold. Analogs bearing a C3-thiophencarbonyl group were evaluated in enzymatic and cellular biochemical assays; two orally bioavailable analogs were further profiled in functional assays and found to inhibit microvessel growth in rat aortic explant cultures and inhibit Ang-1-stimulated chemotaxis of HUVECs.



Synthesis of barbiturate-based methionine aminopeptidase-1 inhibitors

pp 2373–2376

Manas K. Haldar, Michael D. Scott, Nitesh Sule, D. K. Srivastava* and Sanku Mallik*



The syntheses of a new class of barbiturate-based inhibitors for methionine aminopeptidase-1 are described.



**Incorporation of positively charged ribonucleic guanine linkages into oligodeoxyribonucleotides:
Development of potent antisense agents**

pp 2377–2384

Myunji Park, Daniele Canzio and Thomas C. Bruice*



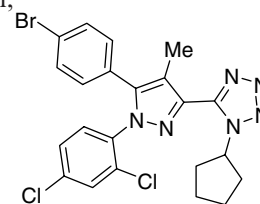
Oligodeoxynucleic acid (21-mer) containing both negatively charged phosphate and positively charged ribonucleic guanine linkages (RNG/DNA chimera) have been synthesized. Binding properties and nuclease resistance against exonuclease I of RNG/DNA chimera are presented.

Tetrazole-biarylpyrazole derivatives as cannabinoid CB1 receptor antagonists

pp 2385–2389

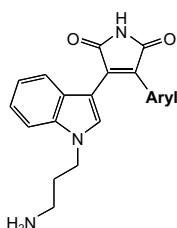
Suk Youn Kang, Sung-Han Lee, Hee Jeong Seo, Myung Eun Jung, Kwangwoo Ahn, Jeongmin Kim and Jinhwa Lee*

We have identified a novel tetrazole-based series of small molecule cannabinoid-1 antagonists that shows potency comparable to that of known CB1 antagonists. Among various analogues, cyclopentyl-tetrazole (**9a**) demonstrated high binding affinity and selectivity for CB1 receptor.

**9a**(CB1 IC₅₀ = 11.6 nM and CB2/CB1 = 366)
Aryl-indolyl maleimides as inhibitors of CaMKIIδ. Part 1: SAR of the aryl region

pp 2390–2394

Daniel E. Levy,* Dan-Xiong Wang, Qing Lu, Zheng Chen, John Perumattam, Yong-jin Xu, Albert Licican, Jeffrey Higaki, Hanmin Dong, Maureen Laney, Babu Mavunkel and Sundeep Dugar

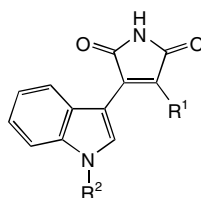


Aryl-substituted maleimides were prepared and studied for their activity against CaMKIIδ. Inhibitory activities ranged from 34 nM to >20 μM. Key predicted interactions with the kinase ATP site and hinge region were confirmed.

Aryl-indolyl maleimides as inhibitors of CaMKIIδ. Part 2: SAR of the amine tether

pp 2395–2398

Daniel E. Levy,* Dan-Xiong Wang, Qing Lu, Zheng Chen, John Perumattam, Yong-jin Xu, Jeffrey Higaki, Hanmin Dong, Albert Licican, Maureen Laney, Babu Mavunkel and Sundeep Dugar

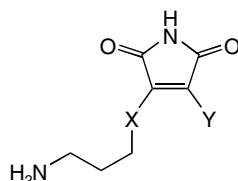


Aryl-substituted maleimides were prepared and studied for their activity against CaMKIIδ. Inhibitory activities ranged from 34 nM to >20 μM. Key predicted interactions with the kinase ATP site and hinge region were confirmed.

