



Bioorganic & Medicinal Chemistry Volume 17, Issue 24, 2009

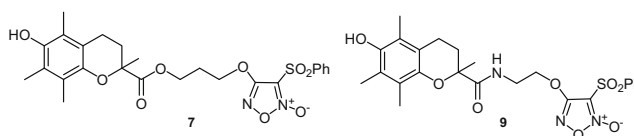
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Interaction studies between human α -tocopherol transfer protein and nitric oxide donor tocopherol analogues with LDL-protective activity

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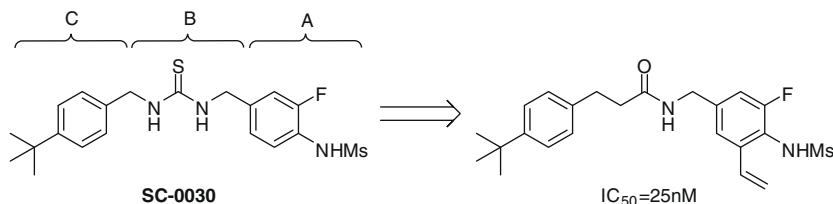
Gloria V. López^{*}, Luis E. Gómez, Nuria Campillo, Juan A. Páez, Kaleigh Giles, Jeffrey Atkinson, Mercedes González, Homero Rubbo, Hugo Cerecetto



Synthesis and structural optimization of multiple H-bonding region of diarylalkyl (thio)amides as novel TRPV1 antagonists

pp 8149–8160

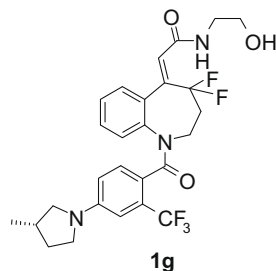
Fu-Nan Li, Nam-Jung Kim, Dong-Jo Chang, Jaebong Jang, Hannah Jang, Jong-Wha Jung, Kyung-Hoon Min, Yeon-Su Jeong, Sun-Young Kim, Young-Ho Park, Hee-Doo Kim, Hyeung-Geun Park, Young-Ger Suh^{*}



Optimization of (4,4-difluoro-1,2,3,4-tetrahydro-5H-1-benzazepine-5-ylidene)acetamide derivatives as arginine vasopressin V₂ receptor agonists and discussion of their binding modes

pp 8161–8167

Issei Tsukamoto^{*}, Hiroyuki Koshio, Masaya Orita, Chikashi Saitoh, Hiroko Yanai-Inamura, Chika Kitada-Nozawa, Eisaku Yamamoto, Takeyuki Yatsu, Shuichi Sakamoto, Shin-ichi Tsukamoto



V₂ agonistic activity (in vitro):
EC₅₀ = 1.0 nM

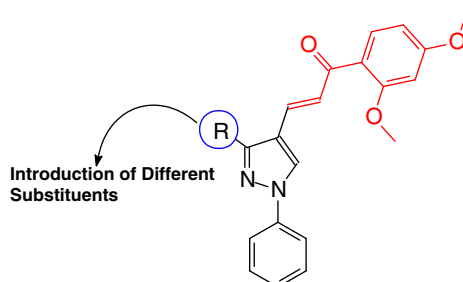
rat anti-diuretic activity (in vivo):
ED₅₀ = 0.012 mg/kg po

1g

Synthesis and biological evaluation of a novel series of pyrazole chalcones as anti-inflammatory, antioxidant and antimicrobial agents

pp 8168–8173

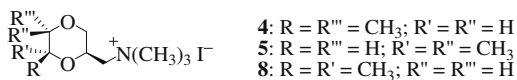
Babasaheb P. Bandgar^{*}, Shrikant S. Gawande, Ragini G. Bodade, Nalini M. Gawande, Chandrahasya N. Khobragade



Properly substituted 1,4-dioxane nucleus favours the selective M₃ muscarinic receptor activation

pp 8174–8185

Alessandro Piergentili^{*}, Wilma Quaglia, Fabio Del Bello, Mario Giannella, Maria Pigini, Elisabetta Barocelli, Simona Bertoni, Rosanna Matucci, Marta Nesi, Bruno Bruni, Massimo Di Vaira



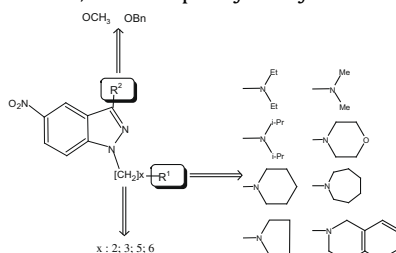
The novel ligands **4**, **5**, and **8** selectively activate M₃ muscarinic receptors.



