



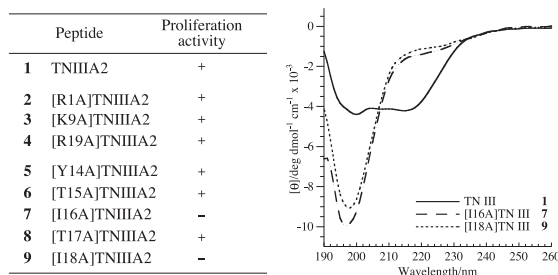
## Bioorganic &amp; Medicinal Chemistry Volume 20, Issue 15, 2012

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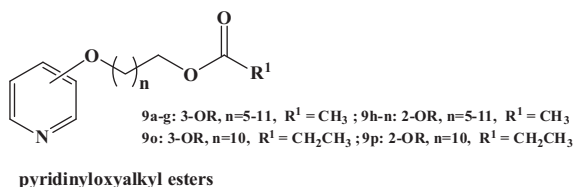
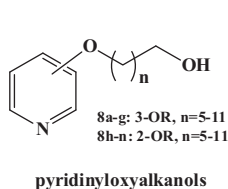
## ARTICLES

**The cell adhesion and proliferation activities of a peptide derived from human tenascin-C are dependent on two Ile residues** pp 4608–4613

Ryo Hayashi, Shogo Miura, Yohei Saito, Satoshi Osada, Takuya Iyoda, Fumio Fukai, Hiroaki Kodama\*

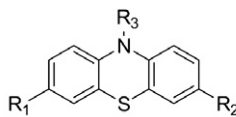

**Chemoenzymatic synthesis and biological evaluation of 2- and 3-hydroxypyridine derivatives against *Leishmania mexicana*** pp 4614–4624

Guadalupe García Liñares, Gonzalo Parraud, Carlos Labriola, Alicia Baldessari\*


**Synthesis and in vitro evaluation of  $\alpha$ -synuclein ligands**

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Lihai Yu, Jinquan Cui, Prashanth K. Padakanti, Laura Engel, Devika P. Bagchi, Paul T. Kotzbauer, Zhude Tu\*



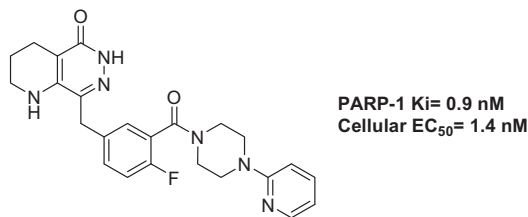
$R_1 = \text{OCH}_3$ ; H; Br; I; OH;  $\text{OCH}_2\text{CH}_2\text{F}$ ;  $\text{OCH}_2\text{CH}=\text{CH}$ ;  $\text{OCH}_2\text{C}\equiv\text{CH}$ .  
 $R_2 = \text{OCH}_3$ ; CN;  $\text{NO}_2$ ;  $\text{NH}_2$ ;  $\text{NHCH}_3$ ;  $\text{N}(\text{CH}_3)_2$ .  
 $R_3 = \text{H}$ ;  $\text{CH}_3$ ;  $\text{COCH}_3$ .



**Discovery and SAR of orally efficacious tetrahydropyridopyridazinone PARP inhibitors for the treatment of cancer**

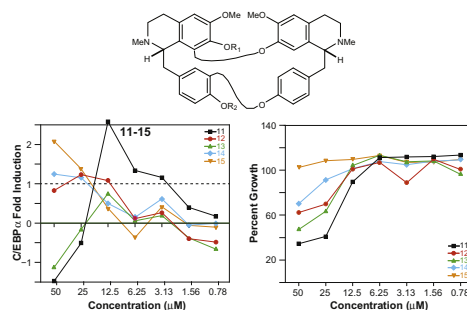
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Gui-Dong Zhu\*, Jianchun Gong, Viraj B. Gandhi, Xuesong Liu, Yan Shi, Eric F. Johnson, Cherrie K. Donawho, Paul A Ellis, Jennifer J. Bouska, Donald J. Osterling, Amanda M. Olson, Chang Park, Yan Luo, Alexander Shoemaker, Vincent L. Giranda, Thomas D. Penning

