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Bioorganic & Medicinal Chemistry Volume 19, Issue 8, 2011

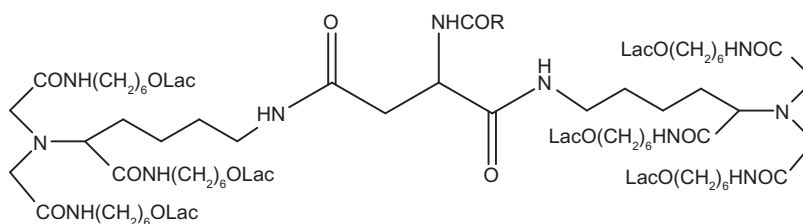
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New and more efficient multivalent glyco-ligands for asialoglycoprotein receptor of mammalian hepatocytes

pp 2494–2500

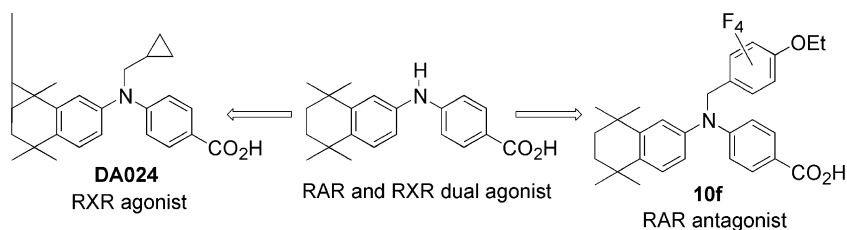
Reiko T. Lee, Mei-Hui Wang, Wu-Jyh Lin*, Yuan C. Lee*



Diphenylamine-based retinoid antagonists: Regulation of RAR and RXR function depending on the N-substituent

pp 2501–2507

Kiminori Ohta, Emiko Kawachi, Hiroshi Fukasawa, Koichi Shudo, Hiroyuki Kagechika*

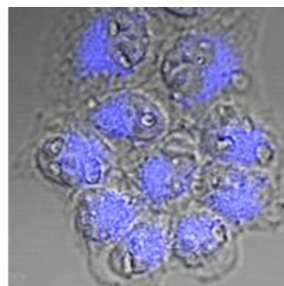
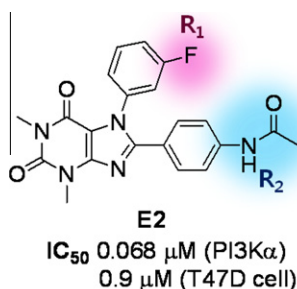


N-Benzylated diphenylamine derivative **10f** potently inhibited Am80-induced HL-60 cell differentiation as a result of RAR-antagonistic action.

Fluorescent phosphoinositide 3-kinase inhibitors suitable for monitoring of intracellular distribution

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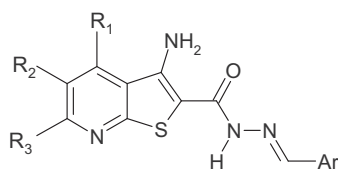
Donghee Kim, Hyunseung Lee, Hwiseok Jun, Soon-Sun Hong*, Sungwoo Hong*



Synthesis and pharmacological evaluation of thieno[2,3-*b*]pyridine derivatives as novel c-Src inhibitors

pp 2517–2528

Isabelle Pevet, Cédric Brulé, André Tizot, Arnaud Gohier, Francisco Cruzalegui, Jean A. Boutin, Solo Goldstein*

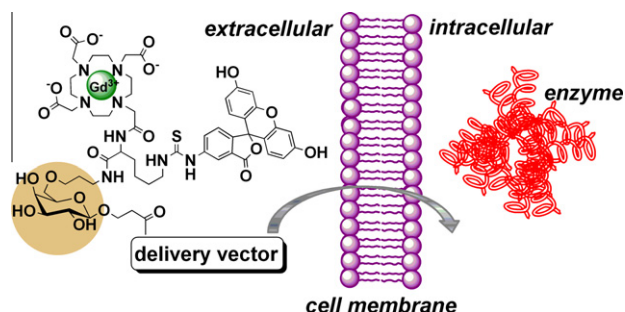


We report the preparation and pharmacological profile of new c-Src inhibitors elaborated around a 3-amino-thieno[2,3-*b*]pyridine nucleus.

