



Contents lists available at ScienceDirect

Bioorganic & Medicinal Chemistry Letters

journal homepage: www.elsevier.com/locate/bmcl


Bioorganic & Medicinal Chemistry Letters Volume 21, Issue 2, 2011

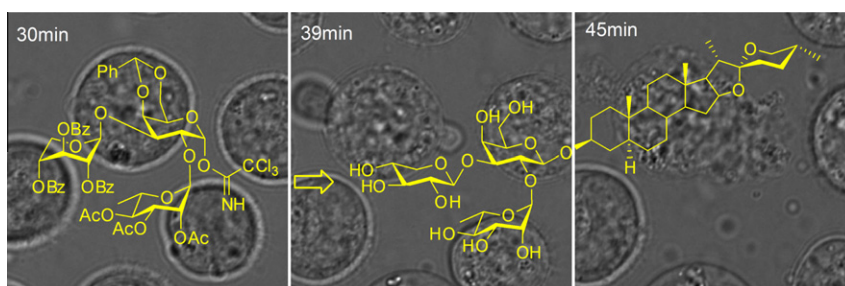
Contents

REGULAR ARTICLES

Efficient synthesis of trisaccharide saponins and their tumor cell killing effects through oncotic necrosis

pp 622–627

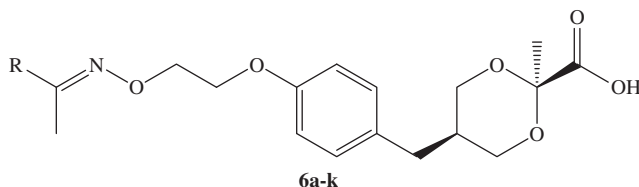
Jian Gao, Xia Li, Guofeng Gu, Bin Sun, Min Cui, Mei Ji, Hong-Xiang Lou*



Modulation of PPAR subtype selectivity. Part 2: Transforming PPAR α/γ dual agonist into α selective PPAR agonist through bioisosteric modification

pp 628–632

Pandurang Zaware, Shailesh R. Shah*, Harikishore Pingali, Pankaj Makadia, Baban Thube, Suresh Pola, Darshit Patel, Priyanka Priyadarshini, Dinesh Suthar, Maanan Shah, Jeevankumar Jamili, Kalapatapu V. V. M. Sairam, Suresh Giri, Lala Patel, Harilal Patel, Hareshkumar Sudani, Hiren Patel, Mukul Jain, Pankaj Patel, Rajesh Bahekar*



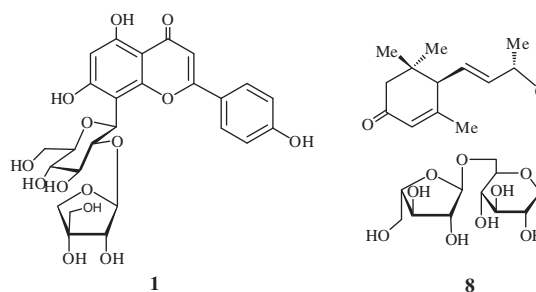
A novel series of oxime containing benzyl-1,3-dioxane-r-2-carboxylic acid derivatives are reported as selective PPAR α agonists. Some of the test compounds exhibited good selectivity towards PPAR α over PPAR γ in vitro and the lead compound **6c** showed excellent antihyperglycemic and antihyperlipidemic activity in vivo.

Antioxidant activity of a new C-glycosylflavone from the leaves of *Ficus microcarpa*

pp 633–637

Phan Van Kiem, Nguyen Xuan Cuong, Nguyen Xuan Nhiem, Vu Kim Thu, Ninh Khac Ban, Chau Van Minh, Bui Huu Tai, Truong Nam Hai, Sang Hyun Lee, Hae Dong Jang, Young Ho Kim*

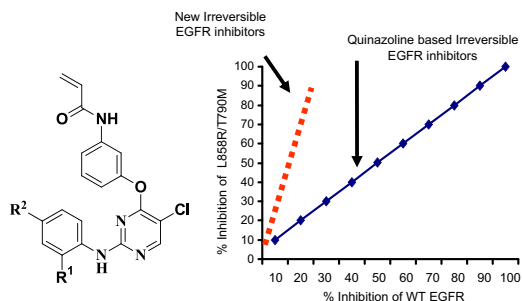
By bioactive-guided fractionation of methanol extract of the *Ficus microcarpa* leaves, one new C-glucosylflavone, ficuflavoside (**1**), one new megastigmane glycoside, ficumegasoside (**8**), and twelve known compounds were isolated. The antioxidant activities of these compounds were measured using the oxygen radical absorbance capacity methods. Flavonoids **1–6** exhibited potent antioxidant activity of 6.6–9.5 μ M Trolox equivalents at the concentration of 2.0 μ M. The results also indicated **2**, **3**, and **5** having meaningful reducing capacity of copper (I) ions concentration of 6.1–8.4 μ M.



Discovery of selective irreversible inhibitors for EGFR-T790M

pp 638–643

Wenjun Zhou, Dalia Ercan, Pasi A. Jänne, Nathanael S. Gray*

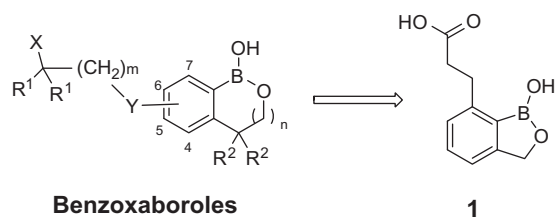


Synthesis and structure–activity relationships of novel benzoxaboroles as a new class of antimalarial agents

pp 644–651

Yong-Kang Zhang*, Jacob J. Plattner, Yvonne R. Freund, Eric E. Easom, Yasheen Zhou, Jiri Gut, Philip J. Rosenthal, David Waterson, Francisco-Javier Gamo, Inigo Angulo-Barturen, Min Ge, Zhiya Li, Lingchao Li, Yong Jian, Han Cui, Hailong Wang, Jian Yang

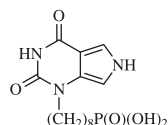
A series of boron-containing benzoxaborole compounds was designed and synthesized for a SAR investigation for discovering a new antimalarial treatment. Compound **1** demonstrates the best potency ($IC_{50} = 26$ nM) against *Plasmodium falciparum* and has good drug-like properties, with low molecular weight, low ClogP and high water solubility.



