



Bioorganic & Medicinal Chemistry Volume 20, Issue 17, 2012

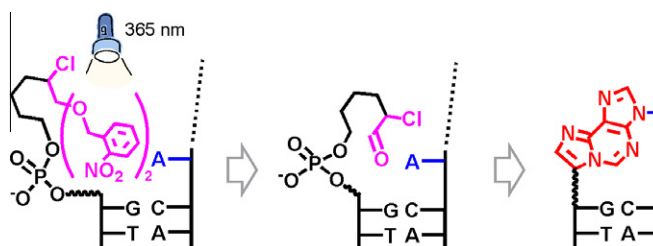
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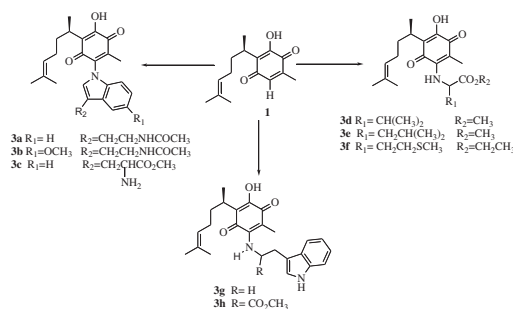
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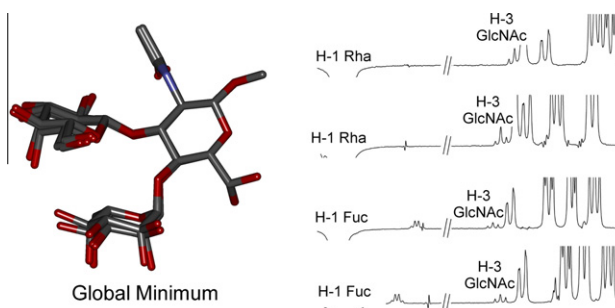
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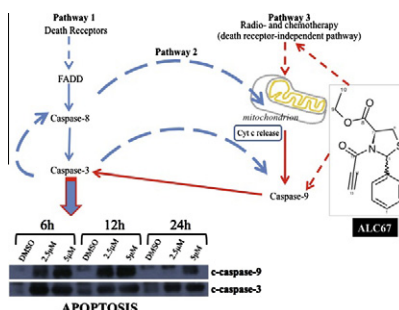
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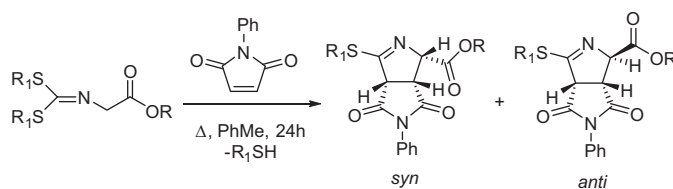
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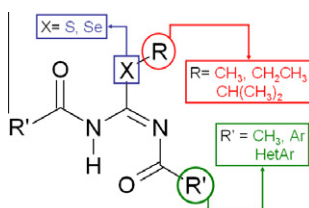
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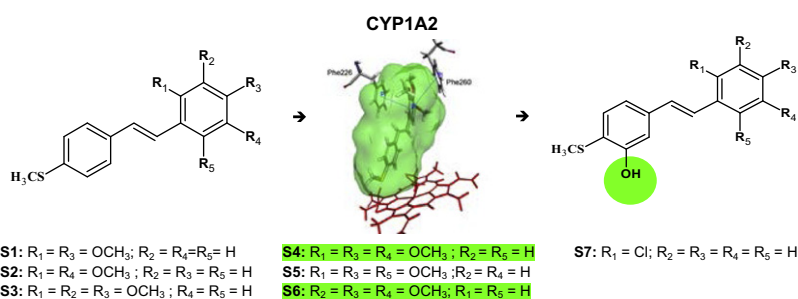


