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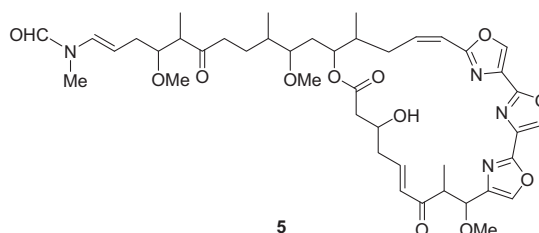
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Actin depolymerizing effect of trisoxazole-containing macrolides

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Soon-Chun Chung, So-Hyoung Lee, Kyoung Hwa Jang, Wanki Park, Ju-eun Jeon, Hana Oh, Jongheon Shin*, Ki-Bong Oh*

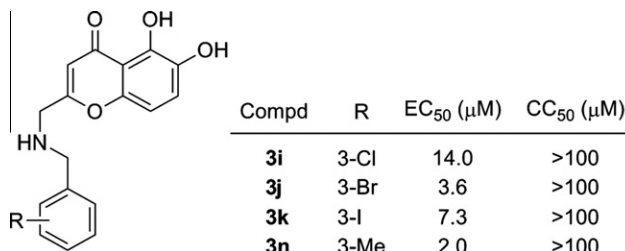


(19Z)-Halichondramide (5) with enhanced actin depolymerizing activity and potent antifungal activity.

2-Arylmethylaminomethyl-5,6-dihydroxychromone derivatives with selective anti-HCV activity

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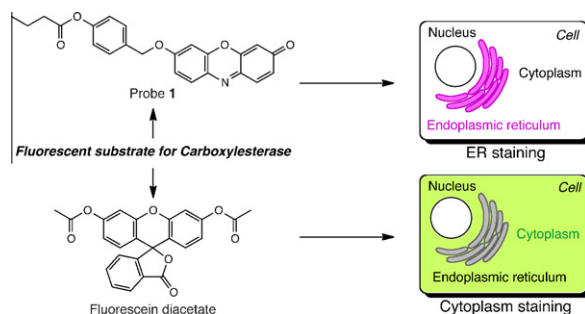
Hye Ri Park, Kwang-Su Park, Youhoon Chong*



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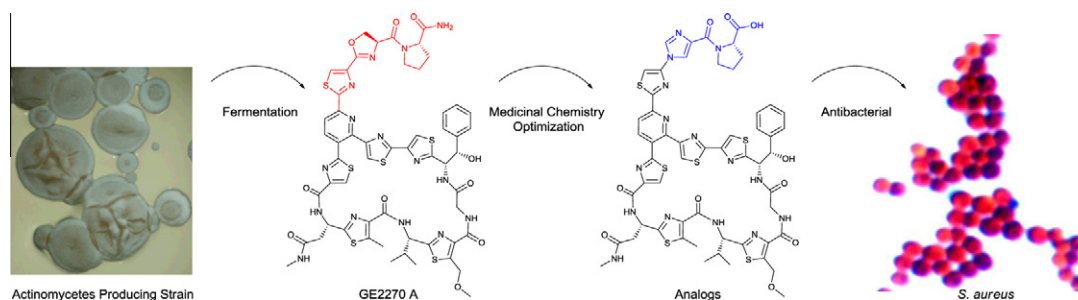
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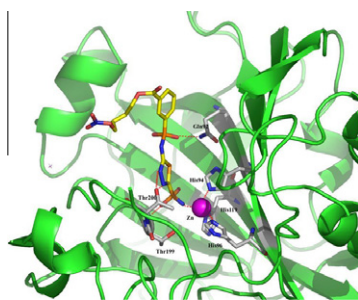
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Matthew J. LaMarche*, Jennifer A. Leeds, JoAnne Dzink-Fox, Steve Mullin, Michael A. Patane, Elin M. Rann, Stacey Tiamfook

**Synthesis and crystallographic analysis of new sulfonamides incorporating NO-donating moieties with potent antiglaucoma action**

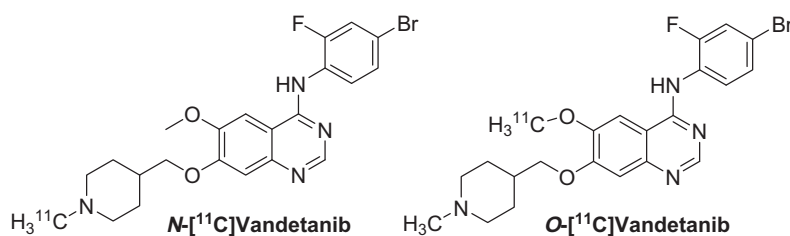
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Francesco Mincione, Francesca Benedini, Stefano Biondi, Alessandro Cecchi, Claudia Temperini, Giuseppe Formicola, Ilaria Pacileo, Andrea Scozzafava, Emanuela Masini*, Claudiu T. Supuran*

**Radiosynthesis of [¹¹C]Vandetanib and [¹¹C]chloro-Vandetanib as new potential PET agents for imaging of VEGFR in cancer**

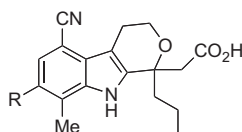
pp 3222–3226

Mingzhang Gao, Christian M. Lola, Min Wang, Kathy D. Miller, George W. Sledge, Qi-Huang Zheng*

Radiosynthesis of [¹¹C]Vandetanib and [¹¹C]chloro-Vandetanib, new potential PET agents for imaging of VEGFR in cancer, is first reported.**The discovery and structure–activity relationships of pyrano[3,4-*b*]indole-based inhibitors of hepatitis C virus NS5B polymerase**

pp 3227–3231

Randy W. Jackson*, Matthew G. LaPorte*, Torsten Herbertz, Tandy L. Draper, Janet A. Gaboury, Susan R. Rippin, Ravi Patel, Srinivas K. Chunduru, Christopher A. Benetatos, Dorothy C. Young, Christopher J. Burns, Stephen M. Condon*

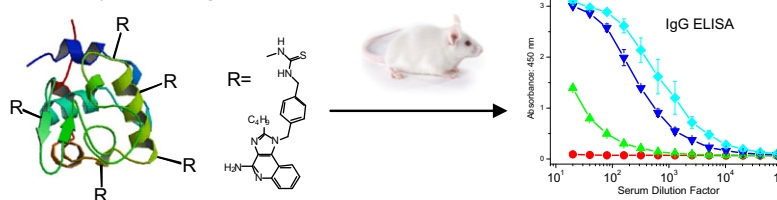


We describe the structure–activity relationship of the C7-position of pyrano[3,4-*b*]indole-based inhibitors of HCV NS5B polymerase. Further exploration of the allosteric binding site led to the discovery of the significantly more potent compounds 13 and 14.