8-Aza-7,9-dideazaxanthine acyclic nucleoside phosphonate inhibitors of thymidine phosphorylase

pp 652–654

David Mařák, Miroslav Otmar*, Ivan Votruba, Martin Dračinský, Marcela Krečmerová

TP from *Escherichia coli*
$$\text{IC}_{50} = 6.8 \mu\text{M}$$

Human TP expressed in V79

$$IC_{50} = 27 \mu M$$

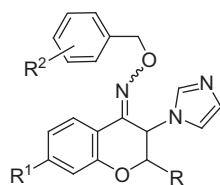
TP from human placenta

$$\text{IC}_{50} = 17 \mu\text{M}$$


Imidazolylchromanone oxime ethers as potential anticonvulsant agents: Anticonvulsive evaluation in PTZ-kindling model of epilepsy and SAR study

pp 655–659

Saeed Emami*, Abbas Kebriaeezadeh, Nematollah Ahangar, Reza Khorasani

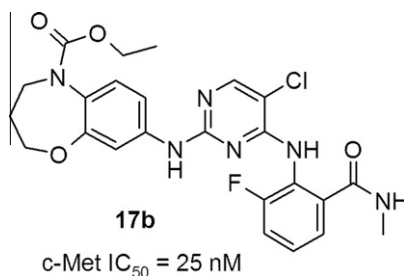


R = H; CH₃ R¹ = H; Cl
R² = H; Cl; Br; F; 2,4-Cl₂, 3,4-Cl₂

2,4-Diaminopyrimidine inhibitors of c-Met kinase bearing benzoxazepine anilines

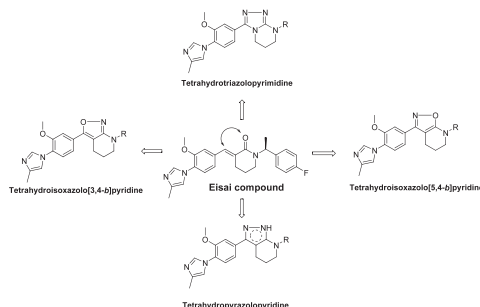
pp 660–663

Craig A. Zifcsak*, Jay P. Therooff, Lisa D. Aimone, Mark S. Albom, Thelma S. Angeles, Rebecca A. Brown, Deborah Galinis, Jennifer V. Grobelny, Torsten Herbertz, Jean Husten, Laura S. Kocsis, Christine LoSardo, Sheila J. Miknyoczki, Seetha Murthy, Damaris Rolon-Steele, Ted L. Underiner, Kevin J. Wells-Knecht, Candace S. Worrell, Kelli S. Zeigler, Bruce D. Dorsey

**Discovery of fused 5,6-bicyclic heterocycles as γ -secretase modulators**

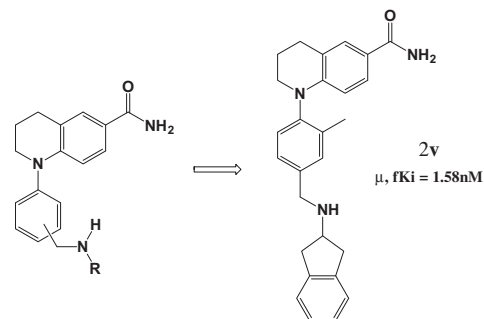
pp 664–669

Jun Qin*, Pawan Dhondi, Xianhai Huang, Mihirbaran Mandal, Zhiqiang Zhao, Dmitri Pissarnitski, Wei Zhou, Robert Aslanian, Zhaoning Zhu, William Greenlee, John Clader, Lili Zhang, Mary Cohen-Williams, Nicholas Jones, Lynn Hyde, Anandan Palani

**Tetrahydroquinoline derivatives as opioid receptor antagonists**

pp 670–676

Cunyu Zhang*, Susan M. Westaway, Jason D. Speake, Michael J. Bishop, Aaron S. Goetz, Luz Helena Carballo, Mike Hu, Andrea H. Epperly

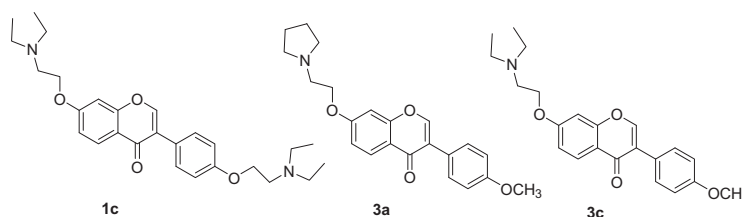


A series of tetrahydroquinoline derivatives was discovered as opioid receptor antagonists.

Synthetic analogs of daidzein, having more potent osteoblast stimulating effect

pp 677–681

Dinesh K. Yadav, Abnish K. Gautam, Jyoti Kureel, Kamini Srivastava, Mahendra Sahai, Divya Singh, Naibedya Chattopadhyay, Rakesh Maurya*



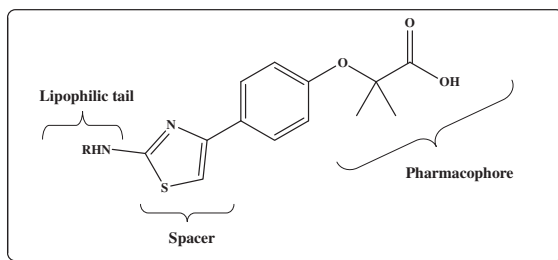
Series of daidzein derivatives were designed, synthesized, and assessed for stimulation of osteoblast function using primary culture of rat calvarial osteoblasts *in vitro*. Compounds **1c**, **3a** and **3c**, each of 10.0 nM concentrations, were several folds more potent than daidzein of 1.0 μ M concentration, in stimulating differentiation and mineralization of osteoblasts. At 10 mg kg⁻¹ day⁻¹ dose for three consecutive days showed anti-estrogenic effect of the same molecules.



Synthesis, hypolipidemic and hypoglycemic activity of some novel 2-(4-(2-substituted aminothiazole-4-yl)phenoxy)-2-methyl propanoic acid derivatives

pp 682–685

Santosh N. Mokale*, R. D. Elgire, Nikhil Sakle, Devanand B. Shinde

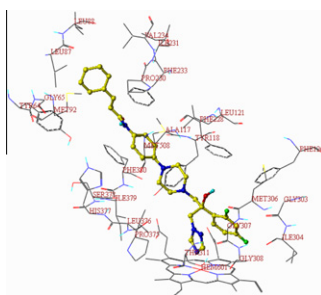


A novel series of 2-(4-(2-substituted aminothiazole-4-yl) phenoxy)-2-methyl propanoic acid derivatives has been developed and evaluated for their hypolipidemic and hypoglycemic activity.