Aryl-indolyl maleimides as inhibitors of CaMKII δ . Part 3: Importance of the indole orientation

pp 2399–2403

Qing Lu, Zheng Chen, John Perumattam, Dan-Xiong Wang, Weiling Liang, Yong-jin Xu, Steven Do, Llorente Bonaga, Jeffrey Higaki, Hanmin Dong, Albert Licican, Steve Sideris, Maureen Laney, Sundeep Dugar, Babu Mavunkel and Daniel E. Levy*

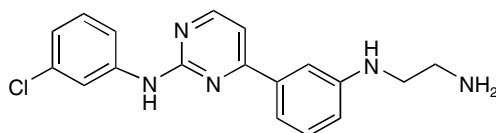


Aryl-substituted maleimides were prepared and studied for their activity against CaMKII. Inhibitory activities ranged from 10 nM to >20 μ M. Key predicted interactions with the kinase ATP site and hinge region were confirmed.

Pyrimidine-based inhibitors of CaMKII δ

pp 2404–2408

Babu Mavunkel, Yong-jin Xu, Bindu Goyal, Don Lim, Qing Lu, Zheng Chen, Dan-Xiong Wang, Jeffrey Higaki, Indrani Chakraborty, Albert Licican, Steve Sideris, Maureen Laney, Ulrike Delling, Rosanne Catalano, Linda S. Higgins, Hui Wang, Jing Wang, Ying Feng, Sundeep Dugar and Daniel E. Levy*

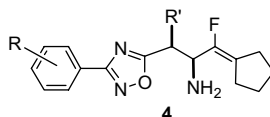


Pyrimidine-based inhibitors of CaMKII δ were identified. Through computational studies, a probable binding mode was identified leading to the design of ATP competitive inhibitors with improved potency, potential hinge interactions, and potent cellular activity.

Fluoroolefins as amide bond mimics in dipeptidyl peptidase IV inhibitors

pp 2409–2413

Scott D. Edmondson,* Lan Wei, Jinyou Xu, Jackie Shang, Shiyao Xu, Jianmei Pang, Ashok Chaudhary, Dennis C. Dean, Huaibing He, Barbara Leiting, Kathryn A. Lyons, Reshma A. Patel, Sangita B. Patel, Giovanna Scapin, Joseph K. Wu, Maria G. Beconi, Nancy A. Thornberry and Ann E. Weber

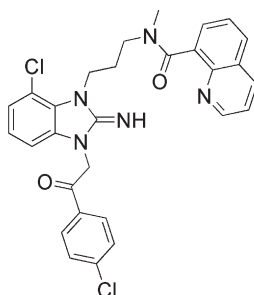


The synthesis, selectivity, rat pharmacokinetic profiles, and drug metabolism profiles of a series of potent fluoroolefin-derived DPP-4 inhibitors (**4**) are reported. A radiolabeled fluoroolefin **33** was shown to possess a high propensity to form reactive metabolites, thus revealing a potential liability for this class of DPP-4 inhibitors.

**Lead identification of 2-iminobenzimidazole antagonists of the chemokine receptor CXCR3**

pp 2414–2419

Martin E. Hayes,* Eric C. Breinlinger, Grier A. Wallace, Pintipa Grongsaard, Wenyan Miao, Michael J. McPherson, Robert H. Stoffel, David W. Green and Gregory P. Roth



Synthesis and study of antiviral and anti-radical properties of aminophenol derivatives