Toward self-adjuvanting subunit vaccines: Model peptide and protein antigens incorporating covalently bound toll-like receptor-7 agonistic imidazoquinolines

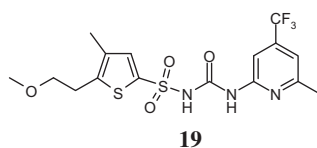
pp 3232–3236

Nikunj M. Shukla, Tyler C. Lewis, Timothy P. Day, Cole A. Mutz, Rehman Ukani, Chase D. Hamilton, Rajalakshmi Balakrishna, Sunil A. David*

Self-adjuvanting vaccine**Orally active aminopyridines as inhibitors of tetrameric fructose-1,6-bisphosphatase**

pp 3237–3242

Paul Hebeisen, Wolfgang Haap, Bernd Kuhn, Peter Mohr, Hans Peter Wessel, Ulrich Zutter, Stephan Kirchner, Armin Ruf, Jörg Benz, Catherine Joseph, Rubén Alvarez-Sánchez, Marcel Gubler, Brigitte Schott, Agnes Benardeau, Effie Tozzo, Eric Kitas*



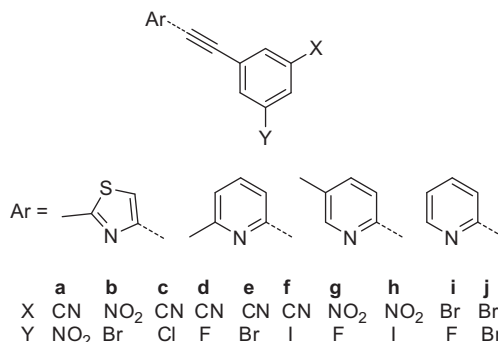
Mouse FBPAse EC ₅₀	5.9 μM
Glucose reduction at 6 hr	38% [100 mg/kg po]
Glycogen reduction at 6 hr	33% [100 mg/kg po]

Compound **19** is representative of a novel sulfonamide pyridine series that yielded potent inhibitors of fructose-1,6-bisphosphatase, showing significant glucose reduction and modest glycogen lowering in the acute db/db mouse model for Type-2 diabetes.

Potent mGluR5 antagonists: Pyridyl and thiazolyl-ethynyl-3,5-disubstituted-phenyl series

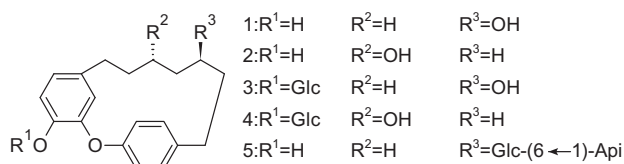
pp 3243–3247

David Alagille*, Herve DaCosta, Yelin Chen, Kamondanai Hemstapat, Alice Rodriguez, Ronald M. Baldwin, Jeffrey P. Conn, Gilles D. Tamagnan

**Osteogenic activity of diphenyl ether-type cyclic diarylheptanoids derived from *Acer nikoense***

pp 3248–3251

Takayuki Yonezawa, Ji-Won Lee, Hiroyuki Akazawa, Masahiko Inagaki, Byung-Yoon Cha, Kazuo Nagai, Kazumi Yagasaki, Toshihiro Akihisa, Je-Tae Woo*



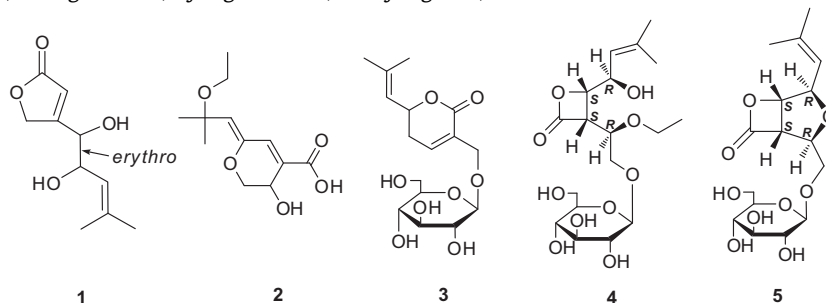
Effect on osteoblastogenesis of eight compounds isolated from the stem bark of *Acer nikoense* was investigated. Diphenyl ether-type cyclic diarylheptanoids **1–5** showed osteogenic activity in vitro.



Monoterpenoids from the aerial parts of *Aruncus dioicus* var. *kamtschaticus* and their antioxidant and cytotoxic activities

pp 3252–3256

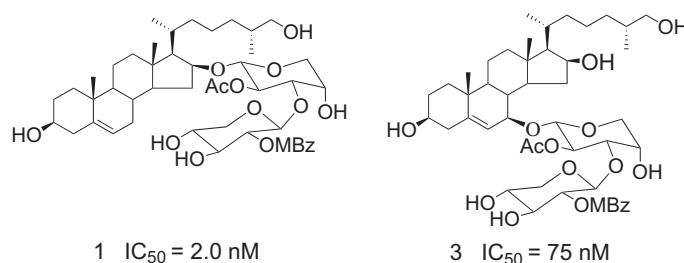
Su Yang Jeong, Do Youn Jun, Young Ho Kim, Byung-Sun Min, Bo Kyung Min, Mi Hee Woo*



Synthesis of cholestane saponins as mimics of OSW-1 and their cytotoxic activities

pp 3257–3260

Dan Zheng, Yuyao Guan, Xiaozhuo Chen, Yanpeng Xu, Xiaoguang Chen, Pingsheng Lei*



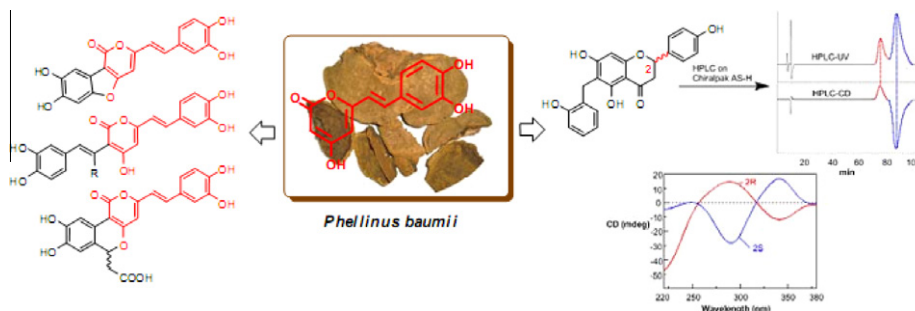
Six cholestane saponins, as mimics of OSW-1, were synthesized and tested for the cytotoxic activities. Compounds **1** and **3** exhibited potent cytotoxicities against five types of human tumor cells, with minimum IC_{50} of 2.0 and 75 nM, respectively.



Phenolic compounds with NF- κ B inhibitory effects from the fungus *Phellinus baumii*

pp 3261–3267

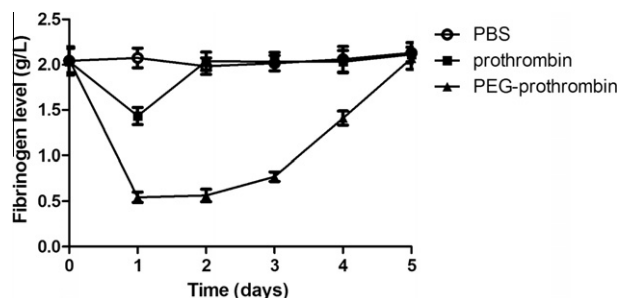
Chang-Sheng Wu, Zhao-Min Lin, Li-Ning Wang, Dong-Xiao Guo, Shu-Qi Wang, Yong-Qing Liu, Hui-Qing Yuan, Hong-Xiang Lou*



Research on PEGylation of porcine prothrombin for improving biostability and reducing animal immunogenicity

pp 3268–3272

Jian-Gang Zhou, Ying-Ming Chen*

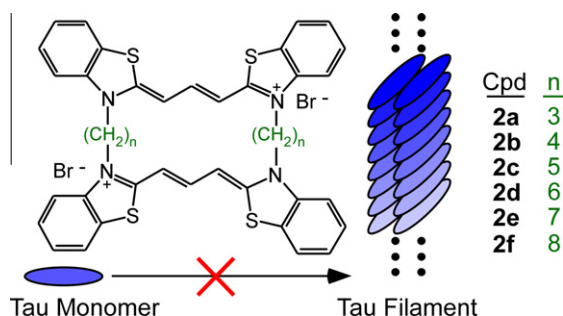


Biological activity assay of prothrombin. The capabilities of fibrinogen-lowering after subcutaneous administration of prothrombin or PEG-prothrombin at a dose of 50 μ g/kg. Plasma levels of the fibrinogen were measured by ELISA kit, data are means \pm SD for five mice per group.