New azoles with antifungal activity: Design, synthesis, and molecular docking

pp 686–689

Xiaoyun Chai, Jun Zhang, Yongbing Cao, Yan Zou, Qiuye Wu*, Dazhi Zhang, Yuanying Jiang, Qingyan Sun*

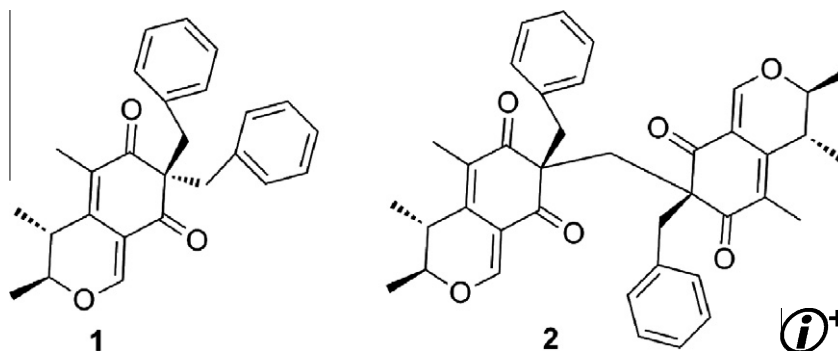


A number of novel triazole derivatives have been synthesized and compound **5a** was studied with molecular docking to get the insight of structural requirements for better enzyme inhibition.

Aspergilones A and B, two benzylazaphilones with an unprecedented carbon skeleton from the gorgonian-derived fungus *Aspergillus* sp.

pp 690–693

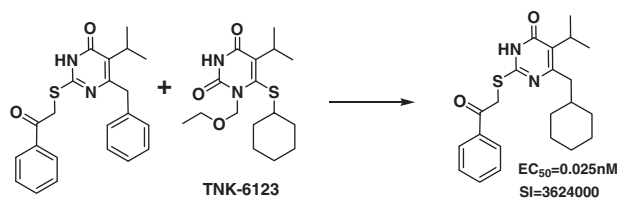
Chang-Lun Shao, Chang-Yun Wang*, Mei-Yan Wei, Yu-Cheng Gu, Zhi-Gang She*, Pei-Yuan Qian, Yong-Cheng Lin



Synthesis and biological evaluation of novel dihydro-aryl/alkylsulfanyl-cyclohexylmethyl-oxypyrimidines (S-DACOs) as high active anti-HIV agents

pp 694–697

Yan-Ping He*, Jin Long, Shui-Shuan Zhang, Cong Li, Christopher Cong Lai, Chun-Sheng Zhang, Da-Xiong Li, De-Hua Zhang, Hua Wang, Qing-Qing Cai, Yong-Tang Zheng*

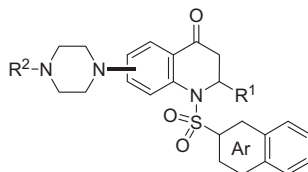


A series of novel dihydro-aryl/alkylsulfanyl-cyclohexylmethyl-oxypyrimidines (S-DACOs) were designed, synthesized and evaluated for anti-HIV-1 activity in vitro.

1-(Arylsulfonyl)-2,3-dihydro-1H-quinolin-4-one derivatives as 5-HT₆ serotonin receptor ligands

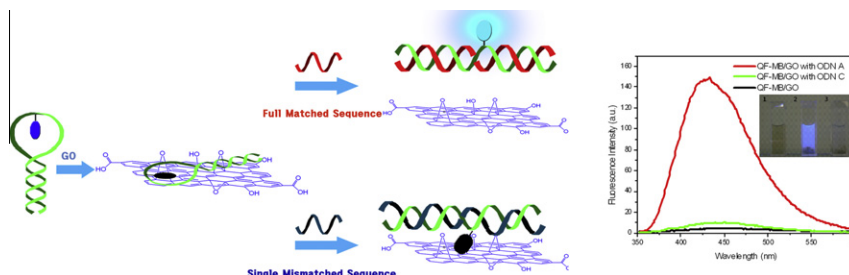
pp 698–703

Chul Min Park*, Jin Il Choi, Jung Hwan Choi, So Young Kim, Woo Kyu Park, Churl Min Seong

**Quencher-free molecular beacon: Enhancement of the signal-to-background ratio with graphene oxide**

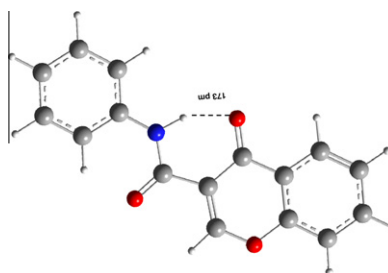
pp 704–706

Jeong Wu Yi, Jaesung Park, N. Jiten Singh, Il Joon Lee, Kwang S. Kim*, Byeang Hyeon Kim*

**Chromone 3-phenylcarboxamides as potent and selective MAO-B inhibitors**

pp 707–709

Alexandra Gaspar, Joana Reis, André Fonseca, Nuno Milhazes, Dolores Viña, Eugenio Uriarte, Fernanda Borges*

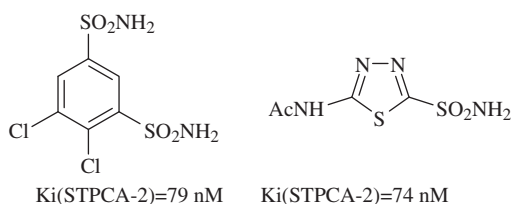


The present project has been focused on the discovery of new chemical entities (NCEs) for MAO inhibition, based on the development of chromone carboxamides. The chromone-3-carboxamides show high selectivity to MAO-B, with compounds **9** and **12** displaying IC₅₀ values in nanomolar range.

Carbonic anhydrase inhibitors. Inhibition studies with anions and sulfonamides of a new cytosolic enzyme from the scleractinian coral *Stylophora pistillata*

pp 710–714

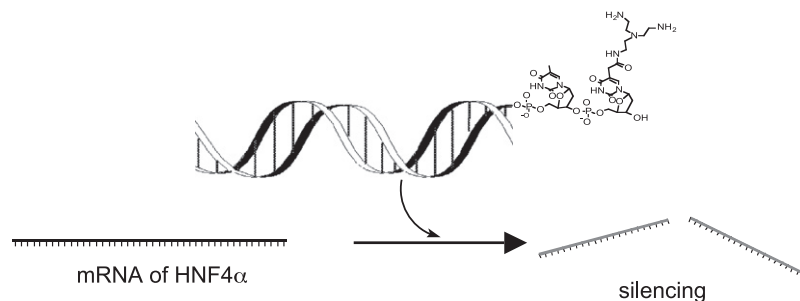
Anthony Bertucci, Alessio Innocenti, Andrea Scozzafava, Sylvie Tambuttié, Didier Zoccola*, Claudiu T. Supuran*