**Discovery and preliminary SAR of bisbenzylisoquinoline alkaloids as inducers of C/EBP $\alpha$** 

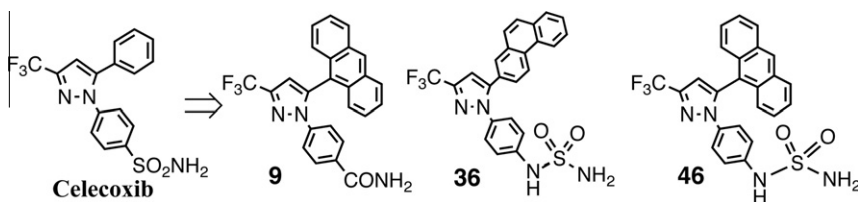
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Paul Klausmeyer\*, Thomas G. McCloud, Dominic A. Scudiero, Michael J. Currens, John H. Cardellina II, Robert H. Shoemaker

**Development of novel antibacterial agents against methicillin-resistant *Staphylococcus aureus***

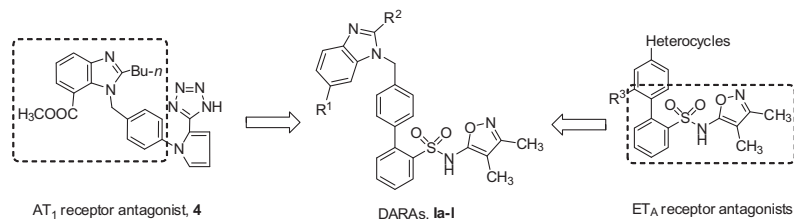
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Hao-Chieh Chiu, Su-Lin Lee, Naval Kapuriya, Dasheng Wang, Yi-Ru Chen, Sung-Liang Yu, Samuel K. Kulp, Lee-Jene Teng, Ching-Shih Chen\*

**Synthesis and biological evaluation of 4'-[(benzimidazole-1-yl)methyl]biphenyl-2-sulfonamide derivatives as dual angiotensin II/endothelin A receptor antagonists**

pp 4661–4667

Renren Bai, Zhen Wei, Jie Liu, Weijia Xie, Hequan Yao, Xiaoming Wu\*, Jieyun Jiang, Qiujuan Wang, Jinyi Xu\*

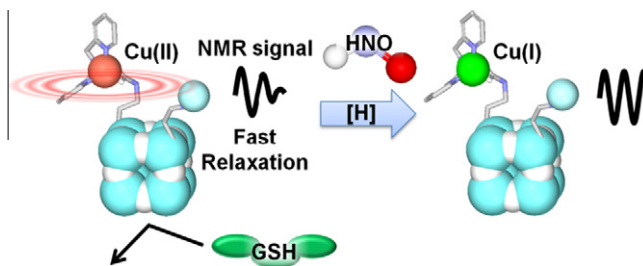


A series of 40-[(benzimidazole-1-yl)methyl]biphenyl-2-sulfonamide derivatives (**Ia–II**) were synthesized and biologically evaluated. The most prospective compound **Ig** was found to have the most effective antagonism for Ang II AT $_1$  and endothelin ET $_A$  receptors, exhibiting more potent activity (AT $_1$   $IC_{50}$  = 8.5 nM, ET $_A$   $IC_{50}$  = 8.9 nM) than losartan and equivalent activity to bosentan, and was more potent than losartan in RHRs with no significant effect on heart rate.

**Reduced glutathione-resisting  $^{19}\text{F}$  NMR sensors for detecting  $\text{HNO}$** 

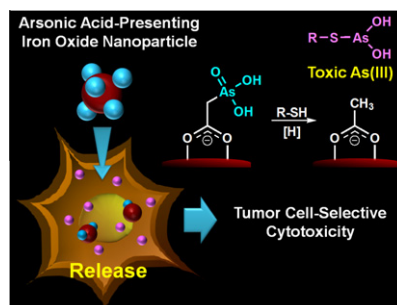
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Narufumi Kitamura, Tatsuhiro Hiraoka, Kazuo Tanaka, Yoshiki Chujo\*