pp 2420–2423

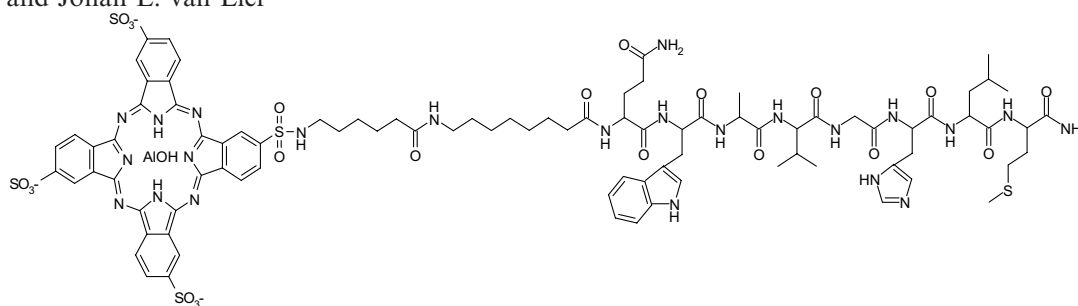
O. Shadyro,* G. Ksendzova, G. Polozov, V. Sorokin, E. Boreko, O. Savinova, B. Dubovik and N. Bizunok

It has been shown that *N*-acyl and *N*-aryl derivatives of 4,4-di-*tert*-butyl-2-aminophenol passes the most marked antiviral activity.

Targeting gastrin-releasing peptide receptors of prostate cancer cells for photodynamic therapy with a phthalocyanine–bombesin conjugate

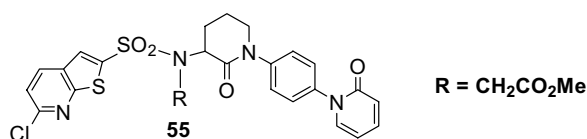
pp 2424–2427

Céléna Dubuc, Réjean Langlois, François Bénard, Nicole Cauchon, Klaus Klarskov, Paul Tone and Johan E. van Lier*

**Sulfonamidolactam inhibitors of coagulation factor Xa**

pp 2428–2433

Joanne M. Smallheer,* Shuaige Wang, Mia L. Laws, Suanne Nakajima, Zilun Hu, Wei Han, Irina Jacobson, Joseph M. Luetngen, Karen A. Rossi, Alan R. Rendina, Robert M. Knabb, Ruth R. Wexler, Patrick Y. S. Lam and Mimi L. Quan

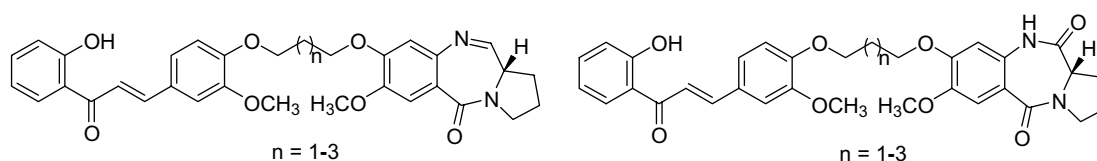


The synthesis and SAR of *N*-aryl-3-(arylsulfonylamino)-piperidone inhibitors of Factor Xa is described. Compound **55** is a representative example of this series with fXa $K_i = 0.043$ nM.

Solid-phase synthesis of new pyrrolobenzodiazepine–chalcone conjugates: DNA-binding affinity and anticancer activity

pp 2434–2439

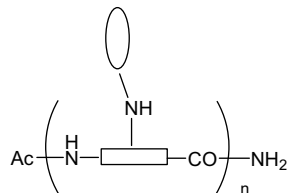
Ahmed Kamal,* N. Shankaraiah, S. Prabhakar, Ch. Ratna Reddy, N. Markandeya, K. Laxma Reddy and V. Devaiah



Design, synthesis and antiproliferative properties of oligomers with chromophore units linked by amide backbones

pp 2440–2444

Josep Farrera-Sinfreu, Anna Aviñó, Isabel Navarro, Juan Aymamí, Natàlia G. Beteta, Sònia Varón, Ricardo Pérez-Tomás, Wilmar Castillo-Avila, Ramon Eritja,* Fernando Albericio* and Miriam Royo



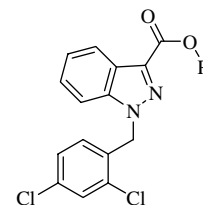
The synthesis of oligomers having several chromophore units linked by amide bonds is reported.