Synthesis and characterization of a cell-permeable bimodal contrast agent targeting β -galactosidase

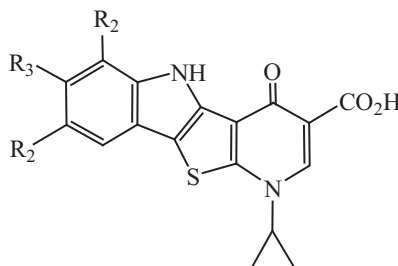
pp 2529–2540

Aneta Keliris*, Thomas Ziegler, Ritu Mishra, Rolf Pohmann, Martin G. Sauer, Kamil Ugurbil, Jörn Engelmann*

**Synthesis and biological evaluation of tetracyclic thienopyridones as antibacterial and antitumor agents**

pp 2541–2548

Salah A. Al-Trawneh, Mustafa M. El-Abadelah*, Jalal A. Zahra, Samir A. Al-Taweel, Franca Zani, Matteo Incerti, Andrea Cavazzoni, Paola Vicini*

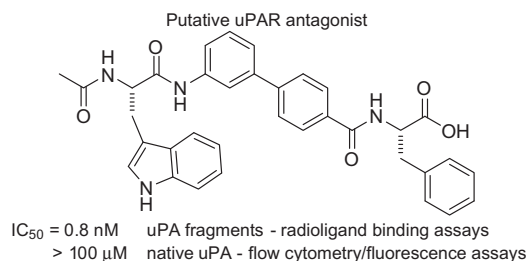


The novel tetracyclic compounds, synthesized as bioisosters of fluoroquinolones, exhibited potent activity against bacteria and cancer cell lines, together with absence of cytotoxicity against normal cells.

Small molecule antagonists of the urokinase (uPA): urokinase receptor (uPAR) interaction with high reported potencies show only weak effects in cell-based competition assays employing the native uPAR ligand

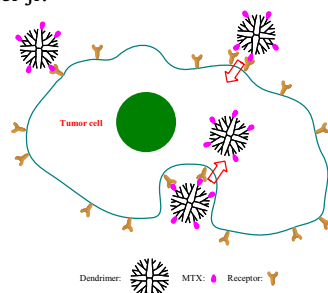
pp 2549–2556

Melissa De Souza, Hayden Matthews, Jodi A. Lee, Marie Ranson, Michael J. Kelso*



Polyvalent saccharide-functionalized generation 3 poly(amidoamine) dendrimer–methotrexate conjugate as a potential anticancer agent pp 2557–2564

Yuehua Zhang, Thommey P. Thomas, Kyung-Hoon Lee, Minghsin Li, Hong Zong, Ankur M. Desai, Alina Kotlyar, Baohua Huang, Mark M. Banaszak Holl, James R. Baker Jr.*



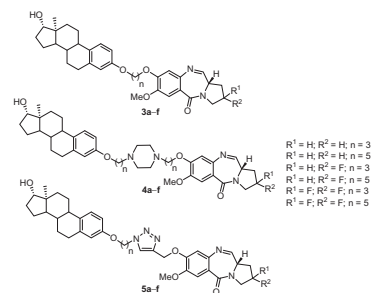
Polyvalent tumor-targeted nanomedicine.



Synthesis and biological evaluation of estradiol linked pyrrolo[2,1-c][1,4]benzodiazepine (PBD) conjugates as potential anticancer agents pp 2565–2581

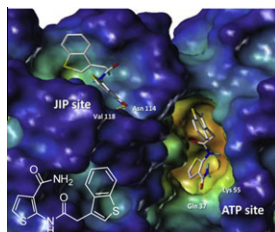
Ahmed Kamal*, M. Kashi Reddy, M. Janaki Ramaiah, Rajender, J. Surendranadha Reddy, Y. V. V. Srikanth, D. Dastagiri, E. Vijaya Bharathi, S. N. C. V. L. Pushpavalli, Pranjal Sarma, Manika Pal-Bhadra*

A new class of estradiol-pyrrolobenzodiazepine conjugates have been prepared and evaluated for their anticancer activity. Further, some of the biological assays related to mechanism aspects have also been carried out.



