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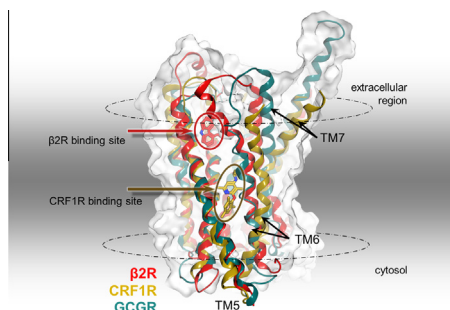
Contents

BMCL DIGEST

GPCR structures in drug design, emerging opportunities with new structures

pp 4073–4079

Christofer S. Tautermann

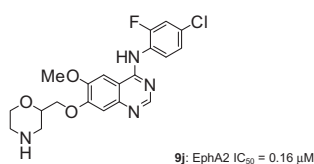


REGULAR ARTICLES

4-Substituted quinazoline derivatives as novel EphA2 receptor tyrosine kinase inhibitors

pp 4080–4083

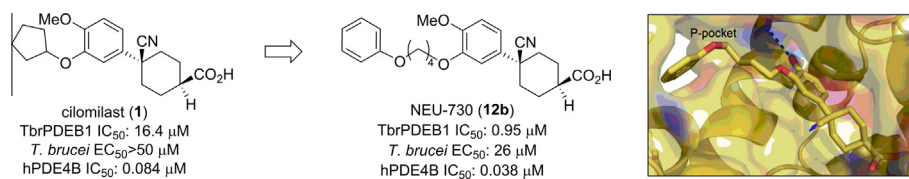
Chae Jo Lim, Kwang-Seok Oh, Jae Du Ha, Jeong Hyun Lee, Ho Won Seo, Chong Hack Chae, Dae-Ghon Kim, Mi-Jin Lee, Byung Ho Lee*



Repurposing human PDE4 inhibitors for neglected tropical diseases: Design, synthesis and evaluation of cilomilast analogues as *Trypanosoma brucei* PDEB1 inhibitors

pp 4084–4089

Emanuele Amata, Nicholas D. Bland, Charles T. Hoyt, Luca Settimo, Robert K. Campbell, Michael P. Pollastri*



Scaffold hopping of sampangine: Discovery of potent antifungal lead compound against *Aspergillus fumigatus* and *Cryptococcus neoformans*

pp 4090–4094

Zhigan Jiang, Na Liu, Guoqiang Dong, Yan Jiang, Yang Liu, Xiaomeng He, Yahui Huang, Shipeng He, Wei Chen, Zhengang Li, Jianzhong Yao, Zhenyuan Miao, Wannian Zhang*, Chunquan Sheng*



Scaffold hopping of antifungal natural product sampangine yielded thiophene derivative **S2** with broad-spectrum antifungal activity and improved water solubility. Particularly, compound **S2** showed good activity against *Aspergillus fumigatus* and *Cryptococcus neoformans*.

A. fumigatus: MIC = 16 µg/mL

C. neoformans: MIC = 2 µg/mL

Water solubility: 12.6 µg/mL

A. fumigatus: MIC = 1 µg/mL

C. neoformans: MIC = 0.25 µg/mL

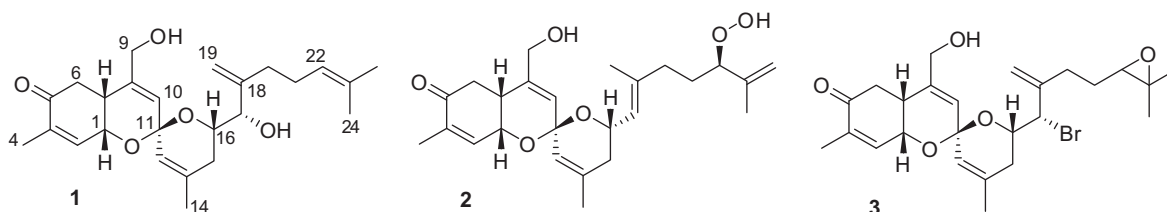
Water solubility: 48 µg/mL



Phorbaketals L–N, cytotoxic sesterterpenoids isolated from the marine sponge of the genus *Phorbas*

pp 4095–4098

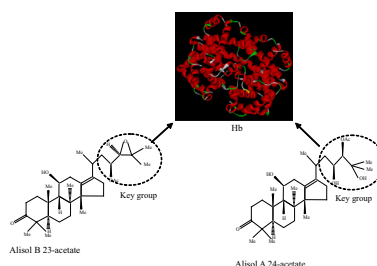
Yehee Lee, Weihong Wang, Hiyoung Kim, Awadut G. Giri, Dong Hwan Won, Dongyup Hahn, Kyung Ryul Baek, Jihye Lee, Inho Yang, Hyukjae Choi, Sang-Jip Nam*, Heonjoong Kang*



The binding mechanisms of plasma protein to active compounds in *Alismaorientale* rhizomes (*Alismatis Rhizoma*)

pp 4099–4105

Fei Xu, Qi-nan Wu*, Jun Chen, Wei Gu, Fang Fang, Lin-qun Zhang, Bo Zhao



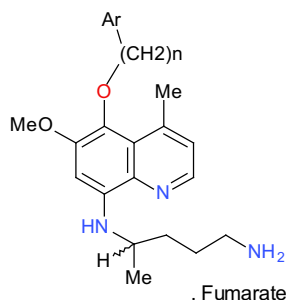
The side chain of alisol acetates may be the key group that determines the mode of interaction with the macromolecule, and therefore it plays a decisive role in interactions between alisol acetates and macromolecules.



In search of next generation antimalarials

pp 4106–4109

Sankar Chatterjee*, Keiichi Tanabe, Edward A. Nodiff



7 - 10) Ar = Ph, n = 4 - 7

Active in animal models (mouse and monkey) against both relapsing and non-relapsing malaria without displaying any inherent toxicity.

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