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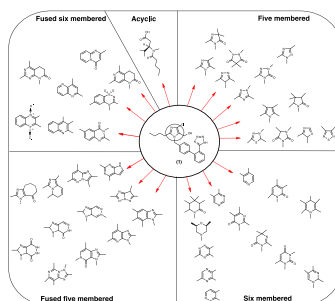
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REVIEW

Angiotensin II receptor type 1 (AT₁) selective nonpeptidic antagonists—A perspective

pp 8418–8456

Prashant Naik, Prashant Murumkar, Rajani Giridhar, Mange Ram Yadav*

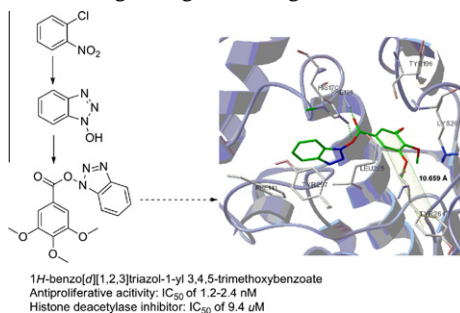


ARTICLES

Discovery of 1H-benzo[d][1,2,3]triazol-1-yl 3,4,5-trimethoxybenzoate as a potential antiproliferative agent by inhibiting histone deacetylase

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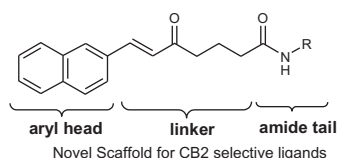
Jie Fu, Ying Yang, Xue-Wei Zhang, Wen-Jun Mao, Zhi-Ming Zhang, Hai-Liang Zhu*



Synthesis, binding studies and molecular modeling of novel cannabinoid receptor ligands

pp 8463–8477

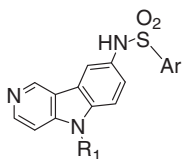
Noha A. Osman, Amr H. Mahmoud, Marco Allarà, Raimund Niess, Khaled A. Abouzid, Vincenzo Di Marzo, Ashraf H. Abadi*



Design, synthesis, and biological evaluation of novel *N*- γ -carboline arylsulfonamides as anticancer agents

pp 8478–8484

Jing Chen, Tao Liu, Rui Wu, Jianshu Lou, Ji Cao, Xiaowu Dong, Bo Yang, Qiaojun He, Yongzhou Hu*

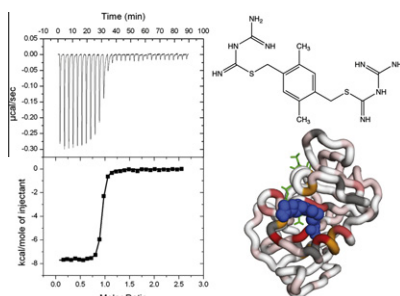


A series of novel *N*- γ -carboline arylsulfonamide derivatives designed, synthesized and evaluated for their cytotoxic activity, cell cycle effects, tubulin polymerization inhibition, and DNA intercalation.

Thermodynamic and NMR analysis of inhibitor binding to dihydrofolate reductase

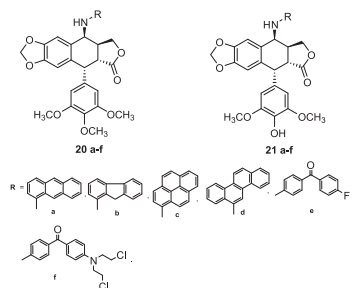
pp 8485–8492

Ihor Batruch, Elliott Javasky, Eric D. Brown, Michael G. Organ, Philip E. Johnson*

**Synthesis of 4 β -*N*-polyaromatic substituted podophyllotoxins: DNA topoisomerase inhibition, anticancer and apoptosis-inducing activities**

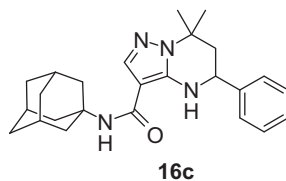
pp 8493–8500

Ahmed Kamal*, B. Ashwini Kumar, Paidakula Suresh, Satyam Kumar Agrawal, Gousia Chashoo, Shashank K. Singh, A. K. Saxena

