



European Journal of Medicinal Chemistry Vol 116, 2016

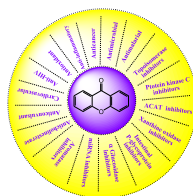
Graphical abstracts

REVIEW ARTICLES

Recent insight into the biological activities of synthetic xanthone derivatives

pp. 267–280

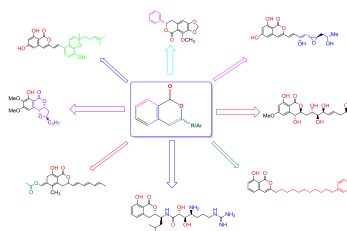
Shagufta* and Irshad Ahmad



Isocoumarins, miraculous natural products blessed with diverse pharmacological activities

pp. 290–317

Aamer Saeed



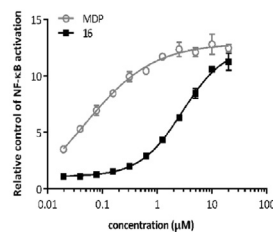
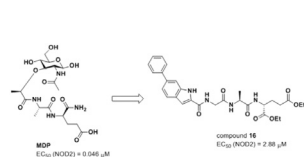
The current review describes the classification, structural, biological diversity and the pharmacological activities of isocoumarins. It documents nearly all new derivatives of these secondary metabolites isolated to date.

ORIGINAL ARTICLES

Structural requirements of acylated Gly-L-Ala-D-Glu analogs for activation of the innate immune receptor NOD2

pp. 1–12

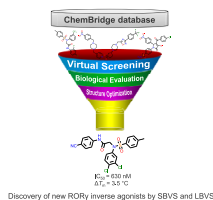
Martina Gobec, Irena Mlinarič-Raščan, Marija Sollner Dolenc and Žiga Jakopin*



Identification of *N*-phenyl-2-(*N*-phenylphenylsulfonamido)acetamides as new ROR γ inverse agonists: Virtual screening, structure-based optimization, and biological evaluation

pp. 13–26

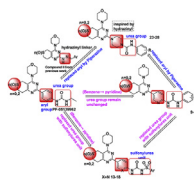
Yu Song, Xiaoqian Xue, Xishan Wu, Rui Wang, Yanli Xing, Weiqun Yan, Yulai Zhou, Chao-Nan Qian, Yan Zhang* and Yong Xu*



Design, synthesis, biological evaluation and docking studies of novel 2-substituted-4-morpholino-7,8-dihydro-5*H*-thiopyrano[4,3-*d*]pyrimidine derivatives as dual PI3K α /mTOR inhibitors

pp. 27–35

Fei Lei, Chengyu Sun, Shan Xu, Qinqin Wang, Yiqiang OuYang, Chen Chen, Hui Xia, Linxiao Wang, Pengwu Zheng** and Wufu Zhu*

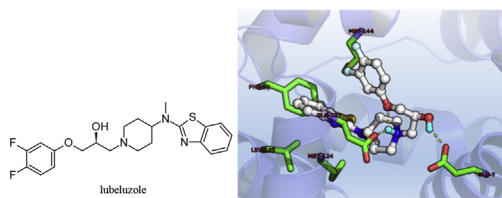


Four series of 2-substituted-4-morpholino- 7,8-dihydro-5*H*-thiopyrano[4,3-*d*]pyrimidine derivatives were synthesized and evaluated for their activity against PI3K α and mTOR kinase and cancer cell lines. The most promising compound **11** showed good antitumor potency for A549, PC-3 and MCF-7 cell lines with IC₅₀ values of 0.52 ± 0.10 μ M, 1.41 ± 0.10 μ M, and 4.82 ± 0.24 μ M, and strong antitumor activities against PI3K α /mTOR with IC₅₀ values of 6.72 ± 0.30 μ M and 0.94 ± 0.10 μ M.

The chemosensitizing agent lubeluzole binds calmodulin and inhibits Ca²⁺/calmodulin-dependent kinase II

pp. 36–45

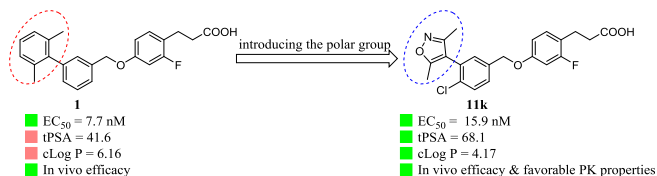
Claudio Bruno, Maria Maddalena Cavalluzzi*, Maria Rosaria Rusciano, Angelo Lovece, Antonio Carrieri, Riccardo Pracella, Giulia Giannuzzi, Lorenzo Polimeno, Maurizio Viale, Maddalena Illario, Carlo Franchini and Giovanni Lentini



Synthesis and biological evaluation of GPR40/FFAR1 agonists containing 3,5-dimethylisoxazole

pp. 46–58

Lingyun Yang, Jian Zhang, Lianghui Si, Li Han, Bo Zhang, Hui Ma, Junhao Xing, Leilei Zhao, Jinpei Zhou and Huibin Zhang*



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