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Ribbon rendered illustration of the nuclear receptor heterodimer RXRα(cyan):PPARRγ (red) ligand binding domains bound with coactivator peptides (magenta) and agonist ligands (space-filling atoms where green is carbon, red is oxygen, and blue is nitrogen) (Haffner, C. D.; et al. *J. Med. Chem.* **2004**, *47*, 2010-2029).

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MINIPERSPECTIVES:ADVANCES IN TYPE 2 DIABETES

Novel "Second-Generation" Approaches for the Control of Type 2 Diabetes

David P. Rotella

Journal of Medicinal Chemistry 2004, 47, 17, 4111-4112 **(Perspective)**
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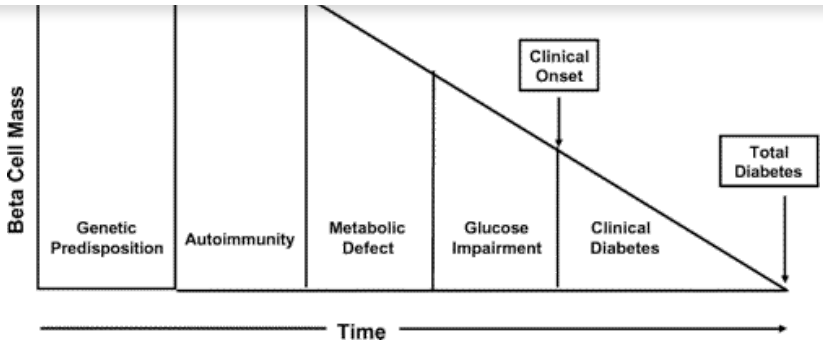

Diabetes Mellitus: Pathogenesis and Treatment Strategies

Jay S. Skyler

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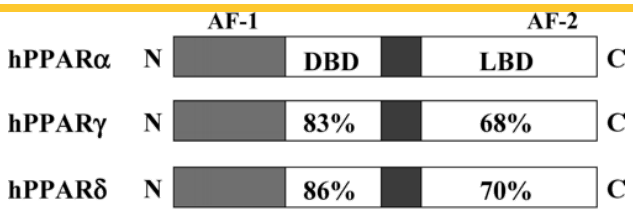

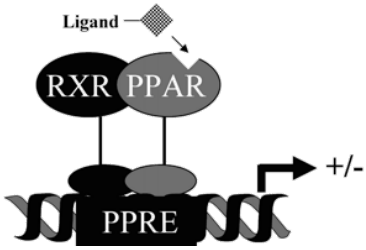

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Brad R. Henke

Journal of Medicinal Chemistry 2004, 47, 17, 4118-4127 **(Perspective)**
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GLP-1:

His[7]-Ala-Glu-Gly[10]-Thr-Phe-Thr-Ser-Asp[15]-Val-Ser-Ser-Tyr-Leu[20]-Glu-Gly-Gln-Ala-Ala[25]-Lys-Glu-Phe-Ile-Ala[30]-Trp-Leu-Val-Lys-Gly-Arg-Gly[37]

Exendin-4:

His[7]-Gly-Glu-Gly[10]-Thr-Phe-Thr-Ser-Asp[15]-Leu-Ser-Lys-Gln-Met[20]-Glu-Glu-Glu-Ala-Val[25]-Arg-Leu-Phe-Ile-Glu[30]-Trp-Leu-Lys-Asn-Gly-Gly-Pro[37]-Ser-Ser-Gly-Ala-Pro-Pro-Pro-Ser

Glucagon-like Peptide-1: The Basis of a New Class of Treatment for Type 2 Diabetes

Lotte Bjerre Knudsen

Journal of Medicinal Chemistry 2004, 47, 17, 4128-4134 **(Perspective)**
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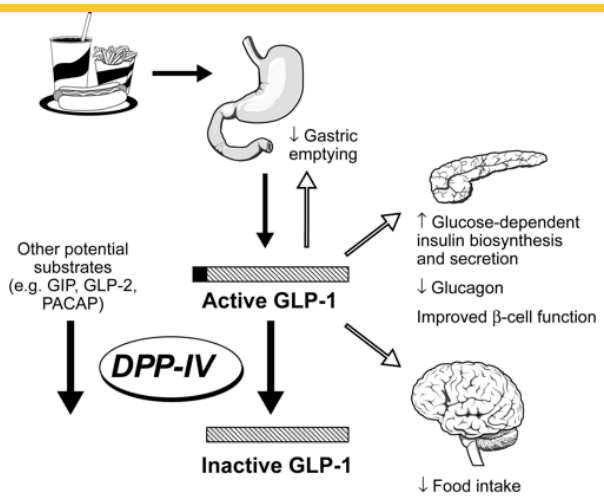