**Tumor cell-specific prodrugs using arsonic acid-presenting iron oxide nanoparticles with high sensitivity**

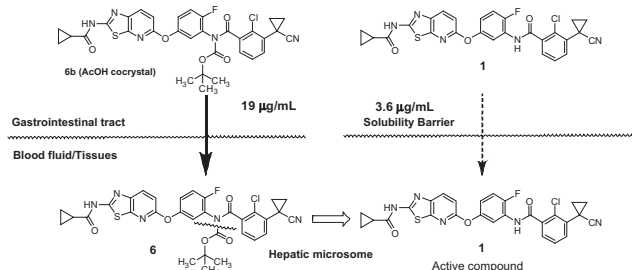
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Hiroki Minehara, Asako Narita, Kensuke Naka, Kazuo Tanaka, Moeko Chujo, Masaya Nagao, Yoshiki Chujo\*

**Design and synthesis of novel DFG-out RAF/vascular endothelial growth factor receptor 2 (VEGFR2) inhibitors: 2. Synthesis and characterization of a novel imide-type prodrug for improving oral absorption**

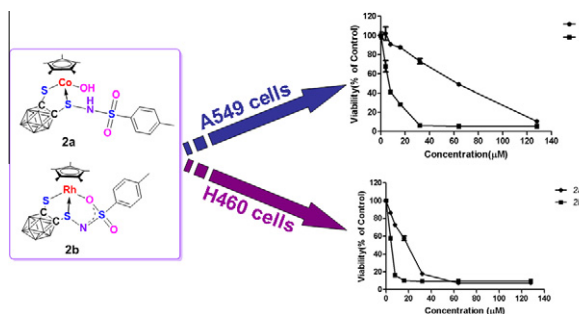
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**Synthesis, cytotoxic activities and cell cycle arrest profiles of half-sandwich *N*-sulfonamide based dithio-*o*-carborane metal complexes**

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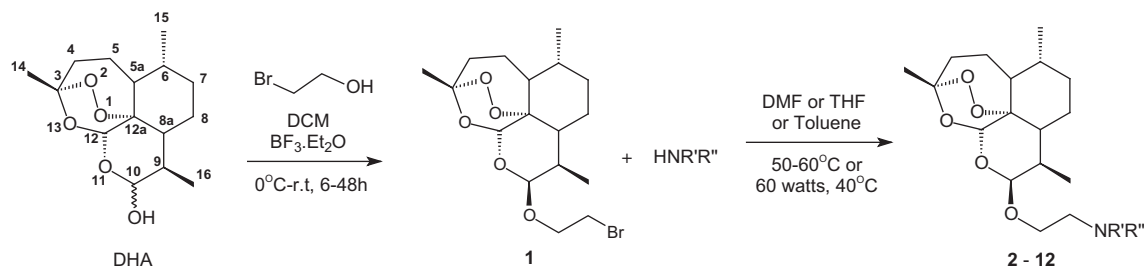
Huan Dou, Wei Zhong, Liu Yang, Tingting Wang, Hong Yan\*, Yayi Hou\*



**Synthesis, antimalarial activity and cytotoxicity of 10-aminoethylether derivatives of artemisinin**

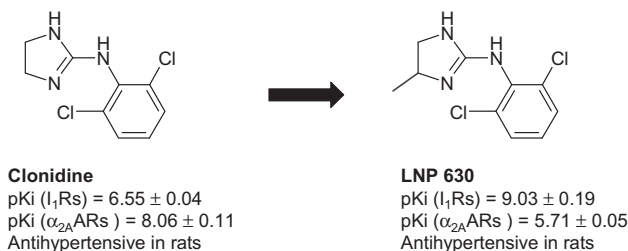
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Theunis T. Cloete, J. Wilma Breytenbach, Carmen de Kock, Peter J. Smith, Jaco C. Breytenbach, David D. N'Da\*

**Methylation of imidazoline related compounds leads to loss of  $\alpha_2$ -adrenoceptor affinity. Synthesis and biological evaluation of selective I<sub>1</sub> imidazoline receptor ligands**

