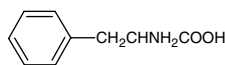
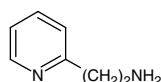


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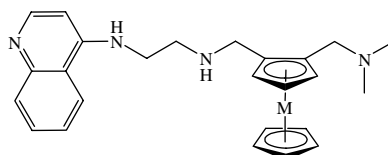
- QSAR studies on the activation of the human carbonic anhydrase cytosolic isoforms I and II and secretory isozyme VI with amino acids and amines** pp 6501–6509

Jyoti Singh, Basheerulla Shaik, Shalini Singh, Sarla Sikhima,
Vijay K. Agrawal, Padmakar V. Khadikar* and Claudiu T. Supuran*



- Metalloocene-based antimalarials: An exploration into the influence of the ferrocenyl moiety on in vitro antimalarial activity in chloroquine-sensitive and chloroquine-resistant strains of *Plasmodium falciparum*** pp 6510–6516

Margaret A. L. Blackie, Paul Beagley, Simon L. Croft,
Howard Kendrick, John R. Moss and Kelly Chibale*

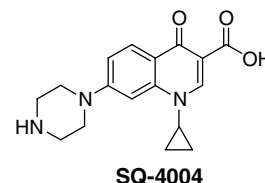


A series of ferrocenyl and ruthenocenyl analogues of ferroquine have been synthesized and tested for efficacy against both chloroquine-resistant and chloroquine-sensitive strains of *Plasmodium falciparum*.

- Identification, biological activity, and mechanism of the anti-ischemic quinolone analog** pp 6517–6526

Chan-Hee Park, Jongwon Lee, Hwi Young Jung, Min Ji Kim, Sun Ha Lim,
Hyung Tae Yeo, Eung Chil Choi, Eun Jeong Yoon, Kyu Won Kim, Jong Ho Cha,
Seok-Ho Kim, Dong-Jo Chang, Do-Yeon Kwon, Funan Li and Young-Ger Suh*

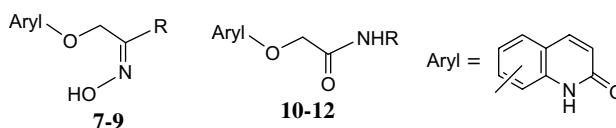
The quinolone analog SQ-4004 has been identified as a potentially excellent anti-ischemic agent, which exhibited highly potent efficacy in reducing infarct volume size in in vivo rat MCAO model (32.1% at 0.01 mg/kg) and potent cardioprotective effect at myocardial infarction in vivo model (26.6% at 0.01 mg/kg) while it exhibited highly reduced anti-bacterial activity.



Synthesis, antiproliferative, and antiplatelet activities of oxime- and amide-containing quinolin-2(1*H*)-one derivatives

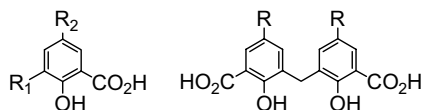
pp 6527–6534

I-Li Chen, Ken-Ming Chang, Chang-Ling Miaw, Chang-Hui Liao, Jih-Jung Chen and Tai-Chi Wang*


Mono- and disalicylic acid derivatives: PTP1B inhibitors as potential anti-obesity drugs

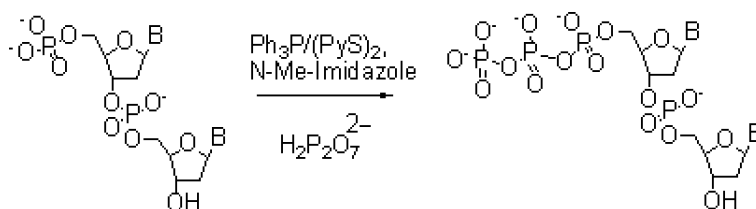
pp 6535–6548

Suja Shrestha, Bharat Raj Bhattarai, Keun-Hyeung Lee and Hyeongjin Cho*

Compound **3c** (R = Ph) inhibited PTP1B in vitro and suppressed diet-induced weight gain in mice.
A facile and effective synthesis of dinucleotide 5'-triphosphates

pp 6549–6555

Tatiana V. Abramova,* Svetlana V. Vasileva, Inna Yu. Serpokrylova, Hadar Kless and Vladimir N. Silnikov

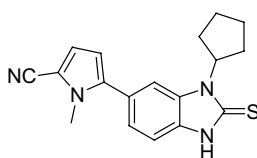


Successful synthetic procedure for the conversion of 5'-monophosphorylated 2'-deoxydinucleotides into their 5'-triphosphate derivatives in satisfactory to excellent yields.

