



Bioorganic & Medicinal Chemistry Volume 20, Issue 13, 2012

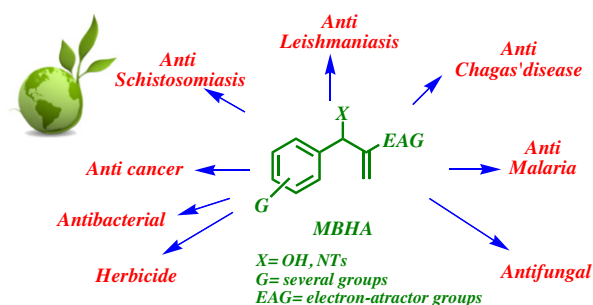
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REVIEW

Morita–Baylis–Hillman adducts: Biological activities and potentialities to the discovery of new cheaper drugs pp 3954–3971

Claudio G. Lima–Junior, Mário L.A. A. Vasconcellos*

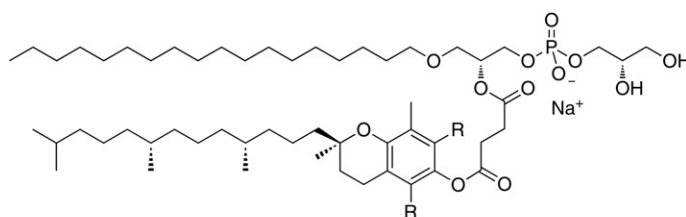
This review aims to present by the first time the Morita–Baylis–Hillman adducts (MBHA) as a new class of bioactive compounds and highlight its potentialities to the discovery of new green efficient drugs.



ARTICLES

Synthesis of tocopheryl succinate phospholipid conjugates and monitoring of phospholipase A₂ activity pp 3972–3978

Palle J. Pedersen, Hélène M.-F. Viart, Fredrik Melander, Thomas L. Andresen, Robert Madsen, Mads H. Clausen*



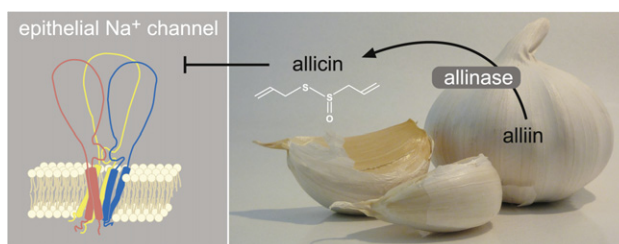
Phospholipid conjugates:

$R = CH_3$: α -tocopheryl succinate; $R = H$: δ -tocopheryl succinate



Thiol-reactive compounds from garlic inhibit the epithelial sodium channel (ENaC) pp 3979–3984

Patrick Krumm, Teresa Giraldez, Diego Alvarez de la Rosa, Wolfgang G. Clauss, Martin Fronius, Mike Althaus*

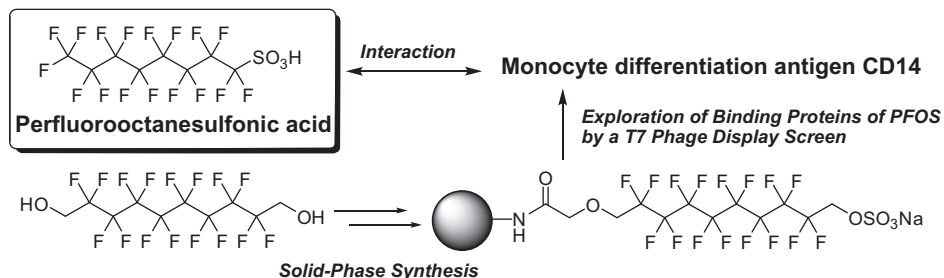


Epithelial Na⁺ channels (ENaCs) were heterologously expressed in *Xenopus* oocytes and exposed to garlic extract and its main compounds. Garlic extract and allicin, which is formed in garlic, inhibited ENaC in a thiol-dependent manner.

Exploration of the binding proteins of perfluorooctane sulfonate by a T7 phage display screen

pp 3985–3990

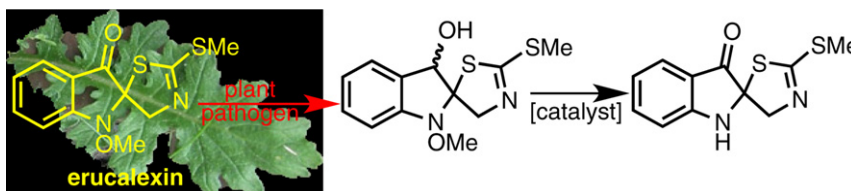
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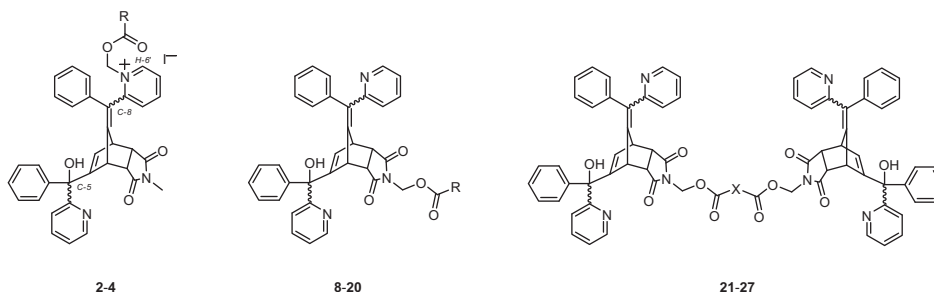
M. Soledade C. Pedras*, Vijay K. Sarma-Mamillapalle



Design and synthesis of prodrugs of the rat selective toxicant norbormide

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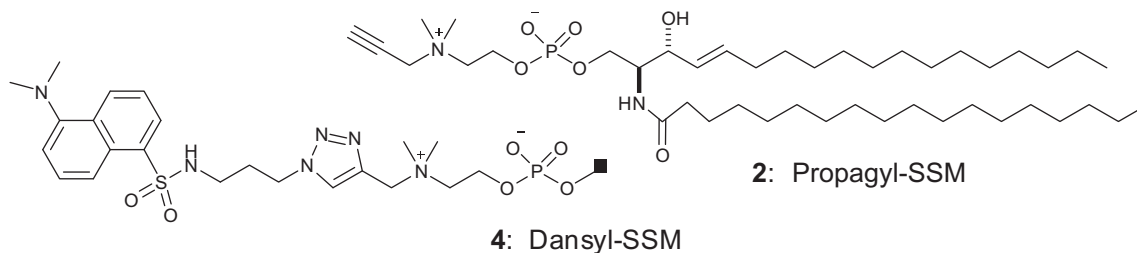
David Rennison*, Olivia Laita, Sergio Bova, Maurizio Cavalli, Brian Hopkins, Darwin S. Linthicum, Margaret A. Brimble*



Effects of chemical modification of sphingomyelin ammonium group on formation of liquid-ordered phase

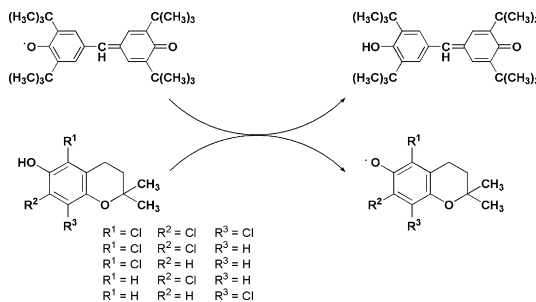
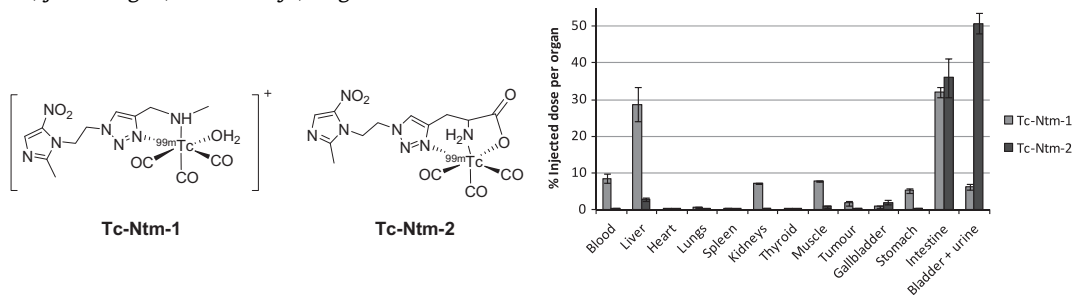
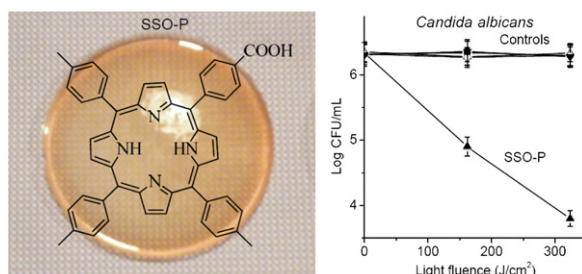
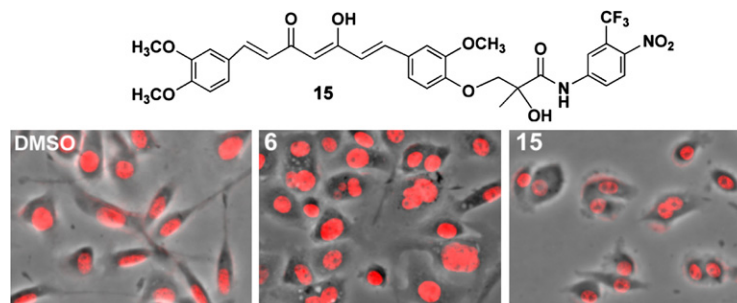
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Sarah A. Goretta, Masanao Kinoshita, Shoko Mori, Hiroshi Tsuchikawa, Nobuaki Matsumori, Michio Murata*



Terminal N-substituted sphingomyelin analogues were synthesized and their membrane properties were examined.

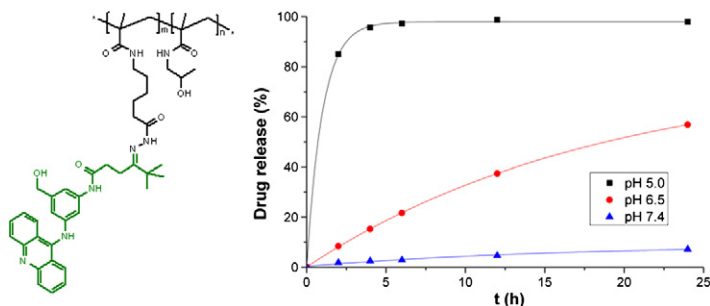




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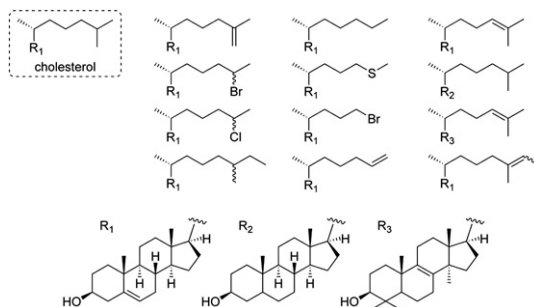
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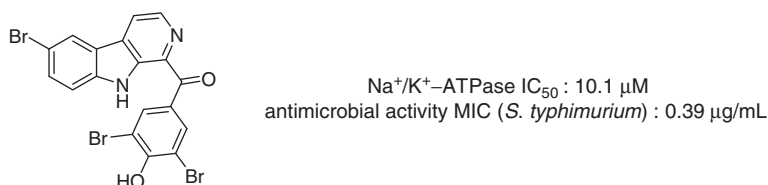
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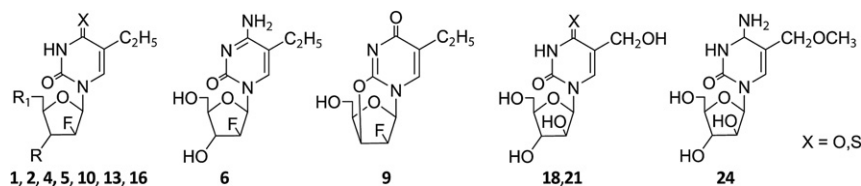
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Tae Hyung Won, Ju-eun Jeon, So-Hyoung Lee, Boon Jo Rho, Ki-Bong Oh*, Jongheon Shin*

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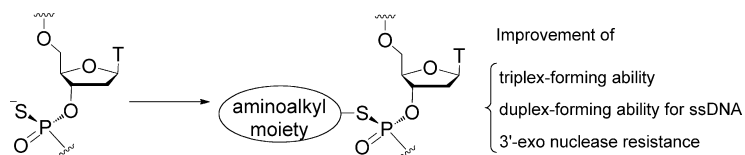
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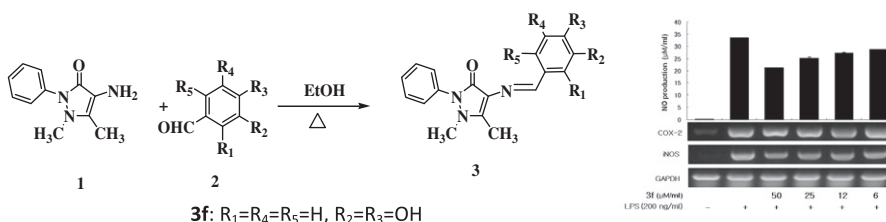


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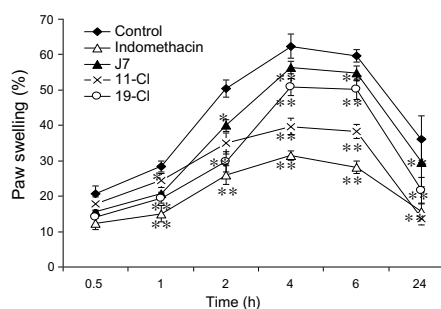
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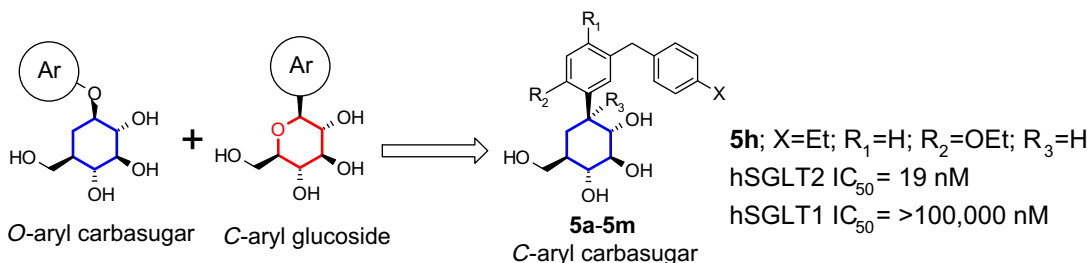
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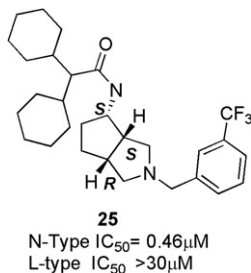
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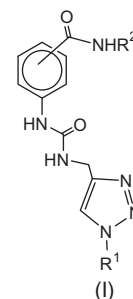
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**Inhibitors of Dengue virus and West Nile virus proteases based on the aminobenzamide scaffold**

pp 4140–4148

Sridhar Aravapalli, Huiguo Lai, Tadahisa Teramoto, Kevin R. Alliston, Gerald H. Lushington, Eron L. Ferguson, R. Padmanabhan, William C. Groutas*

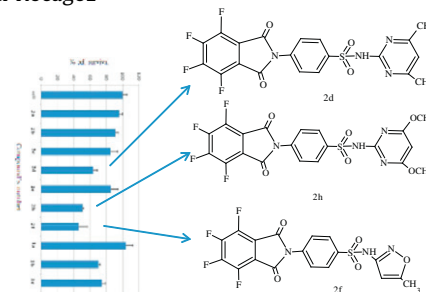
A series of functionalized *meta* and *para* aminobenzamide derivatives (I) was synthesized and subsequently screened in vitro against Dengue virus and West Nile virus proteases. Four active compounds were identified which showed comparable activity toward the two proteases and shared in common a *meta* or *para*(phenoxy)phenyl group. The inhibition constants for the most potent compound **7n** against Dengue and West Nile virus proteases were 8.77 and 5.55 μM , respectively.

**Synthesis and antimycobacterial activity of some phthalimide derivatives**

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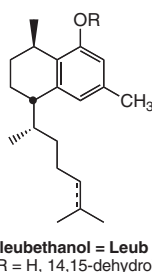
Hülya Akgün*, İrem Karameloğlu, Barkın Berk, Işıl Kurnaz, Gizem Sarıbiyık, Sinem Öktem, Tanıl Kocagöz

A series of fluorinated phthalimide derivatives were evaluated against *Mycobacterium tuberculosis* H37Ra (ATCC 25177), and the compounds **2d**, **2f**, and **2h** showed more toxicity towards L929 cells than others and these results are similar to the antimycobacterium activity results.

**Synthesis of Leubethanol derivatives and evaluation against *Mycobacterium tuberculosis***

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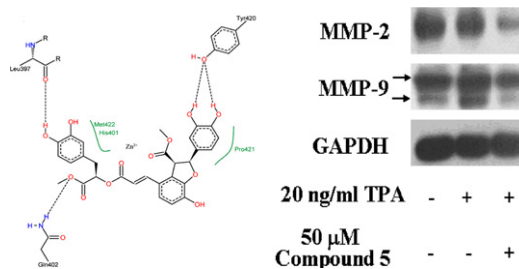
Jonathan Perez-Meseguer, Esther del Olmo*, Blanca Alanis-Garza, Ricardo Escarcena, Elvira Garza-González, Ricardo Salazar-Aranda, Arturo San Feliciano, Noemí Waksman de Torres*



Twenty-five derivatives of the natural diterpene leubethanol are described and tested against *Mycobacterium tuberculosis* H37Rv.

Natural products as a gold mine for selective matrix metalloproteinases inhibitors**pp 4164–4171**

Liyan Wang, Xi Li, Shoude Zhang, Weiqiang Lu, Sha Liao, Xiaofeng Liu, Lei Shan, Xu Shen, Hualiang Jiang, Weidong Zhang*, Jin Huang*, Honglin Li*

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*Corresponding author

Supplementary data available via SciVerse ScienceDirect

COVER

Dipyrone (metamizol) is a common antipyretic drug and the most popular non-opioid analgesic in many countries. In spite of its long and widespread use, molecular details of its fate in the body are not fully known. Two unknown metabolites were now found, viz. arachidonoyl amides, and positively tested for cannabis receptor binding (CB1 and CB2) and cyclooxygenase inhibition. Two more puzzle pieces of the dipyrone story found! (Rogosch, T.; Sinning, C.; Podlewski, A.; Watzer, B.; Schlosburg, J.; Lichtman, A.H.; Cascio, M.G.; Bisogno, T.; Di Marzo, V.; Nüsing, R.; Imming, P. *Bioorg. Med. Chem.* **2012**, 20, 103–109.)

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