Structure–activity relationship of cyclic thiacyanocyanine tau aggregation inhibitors

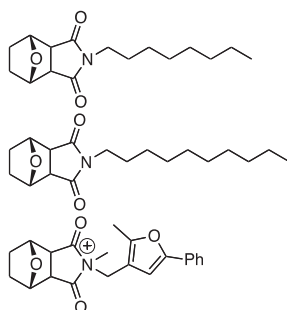
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Kelsey N. Schafer, Dhiraj P. Murale, Kibong Kim, Katryna Cisek, Jeff Kuret*, David G. Churchill*

**Norcantharidin analogues with nematocidal activity in *Haemonchus contortus***

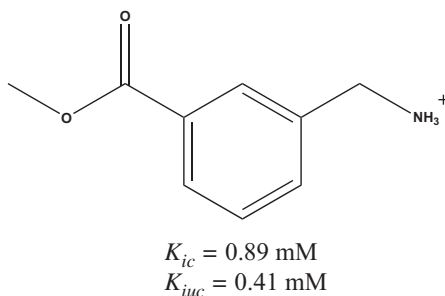
pp 3277–3281

Bronwyn E. Campbell, Mark Tarleton, Christopher P. Gordon, Jennette A. Sakoff, Jayne Gilbert, Adam McCluskey, Robin B. Gasser*

**The identification of new metallo- β -lactamase inhibitor leads from fragment-based screening**

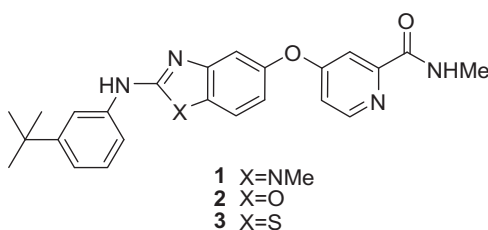
pp 3282–3285

Peter Vella, Waleed M. Hussein, Eleanor W. W. Leung, Daniel Clayton, David L. Ollis, Nataša Mitić, Gerhard Schenk*, Ross P. McGeary*

**Design and synthesis of 5,6-fused heterocyclic amides as Raf kinase inhibitors**

pp 3286–3289

Savithri Ramurthy*, Mina Aikawa, Payman Amiri, Abran Costales, Ahmad Hashash, Johanna M. Jansen, Song Lin, Sylvia Ma, Paul A. Renhowe, Cynthia M. Shafer, Sharadha Subramanian, Leonard Sung, Joelle Verhagen



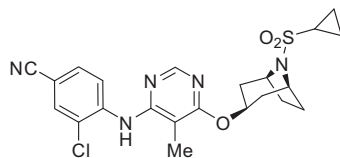
Two scaffolds based on 5,6-fused heterocyclic backbones were designed and synthesized as Raf kinase inhibitors. The scaffolds were assessed for in vitro pan-Raf inhibition, activity in cell proliferation and target modulation assays, and pharmacokinetic parameters.



Discovery of a nortropanol derivative as a potent and orally active GPR119 agonist for type 2 diabetes

pp 3290–3296

Yan Xia*, Samuel Chackalamannil, William J. Greenlee, Charles Jayne, Bernard Neustadt, Andrew Stamford, Henry Vaccaro, Xiaoying (Lucy) Xu, Hana Baker, Kim O'Neill, Morgan Woods, Brian Hawes, Tim Kowalski*



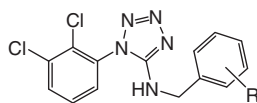
36j
 $hEC_{50} = 3 \text{ nM}$
 $h\% \text{ max} = 96\%$

The lead optimization studies of a series of GPR119 agonists incorporating a nortropanol scaffold led to the identification of compound **36j** as a potent and orally active GPR119 agonist with high agonist activity.

Synthesis and in vitro activity of *N*-benzyl-1-(2,3-dichlorophenyl)-1*H*-tetrazol-5-amine P2X₇ antagonists

pp 3297–3300

Arturo Perez-Medrano*, Diana L. Donnelly-Roberts, Alan S. Florjancic, Derek W. Nelson, Tongmei Li, Marian T. Namovic, Sridhar Peddi, Connie R. Faltynek, Michael F. Jarvis, William A. Carroll

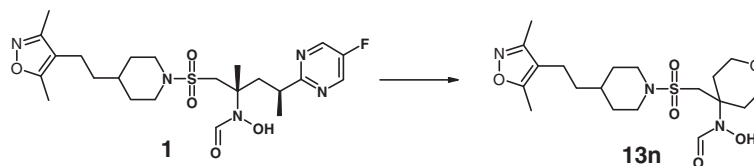


The synthesis and structure–activity relationship studies of P2X₇ antagonists are reported.

Orally active achiral *N*-hydroxyformamide inhibitors of ADAM-TS4 (aggrecanase-1) and ADAM-TS5 (aggrecanase-2) for the treatment of osteoarthritis

pp 3301–3306

Chris De Savi*, Andrew Pape, Yvonne Sawyer, David Milne, Chris Davies, John G. Cumming, Attila Ting, Scott Lamont, Peter D. Smith, Jonathon Tart, Ken Page, Peter Moore

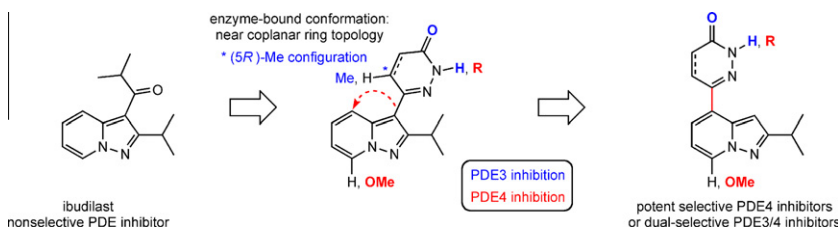


ADAM-TS4	$IC_{50} = 26 \text{ nM}$
MMP-13	$IC_{50} = >31000 \text{ nM}$
MMP-2/14/9	$IC_{50} = >23000 \text{ nM}$
Dog $t_{1/2}$	19 h
Dog F%	52%

Phosphodiesterase inhibitors. Part 1: Synthesis and structure–activity relationships of pyrazolopyridine–pyridazinone PDE inhibitors developed from ibudilast

pp 3307–3312

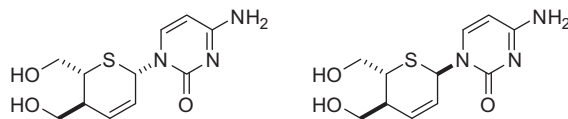
Robert W. Allcock, Haakon Blakli, Zhong Jiang, Karen A. Johnston, Keith M. Morgan, Georgina M. Rosair, Kazuhiko Iwase, Yasushi Kohno, David R. Adams*



Synthesis of 5-thiodidehydropyranlycytosine derivatives as potential anti-HIV agents

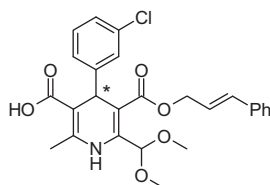
pp 3313–3316

Yuichi Yoshimura*, Yoshiko Yamazaki, Yukako Saito, Yoshihiro Natori, Tomozumi Imamichi, Hiroki Takahata*

