## MOLECULAR

## PHARMACOLOGY

February 2006

Volume 69

Number 2

http://molpharm.aspetjournals.org

ISSN 0026-895X

## **PERSPECTIVE**

Pretty Subunits All in a Row: Using Concatenated Subunit Constructs to Force the Expression of Receptors with Defined Subunit Stoichiometry and Spatial Arrangement *Michael M. White* 

ARTICLES	407
Reversing Hypoxic Cell Chemoresistance in Vitro Using Genetic and Small Molecule Approaches Targeting Hypoxia Inducible Factor-1	
Louisa M. Brown, Rachel L. Cowen, Camille Debray, Amanda Eustace, Janine T. Erler, Freda C. D. Sheppard, Catriona A. Parker, Ian J. Stratford, and Kaye J. Williams	411
Four Novel Tarantula Toxins as Selective Modulators of Voltage-Gated Sodium Channel Subtypes Frank Bosmans, Lachlan Rash, Shunyi Zhu, Sylvie Diochot, Michel Lazdunski, Pierre Escoubas, and Jan Tytgat	419
Activation and Potentiation of Interferon- $\gamma$ Signaling by 3,3'-Diindolylmethane in MCF-7 Breast Cancer Cells	
Jacques E. Riby, Ling Xue, Urmi Chatterji, Erik L. Bjeldanes, Gary L. Firestone, and Leonard F. Bjeldanes	430
Gene Transfer of Pro-opiomelanocortin Prohormone Suppressed the Growth and Metastasis of Melanoma: Involvement of $\alpha$ -Melanocyte-Stimulating Hormone-Mediated Inhibition of the Nuclear Factor $\kappa$ B/Cyclooxygenase-2 Pathway	
Guei-Sheung Liu, Li-Fen Liu, Che-Jen Lin, Jui-Cheng Tseng, Ming-Ju Chuang, Hing-Chung Lam, Jenn-Kuen Lee, Lin-Cheng Yang, Julie Hwa Yu Chan, Shen-Long Howng, and Ming-Hong Tai	440
Probing the Reorganization of the Nicotinic Acetylcholine Receptor during Desensitization by	
Time-Resolved Covalent Labeling Using [ <sup>3</sup> H]AC5, a Photoactivatable Agonist Alexandre Mourot, Jordi Rodrigo, Florence Kotzyba-Hibert, Sonia Bertrand, Daniel Bertrand, and	
Maurice Goeldner	452

The symbols in the table of contents identify articles discussed in the *Perspective*.

Rapid Modulation of P-Glycoprotein-Mediated Transport at the Blood-Brain Barrier by Tumor Necrosis

Anika M. S. Hartz, Björn Bauer, Gert Fricker, and David S. Miller

Molecular Pharmacology (ISSN 0026-895X) is published monthly (two volumes per year beginning in January and July) by the American Society for Pharmacology and Experimental Therapeutics, 9650 Rockville Pike, Bethesda, MD 20814-3995; e-mail: info@aspet.org; Web site: http://www.aspet.org. Periodicals postage paid at Bethesda, MD and at additional mailing offices. POSTMASTER: Send address changes to Molecular Pharmacology, 9650 Rockville Pike, Bethesda, MD 20814-3995. Subscription Rates: U.S.: \$592.00 for institutions and \$241.00 for non-ASPET members. Outside the U.S.: \$673.00 for institutions and \$322.00 for non-ASPET members. Single copy:

Factor- $\alpha$  and Lipopolysaccharide

\$57.00. GST Tax Number for Canadian subscribers: BN:13489 2330 RT. Indexed or abstracted by Biochemistry & Biophysics Citation Index®, Biological Abstracts, BIOSIS Previews Database, Current Awareness in Biological Sciences, Current Contents®/Life Sciences, EMBASE/Excerpta Medica, Index Medicus, Medical Documentation Service®, Reference Update®, Research Alert®, Science Citation Index®, SciSearch®, and SIIC Data Bases. Copyright © 2006 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

Downloaded from molpharm.aspetjournals.org at ASPET Journals on February 22, 2019

