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Bioorganic & Medicinal Chemistry Volume 19, Issue 2, 2011

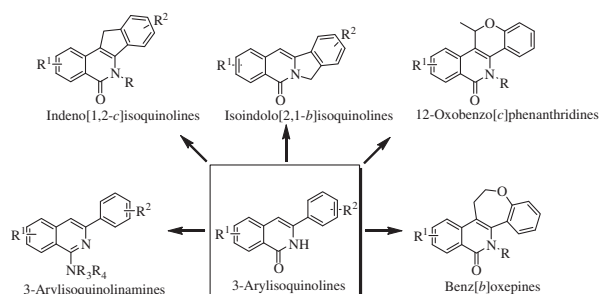
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REVIEW

3-Arylisoquinolines as novel topoisomerase I inhibitors

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Daulat Bikram Khadka, Won-Jea Cho*

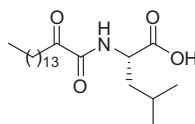


ARTICLES

Inhibition of secreted phospholipases A₂ by 2-oxoamides based on α-amino acids: Synthesis, in vitro evaluation and molecular docking calculations

pp 735–743

Varnavas D. Mouchlis, Victoria Magrioti, Efrosini Barbayianni, Nathan Cermak, Rob C. Oslund, Thomas M. Mavromoustakos, Michael H. Gelb, George Kokotos*



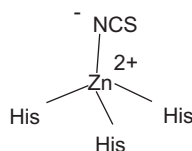
IC₅₀ 300 nM and 180 nM on human and mouse GIIA sPLA₂s.



Characterization and anions inhibition studies of an α-carbonic anhydrase from the teleost fish *Dicentrarchus labrax*

pp 744–748

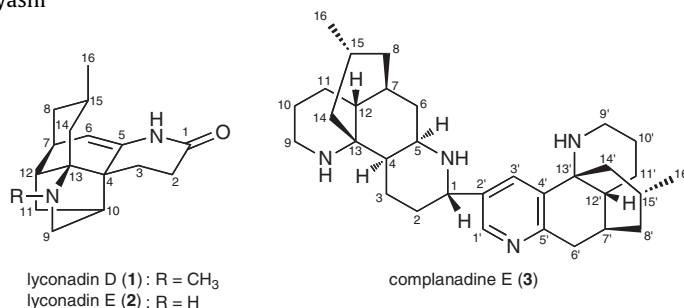
Deniz Ekinci*, Saltuk Buğrahan Ceyhan, Murat Şentürk, Deryanur Erdem, Ömer İrfan Küfrevioğlu, Claudiu T. Supuran*



Lyconadins D and E, and complanadine E, new *Lycopodium* alkaloids from *Lycopodium complanatum*

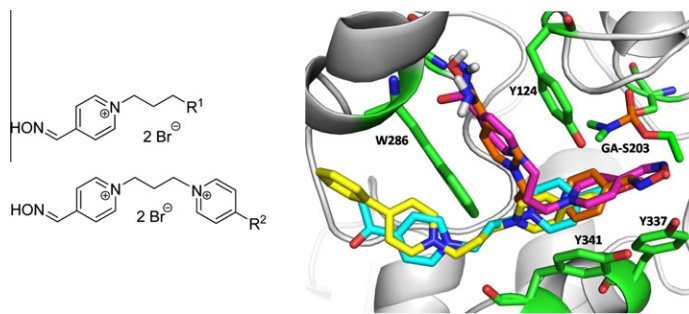
pp 749–753

Kan'ichiro Ishiuchi, Takaaki Kubota, Haruaki Ishiyama, Shigeki Hayashi, Toshiro Shibata, Koichiro Mori, Yutaro Obara, Norimichi Nakahata, Jun'ichi Kobayashi*

**Mono-oxime bisquaternary acetylcholinesterase reactivators with prop-1,3-diyl linkage—Preparation, in vitro screening and molecular docking**

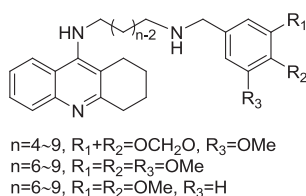
pp 754–762

Kamil Musilek*, Marketa Komloova, Ondrej Holas, Anna Horova, Miroslav Pohanka, Frank Gunn-Moore, Vlastimil Dohnal, Martin Dolezal, Kamil Kuca