Synthesis of modified siRNA bearing C-5 polyamine-substituted pyrimidine nucleoside in their 3'-overhang regions and its RNAi activity

pp 715–717

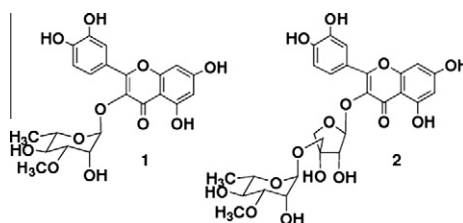
Mohammad Mehedi Masud, Tomokazu Masuda, Yusuke Inoue, Masayasu Kuwahara, Hiroaki Sawai, Hiroaki Ozaki*



New Hedgehog/GLI signaling inhibitors from *Excoecaria agallocha*

pp 718–722

Yusnita Rifai, Midori A. Arai, Samir K. Sadhu, Firoj Ahmed, Masami Ishibashi*



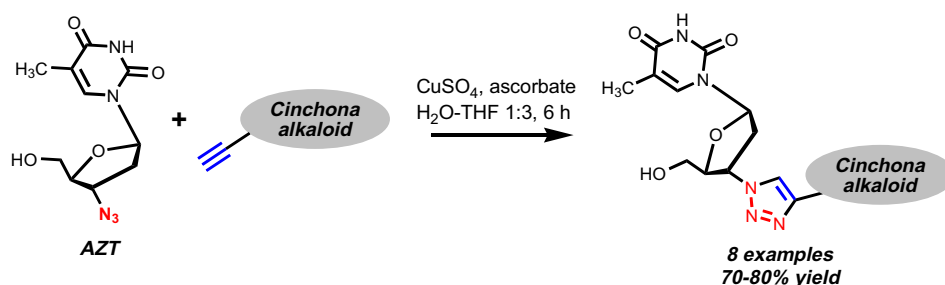
Hedgehog/GLI1-mediated transcriptional inhibitors (**1**, **2**, and **8**) were isolated and their cytotoxicity against cancer cells were described. Treatment with **1** led to a significant decrease in the level of nuclear GLI1 protein and Ptch mRNA expression of PANC1 in an Smo-independent manner.



Synthesis of 3'-azido-3'-deoxythymidine (AZT)–Cinchona alkaloid conjugates via click chemistry: Toward novel fluorescent markers and cytostatic agents

pp 723–726

Dagmara Baraniak, Karol Kacprzak, Lech Celewicz*

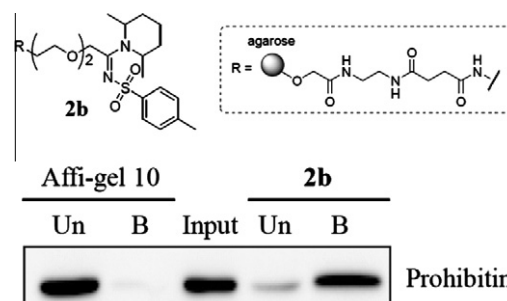


Chemical affinity matrix-based identification of prohibitin as a binding protein to anti-resorptive sulfonyl amidine compounds

pp 727–729

Sung-Youn Chang, Su Jung Bae, Myung Yun Lee, Seung-hwa Baek, Sukbok Chang, Seong Hwan Kim*

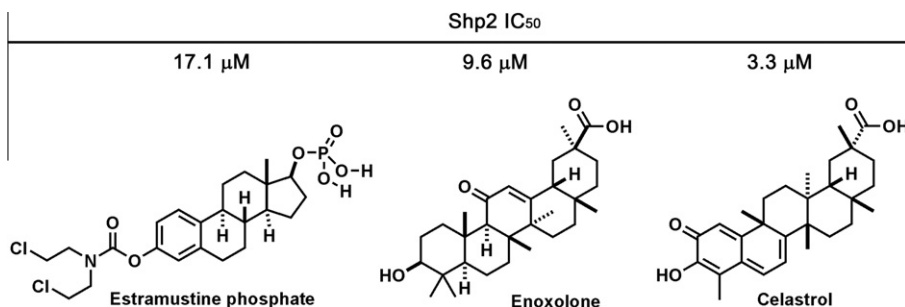
In order to identify the binding proteins to anti-resorptive 5-chloro-1-(2,6-dimethylpiperidin-1-yl)-N-tosylpentan-1-imine (**1**), the chemical affinity matrix for the compound **1** (**2b**) was designed and synthesized. Using **2b**-based chemical proteomics, prohibitin was identified as one of strong binding proteins for **2b**.



Shp2 protein tyrosine phosphatase inhibitor activity of estramustine phosphate and its triterpenoid analogs

pp 730–733

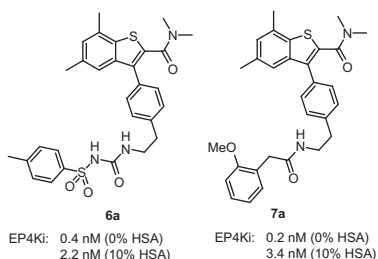
Latanya M. Scott, Liwei Chen, Kenyon G. Daniel, Wesley H. Brooks, Wayne C. Guida, Harshani R. Lawrence, Said M. Sebt, Nicholas J. Lawrence*, Jie Wu*

**The identification of substituted benzothiophene derivatives as PGE₂ subtype 4 receptor antagonists:**

pp 734–737

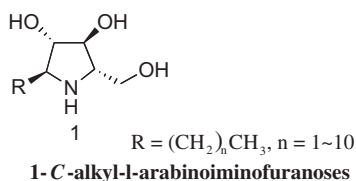
From acid to non-acid

Lianhai Li*, Marie-Claude Mathieu, Danielle Denis, Alex G. Therien, Zhaoyin Wang

**The synthesis and biological evaluation of 1-C-alkyl-L-arabinoiminofuranoses, a novel class of α-glucosidase inhibitors**

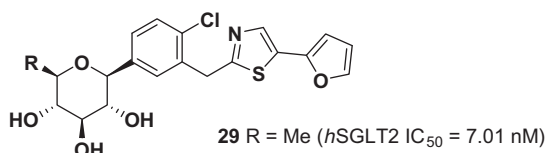
pp 738–741

Yoshihiro Natori, Tatsushi Imahori, Keiichi Murakami, Yuichi Yoshimura, Shinpei Nakagawa, Atsushi Kato, Isao Adachi, Hiroki Takahata*

**Exploration of SAR regarding glucose moiety in novel C-aryl glucoside inhibitors of SGLT2**

pp 742–746

Eun-Jung Park, Younggyu Kong, Jun Sung Lee, Sung-Han Lee, Jinhwa Lee*



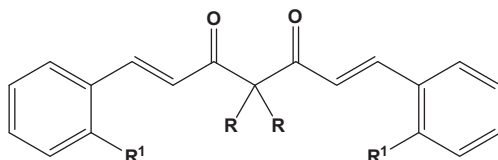
A variety of modifications at the C-6 position on glucose were conducted in the present study to establish SAR on carbohydrate pharmacophore based on structure of potent thiazole **5**. Among the compounds tested, deshydroxy **29** demonstrated the best in vitro inhibitory activity against *h*SGLT2 in this series to date.



