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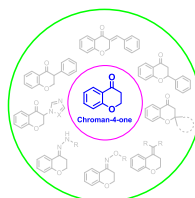
Graphical abstracts

MINI-REVIEW

Recent advances of chroman-4-one derivatives: Synthetic approaches and bioactivities

pp. 539–563

Saeed Emami* and Zahra Ghanbarimasir



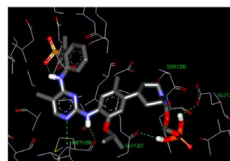
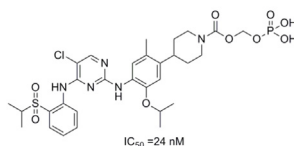
Chroman-4-ones are important intermediates and interesting building-blocks in organic synthesis and drug design. This review addresses the most significant synthetic methods and the biological relevance of 4-chromanone-derived compounds.

ORIGINAL ARTICLES

Synthesis and anticancer activities of ceritinib analogs modified in the terminal piperidine ring

pp. 1–8

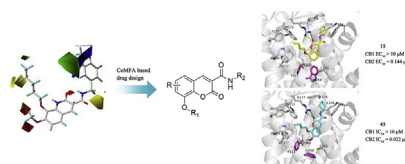
Peng Wang, Jin Cai, Junqing Chen and Min Ji*



Design, syntheses, structure–activity relationships and docking studies of coumarin derivatives as novel selective ligands for the CB2 receptor

pp. 16–32

Shuang Han, Fei-Fei Zhang, Hai-Yan Qian, Li-Li Chen, Jian-Bin Pu, Xin Xie* and Jian-Zhong Chen*

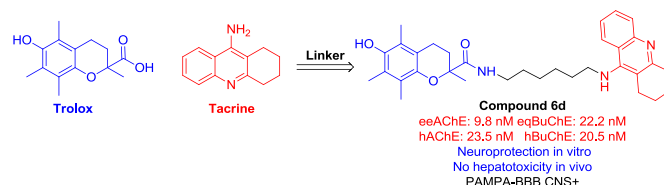


Guided by a CoMFA model of lead compounds, coumarin derivatives were designed and synthesized with high CB2 receptor bioactivities and selectivities. Docking simulations were performed to calculate the receptor–ligand interactions.

Multifunctional tacrine–trolox hybrids for the treatment of Alzheimer's disease with cholinergic, antioxidant, neuroprotective and hepatoprotective properties

pp. 42–50

Sai-Sai Xie, Jin-Shuai Lan, Xiao-Bing Wang, Neng Jiang, Ge Dong, Zhong-Rui Li, Kelvin D.G. Wang, Ping-Ping Guo and Ling-Yi Kong*

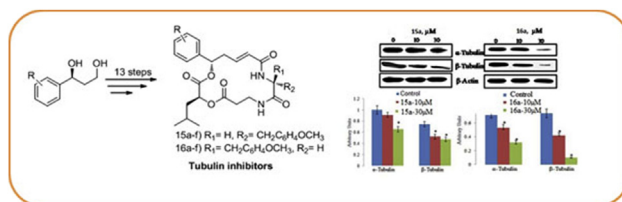


A series of novel tacrine–trolox hybrids were designed and synthesized as multifunctional agents for the treatment of AD. **6d** was found to be the most promising compound in this series.

Design and synthesis of a new class of cryptophycins based tubulin inhibitors

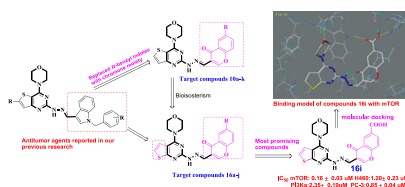
pp. 55–63

Arvind Kumar, Manjeet Kumar, Simmi Sharma, Santosh Kumar Guru, Shashi Bhushan and Bhahwal Ali Shah*

**Design, synthesis and docking studies of novel thienopyrimidine derivatives bearing chromone moiety as mTOR/PI3K α inhibitors**

pp. 64–73

Wufu Zhu*, Chen Chen, Chengyu Sun, Shan Xu, Chunjiang Wu, Fei Lei, Hui Xia, Qidong Tu and Pengwu Zheng**

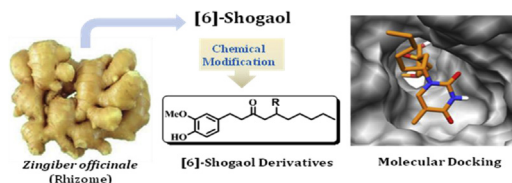


Two series of thieno-pyrimidines bearing chromone moieties were synthesized and evaluated for their activity against PI3K α and mTOR kinase and cancer cell lines. The most promising compound **16i** showed excellent *in vitro* antitumor activity, its IC_{50} values against mTOR/PI3K α kinase, H460 and PC-3 cell lines were $0.16 \pm 0.03 \mu M$, $2.35 \pm 0.19 \mu M$, $1.20 \pm 0.23 \mu M$ and $0.85 \pm 0.04 \mu M$, respectively.

Synthesis, molecular docking and *Brugia malayi* thymidylate kinase (BmTMK) enzyme inhibition study of novel derivatives of [6]-shogaol

pp. 74–82

Vinay Kr Singh, Pawan K. Doharey, Vikash Kumar, J.K. Saxena, M.I. Siddiqi, Sushma Rathaur and Tadigoppula Narender*



Twelve novel derivatives of [6]-shogaol have been synthesized and screened for *Brugia malayi* thymidylatekinase (BmTMK) inhibition activity. Five compounds showed potential inhibitory effect on BmTMK activity. Molecular docking studies were carried out to explore the putative binding mode of compounds **1–5**.

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