**Design, synthesis and evaluation of novel tacrine-multialkoxybenzene hybrids as dual inhibitors for cholinesterases and amyloid beta aggregation**

pp 763–770

Wen Luo, Yan-Ping Li, Yan He, Shi-Liang Huang, Jia-Heng Tan, Tian-Miao Ou, Ding Li, Lian-Quan Gu, Zhi-Shu Huang*

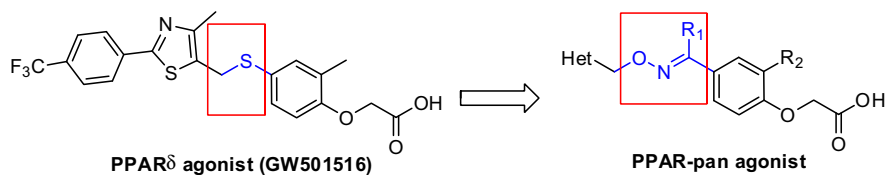


Tacrine-multialkoxybenzene hybrids were synthesized as anti-Alzheimer agents with high inhibition activity for both acetylcholinesterase, butyrylcholinesterase and amyloid beta self-aggregation.

**Effect of structurally constrained oxime–ether linker on PPAR subtype selectivity: Discovery of a novel and potent series of PPAR-pan agonists**

pp 771–782

Pankaj Makadia, Shailesh R. Shah*, Harikishore Pingali, Pandurang Zaware, Darshit Patel, Suresh Pola, Baban Thube, Priyanka Priyadarshini, Dinesh Suthar, Maanan Shah, Suresh Giri, Chitrag Trivedi, Mukul Jain, Pankaj Patel, Rajesh Bahekar*

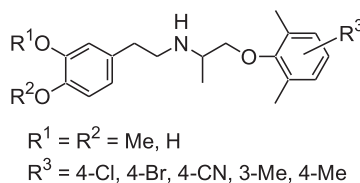


Effect of incorporation of structurally constrained oxime–ether linker in the chemotype of a PPAR δ agonist (GW-501516) towards the modulation of PPAR subtype selectivity was studied. Selected compounds **12a** and **17a** exhibited balanced PPAR-pan agonistic activity (in vitro) and showed excellent anti-hyperglycemic and anti-hyperlipidemic activities in relevant animal models.

Drug metabolism-based design, synthesis, and bioactivities of 1-(2,6-dimethylphenoxy)-2-(3,4-dimethoxyphenylethylamino)propane hydrochloride (DDPH) analogs as α_1 -adrenoceptors antagonists

pp 783–788

Bao-Min Xi, Zhen-Zhou Jiang, Jian-Wei Zou, Pei-Zhou Ni*, Wen-Hua Chen*

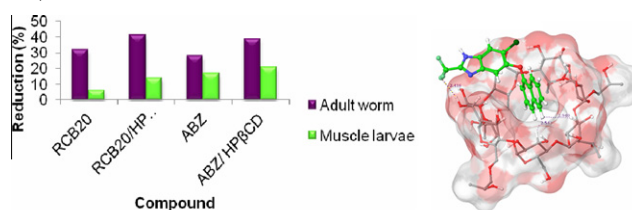


Eleven DDPH analogs were designed based on the probable metabolism pathway of DDPH. Most of the analogs exhibited potent bioactivities toward α_1 -adrenoceptors.

Studies on 6-chloro-5-(1-naphthoxy)-2-(trifluoromethyl)-1H-benzimidazole/2-hydroxypropyl- β -cyclodextrin association: Characterization, molecular modeling studies, and in vivo anthelmintic activity

pp 789–797

Yareli Rojas-Aguirre, Lilián Yépez-Mulia, Ivan Castillo, Fabian López-Vallejo, Olivia Soria-Arteche, Alicia Hernández-Campos, Rafael Castillo, Francisco Hernández-Luis*



In vivo activity against *T. spiralis*

RCB20/HPBCD

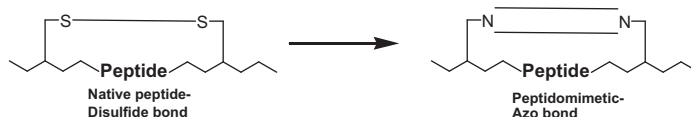
RCB20: 6-chloro-5-(1-naphthoxy)-2-(trifluoromethyl)-1H-benzimidazole
 HPBCD: 2-hydroxypropyl- β -cyclodextrin ABZ: albendazole