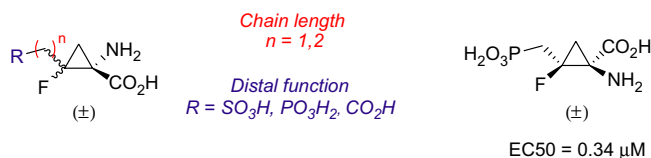
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 **$\alpha$ -Amino- $\beta$ -fluorocyclopropanecarboxylic acids as a new tool for drug development: Synthesis of glutamic acid analogs and agonist activity towards metabotropic glutamate receptor 4**

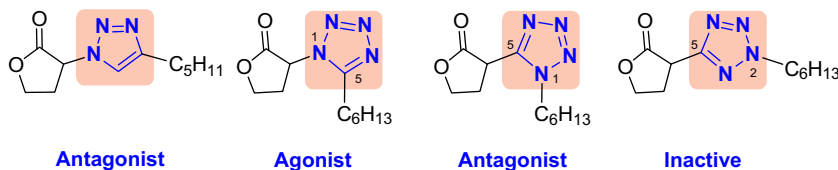
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Gérald Lemonnier, Cédric Lion, Jean-Charles Quirion, Jean-Philippe Pin, Cyril Goudet, Philippe Jubault\*

**Synthesis and biological evaluation of new N-acyl-homoserine-lactone analogues, based on triazole and tetrazole scaffolds, acting as LuxR-dependent quorum sensing modulators**

pp 4727–4736

Mohamad Sabbah, Fanny Fontaine, Lucie Grand, Mohamed Boukraa, Mohamed L. Efrit, Alain Doutheau, Laurent Soullère\*, Yves Queneau\*



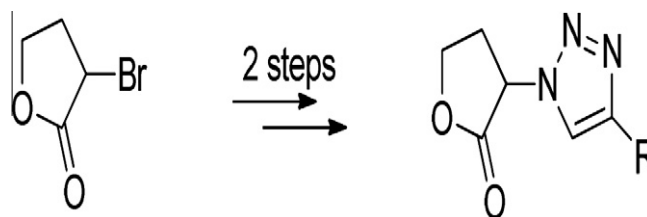
New 1,2,3-triazolic or 1,2,3,4-tetrazolic N-acyl-homoserine-lactone analogues showed activities either antagonist or agonist in LuxR dependent quorum sensing, notably some 1,4-triazolic and 1,5-tetrazolic ones, whereas 2,5-tetrazolic systems are inactive. Activity (agonistic or antagonistic) also depends on the connection between the heterocycle and the lactone moiety.



**Synthesis and evaluation of the quorum sensing inhibitory effect of substituted triazolyldihydrofuranones**

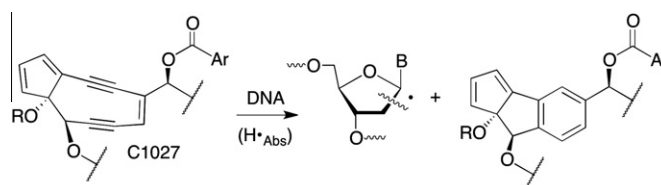
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Gilles Brackman\*, Martijn Risseuw, Shari Celen, Paul Cos, Louis Maes, Hans J. Nelis, Serge Van Calenbergh, Tom Coenye

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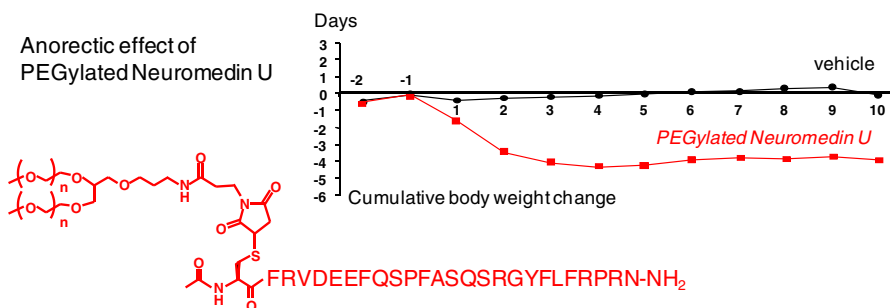
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Joanna Maria N. San Pedro, Terry A. Beerman, Marc M. Greenberg\*

