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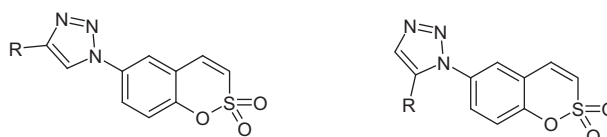
Bioorganic & Medicinal Chemistry Letters Volume 24, Issue 5, 2014

Contents

6-Triazolyl-substituted sulfocoumarins are potent, selective inhibitors of the tumor-associated carbonic anhydrases IX and XII

pp 1256–1260

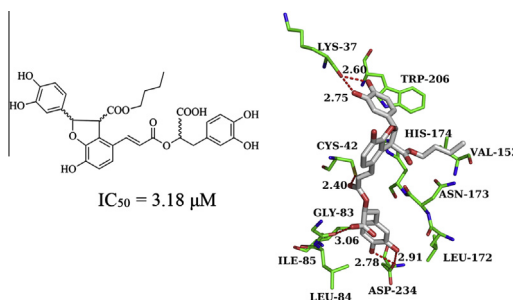
Aiga Grandane, Muhammet Tanc, Raivis Zalubovskis*, Claudiu T. Supuran*


 K_i (hCA I, II) > 10 μ M, K_i (hCA IX) = 7.2 – 10.5 nM; K_i (hCA XII) = 5.5 – 17.7 nM


Identification of diverse natural products as falcipain-2 inhibitors through structure-based virtual screening

pp 1261–1264

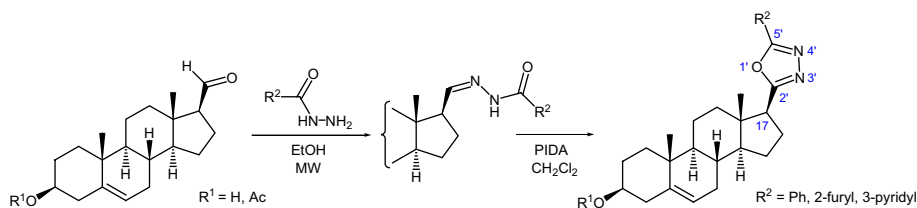
Liyang Wang, Shoude Zhang, Junsheng Zhu, Lili Zhu, Xiaofeng Liu, Lei Shan, Jin Huang*, Weidong Zhang*, Honglin Li*



A facile access to novel steroidal 17-2'-(1',3',4')-oxadiazoles, and an evaluation of their cytotoxic activities in vitro

pp 1265–1268

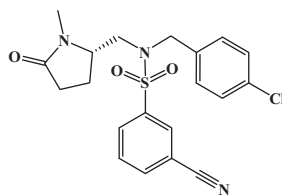
Dóra Kovács, Gergő Mótyán, János Wölfling, Ida Kovács, István Zupkó, Éva Frank*



Lactam sulfonamides as potent inhibitors of the Kv1.5 potassium ion channel

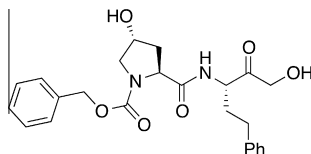
pp 1269–1273

Roine I. Olsson*, Ingemar Jacobson, Tommy Iliefski, Jonas Boström, Öjvind Davidsson, Ola Fjellström, Annika Björe, Christina Olsson, Johan Sundell, Ulrik Gran, Jonna Gyll, Jesper Malmberg, Olle Hidestål, Hans Emtenäs, Tor Svensson, Zhong-Qing Yuan, Gert Strandlund, Annika Åstrand, Emma Lindhardt, Gunilla Linhardt, Elin Forsström, Ågot Högberg, Frida Persson, Birgit Andersson, Anna Rönnborg, Boel Löfberg

**3y**Kv1.5 IC₅₀ = 0.21 μM**Synthesis, biological evaluation, hydration site thermodynamics, and chemical reactivity analysis of α-keto substituted peptidomimetics for the inhibition of *Plasmodium falciparum***

pp 1274–1279

David J. Weldon, Falgun Shah, Amar G. Chittiboyina, Anjaneyulu Sheri, Raji Reddy Chada, Jiri Gut, Philip J. Rosenthal, Develeena Shivakumar, Woody Sherman, Prashant Desai, Jae-Chul Jung, Mitchell A. Avery*

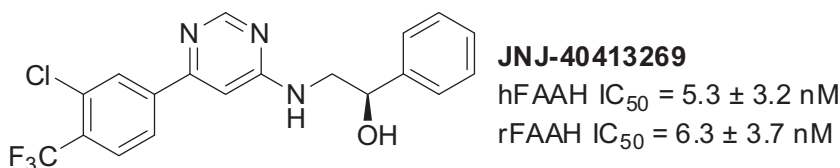


39 IC₅₀ FP-2 = 80nM
IC₅₀ FP-3 = 60nM

1-Aryl-2-((6-aryl)pyrimidin-4-yl)amino)ethanols as competitive inhibitors of fatty acid amide hydrolase

pp 1280–1284

John M. Keith*, Natalie Hawryluk, Richard L. Apodaca, Allison Chambers, Joan M. Pierce, Mark Seierstad, James A. Palmer, Michael Webb, Mark J. Karbarz, Brian P. Scott, Sandy J. Wilson, Lin Luo, Michelle L. Wennerholm, Leon Chang, Michele Rizzolio, Sandra R. Chaplan, J. Guy Breitenbucher

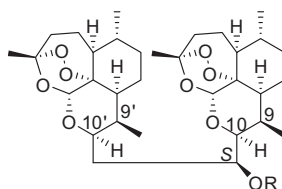


A series of 1-aryl-2-((6-aryl)pyrimidin-4-yl)amino)ethanols have been found to be competitive inhibitors of fatty acid amide hydrolase (FAAH). One member of this class, JNJ-40413269, was found to have excellent pharmacokinetic properties, demonstrated robust central target engagement, and was efficacious in a rat model of neuropathic pain.

The survival times of malaria-infected mice are prolonged more by several new two-carbon-linked artemisinin-derived dimer carbamates than by the trioxane antimalarial drug artemether

pp 1285–1289

Ryan C. Conyers, Jennifer R. Mazzone, Maxime A. Siegler, Abhai K. Tripathi, David J. Sullivan, Bryan T. Mott, Gary H. Posner*

R = H **6b**

R = C(O)NHA_r **7**
14 examples



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