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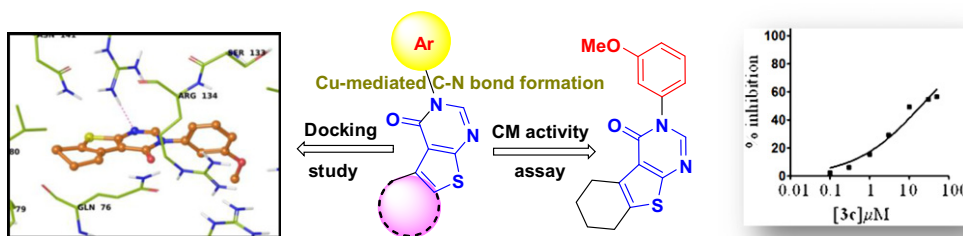
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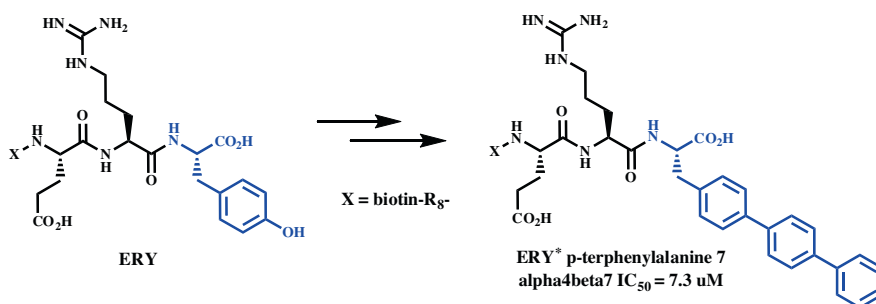
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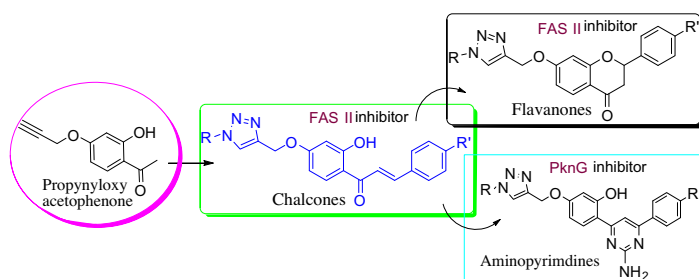
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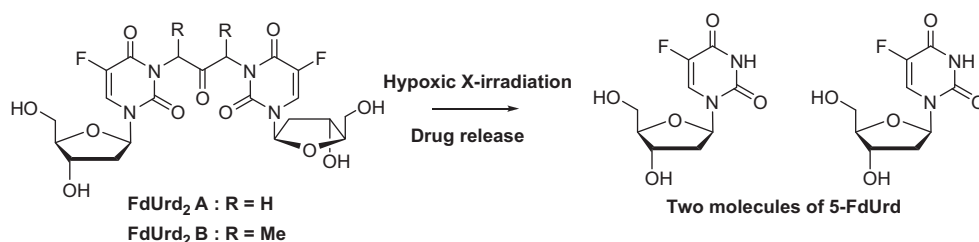
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Kazuhito Tanabe*, Masaaki Sugiura, Takeo Ito, Sei-ichi Nishimoto

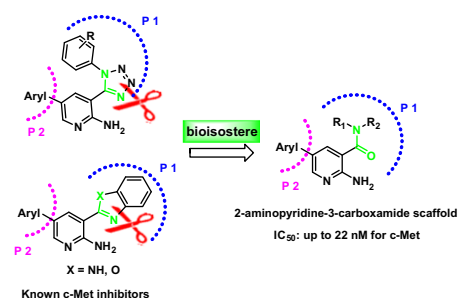


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Dengyou Zhang, Jing Ai, Zhongjie Liang, Chunpu Li, Xia Peng,
YinChun Ji, Hualiang Jiang, Meiyu Geng*, Cheng Luo*, Hong Liu*

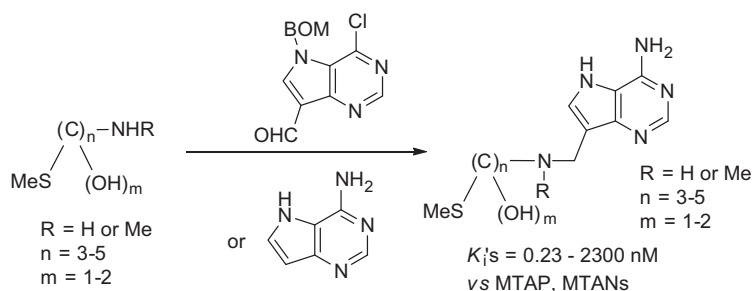
A series of 2-aminopyridine-3-carboxamide derivatives against c-Met were designed and synthesized by employing bioisosteric replacement of heterocyclic moieties with the amide bond. The SAR exploration led to the identification of a potent compound (**S**)-**24o** with a c-Met IC_{50} of 0.022 μ M. This compound exhibited dose-dependent inhibition of the phosphorylation of c-Met as well as c-Met downstream signaling in EBC-1 cells. The interactive binding model of (**S**)-**24o** was elucidated using AutoDock4.2.