Dipeptidyl Peptidase IV Inhibitors for the Treatment of Diabetes

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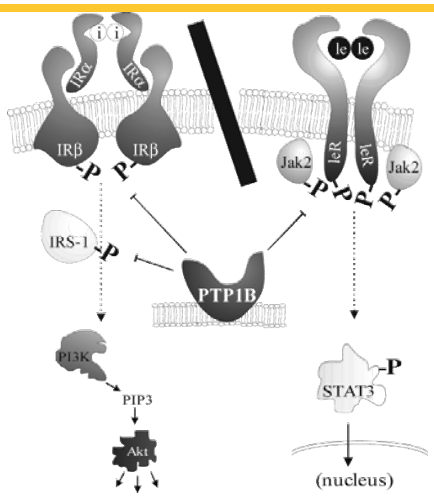

Prospects for Inhibitors of Protein Tyrosine Phosphatase 1B as Antidiabetic Drugs

Rob Hooft van Huijsduijnen, Wolfgang H. B. Sauer, Agnes Bombrun, and Dominique Swinnen

Journal of Medicinal Chemistry 2004, 47, 17, 4142-4146 **(Perspective)**
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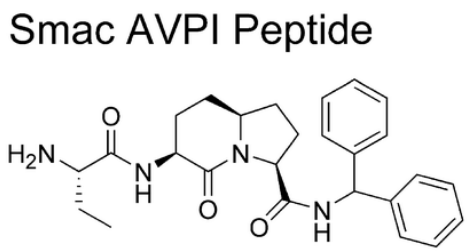

Structure-Based Design, Synthesis, and Evaluation of Conformationally Constrained Mimetics of the Second Mitochondria-Derived Activator of Caspase That Target the X-Linked Inhibitor of Apoptosis Protein/Caspase-9 Interaction Site

Haiying Sun, Zaneta Nikolovska-Coleska, Chao-Yie Yang, Liang Xu, York Tomita, Krzysztof Krajewski, Peter P. Roller , and Shaomeng Wang

Journal of Medicinal Chemistry 2004, 47, 17, 4147-4150 **(Letter)**
Publication Date (Web): July 16, 2004

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ABSTRACT

Rational Approaches to Discovery of Orally Active and Brain-Penetrable Quinazolinone Inhibitors of Poly(ADP-ribose)polymerase

Kouji Hattori, Yoshiyuki Kido, Hirofumi Yamamoto, Junya Ishida, Kazunori Kamijo, Kenji Murano, Mitsuru Ohkubo, Takayoshi Kinoshita, Akinori Iwashita, Kayoko Mihara, Syunji Yamazaki, Nobuya Matsuoka, Yoshinori Teramura , and Hiroshi Miyake

Journal of Medicinal Chemistry 2004, 47, 17, 4151-4154 **(Letter)**

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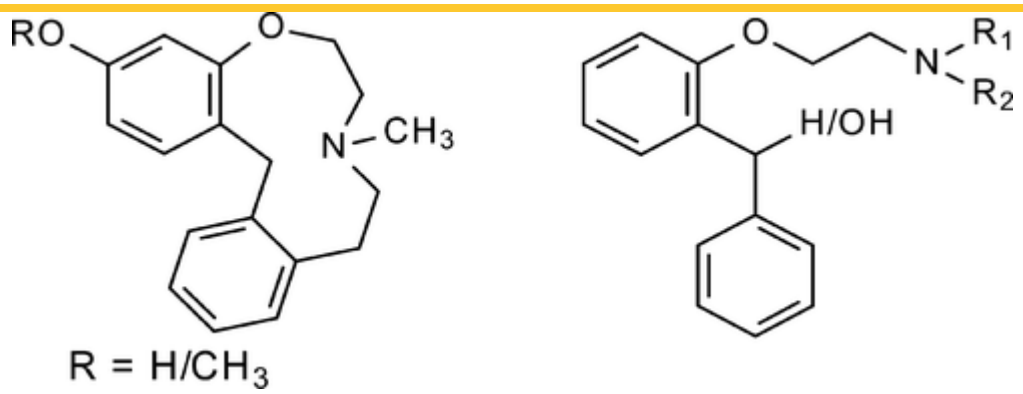