462

	The Cannabinoid CB1 Receptor Antagonist Rimonabant (SR141716) Inhibits Cell Proliferation and Increases Markers of Adipocyte Maturation in Cultured Mouse 3T3 F442A Preadipocytes Magali Gary-Bobo, Ghizlane Elachouri, Bernard Scatton, Gérard Le Fur, Florence Oury-Donat, and Mohammed Bensaid	471
	Interactions between the Mas-Related Receptors MrgD and MrgE Alter Signalling and Trafficking of MrgD	
	Sandra Milasta, John Pediani, Shirley Appelbe, Steven Trim, Michael Wyatt, Peter Cox, Mark Fidock, and Graeme Milligan	479
	Specific Modulation of Airway Epithelial Tight Junctions by Apical Application of an Occludin Peptide Ruth S. Everett, Miriam K. Vanhook, Nadia Barozzi, Istvan Toth, and Larry G. Johnson	492
	A Glutathione S-Transferase π-Activated Prodrug Causes Kinase Activation Concurrent with S-Glutathionylation of Proteins  Danyelle M. Townsend, Victoria J. Findlay, Farit Fazilev, Molly Ogle, Jacob Fraser,  Joseph E. Saavedra, Xinhua Ji, Larry K. Keefer, and Kenneth D. Tew	501
	Drug Binding Interactions in the Inner Cavity of hERG Channels: Molecular Insights from Structure-Activity Relationships of Clofilium and Ibutilide Analogs	501
	Matthew Perry, Phillip J. Stansfeld, Joanne Leaney, Claire Wood, Marcel J. de Groot, Derek Leishman, Michael J. Sutcliffe, and John S. Mitcheson	509
	Positive Inter-Regulation between $\beta$ -Catenin/T Cell Factor-4 Signaling and Endothelin-1 Signaling Potentiates Proliferation and Survival of Prostate Cancer Cells	
	Ping Sun, Hui Xiong, Tae Hoon Kim, Bing Ren, and Zhuohua Zhang	520
	Hydroxylated Xestospongins Block Inositol-1,4,5-trisphosphate-Induced Ca <sup>2+</sup> Release and Sensitize Ca <sup>2+</sup> -Induced Ca <sup>2+</sup> Release Mediated by Ryanodine Receptors	<b>*</b> 00
	Tram Anh Ta, Wei Feng, Tadeusz F. Molinski, and Isaac N. Pessah	532
	Chemokine Stromal Cell-Derived Factor 1α Induces Proliferation and Growth Hormone Release in GH4C1 Rat Pituitary Adenoma Cell Line through Multiple Intracellular Signals  Tullio Florio, Silvia Casagrande, Fabrizio Diana, Adriana Bajetto, Carola Porcile, Gianluigi Zona, Stefano Thellung, Sara Arena, Alessandra Pattarozzi, Alessandro Corsaro, Renato Spaziante, Mauro Robello, and Gennaro Schettini	539
		990
	Novel and Specific Inhibitors of a Poxvirus Type I Topoisomerase  Alexis Bond, Zachary Reichert, and James T. Stivers	547
•	Constraining the Expression of Nicotinic Acetylcholine Receptors by Using Pentameric Constructs Paul J. Groot-Kormelink, Steven Broadbent, Marco Beato, and Lucia G. Sivilotti	558
	High-Affinity, Slowly Desensitizing $GABA_A$ Receptors Mediate Tonic Inhibition in Hippocampal Dentate Granule Cells	
	Zakaria Mtchedlishvili and Jaideep Kapur	564
	Functional Properties of Internalization-Deficient $P2X_4$ Receptors Reveal a Novel Mechanism of Ligand-Gated Channel Facilitation by Ivermectin	
	Estelle Toulmé, Florentina Soto, Maurice Garret, and Eric Boué-Grabot	576
	CD38 Expression Is Insensitive to Steroid Action in Cells Treated with Tumor Necrosis Factor- $\alpha$ and Interferon- $\gamma$ by a Mechanism Involving the Up-Regulation of the Glucocorticoid Receptor $\beta$ Isoform Omar Tliba, John A. Cidlowski, and Yassine Amrani	588
	Characterization of SIS3, a Novel Specific Inhibitor of Smad3, and Its Effect on Transforming Growth Factor-β1-Induced Extracellular Matrix Expression  Masatoshi Jinnin, Hironobu Ihn, and Kunihiko Tamaki	597
	A Direct Interaction between the N Terminus of Adenylyl Cyclase AC8 and the Catalytic Subunit of Protein Phosphatase 2A	
	Andrew J. Crossthwaite, Antonio Ciruela, Timothy F. Rayner, and Dermot M. F. Cooper	608