Transition state analogue inhibitors of human methylthioadenosine phosphorylase and bacterial methylthioadenosine/ S-adenosylhomocysteine nucleosidase incorporating acyclic ribooxacarbenium ion mimics

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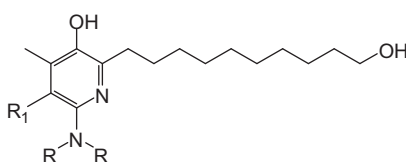
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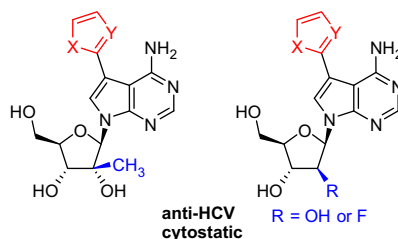
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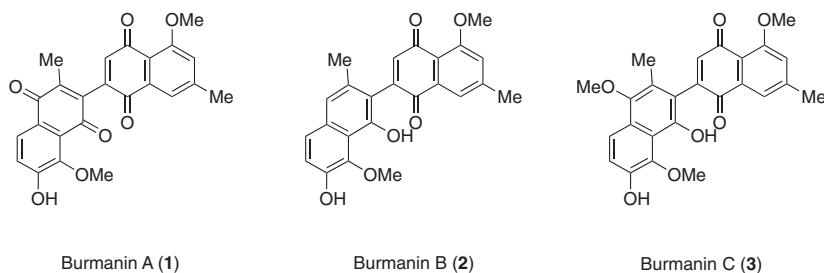
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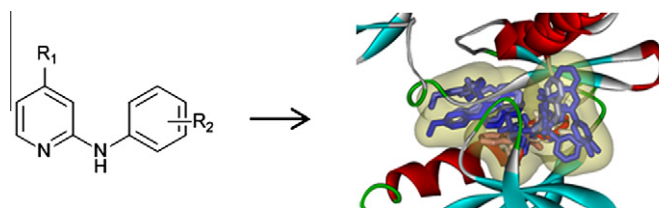
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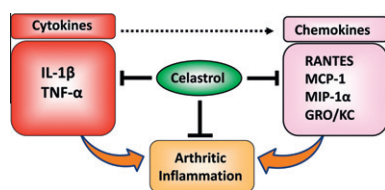
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Slavica Erić, Song Ke, Teresa Barata, Tom Solmajer, Jelena Antić Stanković, Zorica Juranić, Vladimir Savić, Mire Zloh*



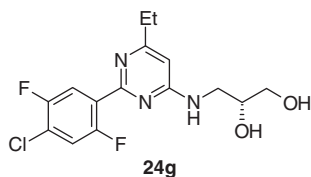
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Kenji Negoro*, Yasuhiro Yonetoku, Hana Misawa-Mukai, Wataru Hamaguchi, Tatsuya Maruyama, Shigeru Yoshida, Makoto Takeuchi, Mitsuaki Ohta

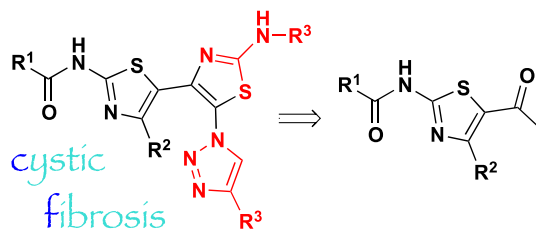


A series of novel 4-amino-2-phenylpyrimidine derivatives were synthesized and evaluated as GPR119 agonists. (2*R*)-3-[(2-(4-chloro-2,5-difluorophenyl)-6-ethylpyrimidin-4-yl)amino]propane-1,2-diol (**24g**) had highly potent GPR119 agonistic activity and excellent potency in vivo. Compound **24g** also showed an excellent antidiabetic effect in diabetic *kk/Ay* mice after one week of single daily treatment.

Click-based synthesis of triazolobithiazole Δ F508-CFTR correctors for cystic fibrosis

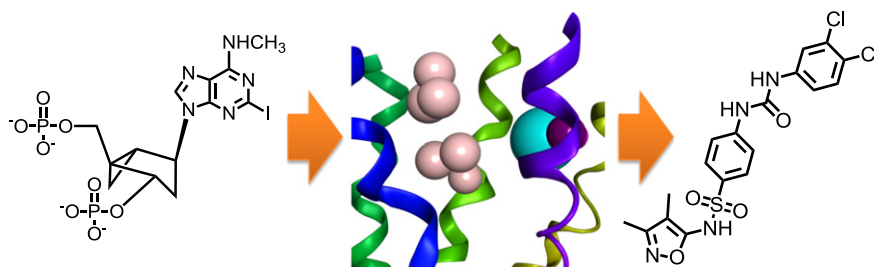
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**Virtual screening leads to the discovery of novel non-nucleotide P2Y₁ receptor antagonists**

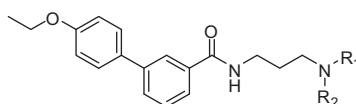
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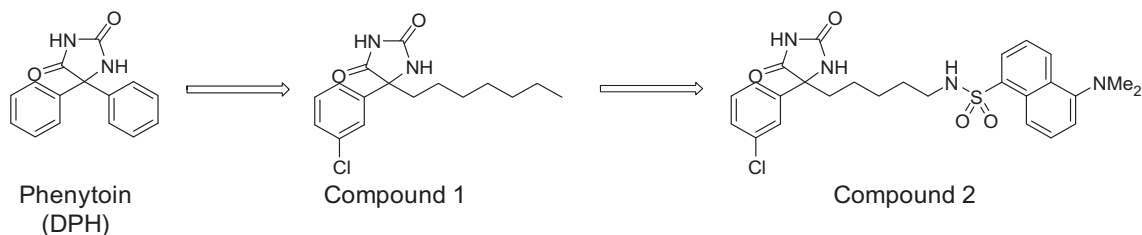
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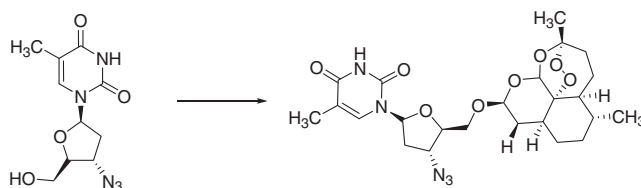
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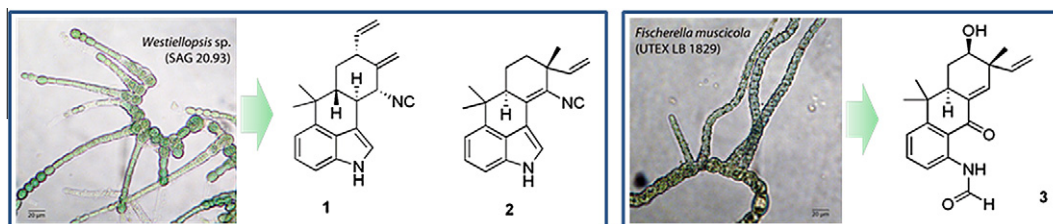
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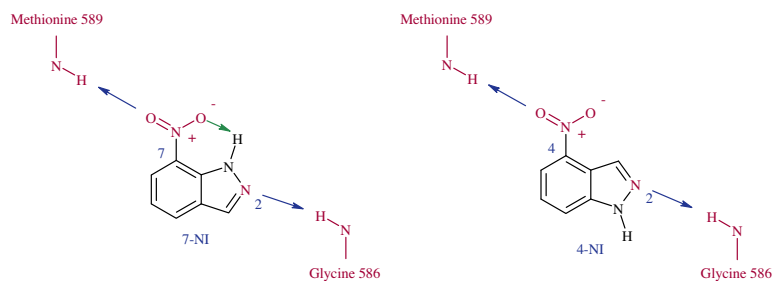
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*Corresponding author

 Supplementary data available via SciVerse ScienceDirect

COVER

Dipyrone (metamizol) is a common antipyretic drug and the most popular non-opioid analgesic in many countries. In spite of its long and widespread use, molecular details of its fate in the body are not fully known. Two unknown metabolites were now found, viz. arachidonoyl amides, and positively tested for cannabis receptor binding (CB1 and CB2) and cyclooxygenase inhibition. Two more puzzle pieces of the dipyrone story found! (Rogosch, T.; Sinning, C.; Podlewski, A.; Watzer, B.; Schlosburg, J.; Lichtman, A.H.; Cascio, M.G.; Bisogno, T.; Di Marzo, V.; Nüsing, R.; Imming, P. *Bioorg. Med. Chem.* **2012**, 20, 103–109.)

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