**Asymmetric synthesis and biological evaluations of (+)- and (–)-6-dimethoxymethyl-1,4-dihydropyridine-3-carboxylic acid derivatives blocking N-type calcium channels**

pp 3317–3319

Takashi Yamamoto*, Seiji Ohno, Seiji Niwa, Munetaka Tokumasu, Masako Hagihara, Hajime Koganei, Shin-ichi Fujita, Tomoko Takeda, Yuki Saitou, Satoshi Iwayama, Akira Takahara, Seinosuke Iwata, Masataka Shoji

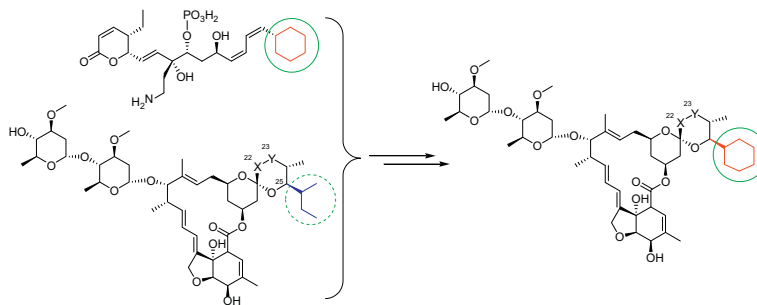


The novel asymmetric synthesis is reported for the preparation of optically pure (+)-4-(3-chlorophenyl)-6-dimethoxymethyl-2-methyl-1,4-dihydropyridine-3,5-dicarboxylic acid cinnamyl ester ((+)-**3**) as a promising blocker for the N-type calcium channels.

Production of doramectin by rational engineering of the avermectin biosynthetic pathway

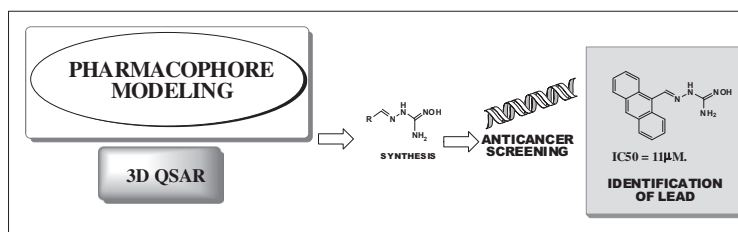
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Jian-Bo Wang, Hai-Xue Pan, Gong-Li Tang*

**N-Hydroxy-N'-aminoguanidines as anti-cancer lead molecule: QSAR, synthesis and biological evaluation**

pp 3324–3328

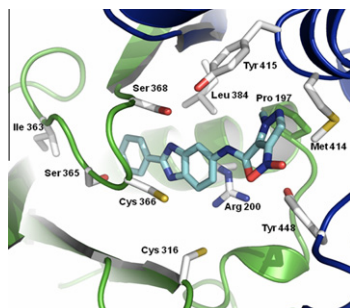
Arijit Basu*, Barij N. Sinha, Philipp Saiko, Geraldine Graser, Thomas Szekeres



Discovery of novel HCV polymerase inhibitors using pharmacophore-based virtual screening

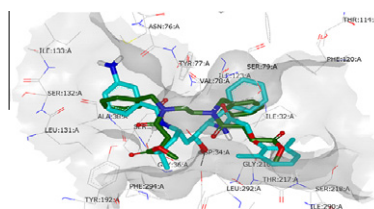
pp 3329–3334

Nam Doo Kim, Haarin Chun, Sang Jin Park, Jae Won Yang, Jong Woo Kim, Soon Kil Ahn*

**Identification of plasmepsin inhibitors as selective anti-malarial agents using ligand based drug design**

pp 3335–3341

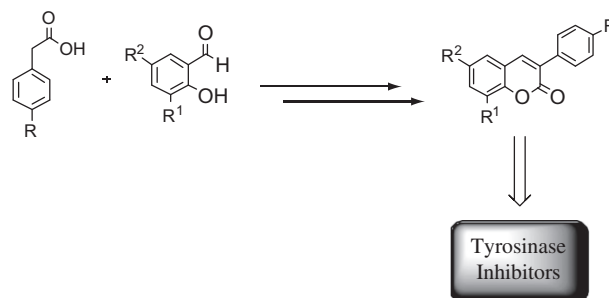
Paul B McKay, Martin B. Peters, Giorgio Carta, Christopher T. Flood, Enda Dempsey, Angus Bell, Colin Berry, David G. Lloyd*, Darren Fayne

**New halogenated phenylcoumarins as tyrosinase inhibitors**

pp 3342–3345

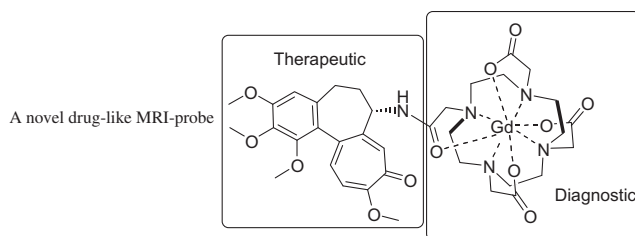
Maria João Matos*, Lourdes Santana, Eugenio Uriarte, Giovanna Delogu, Marcella Corda, Maria Benedetta Fadda, Benedetta Era, Antonella Fais

With the aim to find out structural features for the tyrosinase inhibitory activity, in the present communication we report the synthesis and pharmacological evaluation of a new series of phenylcoumarin derivatives with different number of hydroxyl or ether groups and bromo substituent in the scaffold. The synthesized compounds **5–12** were evaluated as mushroom tyrosinase inhibitors showing, two of them, lower IC_{50} than the umbelliferone. Compound **12** (IC_{50} = 215 μ M) is the best tyrosinase inhibitor of this series.

**Synthesis and characterisation of a novel tubulin-directed DO3A–colchicine conjugate with potential theranostic features**

pp 3346–3348

Nicholas. J. Wardle, Tammy Kalber, Jimmy D. Bell, S.W. Annie Bligh*



NMR evaluation of interactions between substituted-indole and PDZ1 domain of PSD-95

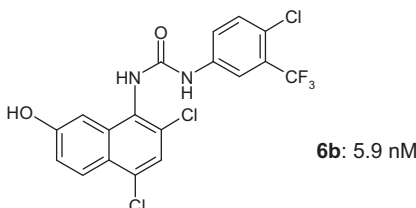
pp 3349–3353

Alexandre Vogrig, Benjamin Boucherle, Hemantkumar Deokar, Isabelle Thomas, Isabelle Ripoche, Lu-Yun Lian, Sylvie Ducki*

**Naphthol derivatives as TRPV1 inhibitors for the treatment of urinary incontinence**

pp 3354–3357

Klaus Urbahns*, Takeshi Yura, Muneto Mogi, Masaomi Tajimi, Hiroshi Fujishima, Tsutomu Masuda, Nagahiro Yoshida, Toshiya Moriwaki, Timothy B. Lowinger, Heinrich Meier, Fiona Chan, David Madge, Jang B. Gupta

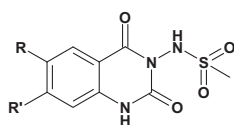


We have identified naphthol derivatives as inhibitors of the vanilloid receptor TRPV1 by high throughput screening. The initial lead showed high clearance in rats and has been optimized by enhancing the acidity of the phenol group. Compound **6b** has reduced clearance, improved potency and is active in rat cystometry models of urinary incontinence after intravenous administration.