2-Hydroxycurcuminoid induces apoptosis of human tumor cells through the reactive oxygen species–mitochondria pathway

pp 747–751

Young-Min Han, Dae-Seop Shin, Yu-Jin Lee, Ismail Ahmed Ismail, Su-Hyung Hong, Dong Cho Han*, Byoung-Mog Kwon*

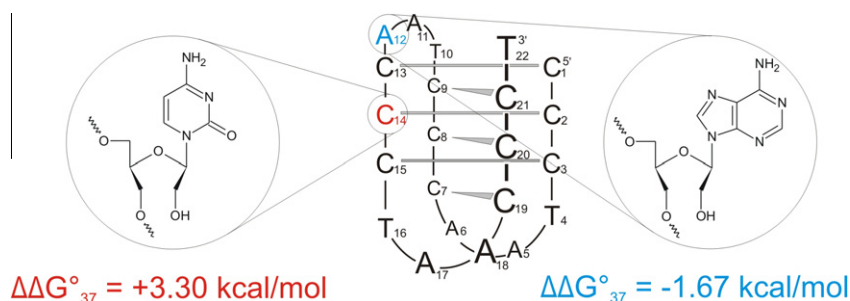


2-Hydroxycurcuminoids inhibited tumor growth and exhibited more potent antitumor activity than 2-hydroxycinnamaldehyde and curcumin. The compound induced apoptosis through ROS generation and cell cycle arrest at G2/M phase.

Modulation of *i*-motif thermodynamic stability by the introduction of UNA (unlocked nucleic acid) monomers

pp 752–755

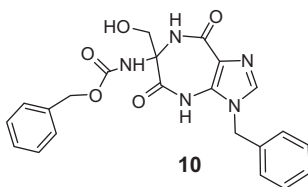
Anna Pasternak, Jesper Wengel*



A novel transition state analog inhibitor of guanase based on azepinomycin ring structure: Synthesis and biochemical assessment of enzyme inhibition

pp 756–759

Saibal Chakraborty, Niti H. Shah, James C. Fishbein, Ramachandra S. Hosmane*

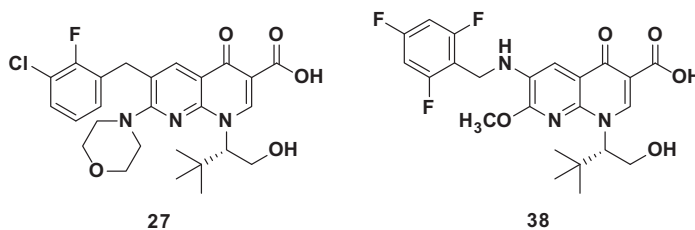


Synthesis and biochemical inhibition studies of a novel transition state analog inhibitor of guanase (**10**) bearing the ring structure of azepinomycin have been reported. The compound was synthesized in five-steps from a known compound and biochemically screened against the rabbit liver guanase. The compound exhibited competitive inhibition profile with a K_i of $16.7 \pm 0.5 \mu\text{M}$.

6-Benzylamino 4-oxo-1,4-dihydro-1,8-naphthyridines and 4-oxo-1,4-dihydroquinolines as HIV integrase inhibitors

pp 760–763

Johnny Y. Nagasawa, Jenny Song, Huanming Chen, Hong-Woo Kim, Julie Blazel, Samedy Ouk, Bettina Groschel, Virginia Borges, Voon Ong, Li-Tain Yeh, Jean-Luc Girardet, Jean-Michel Vernier, Anneke K. Raney, Anthony B. Pinkerton*

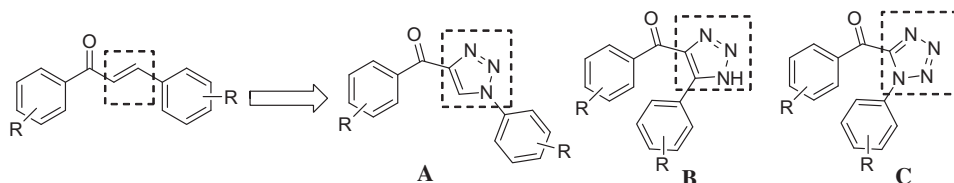


SAR studies on the quinoline carboxylic acid class of HIV-1 integrase inhibitors focused on improving the metabolic stability and led to the discovery of **27** and **38**.

Replacement of the double bond of antitubulin chalcones with triazoles and tetrazoles: Synthesis and biological evaluation

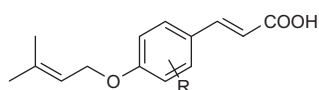
pp 764–768

Ornella Mesenzani, Alberto Massarotti, Mariateresa Giustiniano, Tracey Pirali, Valentina Bevilacqua, Antonio Caldarelli, Pierluigi Canonico, Giovanni Sorba, Ettore Novellino, Armando A. Genazzani, Gian Cesare Tron*

**Topical anti-inflammatory activity of boropinic acid and its natural and semi-synthetic derivatives**

pp 769–772

Francesco Epifano*, Silvio Sosa, Aurelia Tubaro, M. Carla Marcotullio, Massimo Curini, Salvatore Genovese



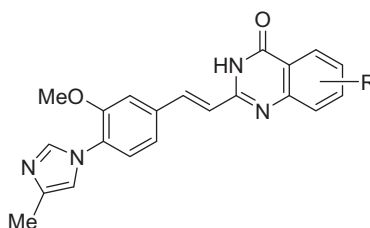
$$DI_{50} = 0.18 - 0.72 \mu\text{mol}/\text{cm}^2$$

*at the Croton oil ear test in mice

**Quinazolinones as γ -secretase modulators**

pp 773–776

Christian Fischer*, Sanjiv Shah, Bethany L. Hughes, George N. Nikov, Jamie L. Crispino, Richard E. Middleton, Alexander A. Szewczak, Benito Munoz, Mark S. Shearman

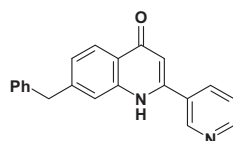


Synthesis, SAR and evaluation of styrenyl quinazolinones as novel gamma secretase modulators are presented in this communication. Starting from literature and in-house leads we evaluated a range of quinazolinones which showed good modulation of γ -secretase activity.