**PEGylation of Neuromedin U yields a promising candidate for the treatment of obesity and diabetes**

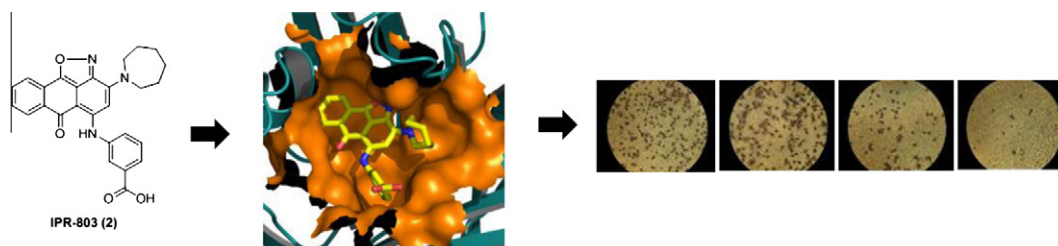
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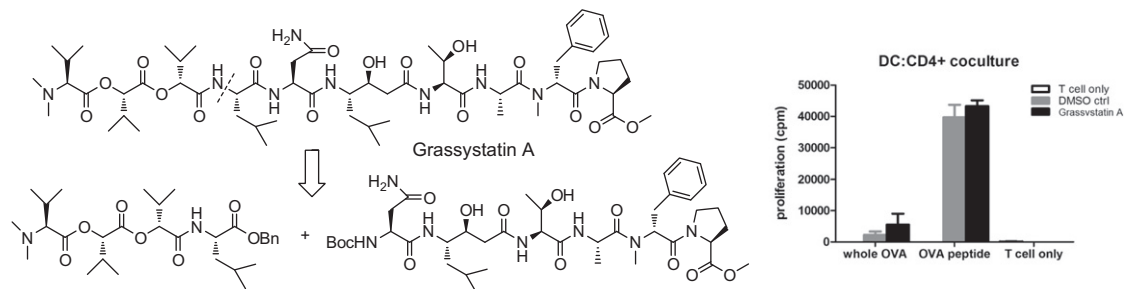
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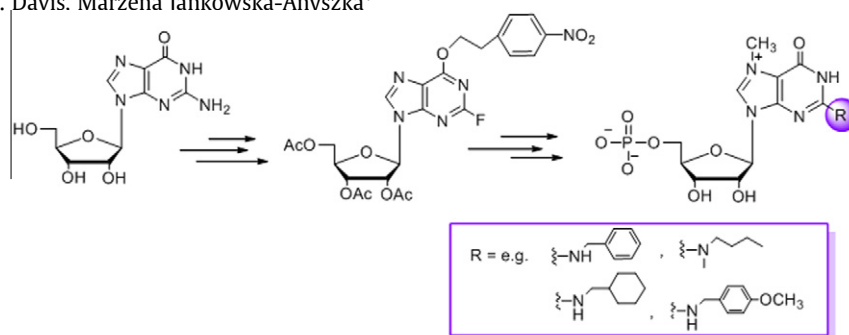
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Siming Yang, Wei Zhang, Ning Ding, Jeannette Lo, Yanxia Liu, Michael J. Clare-Salzler, Hendrik Luesch\*, Yingxia Li\*

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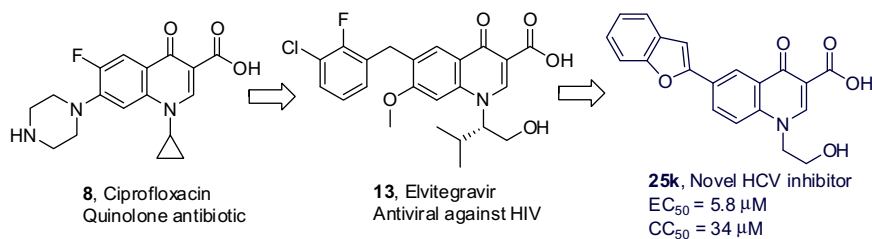
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Karolina Piecyk, Richard E. Davis, Marzena Iankowska-Anvszka\*