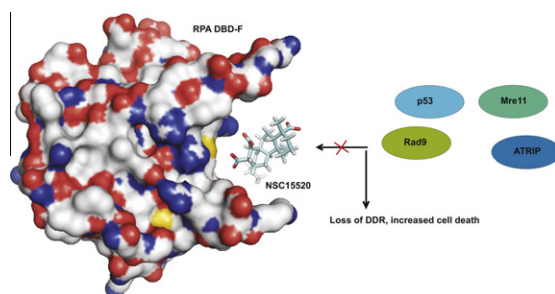
Design, synthesis, and structure–activity relationship studies of thiophene-3-carboxamide derivatives as dual inhibitors of the c-Jun N-terminal kinase pp 2582–2588

Surya K. De, Elisa Barile, Vida Chen, John L. Stebbins, Jason F. Cellitti, Thomas Machleidt, Coby B. Carlson, Li Yang, Russell Dahl, Maurizio Pellecchia*



Small molecule inhibitor of the RPA70 N-terminal protein interaction domain discovered using in silico and in vitro methods pp 2589–2595

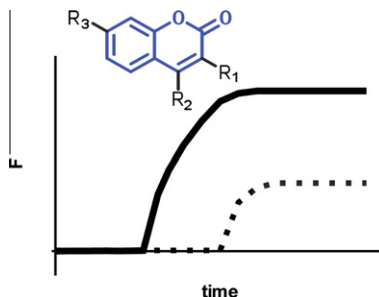
Jason G. Glanzer, Shengqin Liu, Gregory G. Oakley*



Inhibition of amyloid- β aggregation by coumarin analogs can be manipulated by functionalization of the aromatic center

pp 2596–2602

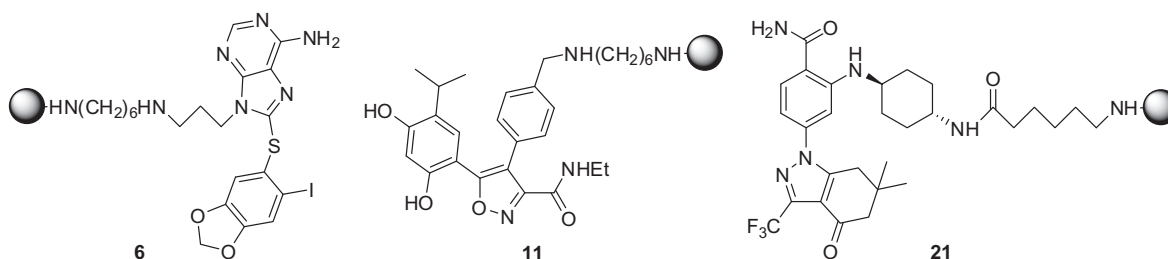
Deborah D. Soto-Ortega, Brandon P. Murphy, Francisco J. Gonzalez-Velasquez, Kelly A. Wilson, Fang Xie, Qian Wang*,
Melissa A. Moss*



Design, synthesis, and evaluation of small molecule Hsp90 probes

pp 2603–2614

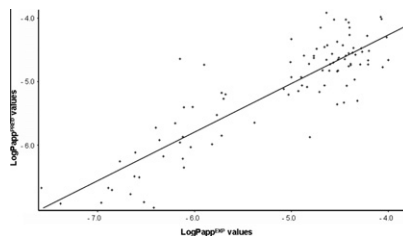
Tony Taldone, Danuta Zatorska, Pallav D. Patel, Hongliang Zong, Anna Rodina, James H. Ahn,
Kamalika Moulick, Monica L. Guzman, Gabriela Chiosis*



QSAR-based permeability model for drug-like compounds

pp 2615–2624

Rafael Gozalbes*, Mary Jacewicz, Robert Annand, Katya Tsaïoun, Antonio Pineda-Lucena*

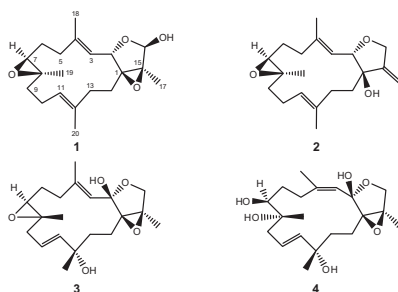


A QSAR model for predicting the permeability of drug-like compounds has been developed based on simple 1D and 2D descriptors. The model does not require any experimentally determined value and it is intended to be used as a decision tool when evaluating compounds in drug discovery campaigns.