Quinazolinodione sulfonamides: A novel class of competitive AMPA receptor antagonists with oral activity

pp 3358–3361

Manuel Koller*, Kurt Lingenhoehl, Markus Schmutz, Ivan-Toma Vranesic, Joerg Kallen, Yves P. Auberson, David A. Carcache, Henri Mattes, Silvio Ofner, David Orain, Stephan Urwyler



AMPA receptor antagonists

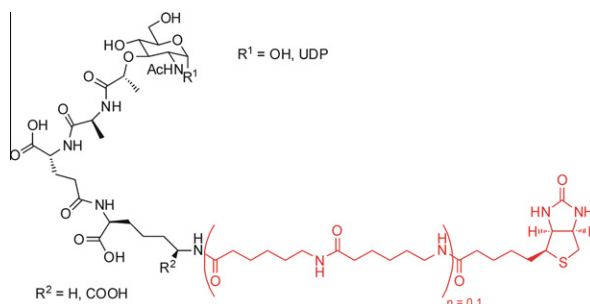
R = 1-imidazolyl, R' = NO₂: IC₅₀ ([³H]CNQX binding) = 82 nM

R = H, R' = Cl: E-shock mouse, oral administration: IC₅₀ = 23 mg/kg

Synthesis of biotinylated muramyl tripeptides with NOD2-stimulating activity

pp 3362–3366

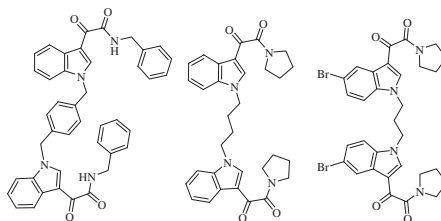
Nicolas Gisch*, Birte Buske, Holger Heine, Buko Lindner, Ulrich Zähringer



Synthesis and evaluation of indole-based new scaffolds for antimicrobial activities—Identification of promising candidates

pp 3367–3372

Palwinder Singh*, Puja Verma, Bhawna Yadav, Sneha S. Komath



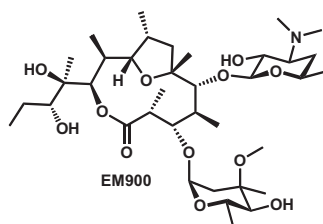
Highly potent bis-indoles were identified for antifungal and antibacterial activities



Novel 12-membered non-antibiotic macrolides from erythromycin A; EM900 series as novel leads for anti-inflammatory and/or immunomodulatory agents

pp 3373–3376

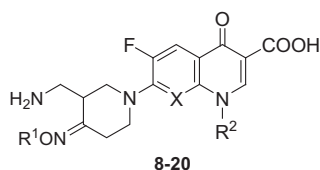
Akihiro Sugawara, Akito Sueki, Tomoyasu Hirose, Kenichiro Nagai, Hiroaki Gouda, Shuichi Hirono, Hideaki Shima, Kiyoko S. Akagawa, Satoshi Ōmura*, Toshiaki Sunazuka*



Design, synthesis and in vitro antibacterial activity of 7-(4-alkoxyimino-3-aminomethylpiperidin-1-yl)fluoroquinolone derivatives

pp 3377–3380

Yun Chai, Jian Wang, Mingliang Liu*, Hong Yi, Lanying Sun, Xuefu You, Huiyuan Guo



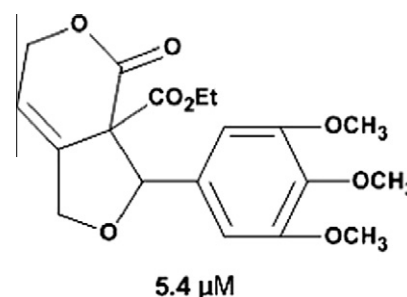
We report herein the synthesis of novel 7-(4-alkoxyimino-3-aminomethylpiperidin-1-yl) fluoroquinolone derivatives. Results reveal that compounds **10**, **16**, and **17** have good activity against all of the tested Gram-positive organisms including drug-resistance strains (MICs: 0.125–4 µg/mL). In addition, compounds **16** and **17** (MICs: 4 µg/mL) were 2- to 8-fold more potent than the reference drugs against *Pseudomonas aeruginosa*.

Synthesis and anti-tumor activity of novel ethyl 3-aryl-4-oxo-3,3a,4,6-tetrahydro-1H-furo[3,4-c]pyran-3a-carboxylates

pp 3381–3383

Tiantian Wang, Jia Liu, Hanyu Zhong, Huan Chen, Zhiliang Lv, Yikai Zhang, Mingfeng Zhang, Dongping Geng, Chunjuan Niu, Yongmei Li*, Ke Li*

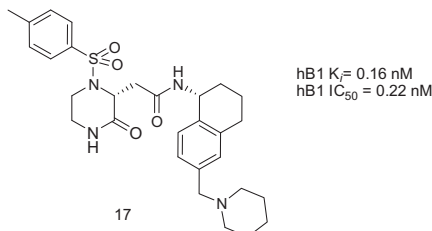
A series of ethyl 3-aryl-4-oxo-3,3a,4,6-tetrahydro-1H-furo[3,4-c]pyran-3a-carboxylates were synthesized and evaluated for their anti-proliferation activities in vitro. Most of which show potent anti-tumor activity against HeLa cells, compound **31** (IC₅₀ = 5.4 µM) was the most potent one.



3-Oxo-2-piperazinyl acetamides as potent bradykinin B1 receptor antagonists for the treatment of pain and inflammation

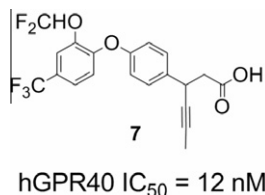
pp 3384–3389

Jian Jeffrey Chen*, Thomas Nguyen, Derin C. D'Amico, Wenyuan Qian, Jason Human, Toshihiro Aya, Kaustav Biswas, Christopher Fotsch, Nianhe Han, Qingyian Liu, Nobuko Nishimura, Tanya A. N. Peterkin, Kevin Yang, Jiawang Zhu, Babak Bobby Riahi, Randall W. Hungate, Neil G. Andersen, John T. Colyer, Margaret M. Faul, Augustus Kamassah, Judy Wang, Janan Jona, Gondi Kumar, Eileen Johnson, Benny C. Askew

**3-Substituted 3-(4-aryloxyaryl)-propanoic acids as GPR40 agonists**

pp 3390–3394

Shawn P. Walsh*, Alexandra Severino, Changyou Zhou, Jiafang He, Gui-Bai Liang, Carina P. Tan, Jin Cao, George J. Eiermann, Ling Xu, Gino Salituro, Andrew D. Howard, Sander G. Mills, Lihu Yang

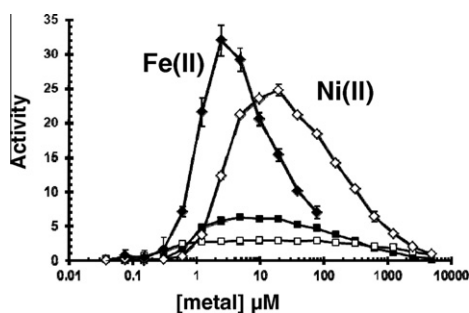


The design, synthesis, and structure–activity relationship (SAR) for a series of β -substituted 3-(4-aryloxy)propanoic acid GPR40 agonists is described. Systematic replacement of the pendant aryloxy group led to identification of potent GPR40 agonists. In order to identify candidates suitable for in vivo validation of the target, serum shifted potency and pharmacokinetic properties were determined for several compounds. Finally, further profiling of compound **7** is presented, including demonstration of enhanced glucose tolerance in an in vivo mouse model.