**Synthesis and structure–activity relationship of tetrahydropyrazolopyrimidine derivatives—A novel structural class of potent calcium-sensing receptor antagonists**

pp 8501–8511

Masato Yoshida*, Akira Mori, Atsuhiko Inaba, Masahiro Oka, Haruhiko Makino, Masashi Yamaguchi, Hisashi Fujita, Tomohiro Kawamoto, Mika Goto, Hiroyuki Kimura, Atsuo Baba, Tsuneo Yasuma

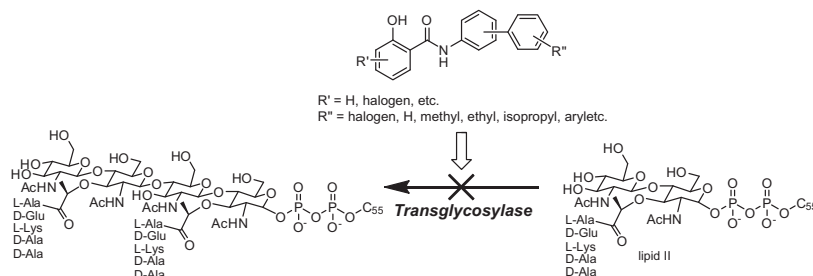


Synthesis and structure–activity relationships of novel tetrahydropyrazolopyrimidine derivatives as calcium-sensing receptor antagonists are reported.

High-throughput identification of antibacterials against methicillin-resistant *Staphylococcus aureus* (MRSA) and the transglycosylase

pp 8512–8529

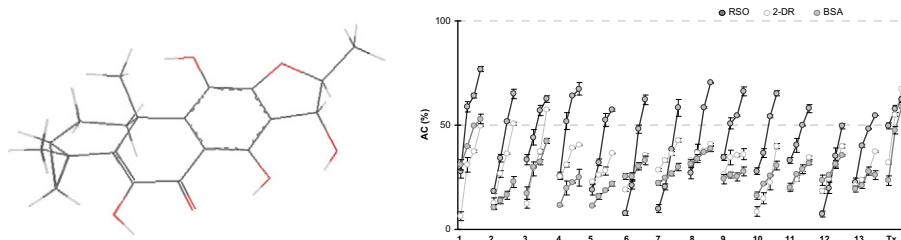
Ting-Jen Rachel Cheng, Ying-Ta Wu, Shih-Ting Yang, Kien-Hock Lo, Shao-Kang Chen, Yin-Hsuan Chen, Wen-I Huang, Chih-Hung Yuan, Chih-Wei Guo, Lin-Ya Huang, Kuo-Ting Chen, Hao-Wei Shih, Yih-Shyun E. Cheng, Wei-Chieh Cheng, Chi-Huey Wong*



abeo-Abietanes from *Teucrium polium* roots as protective factors against oxidative stress

pp 8530–8536

Antonio Fiorentino, Brigida D'Ambrosia*, Severina Pacifico, Monica Scognamiglio, Grazia D'Angelo, Pietro Monaco

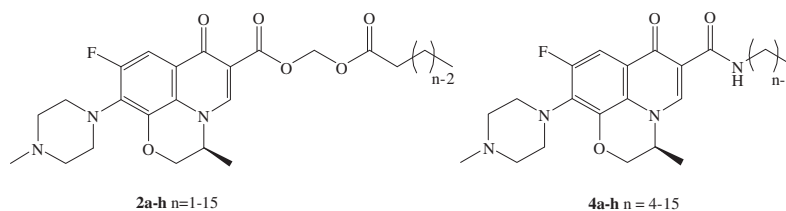


The antioxidant capability in cell-free systems of 13 rearranged abietanes from root methanolic extracts of the medicinal plant *Teucrium polium* is reported.

Novel lipophilic 7H-pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid derivatives as potential antitumor agents: Improved synthesis and in vitro evaluation

pp 8537–8548

Alexander Korolyov, Sandra Dorbes, Joëlle Azéma, Brigitte Guidetti*, Mathieu Danel, Delphine Lamoral-Theys, Thierry Gras, Jacques Dubois, Robert Kiss, Robert Martino, Myriam Malet-Martino



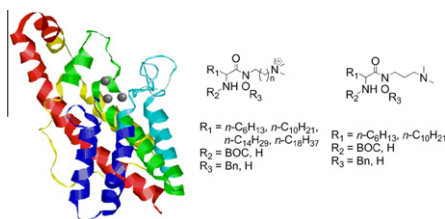
Acylloxymethyl esters and carboxamides derived from levofloxacin were prepared and investigated in vitro for antiproliferative activity against five human cancer cell lines. Nine derivatives displayed mean IC_{50} values in the micromolar range.