Cytotoxic and anti-inflammatory cembranoids from the Vietnamese soft coral *Lobophytum laevigatum*

pp 2625–2632

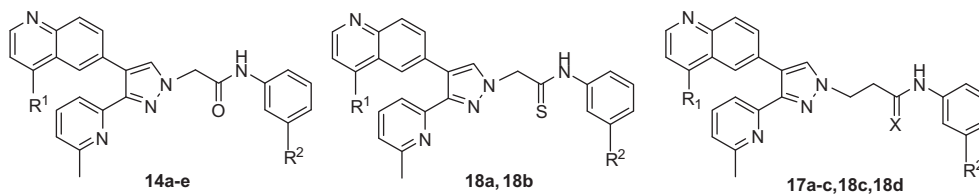
Tran Hong Quang, Tran Thu Ha, Chau Van Minh, Phan Van Kiem, Hoang Thanh Huong, Nguyen Thi Thanh Ngan,
Nguyen Xuan Nhiem, Nguyen Huu Tung, Bui Huu Tai, Dinh Thi Thu Thuy, Seok Bean Song, Hee-Kyoung Kang,
Young Ho Kim*



Synthesis and biological evaluation of 1-substituted-3(5)-(6-methylpyridin-2-yl)-4-(quinolin-6-yl)pyrazoles as transforming growth factor- β type 1 receptor kinase inhibitors

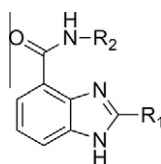
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Cheng Hua Jin, Maddeboina Krishnaiah, Domalapally Sreenu, Kota Sudhakar Rao, Vura Bala Subrahmanyam, Chul-Yong Park, Jee-Yeon Son, Yhun Yhong Sheen, Dae-Kee Kim*

**Inhibitory properties of 2-substituent-1H-benzimidazole-4-carboxamide derivatives against enteroviruses**

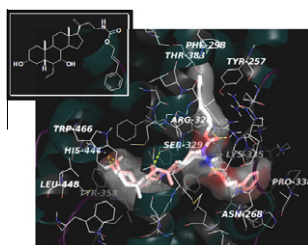
pp 2641–2649

Fei Xue, Xianjin Luo*, Chenghao Ye, Weidong Ye, Yue Wang*

**Extending SAR of bile acids as FXR ligands: Discovery of 23-N-(carbocinnamyloxy)-3 α ,7 α -dihydroxy-6 α -ethyl-24-nor-5 β -cholan-23-amine**

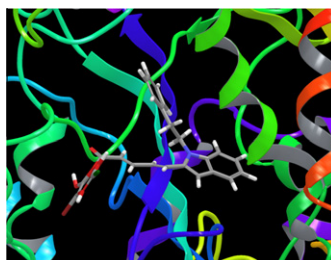
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Antimo Gioiello, Antonio Macchiarulo, Andrea Carotti, Paolo Filippini, Gabriele Costantino, Giovanni Rizzo, Luciano Adorini, Roberto Pellicciari*

**Inhibitors and promoters of tubulin polymerization: Synthesis and biological evaluation of chalcones and related dienones as potential anticancer agents**

pp 2659–2665

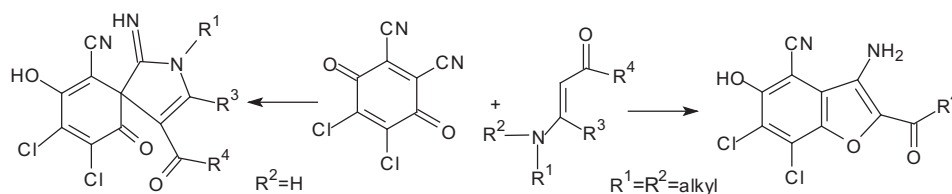
Christine Dyrager, Malin Wickström, Maria Fridén-Saxin, Annika Friberg, Kristian Dahlén, Erik A. A. Wallén, Joachim Gullbo, Morten Grøtli, Kristina Luthman*



A novel application of DDQ as electrophile in the Nenitzescu reaction

pp 2666–2674

U. Kucklaender*, R. Bollig, W. Frank, A. Gratz, J. Jose

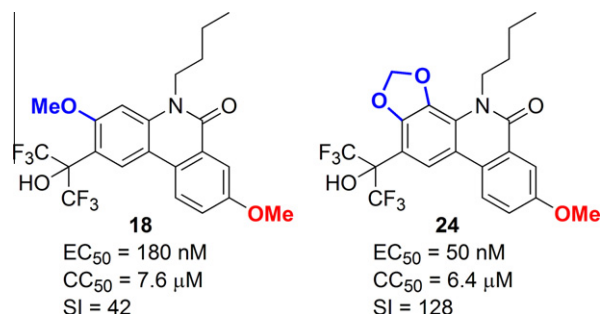


Fused heterocyclic amido compounds as anti-hepatitis C virus agents

pp 2675–2687

Hiroshi Aoyama*, Kazuyuki Sugita, Masahiko Nakamura, Atsushi Aoyama, Mohammed T. A. Salim, Mika Okamoto, Masanori Baba*, Yuichi Hashimoto