**Glycosyl and polyalcoholic prodrugs of lonidamine**

pp 2445–2450

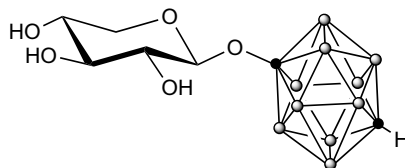
G. Giorgioni,* S. Ruggieri, A. Di Stefano, P. Sozio, B. Cinque, L. Di Marzio, G. Santoni and F. Claudi

Polyhydric alcohol derivatives of the anticancer agent lonidamine (LND) have been synthesized. The increased water solubility showed by prodrugs **4**, **7**, and **25** together with their log *P* values (2.19, 2.55, and 2.54, respectively) and chemical stability might be beneficial for prodrugs absorption after oral administration. Moreover, the new prodrugs undergo enzymatic hydrolysis in plasma and release LND demonstrating that they are promising candidates for in vivo investigations.

**Xylose as a carrier for boron containing compounds**

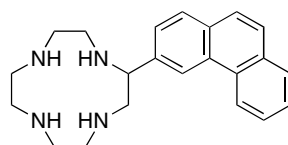
pp 2451–2454

Mårten Jacobsson, Cecilia Winander, Katrin Mani* and Ulf Ellervik*

**Synthesis and anti-protozoal activity of C2-substituted polyazamacrocycles**

pp 2455–2458

Caroline M. Reid, Charles Ebikeme, Michael P. Barrett, Eva-Maria Patzewitz, Sylke Müller, David J. Robins and Andrew Sutherland*



EC₅₀ = 1.3 μM

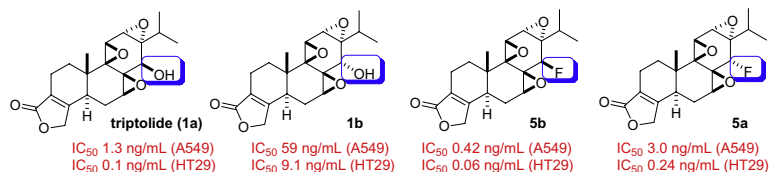
A focused library of C2-substituted-1,4,7,10-tetraazacyclododecanes have been synthesized and shown to have significant in vivo anti-protozoal activity against both trypanosome and malaria parasites.



Fluorination of triptolide and its analogues and their cytotoxicity

pp 2459–2463

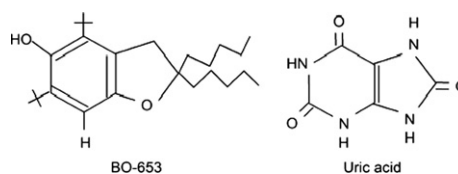
Yutaka Aoyagi, Yukio Hitotsuyanagi, Tomoyo Hasuda, Saki Matsuyama, Haruhiko Fukaya, Koichi Takeya,* Ritsuo Aiyama, Takeshi Matsuzaki and Shusuke Hashimoto



Antioxidant capacity of BO-653, 2,3-dihydro-5-hydroxy-4,6-di-*tert*-butyl-2,2-dipentylbenzofuran, and uric acid as evaluated by ORAC method and inhibition of lipid peroxidation

pp 2464–2466

Etsuo Niki,* Akiko Fukuhara, Yo Omata, Yoshiro Saito and Yasukazu Yoshida



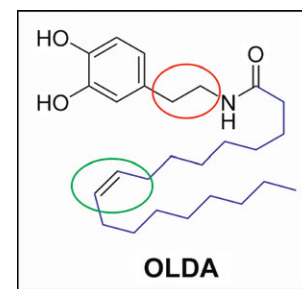
BO-653 was assessed to be much less potent than uric acid by ORAC method, whereas BO-653 exerted much higher antioxidant activity than uric acid against plasma lipid peroxidation.