Intramolecular azo-bridge as a cystine disulfide bond surrogate: Somatostatin-14 and brain natriuretic peptide (BNP) analogs

pp 798–806

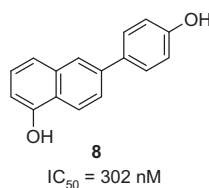
Gil Fridkin*, Theodosia Maina, Berthold A. Nock, Dan Blat, Vered Lev-Goldman, Yosef Scolnik, Aviva Kapitkovski, Yelena Vachutinsky, Yoram Shechter, Yaakov Levy



17 β -HSD2 inhibitors for the treatment of osteoporosis: Identification of a promising scaffold

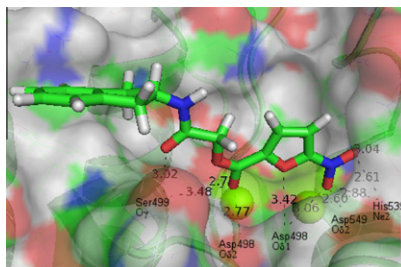
pp 807–815

Marie Wetzel, Sandrine Marchais-Oberwinkler, Rolf W. Hartmann*



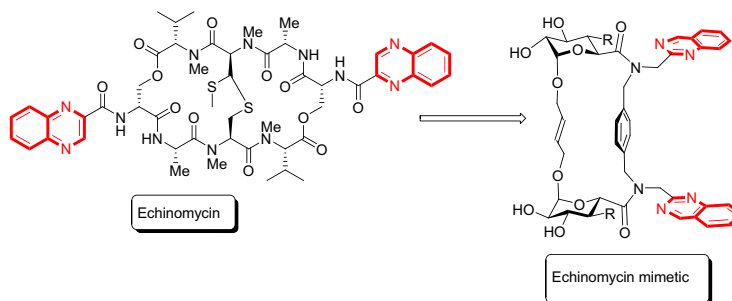
Structural and biochemical study on the inhibitory activity of derivatives of 5-nitro-furan-2-carboxylic acid for RNase H function of HIV-1 reverse transcriptase pp 816–825

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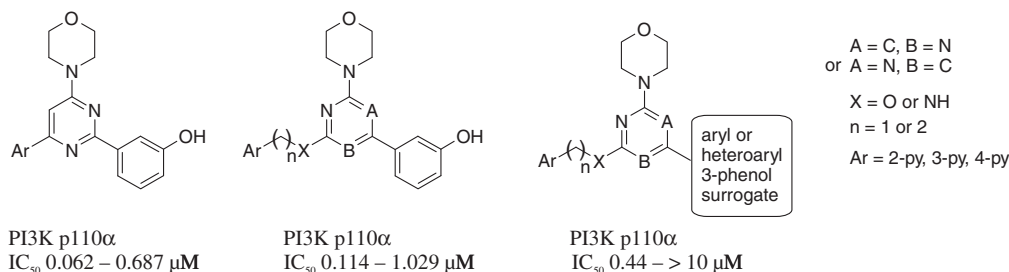
Towards echinomycin mimetics by grafting quinoxaline residues on glycophane scaffolds pp 826–835

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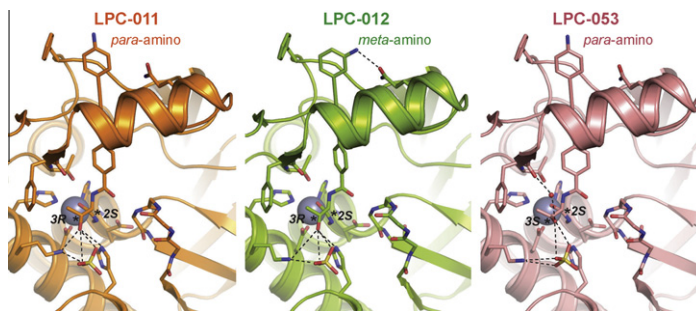
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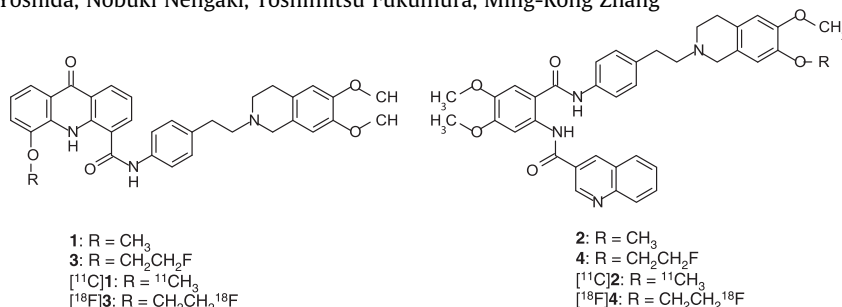