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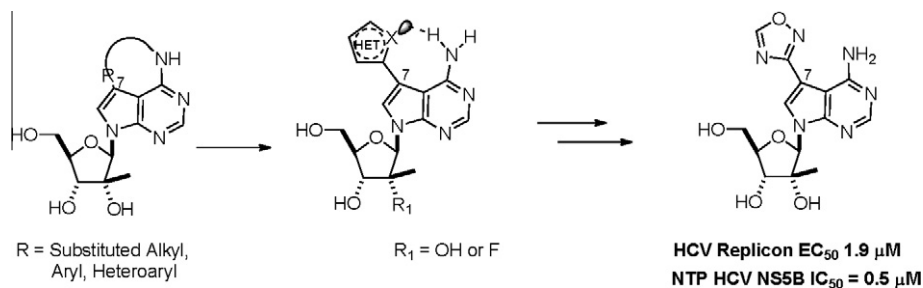
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Yue-Lei Chen, Jeana Zacharias, Robert Vince, Robert J. Geraghty, Zhengqiang Wang\*

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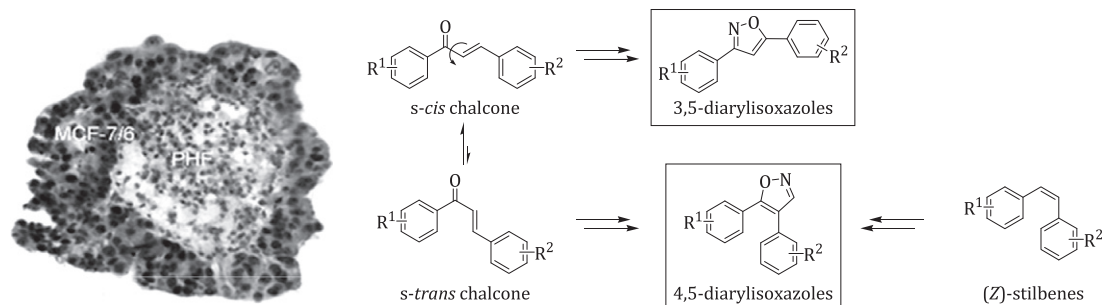
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M. Emilia Di Francesco\*, Salvatore Avolio, Marco Pompei, Silvia Pesci, Edith Monteagudo, Vincenzo Pucci, Claudio Giuliano, Fabrizio Fiore, Michael Rowley, Vincenzo Summa



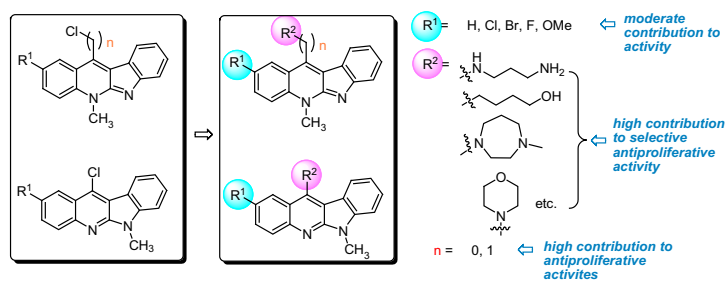
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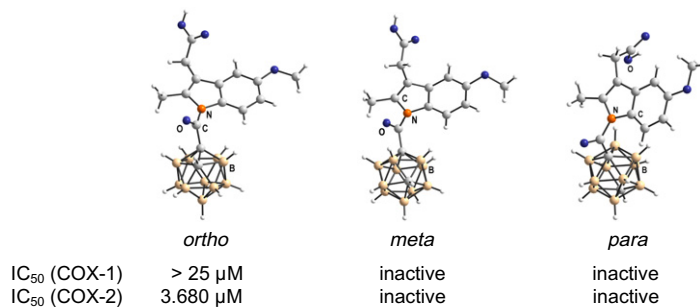
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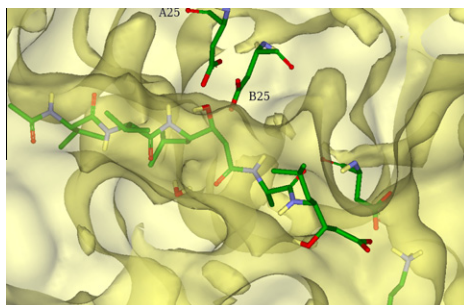
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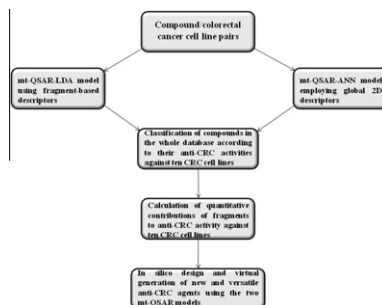
José L. Domínguez, Thomas Gossas, M. Carmen Villaverde, U. Helena Danielson\*, Fredy Sussman\*