Two methionine aminopeptidases from *Acinetobacter baumannii* are functional enzymes

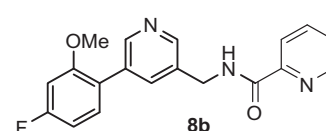
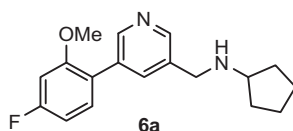
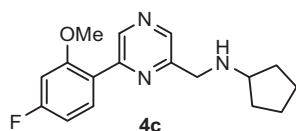
pp 3395–3398

Hai Yuan, Sergio C. Chai, Christopher K. Lam, H. Howard Xu, Qi-Zhuang Ye*

**2,6-Disubstituted pyrazines and related analogs as NR2B site antagonists of the NMDA receptor with anti-depressant activity**

pp 3399–3403

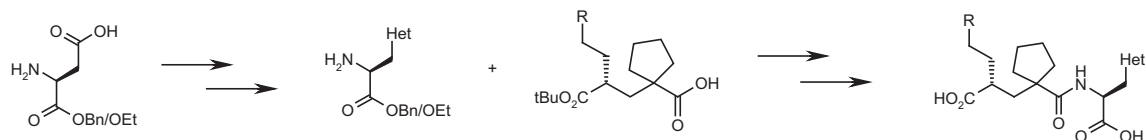
Dean G. Brown*, Donna L. Maier, Mark A. Sylvester, Tiffany N. Hoerter, Elnaz Menhaji-Klotz, Celina C. Lasota, Lee T. Hirata, Deidre E. Wilkins, Clay W. Scott, Shephali Trivedi, Tongming Chen, Dennis J. McCarthy, Carla M. Maciag, Evelynjeane J. Sutton, Jerry Cumberledge, Don Mathisen, John Roberts, Anshul Gupta, Frank Liu, Charles S. Elmore, Cristobal Alhambra, Jennifer R. Krumrine, Xia Wang, Paul J. Ciaccio, Michael W. Wood, James B. Campbell, Magnus J. Johansson, Jian Xia, Xiaotian Wen, Ji Jiang, Xiaoping Wang, Zuozhong Peng, Tao Hu, Jian Wang



Synthesis and evaluation of heteroarylalanine diacids as potent and selective neutral endopeptidase inhibitors

pp 3404–3406

Melanie S. Glossop*, Richard J. Bazin, Kevin N. Dack, David N. A. Fox, Graeme A. MacDonald, Mark Mills, Dafydd R. Owen, Chris Phillips, Keith A. Reeves, Tracy J. Ringer, Ross S. Strang, Christine A. L. Watson

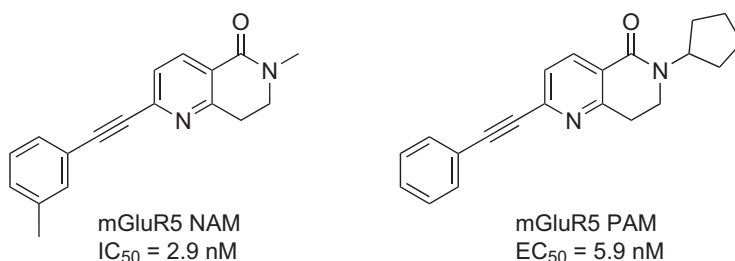


Novel heteroarylalanines derived from L-aspartic acid were designed and synthesised as potential inhibitors of Neutral Endopeptidase (NEP).

Efficacy switching SAR of mGluR5 allosteric modulators: Highly potent positive and negative modulators from one chemotype

pp 3407–3410

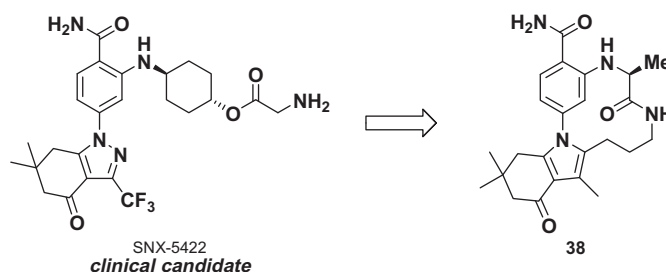
Anette Graven Sams, Gitte Kobberø Mikkelsen, Robbin M. Brodbeck, Xiaosui Pu, Andreas Ritzén*



Macrocyclic lactams as potent Hsp90 inhibitors with excellent tumor exposure and extended biomarker activity

pp 3411–3416

Christoph W. Zapf*, Jonathan D. Bloom, Jamie L. McBean, Russell G. Dushin, Thomas Nittoli, Mercy Otteng, Charles Ingalls, Jennifer M. Golas, Hao Liu, Judy Lucas, Frank Boschelli, Yongbo Hu, Erik Vogan, Jeremy I. Levin

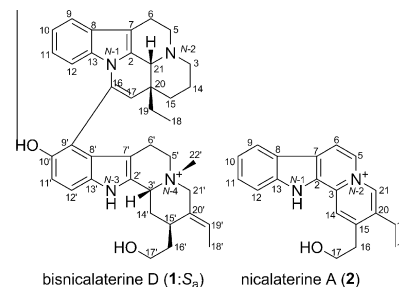


New antiplasmodial indole alkaloids from *Hunteria zeylanica*

pp 3417–3419

Alfarius E. Nugroho, Masatomo Sugai, Yusuke Hirasawa, Takahiro Hosoya, Khalijah Awang, A. Hamid A. Hadi, Wiwied Ekasari, Aty Widyawaruyanti, Hiroshi Morita*

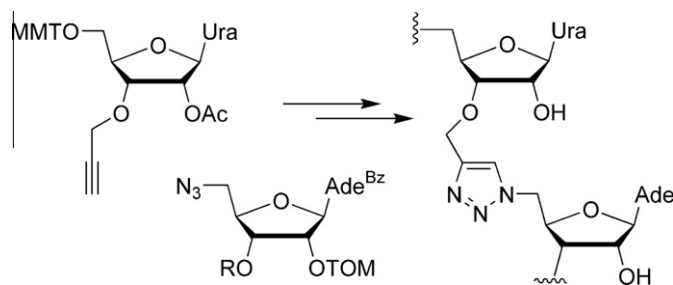
Two new indole alkaloids, bisnicalaterine D (1), consisting of an eburnane and a corynanthe type of skeletons, and nicalaterine A (2) were isolated from the bark of *Hunteria zeylanica*. Their structures were elucidated by various spectroscopic data such as NMR and CD spectra. A series of bisnicalaterines and nicalaterine A showed potent antiplasmodial activity against *Plasmodium falciparum* 3D7.



Synthesis and properties of triazole-linked RNA

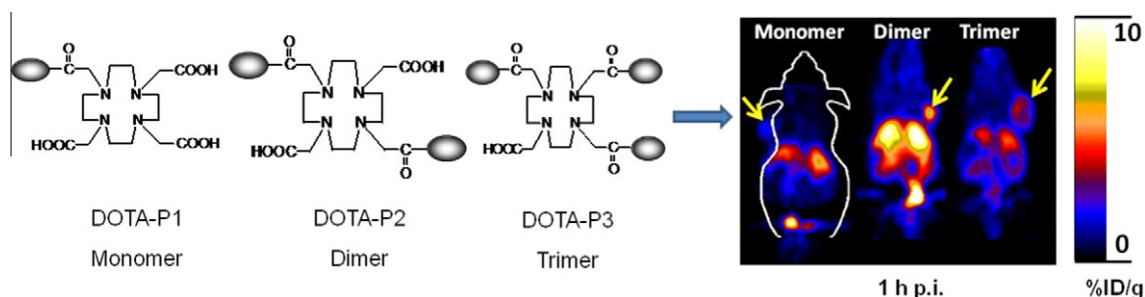
pp 3420–3422

Daniel Mutisya, Chelliah Selvam, Scott D. Kennedy, Eriks Rozners*

**Macrocyclic chelator assembled RGD multimers for tumor targeting**

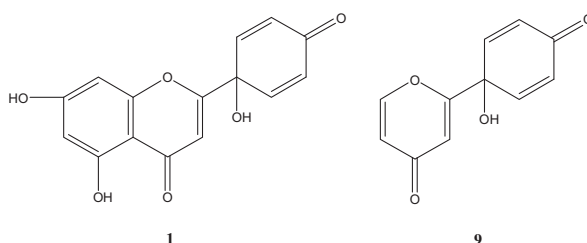
pp 3423–3426

Xiaofen Zhang, Hongguang Liu, Zheng Miao, Richard Kimura, Feiyue Fan, Zhen Cheng*