Non-covalent inhibitors of rhinovirus 3C protease

pp 777–780

Andrew Baxter, Mark Chambers, Fredrik Edfeldt, Karl Edman, Adrian Freeman, Cristian Johansson, Sarah King, Andy Morley*, Jens Petersen, Phil Rawlins, Loredana Spadola, Bob Thong, Hervé Van de Poël, Nicola Williams

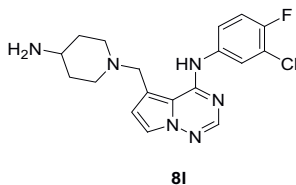


rhinovirus 3C protease $pIC_{50} = 5$

Novel pyrrolo[2,1-f][1,2,4]triazin-4-amines: Dual inhibitors of EGFR and HER2 protein tyrosine kinases

pp 781–785

Brian E. Fink*, Derek Norris, Harold Mastalerz, Ping Chen, Bindu Goyal, Yufen Zhao, Soong-Hoon Kim, Gregory D. Vite, Francis Y. Lee, Hongjian Zhang, Simone Oppenheimer, John S. Tokarski, Tai W. Wong, Ashvinikumar V. Gavai

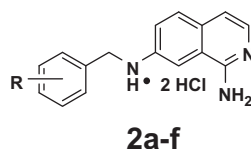


A novel series of 5-((4-aminopiperidin-1-yl)methyl)-pyrrolo[2,1-f][1,2,4]triazin-4-amines with small aniline substituents at the C4 position were optimized for dual EGFR and HER2 protein tyrosine kinase inhibition. Compound **8I** exhibited promising oral efficacy in both EGFR and HER2-driven human tumor xenograft models.

In vitro efficacy of 7-benzylamino-1-isoquinolinamines against *Plasmodium falciparum* related to the efficacy of chalcones

pp 786–789

Clare E. Gutteridge*, Marshall M. Hoffman, Apurba K. Bhattacharjee, Wilbur K. Milhous, Lucia Gerena



Six 7-benzylamino-1-isoquinolinamines **2** were found to be submicromolar inhibitors in vitro of drug-resistant *Plasmodium falciparum*, with the best possessing activity comparable to chloroquine. Despite being developed from a lead that is a DHFR inhibitor, these compounds do not mediate their antimalarial effects by inhibition of DHFR.

¹³C-labeled indolequinone-DTPA-Gd conjugate for NMR probing cytochrome:P450 reductase-mediated one-electron reduction

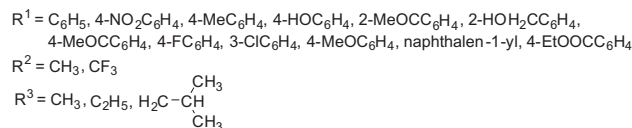
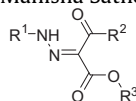
pp 790–793

Hirokazu Komatsu, Kazuhito Tanabe*, Sei-ichi Nishimoto*

**Synthesis and bio-evaluation of aryl hydrazono esters for oviposition responses in *Aedes albopictus***

pp 794–797

Prabal Bandyopadhyay, Lopamudra Guha, T. Seenivasagan, Manisha Sathe, Pratibha Sharma, B. D. Parashar, M. P. Kaushik*



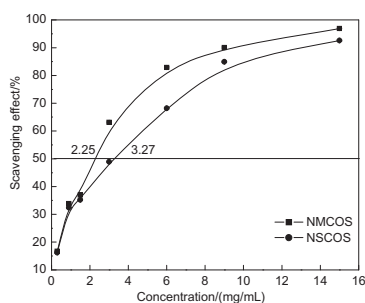
A novel series of aryl hydrazono esters (AHE) (1–13) were synthesized (yield 76–98%) to study the oviposition responses in *Aedes albopictus* (Skuse) mosquitoes for the first time. At a concentration of $10 \mu\text{g ml}^{-1}$ in dual choice experiment, among the screened compounds, AHE-12 showed remarkable oviposition attractant activity with an oviposition activity index (OAI) of +0.299 (greater than 95% confidence limit) comparable to *p*-cresol (OAI +0.320) which is well-reported oviposition attractant for *Aedes aegypti*. Conversely, AHE-10 exhibited highest oviposition deterrent activity with OAI –0.247. The possible utilization of these compounds will be in integrated vector management strategies.



Antioxidant activity of *N*-acyl chitosan oligosaccharide with same substituting degree

pp 798–800

Tao Sun*, Yun Zhu, Jing Xie, Xuhong Yin

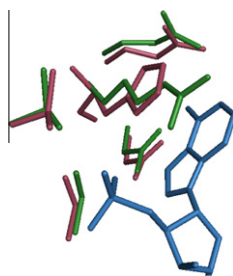


N-Maleoyl chitosan oligosaccharide (NMCOS) and *N*-succinyl chitosan oligosaccharide (NSCOS) with the same substituting degree of 0.49 showed different superoxide anion, hydroxyl radical scavenging activity and reducing power. The difference may be related to the fact that maleoyl has stronger electron-withdrawing effect than succinyl.

Structure of rat aldose reductase-like protein AKR1B14 holoenzyme: Probing the role of His269 in coenzyme binding by site-directed mutagenesis

pp 801–804

Krithika Sundaram, Urmi Dhagat, Satoshi Endo, Roland Chung, Toshiyuki Matsunaga, Akira Hara, Ossama El-Kabbani*

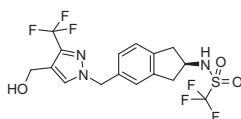


Superimposition of the structures of AKR1B14 (magenta) and AKR1B1 (green) in the vicinity of the 2'-phosphate adenosine moiety of NADPH (blue).

**Structure based evolution of a novel series of positive modulators of the AMPA receptor**

pp 805–811

Craig Jamieson*, John K. F. Maclean*, Christopher I. Brown, Robert A. Campbell, Kevin J. Gillen, Jonathan Gillespie, Bert Kazemier, Michael Kiczun, Yvonne Lamont, Amanda J. Lyons, Elizabeth M. Moir, John A. Morrow, John Pantling, Zoran Rankovic, Lynn Smith

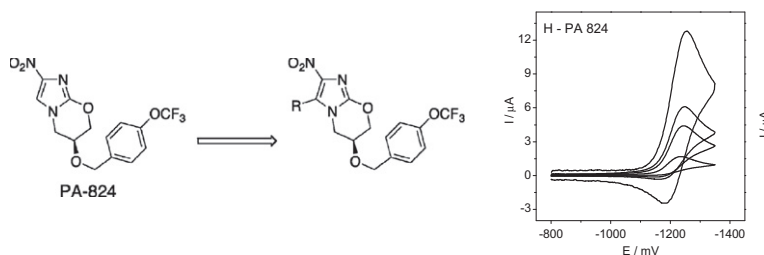


The evolution of an advanced lead compound **19** through a scaffold hopping approach guided by structure-based drug design is described.