A series of phenanthridine analogues were synthesized and evaluated for their anti-hepatitis C virus (HCV) activity. Among the compounds alkoxyated analogues **18** and **24** showed significant inhibition against HCV RNA replication with an EC_{50} values of 180, 50 nM, respectively. In addition, they had acceptable cytotoxicities resulting in high SI values, 42 and 128, respectively.



Synthesis, biological evaluation, and molecular docking studies of resveratrol derivatives possessing chalcone moiety as potential antitubulin agents

pp 2688–2695

Ban-Feng Ruan, Xiang Lu, Jian-Feng Tang, Yao Wei, Xiao-Liang Wang, Yan-Bin Zhang, Li-Sheng Wang, Hai-Liang Zhu*

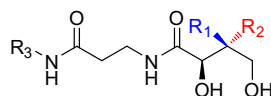


Twenty-three resveratrol derivatives possessing chalcone moiety were synthesized and characterized, and their biological activities were also evaluated as potential antiproliferation and antitubulin polymerization inhibitors. Docking simulation was performed to position compound **C19** into the colchicine binding site to determine the probable binding mode.

Geminal dialkyl derivatives of N-substituted pantothenamides: Synthesis and antibacterial activity

pp 2696–2706

T. Olukayode Akinnusi, Kenward Vong, Karine Auclair*

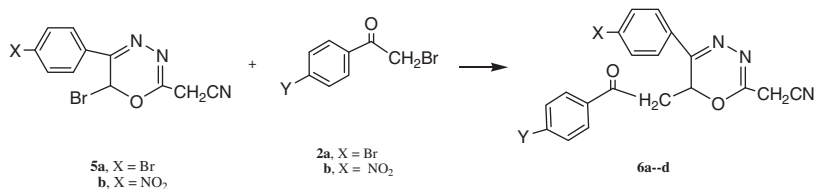


New antibacterials!

Anti-tumor and anti-leishmanial evaluations of 1,3,4-oxadiazine, pyran derivatives derived from cross-coupling reactions of β -bromo-6H-1,3,4-oxadiazine derivatives

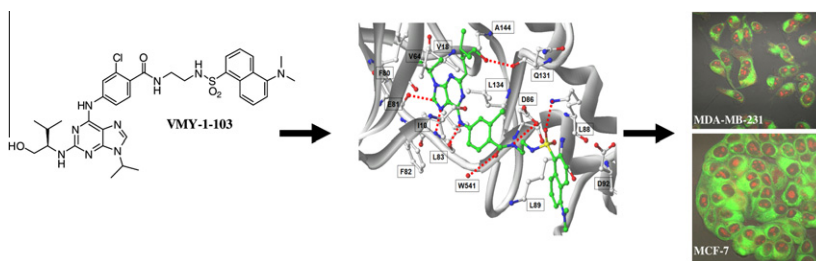
pp 2707–2713

Rafat M. Mohareb*, Jürgen Schatz

**Fluorescent cyclin-dependent kinase inhibitors block the proliferation of human breast cancer cells**

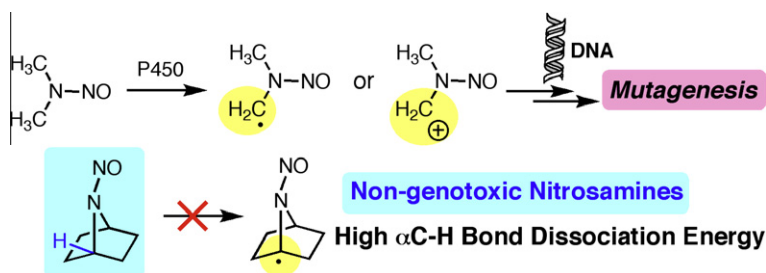
pp 2714–2725

Venkata Mahidhar Yenugonda, Tushar B. Deb, Scott C. Grindrod, Sivanesan Dakshanamurthy, Yonghong Yang, Mikell Paige, Milton L. Brown*