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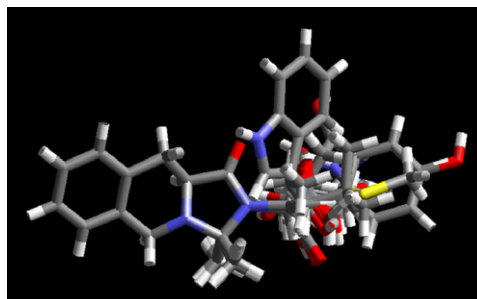
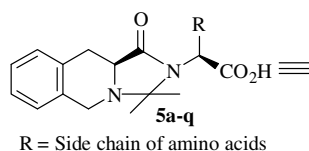
Xiaofei Liang, Chul-jin Lee, Xin Chen, Hak Suk Chung, Daina Zeng, Christian R. H. Raetz, Yaoxian Li, Pei Zhou*, Eric J. Toone*



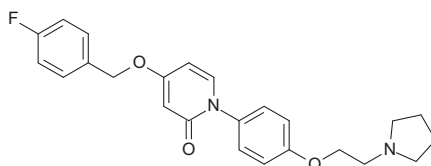
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Hong Wang, Le Peng, Ming Zhao*, Jiawang Liu, Xiaoyi Zhang, Yuji Wang, Jianhui Wu, Li Li, Shiqi Peng*

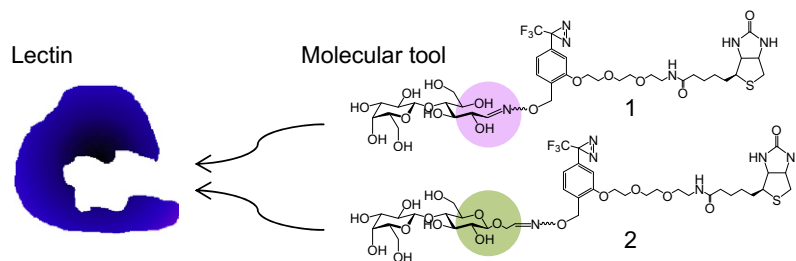


Yuji Haga, Sayaka Mizutani, Akira Naya, Hiroyuki Kishino*, Hisashi Iwaasa, Masahiko Ito, Junko Ito, Minoru Moriya, Nagaaki Sato, Norihiro Takenaga, Akane Ishihara, Shigeru Tokita, Akio Kanatani, Norikazu Ohtake



The synthesis and evaluation of a series of phenylpyridone derivatives as MCH1R antagonists are described.

Isao Ohtsuka*, Yutaka Sadakane, Mari Higuchi, Noriyasu Hada, Junko Hada, Nobuko Kakiuchi, Akiyo Sakushima



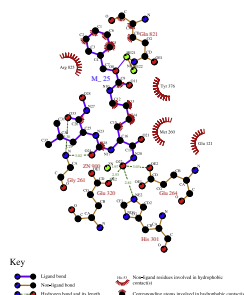
Effect on binding affinity of carbohydrate for lectin by structural difference of the reducing end sugar, open ring type 1 and close ring type 2, were examined by photoaffinity labeling.



Design, synthesis and biological evaluation of novel L-lysine ureido derivatives as aminopeptidase N inhibitors

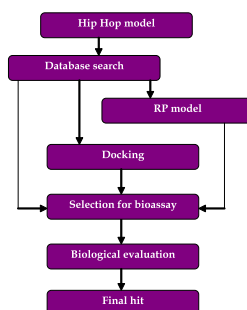
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Li Su, Hao Fang*, Kanghui Yang, Yingying Xu, Wenfang Xu*

**Computational approach to the identification of novel Aurora-A inhibitors**

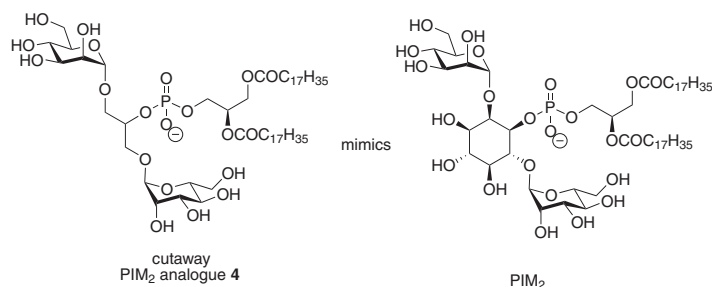
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Mohammad Neaz Morshed, Yong Seo Cho, Seon Hee Seo, Ki-Cheol Han, Eun Gyeong Yang, Ae Nim Pae*