The effect of 5-substitution on the electrochemical behavior and antitubercular activity of PA-824

pp 812–817

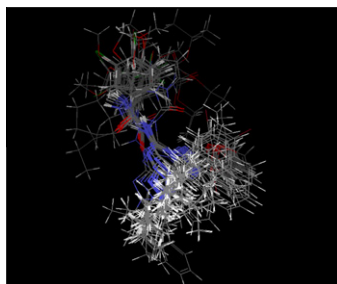
Soledad Bollo, Luis J. Núñez-Vergara, Sunhee Kang, Liang Zhang, Helena I. Boshoff, Clifton E. Barry, III, Juan A. Squella*, Cynthia S. Dowd*



QSAR of adenosine receptor antagonists: Exploring physicochemical requirements for binding of pyrazolo[4,3-*e*]-1,2,4-triazolo[1,5-*c*]pyrimidine derivatives with human adenosine A₃ receptor subtype

pp 818–823

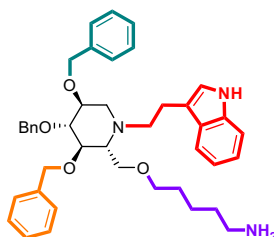
D. Pran Kishore, C. Balakumar, A. Raghuram Rao*, Partha Pratim Roy, Kunal Roy*



Biological study of a somatostatin mimetic based on the 1-deoxynojirimycin scaffold

pp 824–828

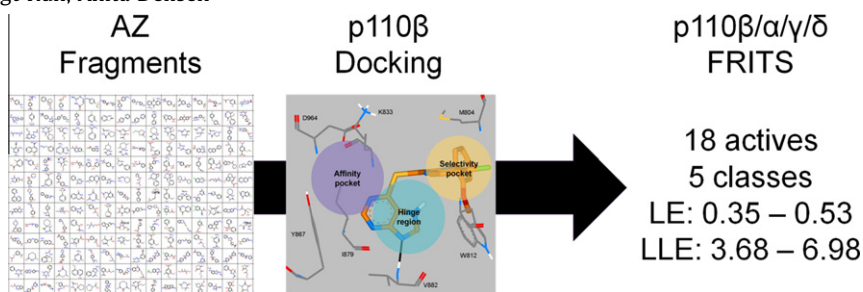
Yunxue Zhao, Min Liu, Vincent Chagnault, Juying Wang, Xiumei Zhang*, Paul V. Murphy*



Discovery of novel class 1 phosphatidylinositolide 3-kinases (PI3K) fragment inhibitors through structure-based virtual screening

pp 829–835

Fabrizio Giordanetto*, Bengt Kull, Anita Dellsén

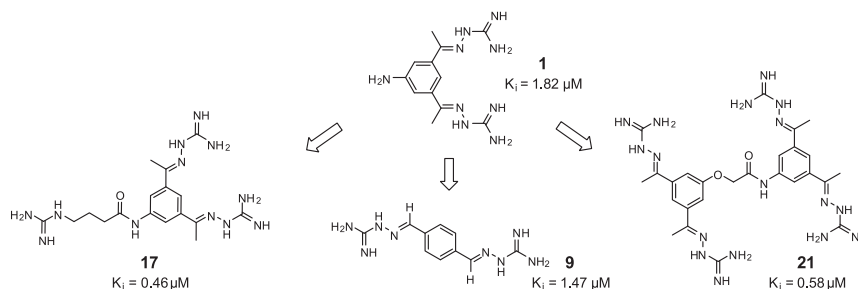


The identification of novel class 1 phosphatidylinositolide 3-kinases (PI3K) inhibitors by fragment-based virtual screening is described.

New furin inhibitors based on weakly basic amidinohydrazones

pp 836–840

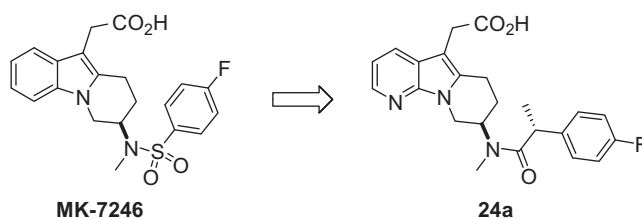
Frank Sielaff, Manuel E. Than, Dorian Bevec, Iris Lindberg, Torsten Steinmetzer*



Azaindoles as potent CRTH2 receptor antagonists

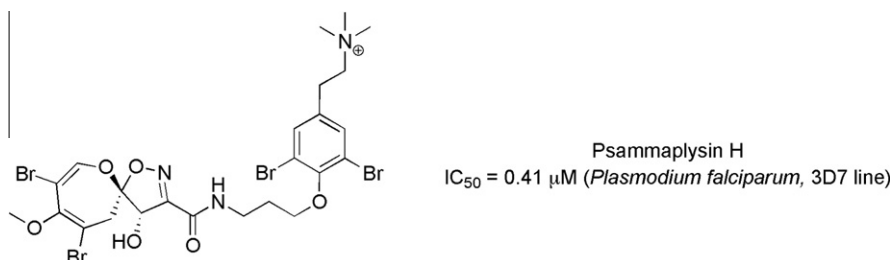
pp 841–845

Daniel Simard*, Yves Leblanc, Carl Berthelette, M. Helmi Zaghdane, Carmela Molinaro, Zhaoyin Wang, Michel Gallant, Stephen Lau, Trinh Thao, Martine Hamel, Rino Stocco, Nicole Sawyer, Susan Sillaots, Francois Gervais, Robert Houle, Jean-François Lévesque

**Psammaplysin H, a new antimalarial bromotyrosine alkaloid from a marine sponge of the genus *Pseudoceratina***

pp 846–848

Min Xu, Kathy T. Andrews, Geoff W. Birrell, Truc Linh Tran, David Camp, Rohan A. Davis, Ronald J. Quinn*

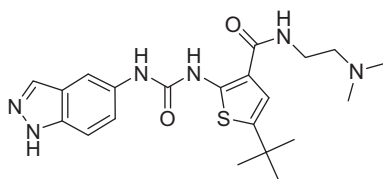


The isolation, structure elucidation and antimalarial activity of a new bromotyrosine alkaloid, psammaplysin H, is reported.

