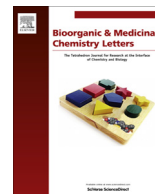




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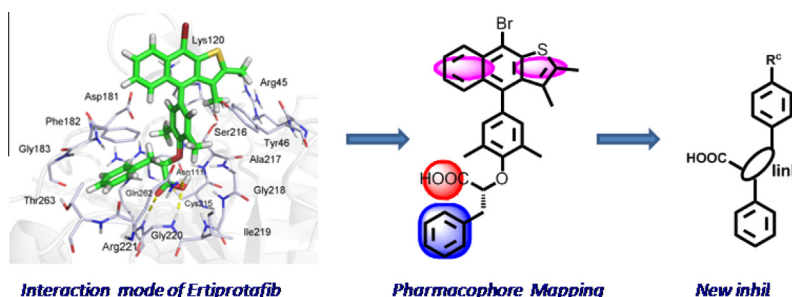
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Discovery of novel PTP1B inhibitors via pharmacophore-oriented scaffold hopping from Ertiprotafib

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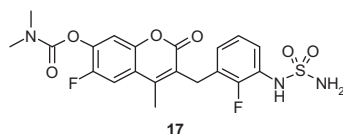
Jun-Zheng Liu, Shu-En Zhang, Feilin Nie, Ying Yang, Yan-Bo Tang, Wenwen Yin, Jin-Ying Tian, Fei Ye, Zhiyan Xiao*



The sulfamide moiety affords higher inhibitory activity and oral bioavailability to a series of coumarin dual selective RAF/MEK inhibitors

pp 6223–6227

Toshihiro Aoki, Ikumi Hyohdoh, Noriyuki Furuichi, Sawako Ozawa, Fumio Watanabe, Masayuki Matsushita, Masahiro Sakaitani, Kazutomo Ori, Kenji Takanashi, Naoki Harada, Yasushi Tomii, Mitsuyasu Tabo, Kiyoshi Yoshinari, Yuko Aoki, Nobuo Shimma, Hitoshi Iikura*

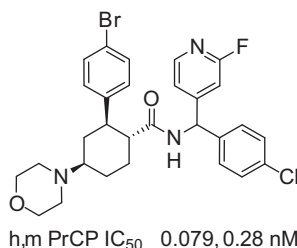

C-Raf IC₅₀: 5 nM
MEK1 IC₅₀: 9 nM

HCT116 xenograft
TGI at 50 mg/kg: 112%


Discovery and optimization of orally active cyclohexane-based prolylcarboxypeptidase (PrCP) inhibitors

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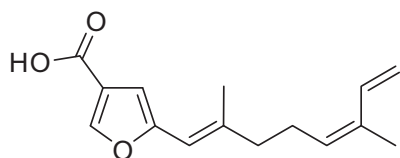
John S. Debenham*, Thomas H. Graham, Andreas Verras, Yong Zhang, Matthew J. Clements, Jeffrey T. Kueth, Christina Madsen-Duggan, Wensheng Liu, Urmi R. Bhatt, Dunlu Chen, Qing Chen, Margarita Garcia-Calvo, Wayne M. Geissler, Huaibing He, Xiaohua Li, JeanMarie Lisnock, Zhu Shen, Xinchun Tong, Elaine C. Tung, Judyann Wiltsie, Suoyu Xu, Jeffrey J. Hale, Shirley Pinto, Dong-Ming Shen



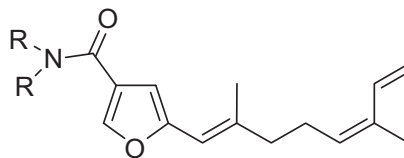
Anti-cancer evaluation of carboxamides of furano-sesquiterpene carboxylic acids from the soft coral *Sinularia kavarattiensis*

pp 6234–6238

Singanaboina Rajaram, Udugu Ramulu, Dasari Ramesh, Dudem Srikanth, Papri Bhattacharya, Peddikotla Prabhakar, Shasi V. Kalivendi, Katragadda Suresh Babu*, Yenamandra Venkateswarlu*, Suryakiran Navath*



Furano-sesquiterpene
carboxylic acid

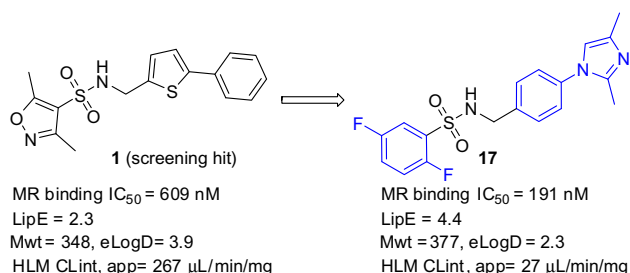


Furano-sesquiterpene carboxamide
8 examples

Design and synthesis of aryl sulfonamide-based nonsteroidal mineralocorticoid receptor antagonists

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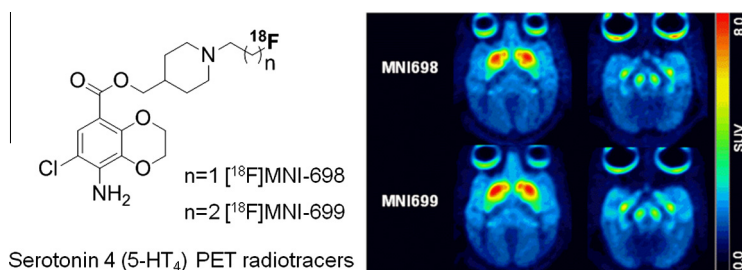
Kentaro Futatsugi*, David W. Piotrowski, Agustin Casimiro-Garcia, Shaughn Robinson, Matthew Sammons, Paula M. Loria, Mary E. Banker, Donna N. Petersen, Natalia J. Schmidt



Synthesis and biological evaluation of positron emission tomography radiotracers targeting serotonin 4 receptors in brain: [18 F]MNI-698 and [18 F]MNI-699

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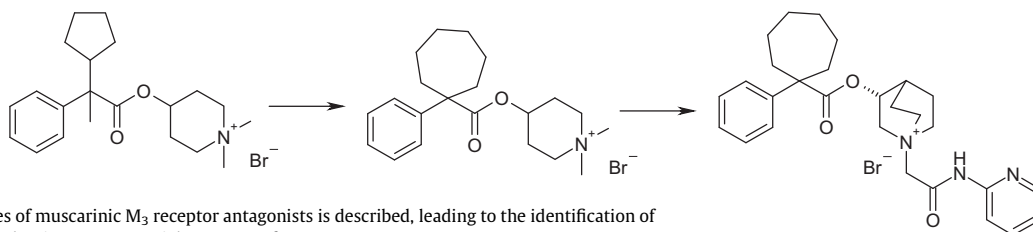
Fabien Caillé, Thomas J. Morley, Adriana Alexandre S. Tavares, Caroline Papin, Nicole M. Twardy, David Alagille, H. Sharon Lee, Ronald M. Baldwin, John P. Seibyl, Olivier Barret, Gilles D. Tamagnan*



The design of a novel series of muscarinic receptor antagonists leading to AZD8683, a potential inhaled treatment for COPD

pp 6248–6253

Antonio Mete, Keith Bowers, Richard J. Bull, Helen Coope, David K. Donald, Katherine J. Escott*, Rhonan Ford, Ken Grime, Andrew Mather, Nicholas C. Ray, Vince Russell



The design and improvement of a novel series of muscarinic M₃ receptor antagonists is described, leading to the identification of AZD8683, which was selected for clinical evaluation as a potential treatment for COPD.

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