**A PIM₂ analogue suppresses allergic airway disease**

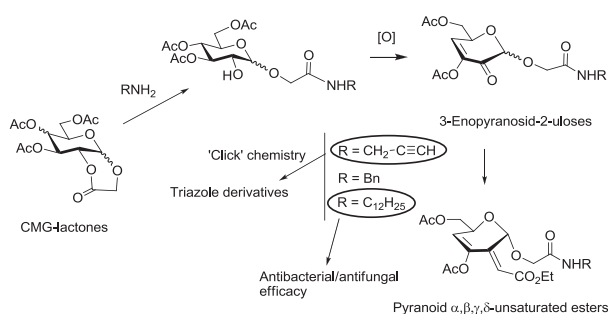
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Jacquie L. Harper, Colin M. Hayman, David S. Larsen*, Gavin F. Painter, Gurmit Singh-Gill

**Synthesis of sugars embodying conjugated carbonyl systems and related triazole derivatives from carboxymethyl glycoside lactones. Evaluation of their antimicrobial activity and toxicity**

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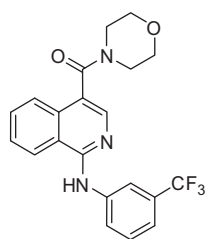
Nuno M. Xavier, Margarida Goulart, Ana Neves, Jorge Justino, Stéphane Chambert, Amélia P. Rauter*, Yves Queneau*



Microwave-assisted synthesis of quinoline, isoquinoline, quinoxaline and quinazoline derivatives as CB2 receptor agonists

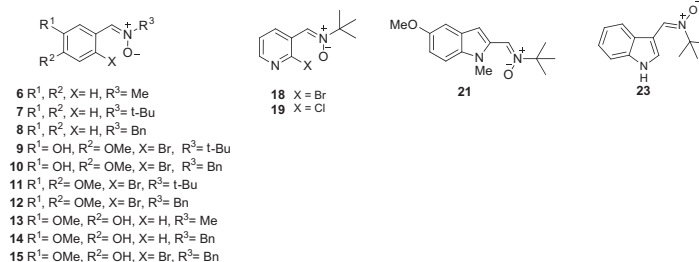
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Raimo Saari, Jonna-Carita Törmä, Tapio Nevalainen*

**40e**CB2 -logEC₅₀ = 7.8CB1 -logEC₅₀ = 5.0**Synthesis, structure, theoretical and experimental in vitro antioxidant/pharmacological properties of α -aryl, *N*-alkyl nitrones, as potential agents for the treatment of cerebral ischemia**

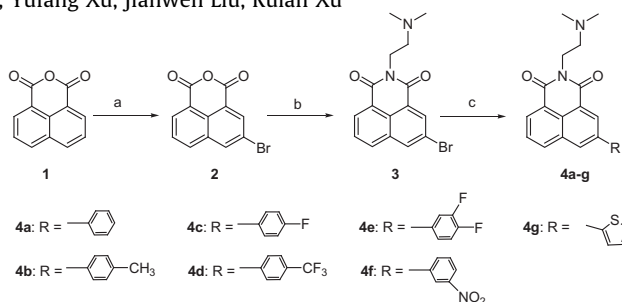
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Abdelouahid Samadi*, Elena Soriano, Julia Revuelta, Carolina Valderas, Mourad Chioua, Ignacio Garrido, Begoña Bartolomé, Isabelle Tomassolli, Lhassane Ismaili, Laura González-Lafuente, Mercedes Villarroya, Antonio G. García, María J. Oset-Gasque, José Marco-Contelles*

**5-Non-amino aromatic substituted naphthalimides as potential antitumor agents: Synthesis via Suzuki reaction, antiproliferative activity, and DNA-binding behavior**

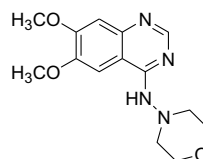
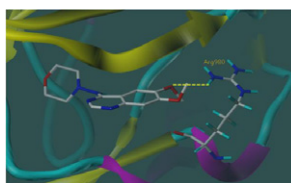
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Lijuan Xie, Jingnan Cui, Xuhong Qian*, Yufang Xu, Jianwen Liu, Ruian Xu