Dopamine/Serotonin Receptor Ligands. 9.[1] Oxygen-Containing Midsized Heterocyclic Ring Systems and Nonrigidized Analogues. A Step toward Dopamine D_5 Receptor Selectivity

Thomas W. Wittig, Michael Decker, and Jochen Lehmann

Journal of Medicinal Chemistry 2004, 47, 17, 4155-4158 **(Letter)**
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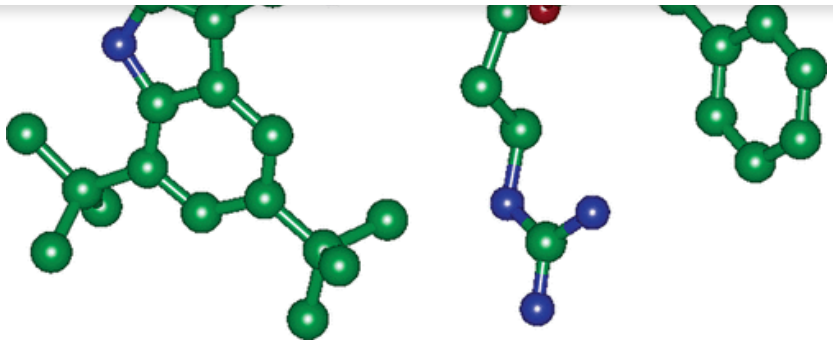

Bulky Nonproteinogenic Amino Acids Permit the Design of Very Small and Effective Cationic Antibacterial Peptides

Bengt Erik Haug, Wenche Stensen, Trine Stiberg, and John S. Svendsen

Journal of Medicinal Chemistry 2004, 47, 17, 4159-4162 **(Letter)**
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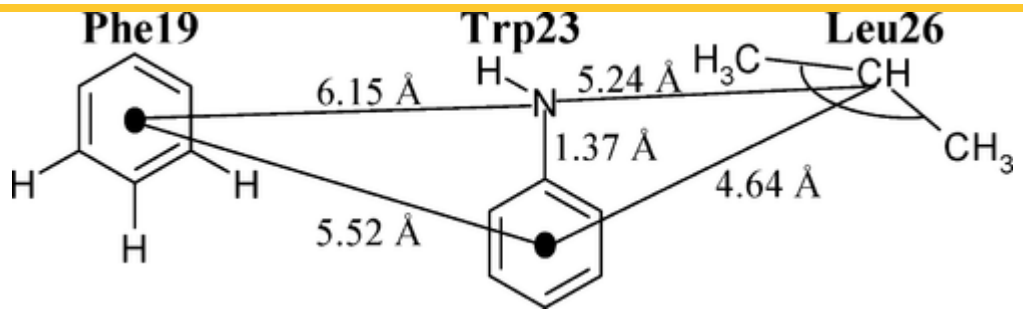

A Nonpeptidic Sulfonamide Inhibits the p53−mdm2 Interaction and Activates p53-Dependent Transcription in mdm2-Overexpressing Cells

Peter S. Galatin and Donald J. Abraham

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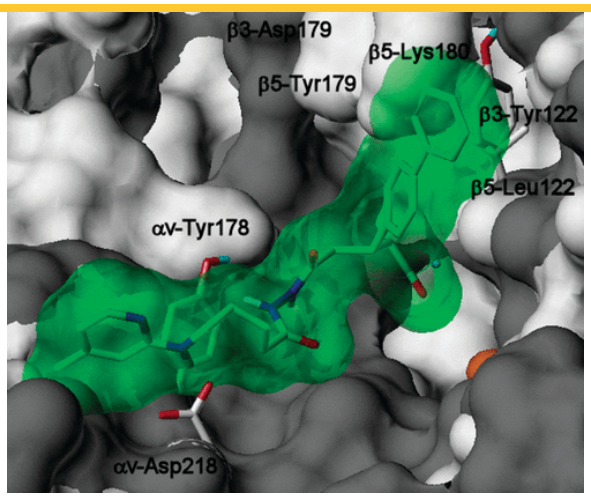

Human Integrin αvβ5: Homology Modeling and Ligand Binding

Luciana Marinelli, Kay-E. Gottschalk, Axel Meyer, Ettore Novellino, and Horst Kessler

