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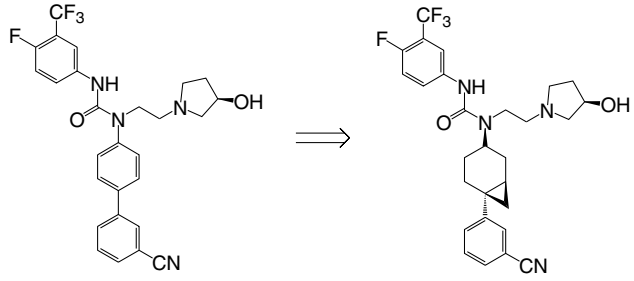
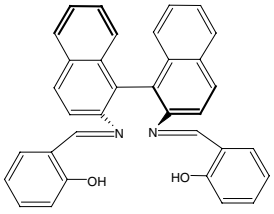
Bicyclic[4.1.0]heptanes as phenyl replacements for melanin concentrating hormone receptor antagonists pp 3285–3299
Ruo Xu,* Shengjian Li, Jaroslava Paruchova, Mark D. McBriar, Henry Guzik, Anandan Palani, John W. Clader, Kathleen Cox, William J. Greenlee, Brian E. Hawes, Timothy J. Kowalski, Kim O'Neill, Brian D. Spar, Blair Weig and Daniel J. Weston

Bicyclic[4.1.0]heptanes were discovered as replacements for the middle phenyl ring of the biphenylamine moiety in order to eliminate its potential mutagenic liability.



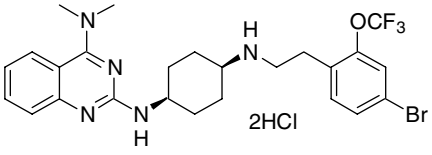
Interaction of chromium(III) complex of chiral binaphthyl tetradentate ligand with DNA pp 3300–3306
Rajamanickam Vijayalakshmi, Mookandi Kanthimathi, Ramakrishnan Parthasarathi and Balachandran Unni Nair*



The influence of ligand chirality of Cr(III) Schiff base complex on its DNA binding properties has been investigated.

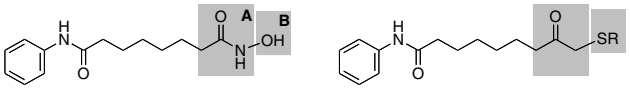
Identification of 4-amino-2-cyclohexylaminoquinazolines as metabolically stable melanin-concentrating hormone receptor 1 antagonists pp 3307–3319
Kosuke Kanuma, Katsunori Omodera, Mariko Nishiguchi, Takeo Funakoshi, Shigeyuki Chaki, Yasuko Nagase, Izumi Iida, Jun-ichi Yamaguchi, Graeme Semple, Thuy-Anh Tran and Yoshinori Sekiguchi*

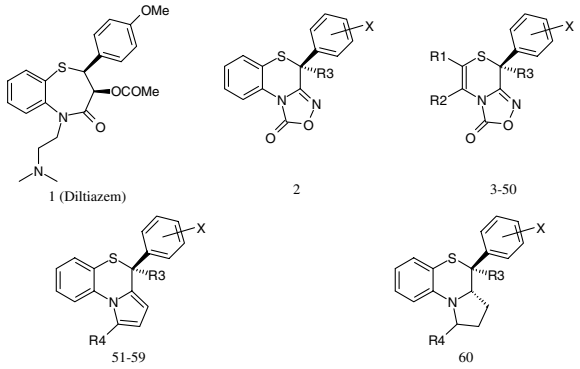
Through an optimization of the distance between two key pharmacophore features, 4-amino-2-cyclohexylaminoquinazolines were identified as potent melanin-concentrating hormone receptor 1 (MCH-R1) antagonists, leading to the discovery of ATC0065.