5-(3-Cyclopentyl-2-thioxo-2,3-dihydro-1*H*-benzimidazol-5-yl)-1-methyl-1*H*-pyrrole-2-carbonitrile: A novel, highly potent, selective, and orally active non-steroidal progesterone receptor agonist

pp 6556–6564

Puwen Zhang,* Eugene Terefenko, Jeffrey Kern, Andrew Fensome, Eugene Trybulski, Ray Unwalla, Jay Wrobel, Susan Lockhead, Yuan Zhu, Jeffrey Cohen, Margaret LaCava, Richard C. Winneker and Zhiming Zhang

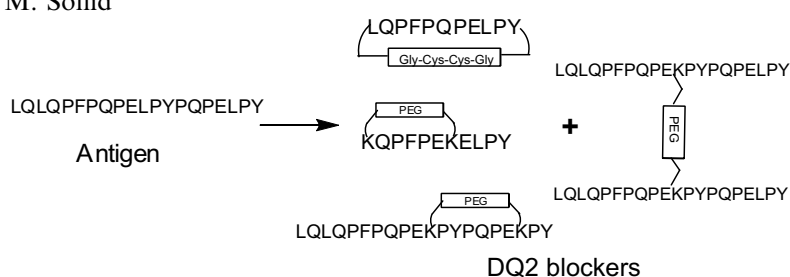


Cyclic and dimeric gluten peptide analogues inhibiting DQ2-mediated antigen presentation in celiac disease

pp 6565–6573

Jiang Xia, Elin Bergsgen, Burkhard Fleckenstein, Matthew Siegel, Chu-Young Kim, Chaitan Khosla* and Ludvig M. Sollid*

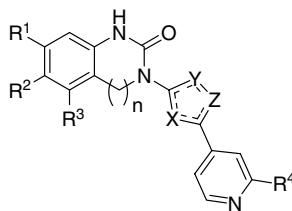
Peptide cyclization and dimerization turned a potent gluten antigen into effective blockers of HLA-DQ2, an attractive target for celiac disease therapy.



Structure–activity relationships of 3,4-dihydro-1*H*-quinazolin-2-one derivatives as potential CDK5 inhibitors

pp 6574–6595

Robert M. Rzasa,* Matthew R. Kaller, Gang Liu, Ella Magal, Thomas T. Nguyen, Timothy D. Osslund, David Powers, Vincent J. Santora, Vellarkad N. Viswanadhan, Hui-Ling Wang, Xiaoling Xiong, Wenge Zhong and Mark H. Norman

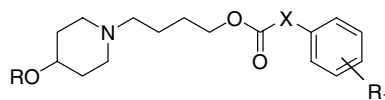


3,4-Dihydroquinazolin-2(1*H*)-one derivatives have been synthesized and evaluated for their inhibition of cyclin-dependent kinase 5.

Synthesis, in vitro assay, and molecular modeling of new piperidine derivatives having dual inhibitory potency against acetylcholinesterase and A β_{1-42} aggregation for Alzheimer's disease therapeutics

pp 6596–6607

Young Ee Kwon,* Jung Youl Park, Kyung Tai No, Jae Hong Shin, Sung Kwang Lee, Jae Soon Eun, Jae Heon Yang, Tae Yong Shin, Dae Keun Kim, Byung Sook Chae, Jae-Yoon Leem and Kuk Hwan Kim

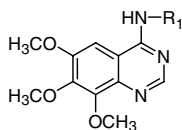


We designed and synthesized new piperidine derivatives having dual inhibitory potency of AChE and A β_{1-42} peptide aggregation. Compound **12** (X = none, R = benzhydryl, R₁ = 4-Cl) displayed the most inhibitory potency against AChE (IC₅₀ = 0.32 μ M) and selectivity (AChE relative to BChE) of 120 times. Compounds **12** and **15** (X = none, R = benzhydryl, R₁ = 4-*tert*-butyl) showed good inhibition effects on A β_{1-42} peptide oligomerization and the AChE-induced aggregation.

Synthesis and bioactivities of 6,7,8-trimethoxy-*N*-aryl-4-aminoquinazoline derivatives

pp 6608–6617

Gang Liu, De-Yu Hu, Lin-Hong Jin, Bao-An Song,* Song Yang, Ping-Shen Liu, Pinaki S. Bhadury, Yao Ma, Hui Luo and Xian Zhou



A series of 4-aminoquinazoline derivatives is prepared by the nucleophilic substitution reaction of 6,7,8-trimethoxy-4-chloroquinazoline and aryl amine. The structures of the compounds are confirmed by elemental analysis, IR, and ¹H NMR spectral data. The compounds are also evaluated for their ability to inhibit tumor cells PC3, A431, Bcap-37, and BGC823 by MTT assays. Among them, **6b** and **6e** are found as potent inhibitors, with IC₅₀ values ranging from 5.8 to 9.8 μ M, in vitro assay.

OTHER CONTENTS**Summary of instructions to authors****p I**

*Corresponding author

①* Supplementary data available via ScienceDirect

COVER

Terfenadine (an antihistamine pulled from the market in 1997) bound to a model of an open form of the homo-tetrameric pore domain of hERG, produced using Schrödinger's "Induced Fit Docking" technology [Farid, R.; Day, T.; Friesner, R. A.; Pearlstein, R. A. *Bioorg. Med. Chem.* **2006**, 14, 3160–3173].

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