Journal of Medicinal Chemistry 2004, 47, 17, 4166-4177 **(Article)**
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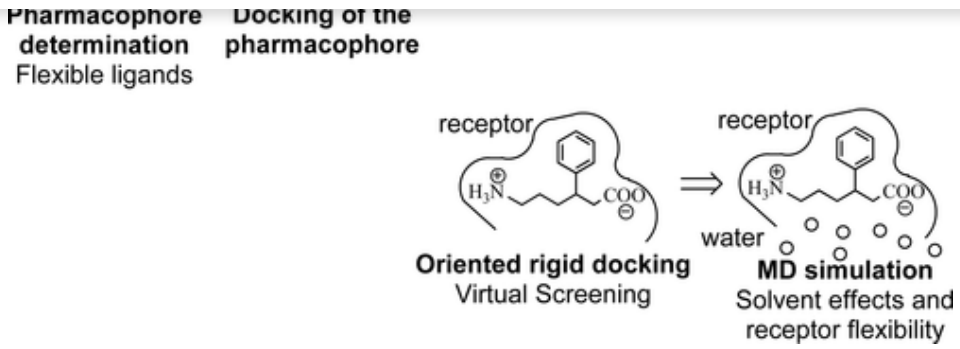

Combining Pharmacophore Search, Automated Docking, and Molecular Dynamics Simulations as a Novel Strategy for Flexible Docking. Proof of Concept: Docking of Arginine−Glycine−Aspartic Acid-like Compounds into the $\alpha_v\beta_3$ Binding Site

Nicolas Moitessier, Christophe Henry, Bernard Maigret, and Yves Chapleur

Journal of Medicinal Chemistry 2004, 47, 17, 4178-4187 **(Article)**
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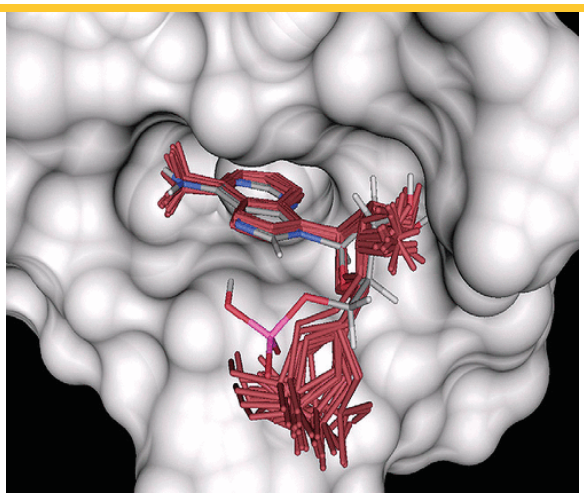

Validation of Automated Docking Programs for Docking and Database Screening against RNA Drug Targets

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Tricyclic Alkylamides as Melatonin Receptor Ligands with Antagonist or Inverse Agonist Activity

Valeria Lucini, Marilou Pannacci, Francesco Scaglione, Franco Fraschini, Sivia Rivara, Marco Mor, Fabrizio Bordi, Pier Vincenzo Plazzi, Gilberto Spadoni, Annalida Bedini, Giovanni Piersanti, Giuseppe Diamantini, and Giorgio Tarzia

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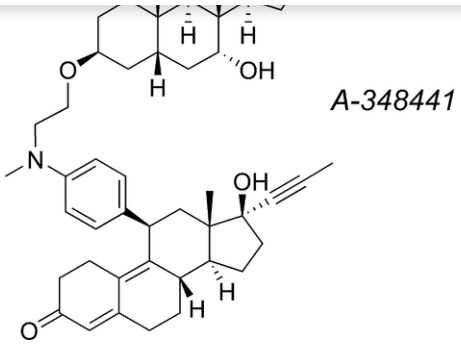

Liver-Selective Glucocorticoid Antagonists: A Novel Treatment for Type 2 Diabetes

Thomas W. von Geldern, Noah Tu, Philip R. Kym, James T. Link, Hwan-Soo Jae, Chunqiu Lai, Theresa Apelqvist, Patrik Rhonnstad, Lars Hagberg, Konrad Koehler, Marlena Grynfarb, Annika Goos-Nilsson, Johnny Sandberg, Marie Österlund, Tomas Barkhem, Marie Höglund, Jiahong Wang, Steven Fung, Denise Wilcox, Phong Nguyen, Clarissa Jakob, