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# Bioorganic & Medicinal Chemistry Volume 22, Issue 11, 2014

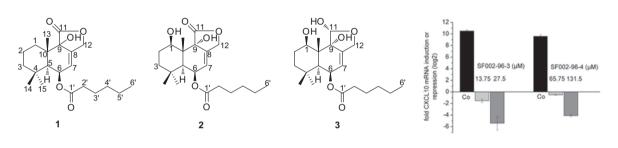
#### **Contents**

#### ARTICLES

Anti-inflammatory drimane sesquiterpene lactones from an Aspergillus species

pp 2912-2918

Silke Felix, Louis P. Sandjo, Till Opatz\*, Gerhard Erkel\*



**(i)**+

**Identification of trisubstituted-pyrazol carboxamide analogs as novel and potent antagonists of farnesoid X receptor pp 2919–2938** Donna D. Yu\*, Wenwei Lin, Barry M. Forman, Taosheng Chen\*

lead compound: DY268 (compd. 4j)

**FXR:**  $IC_{50} = 7.5 \text{ nM}$ 

Sulfonamide inhibition studies of two β-carbonic anhydrases from the bacterial pathogen *Legionella pneumophila* 

pp 2939-2946

Isao Nishimori, Daniela Vullo, Tomoko Minakuchi, Andrea Scozzafava, Clemente Capasso, Claudiu T. Supuran\*

$$\begin{array}{c|c} & & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$



### Synthesis, biological evaluation, and molecular docking studies of novel 2-styryl-5-nitroimidazole derivatives containing 1,4-benzodioxan moiety as FAK inhibitors with anticancer activity

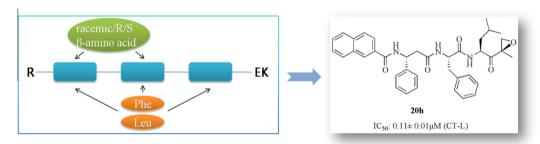
pp 2947-2954

Yong-Tao Duan, Yong-Fang Yao, Wei Huang, Jigar A. Makawana, Shashikant B. Teraiya, Nilesh j. Thumar, Dan-Jie Tang, Xiang-Xiang Tao, Zhong-Chang Wang, Ai-Qin Jiang\*. Hai-Liang Zhu\*

A series of 2-styryl-5-nitroimidazole derivatives containing 1,4-benzodioxan moiety (3a-3r) has been designed, synthesized and their biological activities were also evaluated as potential antiproliferation and focal adhesion kinase (FAK) inhibitors. Among all the compounds, 3p showed the most potent activity in vitro which inhibited the growth of A549 with IC<sub>50</sub> value of 3.11  $\mu$ M and Hela with IC<sub>50</sub> value of 2.54  $\mu$ M, respectively. Compound 3p also exhibited significant FAK inhibitory activity (IC<sub>50</sub> = 0.45  $\mu$ M). Docking simulation was performed for compound 3p into the FAK structure active site to determine the probable binding model.

### Design, synthesis and biological evaluation of novel tripeptidyl epoxyketone derivatives constructed from $\beta$ -amino acid pp 2955–2965 as proteasome inhibitors

Jiankang Zhang, Jiayi Cao, Lei Xu, Yubo Zhou, Tao Liu, Jia Li\*, Yongzhou Hu\*



A series of novel tripeptidyl epoxyketone derivatives constructed from  $\beta$ -amino acid, as exemplified by compound **20h**, were designed and synthesized. Several compounds exhibited potent proteasome inhibitory activities together with anti-proliferation activities against two multiple myeloma cell lines.

### Synthesis and evaluation of immunostimulant plasmalogen lysophosphatidylethanolamine and analogues for natural pp 2966–2973 killer T cells

Guanghui Ni, Zhiyuan Li, Kangjiang Liang, Ting Wu, Gennaro De Libero, Chengfeng Xia\*

**From norbornane-based nucleotide analogs locked in South conformation to novel inhibitors of feline herpes virus**pp 2974–2983

Milan Dejmek, Hubert Hřebabecký, Michal Šála, Martin Dračínský, Eliška Procházková, Pieter Leyssen, Johan Neyts,
Jan Balzarini, Radim Nencka\*



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