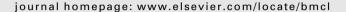


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Bioorganic & Medicinal Chemistry Letters Volume 23, Issue 13, 2013

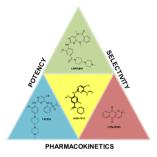
Contents

BMCL DIGEST

The development of CNS-active LRRK2 inhibitors using property-directed optimisation

Madeline E. Kavanagh, Munikumar Reddy Doddareddy, Michael Kassiou*

pp 3690-3696



REGULAR ARTICLES

$Synthesis\ and\ biological\ evaluation\ of\ novel\ benzox azinyl-oxazolid in ones\ as\ potential\ antibacterial\ agents$

Bin Guo, Houxing Fan, Qisheng Xin, Wenjing Chu, Hui Wang, Yushe Yang*

pp 3697-3699

linezolid
$$R^{2} \longrightarrow R^{2} \longrightarrow R^{1}$$

$$R^{2} \longrightarrow R^{1}$$

$$R^{2} \longrightarrow R^{1}$$

$$R^{2} \longrightarrow R^{2}$$

$$R^{2} \longrightarrow R^{2}$$

$$R^{2} \longrightarrow R^{2}$$

$$R^{2} \longrightarrow R^{2}$$

MIC: MRSA, 0.25-0.5 μ g/mL



Synthesis and neuroprotective effect of E-3,4-dihydroxy styryl aralkyl ketones derivatives against oxidative stress and inflammation

pp 3700-3703

Xianling Ning, Ying Guo, Xiaoyan Ma, Renzong Zhu, Chao Tian, Xiaowei Wang, Zhizhong Ma, Zhili Zhang*, Junyi Liu*

target compounds

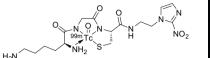
A series of neuroprotective agent, E-3,4-dihydroxy styryl aralkyl ketones, was synthesized and their biological activities were evaluated by three models in vitro. The results showed that target compounds had multifunctional neuroprotective effects against oxidative stress and inflammation.

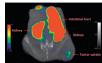


Synthesis and biological evaluation of a novel 99m Tc labeled 2-nitroimidazole derivative as a potential agent for imaging tumor hypoxia

pp 3704-3708

Yoann Joyard, Vadim Le Joncour, Hélène Castel, Chérif Bounana Diouf, Laurent Bischoff, Cyril Papamicaël*, Vincent Levacher, Pierre Vera, Pierre Bohn*





Macrocyclic inhibitors of 3C and 3C-like proteases of picornavirus, norovirus, and coronavirus

pp 3709-3712

Sivakoteswara Rao Mandadapu, Pathum M. Weerawarna, Allan M. Prior, Roxanne Adeline Z. Uy, Sridhar Aravapalli, Kevin R. Alliston, Gerald H. Lushington, Yunjeong Kim, Duy H. Hua, Kyeong-Ok Chang, William C. Groutas*

(I)

The design, synthesis, and in vitro inhibitory activity of the first series of macrocyclic inhibitors of 3C and 3C-like proteases of picornavirus, norovirus, and coronavirus, are reported.

(R=isobutyl, n=3, X=CHO)

N-Acyl-N-arylpiperazines as negative allosteric modulators of mGlu₁: Identification of VU0469650, a potent and selective tool compound with CNS exposure in rats

pp 3713-3718

Kimberly M. Lovell, Andrew S. Felts, Alice L. Rodriguez, Daryl F. Venable, Hyekyung P. Cho, Ryan D. Morrison, Frank W. Byers, J. Scott Daniels, Colleen M. Niswender, P. Jeffrey Conn, Craig W. Lindsley, Kyle A. Emmitte*

VU0469650

mGlu₁ IC₅₀ = 99 nM other mGlus > 10 μM rain to plasma ratio = 1.4

$Opioid\ receptor\ selectivity\ profile\ change\ via\ isosterism\ for\ 14-O-substituted\ naltrexone\ derivatives$

pp 3719-3722

Yan Zhang*, Orgil Elbegdorj, Yunyun Yuan, Irina O. Beletskaya, Dana E. Selley

Lead compound

 K_i value ratios: $\kappa/\mu = 182$; $\delta/\mu = 838$

Compound 1

 K_i value ratios: $\kappa/\mu = 0.24$; $\delta/\mu = 63$



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