2-Aminohydroxamic acid derivatives as inhibitors of *Bacillus cereus* phosphatidylcholine preferred phospholipase C PC-PLC_{Bc}

pp 8549–8555

Patricia González-Bulnes, Albert González-Roura, Daniel Canals, Antonio Delgado, Josefina Casas, Amadeu Llebaria*

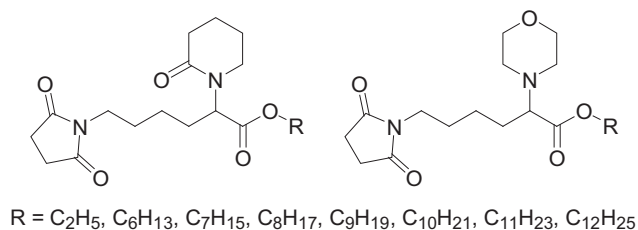


A new class of 2-aminohydroxamic acid derivatives are potent inhibitors of phosphatidylcholine preferred *Bacillus cereus* phospholipase C enzyme (PC-PLC_{Bc}) a model for the elusive mammalian PC-PLC.

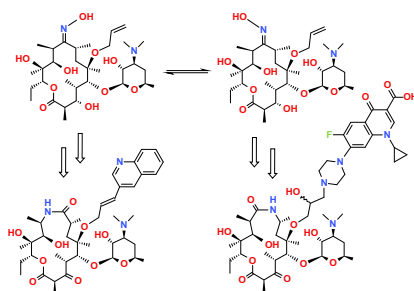


Investigating the activity of 2-substituted alkyl-6-(2,5-dioxopyrrolidin-1-yl)hexanoates as skin penetration enhancers pp 8556–8565

Katerina Brychtova, Radka Opatrilova, Ivan Raich, Danuta S. Kalinowski, Lenka Dvorakova, Lukas Placek, Jozef Csollei, Des R. Richardson*, Josef Jampilek*

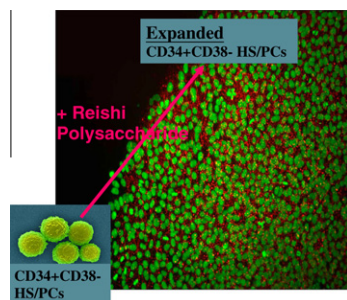

Novel hybrids of 15-membered 8a- and 9a-azahomoerythromycin A ketolides and quinolones as potent antibacterials pp 8566–8582

Dražen Pavlović*, Andrea Fajdetić, Stjepan Mutak


Effect of Reishi polysaccharides on human stem/progenitor cells

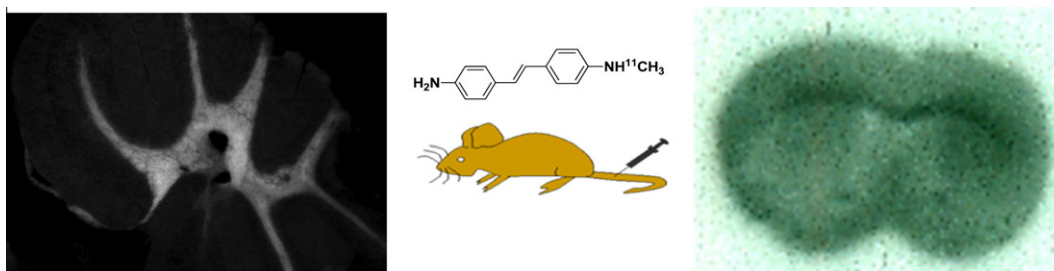
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Wan-Yu Chen, Wen-Bin Yang, Chi-Huey Wong*, Daniel Tzu-Bi Shih*


A novel PET marker for in vivo quantification of myelination

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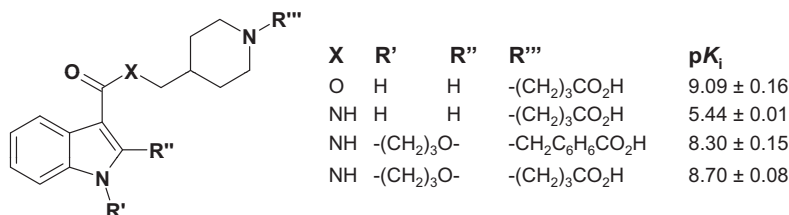
Chunying Wu, Changning Wang, Daniela C. Popescu, Wenxia Zhu, Eduardo A. Somoza, Junqing Zhu, Allison G. Condie, Christopher A. Flask, Robert H. Miller, Wendy Macklin, Yanming Wang*