New potent 5-nitroindazole derivatives as inhibitors of *Trypanosoma cruzi* growth: Synthesis, biological evaluation, and mechanism of action studies

pp 8186–8196

Jorge Rodríguez, Vicente J. Arán^{*}, Lucía Boiani, Claudio Olea-Azar^{*}, María Laura Lavaggi, Mercedes González, Hugo Cerecetto, Juan Diego Maya, Catalina Carrasco-Pozo, Hernán Speisky Cosoy

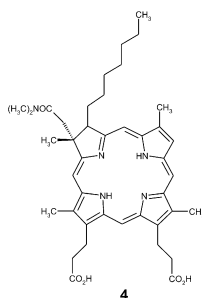


New 5-nitroindazole derivatives were developed and studied as antiproliferative *Trypanosoma cruzi* agents.

Spectroscopic and biological studies of a novel synthetic chlorin derivative with prospects for use in PDT

pp 8197–8205

Agnieszka Szurko^{*}, Marzena Rams, Aleksander Sochanik, Karolina Sieroń-Stożny, Agnieszka Maria Kozielc, Franz-Peter Montforts, Roman Wrzalik, Alicja Ratuszna

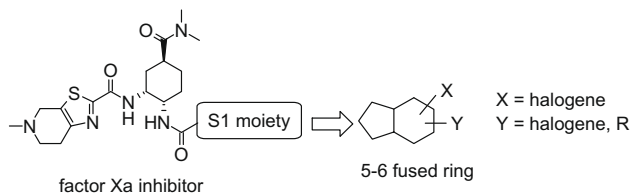


We describe spectroscopic and biological properties of a novel chlorin dicarboxylic acid **4** which is promising candidate for applications in PDT.

Design, synthesis, and SAR of *cis*-1,2-diaminocyclohexane derivatives as potent factor Xa inhibitors. Part I: Exploration of 5–6 fused rings as alternative S1 moieties

pp 8206–8220

Kenji Yoshikawa^{*}, Aki Yokomizo, Hiroyuki Naito, Noriyasu Haginoya, Shozo Kobayashi, Toshiharu Yoshino, Tsutomu Nagata, Akiyoshi Mochizuki, Ken Osanai, Kengo Watanabe, Hideyuki Kanno, Toshiharu Ohta



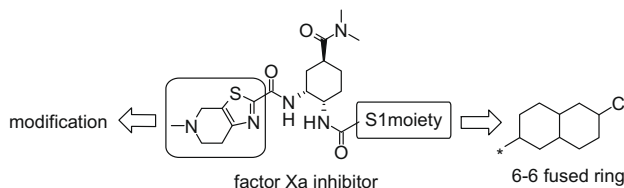
A series of *cis*-1,2-diaminocyclohexane derivatives possessing a 5–6 fused ring were synthesized as potent factor Xa (fXa) inhibitors.


Design, synthesis, and SAR of *cis*-1,2-diaminocyclohexane derivatives as potent factor Xa inhibitors.

pp 8221–8233

Part II: Exploration of 6–6 fused rings as alternative S1 moieties

Kenji Yoshikawa^{*}, Shozo Kobayashi, Yumi Nakamoto, Noriyasu Haginoya, Satoshi Komoriya, Toshiharu Yoshino, Tsutomu Nagata, Akiyoshi Mochizuki, Kengo Watanabe, Makoto Suzuki, Hideyuki Kanno, Toshiharu Ohta

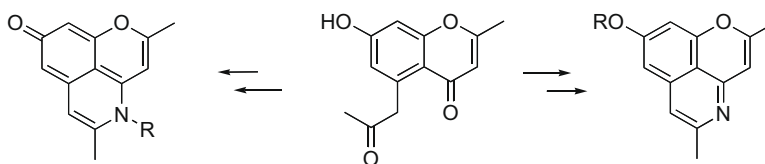


A series of *cis*-1,2-diaminocyclohexane derivatives possessing a 6–6 fused ring were synthesized as potent factor Xa (fXa) inhibitors.


Synthesis and structure–activity relationships of cassiarin A as potential antimalarials with vasorelaxant activity

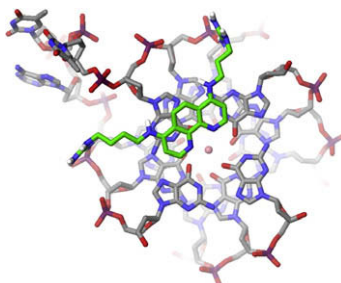
pp 8234–8240

Hiroshi Morita^{*}, Yuichiro Tomizawa, Jun Deguchi, Tokio Ishikawa, Hiroko Arai, Kazumasa Zaima, Takahiro Hosoya, Yusuke Hirasawa, Takayuki Matsumoto, Katsuo Kamata, Wiwied Ekasari, Aty Widawaruyanti, Tutik Sri Wahyuni, Noor Cholies Zaini, Toshio Honda


Design, synthesis and evaluation of 4,7-diamino-1,10-phenanthroline G-quadruplex ligands

pp 8241–8246

Mads Corvinus Nielsen, Jonas Borch, Trond Ulven^{*}



*Corresponding author

 Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (<http://www.pymol.org>). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, 102, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, 61(6), 355–360].

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