**7-Azabicyclo[2.2.1]heptane as a structural motif to block mutagenicity of nitrosamines**

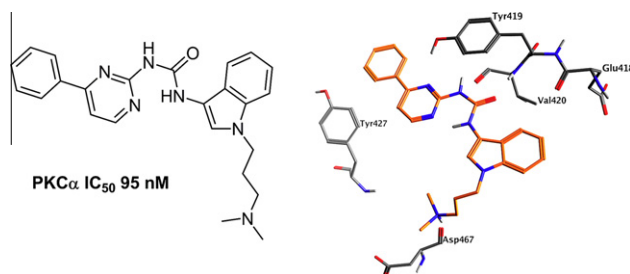
pp 2726–2741

Tomohiko Ohwada*, Satoko Ishikawa, Yusuke Mine, Keiko Inami, Takahiro Yanagimoto, Fumika Karaki, Yoji Kabasawa, Yuko Otani, Masataka Mochizuki*

**The synthesis and evaluation of indolylureas as PKC α inhibitors**

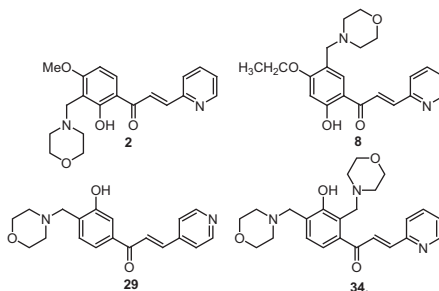
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Jane F. Djung, Richard. J. Mears*, Christian A. G. N. Montalbetti, Thomas S. Coulter, Adam Golebiowski, Andrew N. Carr, Oliver Barker, Kenneth D. Greis, Songtao Zhou, Elizabeth Dolan, Gregory F. Davis



Inhibitory effects of Mannich bases of heterocyclic chalcones on NO production by activated RAW 264.7 macrophages and superoxide anion generation and elastase release by activated human neutrophils

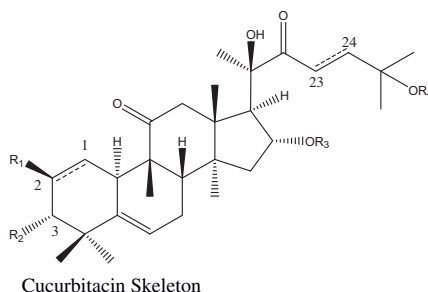
M. Vijaya Bhaskar Reddy, Tsong-Long Hwang, Yann-Lii Leu, Wen-Fei Chiou, Tian-Shung Wu*



In vitro and QSAR studies of cucurbitacins on HepG2 and HSC-T6 liver cell lines

pp 2757–2766

Judit Bartalis, Fathi T. Halaweish*



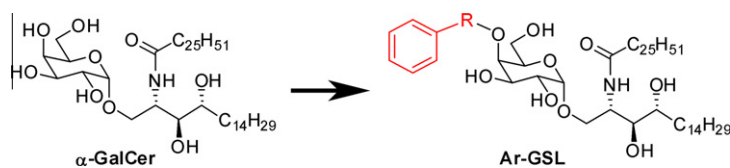
Cucurbitacin analogues with potential anticancer activity and hepatoprotective agents against fibrosis that deserve further examination.



Introduction of aromatic group on 4'-OH of α -GalCer manipulated NKT cell cytokine production

pp 2767–2776

Wenpeng Zhang, Chengfeng Xia*, Janos Nadas, Wenlan Chen, Li Gu, Peng George Wang*



*Corresponding author

Supplementary data available via ScienceDirect

COVER

The known veterinary anthelmintic and proton ionophore, closantel, was recently discovered to also exhibit potent chitinase inhibition activity and inhibit molting in the parasitic nematode, *Onchocerca volvulus*, the causative agent of the neglected tropical disease onchocerciasis. [C. Gloeckner, A. L. Garner, F. Mersha, Y. Oksov, N. Tricoche, L. M. Eubanks, S. Lustigman, G. F. Kaufmann, K. D. Janda, Repositioning of an existing drug for the neglected tropical disease Onchocerciasis, *Proc. Natl. Acad. Sci., U.S.A.* **2010**, 107, 3424.]

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