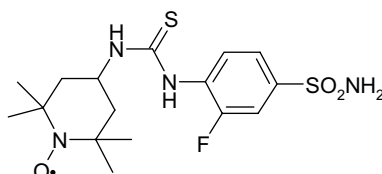


Contents

ARTICLES

- Carbonic anhydrase inhibitors: Design of spin-labeled sulfonamides incorporating TEMPO moieties as probes for cytosolic or transmembrane isozymes** pp 3475–3480

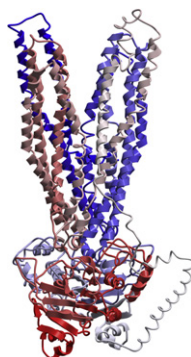
Alessandro Cecchi, Laura Ciani, Jean-Yves Winum, Jean-Louis Montero, Andrea Scozzafava, Sandra Ristori*, Claudiu T. Supuran*



K_i = 128 nM (hCA I), 12 nM (hCA II), 14 nM (hCA IX)

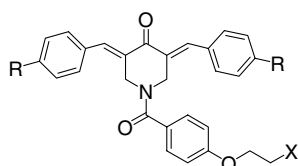
- Molecular model of the outward facing state of the human multidrug resistance protein 4 (MRP4/ABCC4)** pp 3481–3483

Aina Westrheim Ravna*, Georg Sager



- 1-[4-(2-Aminoethoxy)phenylcarbonyl]-3,5-bis-(benzylidene)-4-oxopiperidines: A novel series of highly potent revertants of P-glycoprotein associated multidrug resistance** pp 3484–3487

Umashankar Das, Joseph Molnár, Zoltán Baráth, Zsuzsanna Bata, Jonathan R. Dimmock*



R = H, CH₃, Cl, NO₂

X = -N(CH₃)₂ HCl, -N(C₂H₅)₂ HCl,

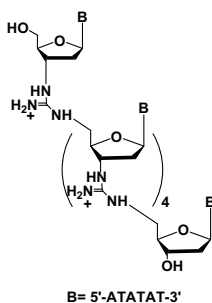
piperidine HCl, morpholine HCl, -N(CH₃)(C₂H₅)₂⁺ I⁻

Optimal activity is shown when R is a methyl or chloro group and X is a 1-piperidyl substituent.

Binding properties of positively charged deoxynucleic guanine (DNG), AgTgAgTgAgT and DNG/DNA chimeras to DNA

pp 3488–3491

Myunji Park, Thomas C. Bruice*

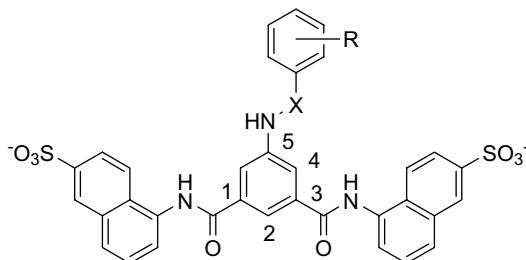


Melting studies of mixed hexameric DNG oligonucleotide, AgTgAgTgAgT, have been evaluated. Also, DNG, AgTgAgTgAgT, have been inserted into 20-mer DNA to produce DNG/DNA chimera as an antisense agent.

5-Substituted isophthalamides as insulin receptor sensitizers

pp 3492–3494

Louise Robinson, Sonia Bajjalieh, Nicholas Cairns, Robert T. Lum*, Robert W. Macsata, Vara Prasad Mancham, Sophia J. Park, Sandhya Rao, Steven R. Schow, Songyuan Shi, Wayne R. Spevak

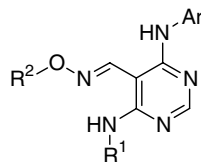


A novel series of 5-substituted isophthalamides and their structure–activity relationship as insulin receptor sensitizers is discussed.

Discovery of novel 4-amino-6-arylaminopyrimidine-5-carbaldehyde oximes as dual inhibitors of EGFR and ErbB-2 protein tyrosine kinases

pp 3495–3499

Guozhang Xu*, Lily Lee Searle, Terry V. Hughes, Amanda K. Beck, Peter J. Connolly, Marta C. Abad, Michael P. Neeper, Geoffrey T. Struble, Barry A. Springer, Stuart L. Emanuel, Robert H. Gruninger, Niranjana Pandey, Mary Adams, Sandra Moreno-Mazza, Angel R. Fuentes-Pesquera, Steven A. Middleton, Lee M. Greenberger

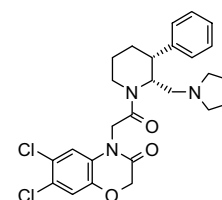


We herein disclose a novel series of 4-aminopyrimidine-5-carbaldehyde oximes that are potent and selective inhibitors of both EGFR and ErbB-2 tyrosine kinases, with IC₅₀ values in the nanomolar range.

Development of potent and selective small-molecule human Urotensin-II antagonists

pp 3500–3503

John J. McAtee*, Jason W. Dodson, Sarah E. Dowdell, Gerald R. Girard, Krista B. Goodman, Mark A. Hilfiker, Clark A. Schon, Deyou Sha, Gren Z. Wang, Ning Wang, Andrew Q. Viet, Daohua Zhang, Nambi V. Aiyar, David J. Behm, Luz H. Carballo, Christopher A. Evans, Harvey E. Fries, Rakesh Nagilla, Theresa J. Roethke, Xiaoping Xu, Catherine C.K. Yuan, Stephen A. Douglas, Michael J. Neeb



7, hUT binding Ki = 0.4 nM

This work describes the development of potent and selective human Urotensin-II antagonists starting from lead compound **1**. Several problems relating to oral bioavailability, cytochrome P450 inhibition, and selectivity for hUT over other receptors were addressed.

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