Synthesis and pharmacological properties of novel hydrophilic 5-HT₄ receptor antagonists

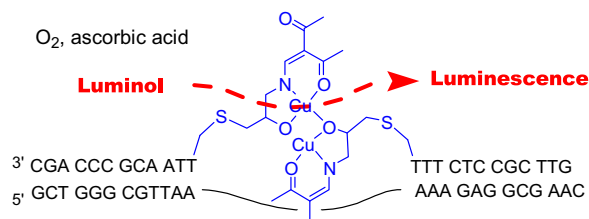
pp 8600–8613

Bjarne Brudeli, Lise Román Moltzau, Kjetil Wessel Andressen, Kurt A. Krobert, Jo Klaveness, Finn Olav Levy*

**The ODN probes conjugating the Cu(II) complex enhance the luminol chemiluminescence by assembling on the DNA template**

pp 8614–8617

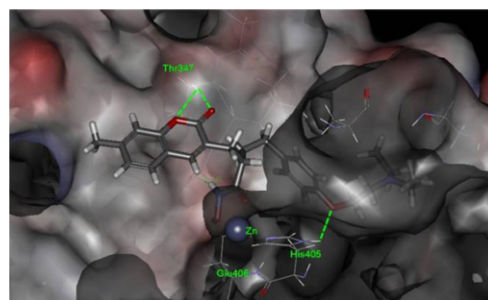
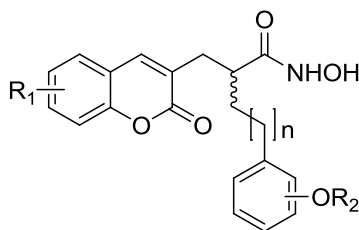
Yosuke Taniguchi, Akiko Nitta, Sun Min Park, Akiko Kohara, Takahiro Uzu, Shigeki Sasaki*

**Structure based optimization of chromen-based TNF-α converting enzyme (TACE) inhibitors on S1' pocket and their quantitative structure–activity relationship (QSAR) study**

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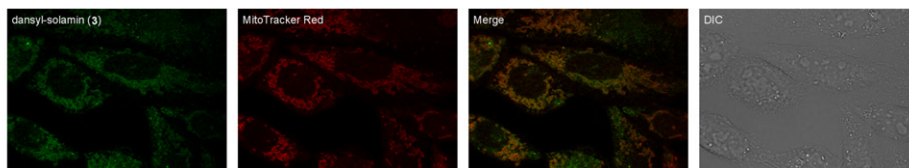
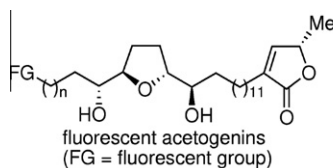
Jee Sun Yang, Kwangwoo Chun, Jung Eun Park, Misun Cho, Jeongjea Seo, Doona Song, Hongchul Yoon, Chun-Ho Park, Bo-Young Joe, Jong-Hee Choi, Myung-Hwa Kim*, Gyoonee Han*

A series of chromen-based TACE inhibitors were designed to bind in S1' pocket of TACE enzyme based on their docking study. The newly prepared analogues were evaluated in vitro assays and the most potent inhibitor (**151**) was evaluated in pharmacokinetic model and in vivo pharmacological model. QSAR equation of the chromen-based TACE inhibitors is reliable in internal and external test set and its docking study confirms the equation.

**Convergent synthesis of fluorescence-labeled probes of Annonaceous acetogenins and visualization of their cell distribution**

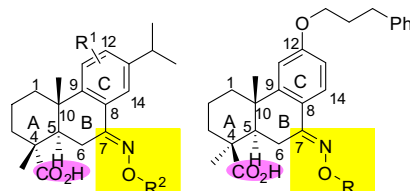
pp 8630–8641

Naoto Kojima*, Takekuni Morioka, Daisuke Urabe, Masahiro Yano, Yuki Suga, Naoyoshi Maezaki, Ayako Ohashi-Kobayashi, Yasuyuki Fujimoto, Masatomo Maeda, Takao Yamori, Takehiko Yoshimitsu, Tetsuaki Tanaka*



Design, synthesis, and characterization of BK channel openers based on oximation of abietane diterpene derivatives pp 8642–8659