**A novel, broad-spectrum antitumor compound containing the 1-hydroxycyclohexa-2,5-dien-4-one group: The disclosure of a new antitumor pharmacophore in protoapigenone 1**

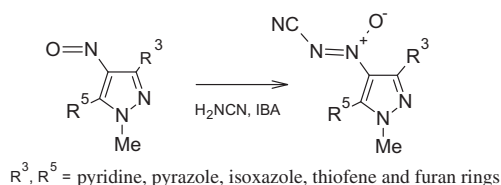
pp 3427–3430

Qianying Yuan, Ziwei Liu, Chaomei Xiong, Liqian Wu, Jianping Wang, Jinlan Ruan*

**Synthesis and in vitro antimicrobial activities of new (cyano-NNO-azoxy)pyrazole derivatives**

pp 3431–3434

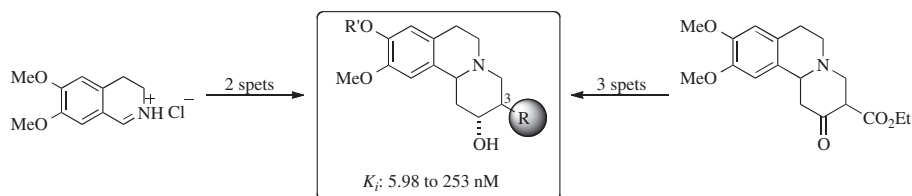
Donatella Boschi*, Stefano Guglielmo, Stefania Aiello, Giulia Morace, Elisa Borghi, Roberta Fruttero

A number of products display interesting activity against *Candida krusei* and *Candida glabrata*, two fungal species resistant to azoles.

Synthesis and biological evaluation of 3-alkyl-dihydrotetrabenazine derivatives as vesicular monoamine transporter-2 (VMAT2) ligands

pp 3435–3438

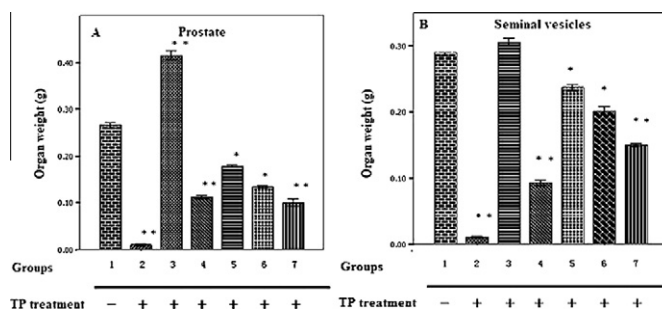
Pinguan Zheng, Brian P. Lieberman, Seok Rye Choi, Karl Plöessl, Hank F. Kung*



Synthesis and bioactivity of new Finasteride conjugate

pp 3439–3442

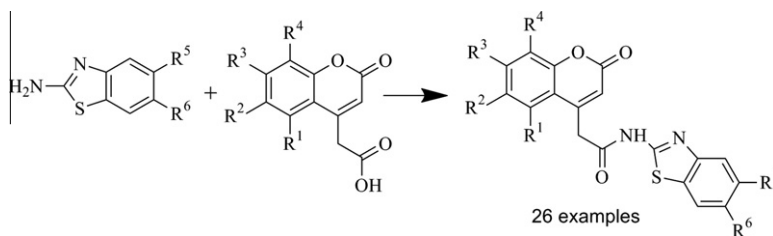
Zhao Shuang, Wu Jiazhen, Yang Lijuan, Li Zhuo, Yu Dahai, Li Jinfeng, Yu Jing, Liang Yongtao, Wang En-si*, Fang Xuexun*



Synthesis and in vitro anti-HIV activity of *N*-1,3-benzo[d]thiazol-2-yl-2-(2-oxo-2*H*-chromen-4-yl)acetamide derivatives using MTT method

pp 3443–3446

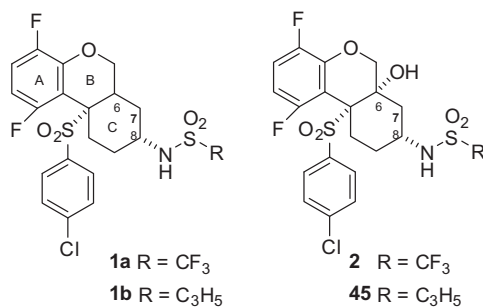
Dhairya Bhavsar, Jalpa Trivedi, Shrey Parekh, Mahesh Savant, Shailesh Thakrar, Abhay Bavishi, Ashish Radadiya, Hardevsinh Vala, Jignesh Lunagariya, Manisha Parmar, Ladwa Paresh, Roberta Loddio, Anamik Shah*



Synthesis and SAR study of tricyclic sulfones as γ -secretase inhibitors: C-6 and C-8 positions

pp 3447–3451

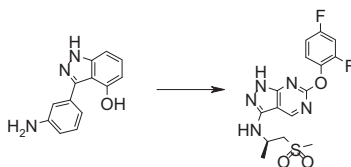
Jing Su*, Haiqun Tang, Brian A. McKittrick, Ruo Xu, John W. Clader, William J. Greenlee, Lynn Hyde, Lili Zhang



3-Amino-pyrazolo[3,4-d]pyrimidines as p38 α kinase inhibitors: Design and development to a highly selective lead

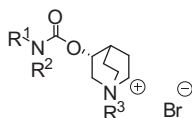
pp 3452–3456

Michael Soth*, Sarah Abbot, Allassan Abubakari, Nidhi Arora, Humberto Arzeno, Roland Billedeau, Nolan Dewdney, Kieran Durkin, Sandra Frauchiger, Manjiri Ghate, David M. Goldstein, Ronald J. Hill, Andreas Kuglstatter, Fujun Li, Brad Loe, Kristen McCaleb, Joel McIntosh, Eva Papp, Jaehyeon Park, Martin Stahl, Man-Ling Sung, Rebecca Suttman, David C. Swinney, Paul Weller, Brian Wong, Hasim Zecic, Tobias Gabriel

**Discovery of novel quaternary ammonium derivatives of (3R)-quinuclidinyl carbamates as potent and long acting muscarinic antagonists**

pp 3457–3461

Maria Prat*, María Antonia Buil, Maria Dolors Fernández, Jordi Castro, Juan Manuel Monleón, Laia Tort, Gaspar Casals, Manuel Ferrer, Josep Maria Huerta, Sònia Espinosa, Manuel López, Victor Segarra, Amadeu Gavalda, Montserrat Miralpeix, Israel Ramos, Dolors Vilella, Marisa González, Mònica Córdoba, Alvaro Cárdenas, Francisca Antón, Jorge Beleta, Hamish Ryder



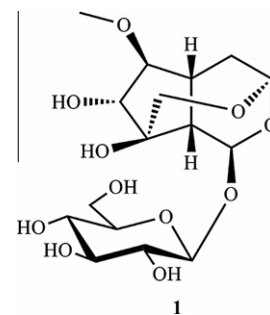
SAR around R¹, R² and R³ are reported

A new iridoid and effect on the rat aortic vascular smooth muscle cell proliferation of isolated compounds from *Buddleja officinalis*

pp 3462–3466

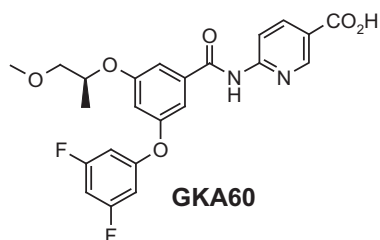
Bui Huu Tai, Nguyen Xuan Nhiem, Tran Hong Quang, Nguyen Thi Thanh Ngan, Nguyen Huu Tung, Yohan Kim, Jung-Jin Lee, Chang-Seon Myung, Nguyen Manh Cuong, Young Ho Kim*

A new iridoid, named methylscutelloside (**1**) together with 19 known compounds belonging to the iridoids (**2–4**), monoterpenoids (**5**), flavonoids (**6–8**), triterpenoids (**9–14**), and phenylethanoids (**15–20**) were isolated from the flowers of *Buddleja officinalis*. Their chemical structures were elucidated on the basis of physicochemical properties, and by spectroscopic methods including 1D, 2D NMR, and MS. All isolated compounds were tested in vitro for their effects on the proliferation of rat aortic vascular smooth muscle cells (VSMCs). Among them, iridoids were the main active components and showed significant inhibitory effects on PDGF-BB-induced proliferation in rat aortic VSMCs.

**Design of a potent, soluble glucokinase activator with increased pharmacokinetic half-life**

pp 3467–3470

Kurt G. Pike*, Joanne V. Allen, Peter W. R. Caulkett, David S. Clarke, Craig S. Donald, Mark L. Fenwick, Keith M. Johnson, Craig Johnstone, Darren McKerrecher, John W. Rayner, Rolf P. Walker, Ingrid Wilson

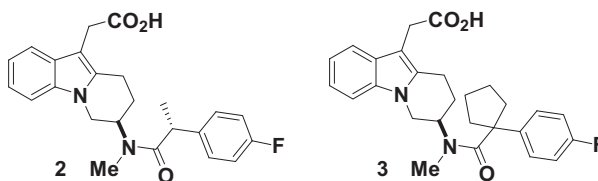


The continued discovery of a novel series of pyridine acid containing glucokinase activators is described. The composite parameter of unbound clearance was used to aid in the identification of **GKA60**, a potent, soluble glucokinase activator with excellent bioavailability and increased half-life.

New indole amide derivatives as potent CRTH2 receptor antagonists

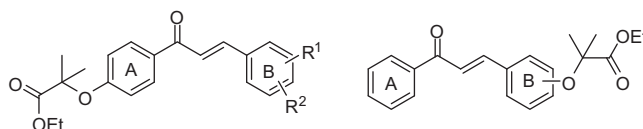
pp 3471–3474

Helmi Zaghdane*, Michael Boyd, John Colucci, Daniel Simard, Carl Berthelette, Yves Leblanc, Zhaoyin Wang, Robert Houle, Jean François Lévesque, Carmela Molinaro, Martine Hamel, Rino Stocco, Nicole Sawyer, Susan Sillaots, François Gervais, Michel Gallant

**Synthesis and antidyslipidemic activity of chalcone fibrates**

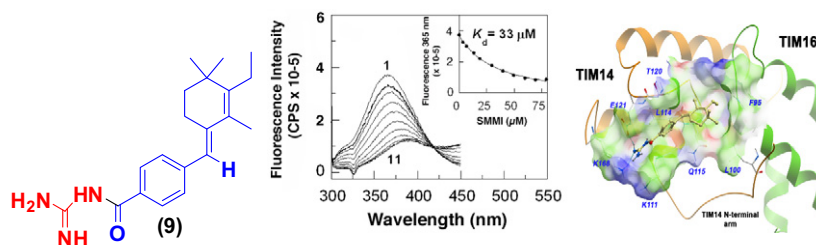
pp 3475–3478

Poonam Shukla, Swayam P. Srivastava, Rohit Srivastava, Arun K. Rawat, Arvind K. Srivastava, Ram Pratap*

**Design, synthesis, and biological activity of novel Magmas inhibitors**

pp 3479–3482

Paul T. Jubinsky*, Mary K. Short, Mohmoud Ghanem, Bhaskar C. Das*

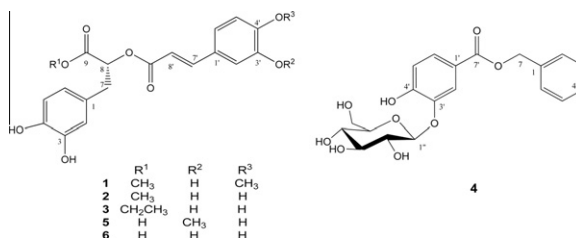


We designed and synthesized novel Magmas inhibitors, and tested their biological activity in yeast proliferation assays. We found that the most active compound **9** inhibited growth at the 4 μM scale. This compound was shown by fluorometric titration to bind to Magmas with a $K_d = 33 \mu\text{M}$. Molecular modeling suggested that the inhibitor bound at the predicted site in Magmas.

**Anti-inflammatory activity of constituents from *Glechoma hederacea* var. *longituba***

pp 3483–3487

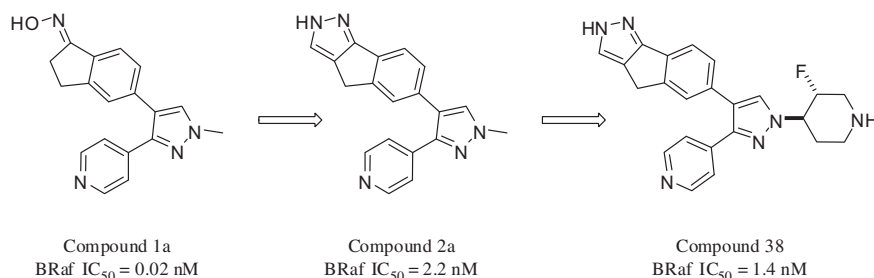
JinPyo Kim, SeokBean Song, IkSoo Lee, YoungHo Kim, IckDong Yoo, InJa Ryoo, KiHwan Bae*



Non-oxime pyrazole based inhibitors of B-Raf kinase

pp 3488–3492

Bradley J. Newhouse*, Joshua D. Hansen, Jonas Grina, Mike Welch, George Topalov, Nicole Littman, Michele Callejo, Matthew Martinson, Sarah Galbraith, Ellen R. Laird, Barbara J. Brandhuber, Guy Vigers, Tony Morales, Rich Woessner, Nikole Randolph, Joseph Lyssikatos, Alan Olivero



*Corresponding author

Supplementary data available via ScienceDirect

COVER

Botulinum neurotoxins are the most deadly toxins known to man, approximately 10 million times more deadly than cyanide. Botulinum neurotoxins are classified by the US Centers for Disease Control (CDC) as bioterrorism agents. The etiological agent responsible for botulinum intoxication is a metalloprotease; as such this is a key therapeutic target. Currently, there are no approved pharmacological treatments for botulinum intoxication. Discovering molecules that could be used as a path forward for therapeutic development as botulinum protease inhibitors is tantamount. A benzylidene cyclopentenone-based inhibitor was found to be the first affinity reagent to covalently modify the active site of botulinum neurotoxin A light chain metalloprotease. Its kinetic parameters are reported and such an approach for inhibition of this deadly neurotoxin. [Capková, K.; Hixon, M. S.; Pellett, S.; Barbieri, J. T.; Johnson, E. A.; Janda, K. D. *Bioorg. Med. Chem. Lett.* **2010**, 20, 206.]

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