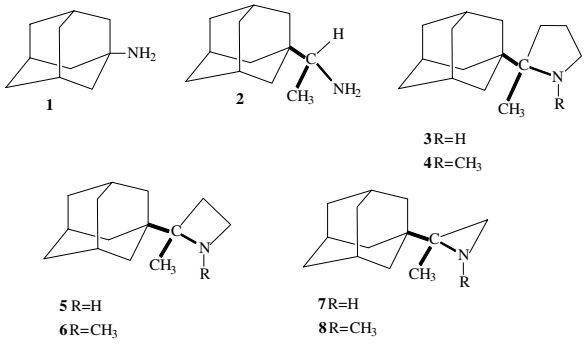


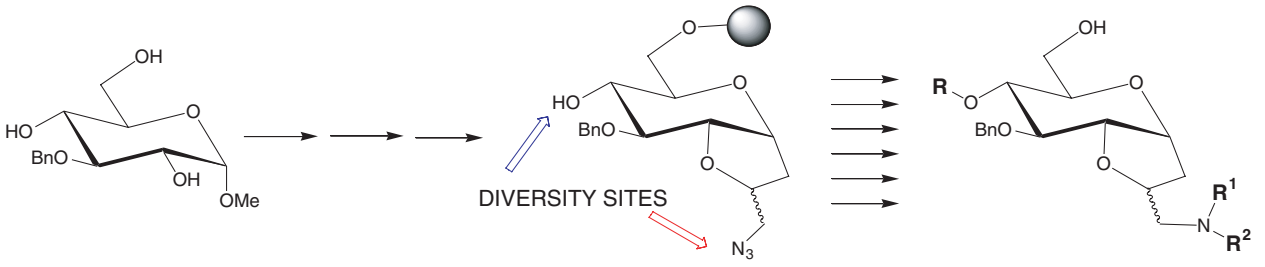
ATC0065
IC_{50} = 16 nM

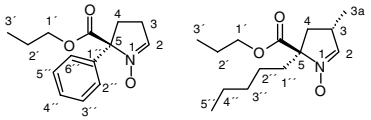
Carbonyl- and sulfur-containing analogs of suberoylanilide hydroxamic acid: Potent inhibition of histone deacetylases pp 3320–3329
Wenxin Gu, Inna Nusinzon, Ronald D. Smith, Jr., Curt M. Horvath and Richard B. Silverman*



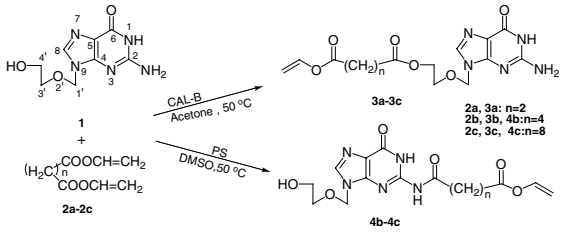




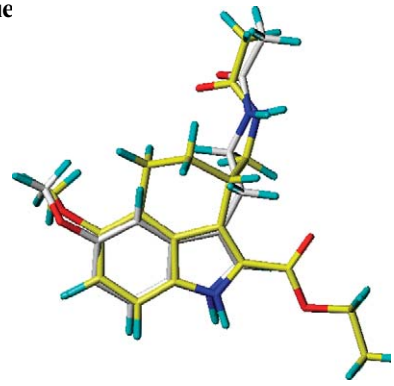


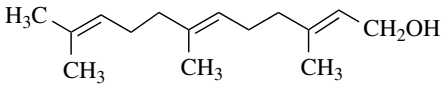


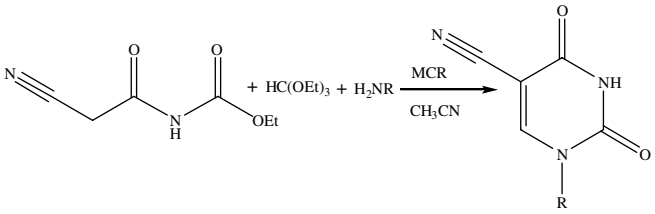
Synthesis and spin trapping properties of a series of EMPO-derived nitrones are reported.

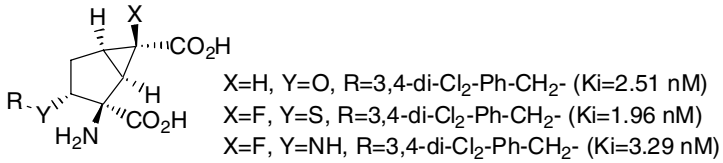


A facile control of the acylation position at the primary hydroxyl and amino of acyclovir to obtain polymerizable 2-*N*-acyl and 4′-*O*-acyl acyclovir vinyl derivatives, respectively, was achieved.



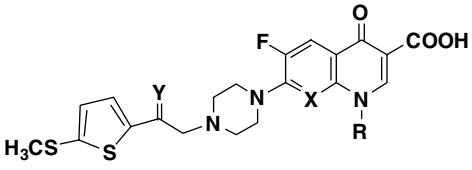




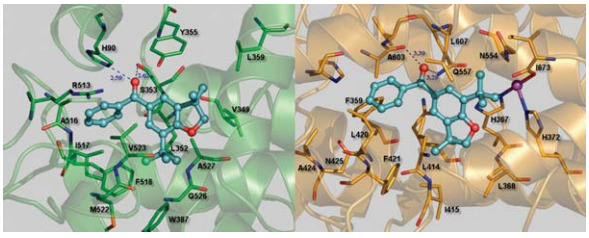


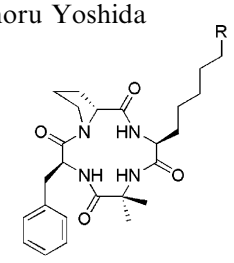
2-Aminobicyclo[3.1.0]hexane-2,6-dicarboxylic acids showed high affinity for the mGluR2 receptor and potent antagonist activities for mGluR2.

A number of *N*-substituted piperazinylquinolone derivatives were synthesized and evaluated for antibacterial activity against Gram-positive and Gram-negative bacteria. Most compounds demonstrated comparable or better activity against *Staphylococcus aureus* and *Staphylococcus epidermidis* than their parent piperazinylquinolones. Among these derivatives, ciprofloxacin derivative **5a**, containing *N*-[2-[5-(methylthio)thiophen-2-yl]-2-oxoethyl] residue, showed significant improvement of potency against staphylococci, maintaining Gram-negative coverage.

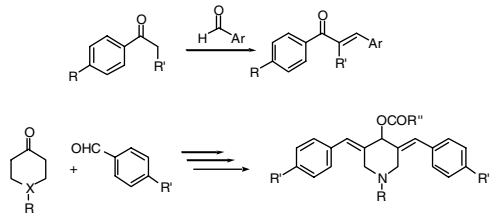


A series of COX-2/5-LOX inhibitors of DHDMBF analogues were studied with molecular docking and 3D-QSAR approaches to provide guidance on designing potent dual functional inhibitors for developing anti-inflammation drugs with favorable safety profile.

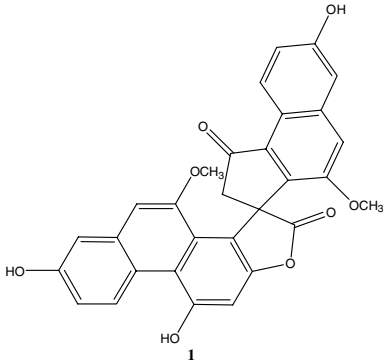




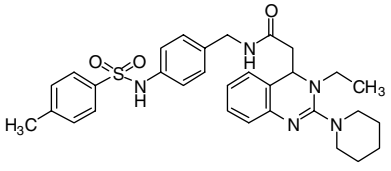
R = -CHO, -COCH2OH, -COCH2Br, -COCH3



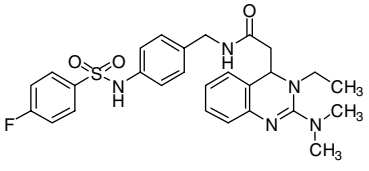
Novel chalcone and bis-chalcone derivatives have been synthesized and evaluated for antitumor activity. These molecules inhibited the growth of the human breast cancer cell lines at low micromolar to nanomolar concentrations, with five of them (**1**–**4**, **9**) showing preferential inhibition of the human breast cancer cell lines.



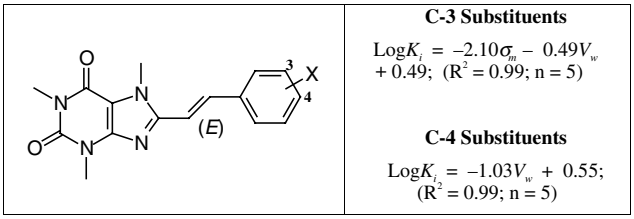
1

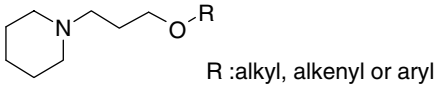


KYS05064(9c)
(IC_{50} = 0.96 ± 0.22 μM)
(Selectivity = > 100 for T/N-type channel)

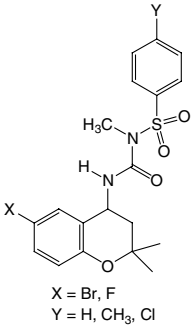


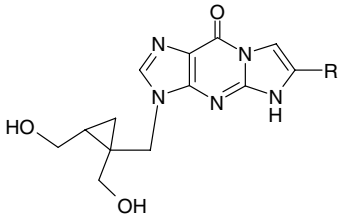
KYS05071(9h)
(IC_{50} = 4.10 ± 1.08 μM)
(Selectivity = >100 for T/N-type channel)



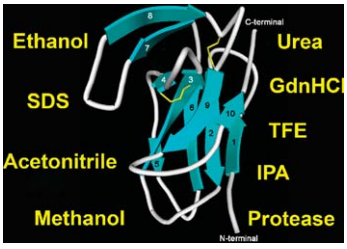


A series of asymmetrically ether derivatives of 3-piperidino-1-ol was prepared and evaluated as histamine H_3 receptor antagonists. The most potent compounds were in vitro **19** (hK_i = 8.4 nM) and in vivo **2** (ED_{50} = 1.0 mg/kg).

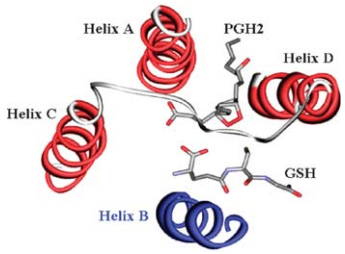


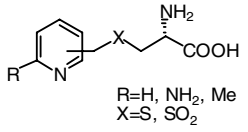


R = CH_3, CH_2CH_3, Ph, 4-CH_3OPh

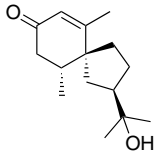


Stability of apoNCS is discerned via its resistance against denaturants in comparison to proteins known to be stable. Its unusual structural stability suggests its inherent superiority as a drug delivery system.

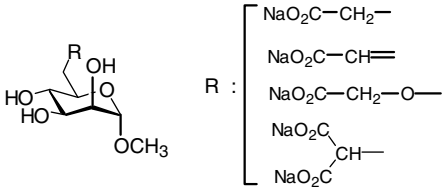




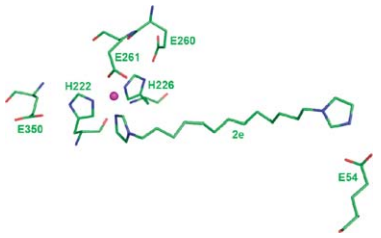
We designed and synthesized a new class of nitric oxide synthase (NOS) inhibitors incorporating a picolyl group at the sulfur atom of cysteine and evaluated their structure–activity relationship.

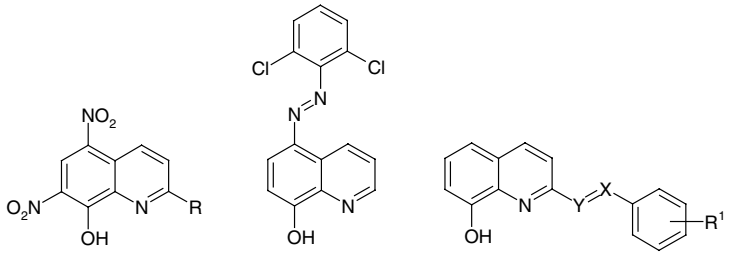


The new sesquiterpenoid, (4*R*,5*R*,7*R*)-1(10)-spirovetiven-11-ol-2-one, isolated from agarwood significantly induced brain-derived neurotrophic factor (BDNF) exon III–V mRNA expression.

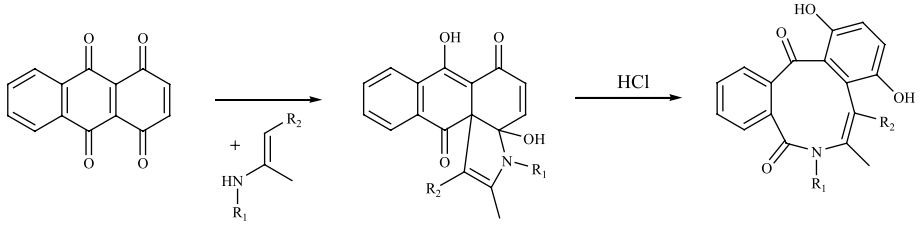


Four analogues of M6P have been prepared and their binding affinity for the M6P/IGF2 receptor evaluated.





The synthesis and antifungal activity for a new series of quinoline derivatives are reported.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2006: The cover figure shows a synthetic multifunctional pore that is composed of rigid-rod staves (para-octiphenyls, tan) and beta-sheet hoops (arrows) and can be activated with external ligands (fullerenes, golden spheres) and closed with internal blockers (alpha-helix, red ribbon) [Gorteau, V.; Bollot, G.; Mareda, J.; Pasini, D.; Tran, D.-H.; Lazar, A. N.; Coleman, A. W.; Sakai, N.; Matile, S. *Bioorg. Med. Chem.* **2005**, *13*, 5171–5180].

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