Inhibitors of anthrax lethal factor based upon *N*-oleoyldopamine

pp 2467–2470

Brandon D. Gaddis, Charles M. Rubert Pérez and Jean Chmielewski*

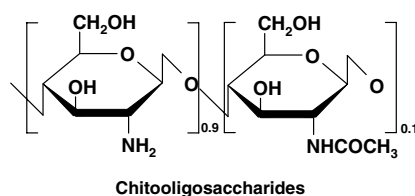
The structural features of an anthrax lethal factor inhibitor, *N*-oleoyldopamine (OLDA) have been probed. The oleic acid moiety is critical, but, more interestingly, the presence of the double bond and its geometry were found to play an essential role.



Renin inhibition activity by chitooligosaccharides

pp 2471–2474

Pyo-Jam Park, Chang-Bum Ahn, You-Jin Jeon and Jae-Young Je*

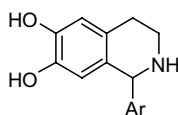


A 90-MMWCOS (MW 1000–5000 Da) showed the highest renin-inhibitory activity with IC_{50} value of 0.51 mg/mL and acted as competitive inhibitor with K_i value of 0.28 mg/mL by Lineweaver-Burk and Dixon plots.

1-Aryl-tetrahydroisoquinoline analogs as active anti-HIV agents in vitro.

pp 2475–2478

Pi Cheng, Ning Huang, Zhi-Yong Jiang, Quan Zhang, Yong-Tang Zheng, Ji-Jun Chen,*
Xue-Mei Zhang and Yun-Bao Ma



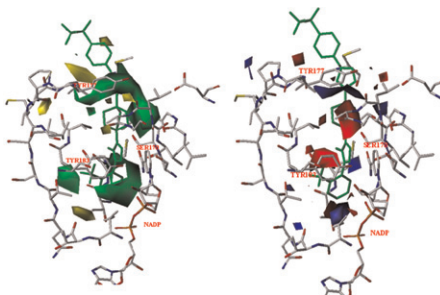
6: Ar = 4-methylphenyl
24: Ar = 3-chlorophenyl
36: Ar = 2-naphthyl

The syntheses and anti-HIV activities of 1-aryl-tetrahydroisoquinoline analogs were described in this paper. Compounds **6**, **24**, and **36** showed potent anti-HIV activities with TI values larger than 95, 159, and 130, respectively.

**Docking-based 3D-QSAR study for 11 β -HSD1 inhibitors**

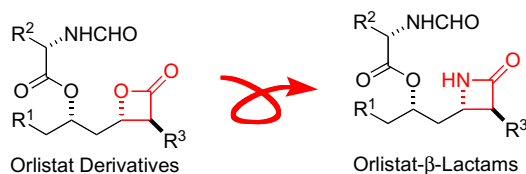
pp 2479–2490

Jin Hee Lee, Nam Sook Kang* and Sung-Eun Yoo

 **β -Lactam congeners of orlistat as inhibitors of fatty acid synthase**

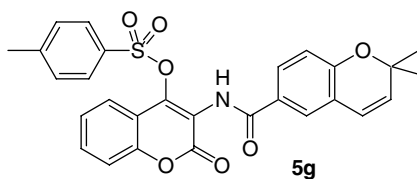
pp 2491–2494

Wei Zhang, Robyn D. Richardson, Supakarn Chamni, Jeffrey W. Smith* and Daniel Romo*

**Synthesis and biological activity of simplified denoviose-coumarins related to novobiocin as potent inhibitors of heat-shock protein 90 (hsp90)**

pp 2495–2498

Christine Radanyi, Gaëlle Le Bras, Samir Messaoudi, Céline Bouclier, Jean-François Peyrat,
Jean-Daniel Brion, Véronique Marsaud, Jack-Michel Renoir and Mouâd Alami*



The synthesis and biological activity of a new series of denoviose-coumarins related to novobiocin are described.

COVER

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

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