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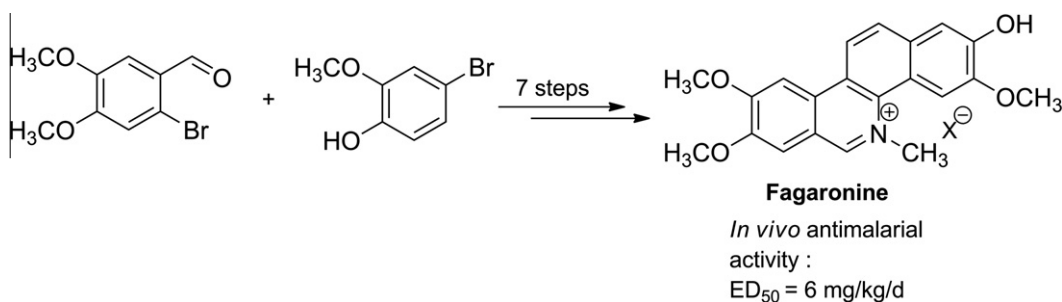
Alejandro Speck-Planché\*, Valeria V. Kleandrova, Feng Luan, M. Natália D.S. Cordeiro\*



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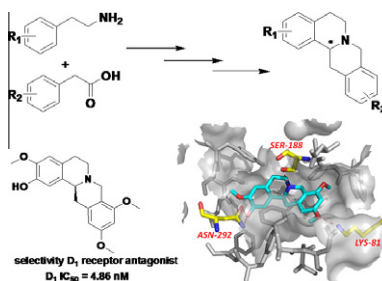
M. Rivaud, A. Mendoza, M. Sauvain, A. Valentin, V. Jullian\*



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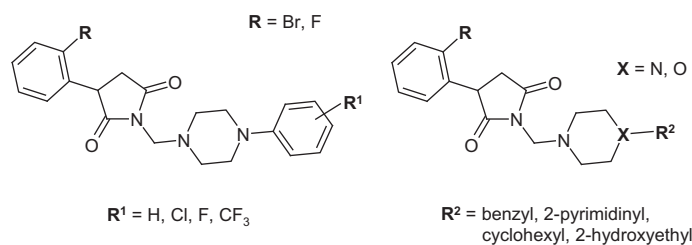
Wangke Qian, Weijian Lu, Haifeng Sun, Zeng Li, Liyuan Zhu, Rui Zhao, Lei Zhang, Shengbin Zhou, Yu Zhou, Hualiang Jiang, Xuechu Zhen\*, Hong Liu\*



## Synthesis and anticonvulsant activity of new N-Mannich bases derived from 3-(2-fluorophenyl)- and 3-(2-bromophenyl)-pyrrolidine-2,5-diones. Part II

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Jolanta Obniska\*, Sabina Rzepka, Krzysztof Kamiński



The library of 24 new N-Mannich bases derived from 3-(2-fluorophenyl)- and 3-(2-bromophenyl)-pyrrolidine-2,5-diones have been synthesized as potential anticonvulsants. The in vivo results showed that majority of compounds were active in maximal electroshock test (MES).

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\*Corresponding author

\* Supplementary data available via SciVerse ScienceDirect**COVER**

Thioglycine and l-thiovaline are stable under acidic and basic conditions but in the presence of bicarbonate they liberate the gasotransmitter H<sub>2</sub>S. They will help to shed light on the biological role of this gasotransmitter. [Zhou, Z.; von Wantoch Rekowski, M.; Coletta, C.; Szabo, C.; Bucci, M.; Cirino, G.; Topouzis, S.; Papapetropoulos, A.; Giannis, A. **2012**, 20, 2675–2678.]

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ISSN 0968-0896