**Virtual screening and synthesis of quinazolines as novel JAK2 inhibitors**

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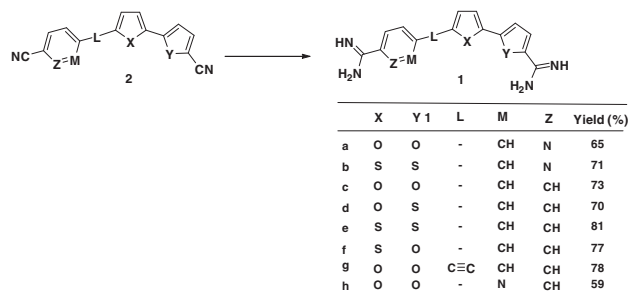
Su Hui Yang, Daulat Bikram Khadka, Suk Hee Cho, Hye-Kyung Ju, Kwang Youl Lee, Ho Jae Han, Kyung-Tae Lee, Won-Jea Cho*



Dicationic phenyl-2,2'-bichalcophenes and analogues as antiprotozoal agents

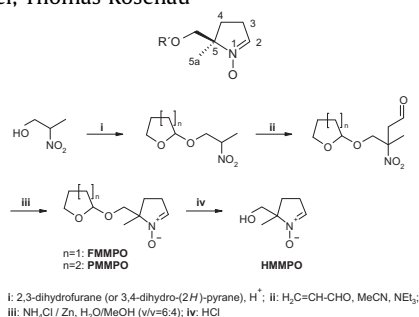
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Mohamed A. Ismail, Serry A. El Bialy, Reto Brun, Tanja Wenzler, Rupesh Nanjunda, W. David Wilson, David W. Boykin*

**Synthesis and characterization of 5-hydroxymethyl-5-methyl-pyrroline N-oxide and its derivatives**

pp 985–993

Klaus Stolze*, Natascha Rohr-Udilova, Anjan Patel, Thomas Rosenau

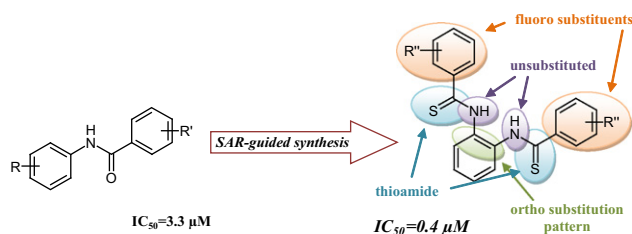


Synthesis and spin trapping properties of 5-hydroxymethyl-5-methyl-pyrroline N-oxide and two derivatives are reported.

**A journey from benzanilides to dithiobenzanilides: Synthesis of selective spasmolytic compounds**

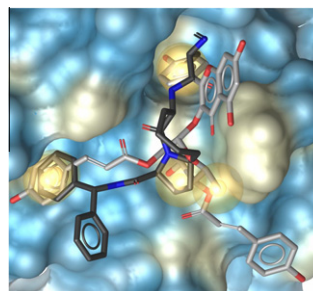
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Gerda Brunhofer, Walter H. Granig, Christian R. Studenik, Thomas Erker*

**In silico discovery of acylated flavonol monorhamnosides from *Eriobotrya japonica* as natural, small-molecular weight inhibitors of XIAP BIR3**

pp 1002–1009

Petra H. Pfisterer, Chenxi Shen, Zaneta Nikolovska-Coleska, Lilianna Schyschka, Daniela Schuster, Anita Rudy, Gerhard Wolber, Angelika M. Vollmar, Judith M. Rollinger, Hermann Stuppner*

Predicted placement of compound **3** (light gray) from loquat overlaid with the Smac mimetic compound (dark gray, 2JK7) on the XIAP BIR3 protein surface coloured by hydrophobicity (LigandScout).

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*Corresponding author

+ Supplementary data available via ScienceDirect**COVER**

Hydrophobic contacts with the XIAP BIR3 protein surface. Blue surface colouring indicates polar areas, while yellow corresponds to hydrophobic areas. Yellow spheres located on the ligand side illustrate hydrophobic chemical feature locations as detected by LigandScout. Compound 3 carbon atoms are shown in light gray, the ones of the Smac mimetic compound (2JK7) in dark gray. [Pfisterer, P. H.; Shen, C.; Nikolovska-Coleska, Z.; Schyschka, L.; Schuster, D.; Rudy, A.; Wolber, G.; Vollmar, A. M.; Rollinger, J. M.; Stuppner, H. *Bioorg. Med. Chem.* **2011**, 19, 1013.]

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