Yong-Mei Cui, Eriko Yasutomi, Yuko Otani, Katsutoshi Ido, Takashi Yoshinaga, Kohei Sawada, Tomohiko Ohwada*

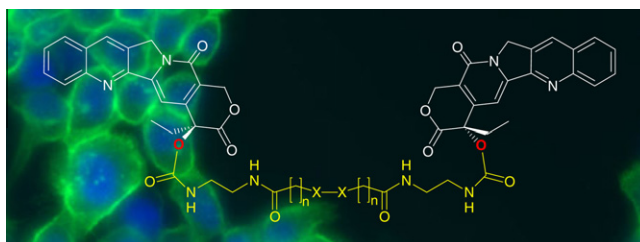


Oxime ether derivatives at the benzylic position of unsubstituted, dichloro, trichloro, and monobromo derivatives of the aromatic C-ring of dehydroabietic acid and podocarpic acid were synthesized and evaluated as BK channel openers in an assay system of CHO-K1 cells expressing hBK α channels.

Synthesis and biological evaluation of new camptothecin derivatives obtained by modification of position 20

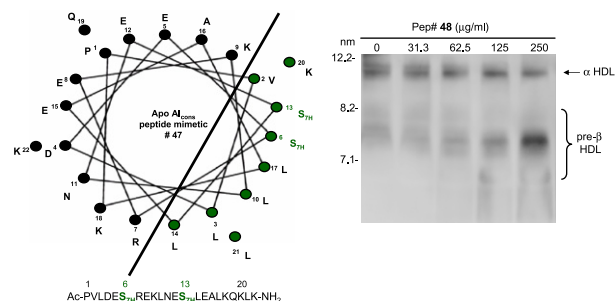
pp 8660–8668

Elena Riva, Daniela Comi, Stella Borrelli, Francesco Colombo, Bruno Danieli, Jurgen Borlak, Lasse Evensen, James B. Lorens, Gabriele Fontana, Ornella Maria Gia, Lisa Dalla Via, Daniele Passarella*

**ApoA-I mimetic peptides promote pre- β HDL formation in vivo causing remodeling of HDL and triglyceride accumulation at higher dose**

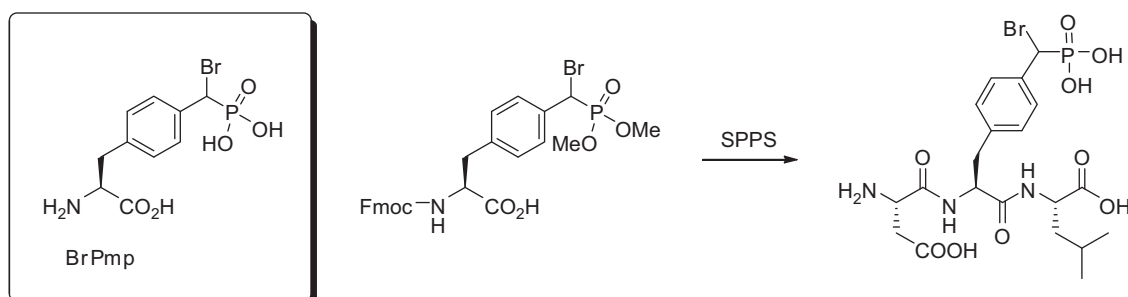
pp 8669–8678

Ester Carballo-Jane*, Zhu Chen, Edward O'Neill, Jun Wang, Charlotte Burton, Ching H. Chang, Xun Chen, Suzanne Eveland, Betsy Frantz-Wattley, Karen Gagen, Brian Hubbard, Marina Ichetovkin, Silvi Luell, Roger Meurer, Xuelei Song, Alison Strack, Annunziata Langella, Simona Cianetti, Francesca Rech, Elena Capitò, Simone Bufali, Maria Veneziano, Maria Verdirame, Fabio Bonelli, Edith Monteagudo, Antonello Pessi, Raffaele Ingenito, Elisabetta Bianchi*

**A protected L-bromophosphonomethylphenylalanine amino acid derivative (BrPmp) for synthesis of irreversible protein tyrosine phosphatase inhibitors**

pp 8679–8686

Naresh S. Tulsi, A. Michael Downey, Christopher W. Cairo*



OTHER CONTENT**Corrigendum****p 8687**

*Corresponding author

 * Supplementary data available via ScienceDirect**COVER**

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (<http://www.pymol.org>). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, 102, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, 61(6), 355–360].

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