**Potent and selective thiophene urea-templated inhibitors of S6K**

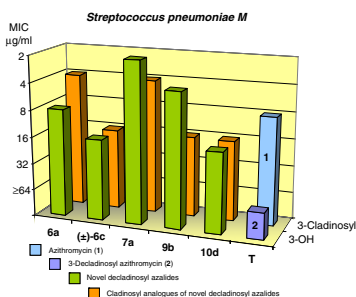
pp 849–852

Ping Ye, Cyrille Kuhn, Miret Juan, Rahul Sharma, Brendan Connolly, Gordon Alton, Hu Liu, Robert Stanton, Natasha M. Kablaoui*

**Discovery of novel ureas and thioureas of 3-decladinosyl-3-hydroxy 15-membered azalides active against efflux-mediated resistant *Streptococcus pneumoniae***

pp 853–856

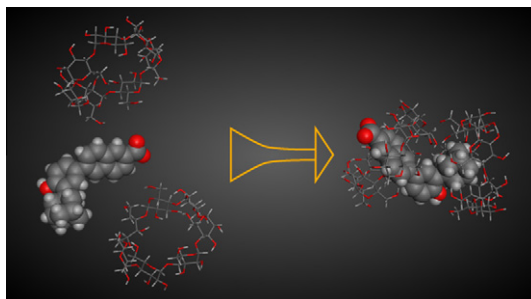
Mirjana Bukvić Krajačić*, Miljenko Dumić, Predrag Novak, Mario Cindrić, Sanja Koštrun, Andrea Fajdetic, Sulejman Alihodžić, Karmen Brajša, Nedjeljko Kujundžić



Molecular recognition and enhancement of aqueous solubility and bioactivity of CD437 by β -cyclodextrin

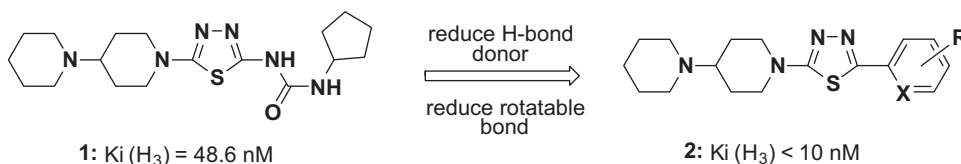
pp 857–860

Robert J. Mishur, Matthew E. Griffin, Cooper H. Battle, Bin Shan, Janarthanan Jayawickramarajah*

**Discovery of a series of potent arylthiadiazole H_3 antagonists**

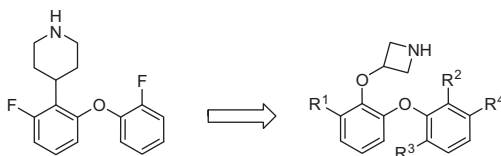
pp 861–864

Dong Xiao*, Anandan Palani, Michael Sofolarides, Ying Huang, Robert Aslanian, Henry Vaccaro, Liwu Hong, Brian McKittrick, Robert E. West Jr., Shirley M. Williams, Ren-Long Wu, Joyce Hwa, Christopher Sondey, Jean Lachowicz

**Design, synthesis, and pharmacological evaluation of azetidine and pyrrolidine derivatives as dual norepinephrine reuptake inhibitors and 5-HT_{1A} partial agonists**

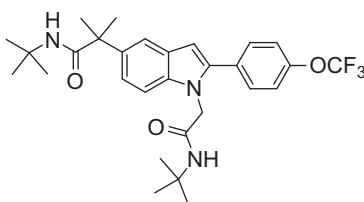
pp 865–868

Martin Pettersson*, Brian M. Campbell, Amy B. Dounay, David L. Gray, Longfei Xie, Christopher J. O'Donnell, Nancy C. Stratman, Kim Zoski, Elena Drummond, Gary Bora, Al Probert, Tammy Whisman

**A potent and selective indole N-type calcium channel (Ca_v2.2) blocker for the treatment of pain**

pp 869–873

Sriram Tyagarajan*, Prasun K. Chakravarty, Min Park, Bishan Zhou, James B. Herrington, Kevin Ratliff, Randall M. Bugianesi, Brande Williams, Rodolfo J. Haedo, Andrew M. Swensen, Vivien A. Warren, McHardy Smith, Maria Garcia, Gregory J. Kaczorowski, Owen B. McManus, Kathryn A. Lyons, Xiaohua Li, Maria Madeira, Bindhu Karanam, Mitchell Green, Michael J. Forrest, Catherine Abbadie, Erin McGowan, Shruti Mistry, Nina Jochnowitz, Joseph L. Duffy

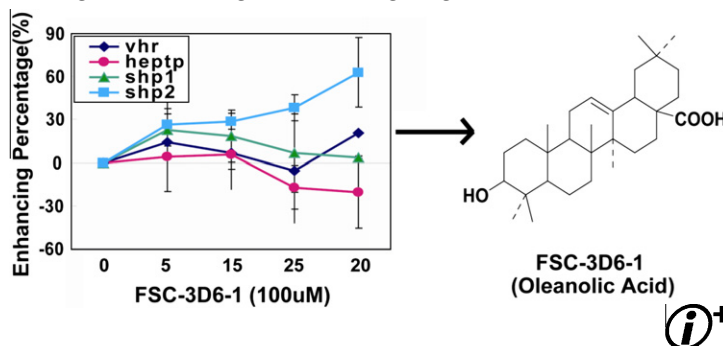


A novel screening model for the molecular drug for diabetes and obesity based on tyrosine phosphatase Shp2

pp 874–878

Yanyan Bu, Tao Shi, Minghui Meng, Guiping Kong, Yingpu Tian, Quancheng Chen, Xinsheng Yao, Gensheng Feng, Haifeng Cheng*, Zhongxian Lu*

A compound extracted from *Forsythia suspensa* specifically promoted shp2 activity in a dose-dependent manner and was identified as oleanolic acid (OA).

**OTHER CONTENTS****Corrigenda**

pp 879–880

*Corresponding author

Supplementary data available via ScienceDirect

COVER

Crystal structure of **2** bound to 3CP. Putative hydrogen bonds indicated with dashed lines. [Baxter, A.; Chambers, M.; Edfeldt, F.; Edman, K.; Freeman, A.; Johansson, C.; King, S.; Morley, A.; Petersen, J.; Rawlins, P.; Spadola, L.; Thong, B.; Van de Poël, H.; Williams, N. *Bioorg. Med. Chem. Lett.* **2011**, 21, 777.]

Available online at www.sciencedirect.com

Indexed/Abstracted in: Beilstein, Biochemistry & Biophysics Citation Index, CANCERLIT, Chemical Abstracts, Chemistry Citation Index, Current Awareness in Biological Sciences/BIOBASE, Current Contents: Life Sciences, EMBASE/Excerpta Medica, MEDLINE, PASCAL, Research Alert, Science Citation Index, SciSearch, TOXFILE. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®



ELSEVIER

ISSN 0960-894X