<ul> <li>Pharmacological Properties of GABA<sub>A</sub> Receptors Containing γ1 Subunits         S. Khom, I. Baburin, E. N. Timin, A. Hohaus, W. Sieghart, and S. Hering         640     </li> <li>Deficiency of Glutathione Transferase Zeta Causes Oxidative Stress and Activation of Antioxidant Response Pathways         Anneke C. Blackburn, Klaus I. Matthaei, Cindy Lim, Matthew C. Taylor, Jean Y. Cappello,         John D. Hayes, M. W. Anders, and Philip G. Board         650     </li> <li>Mechanism of Action of a Novel Human ether-a-go-go-Related Gene Channel Activator         Oscar Casis, Søren-Peter Olesen, and Michael C. Sanguinetti     </li> <li>Biological Consequences of Trinuclear Platinum Complexes: Comparison of [{trans-PtCl(NH<sub>3</sub>)<sub>2</sub>}<sub>2</sub>μ-(trans-Pt(NH<sub>3</sub>)<sub>2</sub>(H<sub>2</sub>N(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>)<sub>2</sub>)]<sup>4+</sup> (BBR 3464) with Its Noncovalent Congeners</li> </ul>		Indirect Modulation by $\alpha 7$ Nicotinic Acetylcholine Receptors of Noradrenaline Release in Rat Hippocampal Slices: Interaction with Glutamate and GABA Systems and Effect of Nicotine Withdrawal Jacques Barik and Susan Wonnacott	618
<ul> <li>S. Khom, I. Baburin, E. N. Timin, A. Hohaus, W. Sieghart, and S. Hering</li> <li>Deficiency of Glutathione Transferase Zeta Causes Oxidative Stress and Activation of Antioxidant Response Pathways  Anneke C. Blackburn, Klaus I. Matthaei, Cindy Lim, Matthew C. Taylor, Jean Y. Cappello,  John D. Hayes, M. W. Anders, and Philip G. Board</li> <li>Mechanism of Action of a Novel Human ether-a-go-go-Related Gene Channel Activator  Oscar Casis, Søren-Peter Olesen, and Michael C. Sanguinetti</li> <li>Biological Consequences of Trinuclear Platinum Complexes: Comparison of [{trans-PtCl(NH<sub>3</sub>)<sub>2</sub>}<sub>2</sub>μ-(trans-Pt(NH<sub>3</sub>)<sub>2</sub>(H<sub>2</sub>N(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>)<sub>2</sub>)]<sup>4+</sup> (BBR 3464) with Its Noncovalent Congeners</li> </ul>		Channel $\mathrm{Cacn}lpha_{1\mathrm{G}}$ in $\mathrm{Cadmium}$ Uptake	629
Response Pathways  Anneke C. Blackburn, Klaus I. Matthaei, Cindy Lim, Matthew C. Taylor, Jean Y. Cappello, John D. Hayes, M. W. Anders, and Philip G. Board  Mechanism of Action of a Novel Human ether-a-go-go-Related Gene Channel Activator Oscar Casis, Søren-Peter Olesen, and Michael C. Sanguinetti  Biological Consequences of Trinuclear Platinum Complexes: Comparison of $[\{trans-PtCl(NH_3)_2\}_2\mu-(trans-Pt(NH_3)_2(H_2N(CH_2)_6NH_2)_2)]^{4+}$ (BBR 3464) with Its Noncovalent Congeners	S		640
Oscar Casis, Søren-Peter Olesen, and Michael C. Sanguinetti 658 Biological Consequences of Trinuclear Platinum Complexes: Comparison of $[\{trans-PtCl(NH_3)_2\}_2\mu-(trans-Pt(NH_3)_2(H_2N(CH_2)_6NH_2)_2)]^{4+}$ (BBR 3464) with Its Noncovalent Congeners		Response Pathways Anneke C. Blackburn, Klaus I. Matthaei, Cindy Lim, Matthew C. Taylor, Jean Y. Cappello,	650
$(trans-Pt(NH_3)_2(H_2N(CH_2)_6NH_2)_2)]^{4+}$ (BBR 3464) with Its Noncovalent Congeners			658
		$(trans-Pt(NH_3)_2(H_2N(CH_2)_6NH_2)_2)]^{4+}$ (BBR 3464) with Its Noncovalent Congeners	666

 $\fbox{S upplemental material is available online at $http://molpharm.aspetjournals.org.}$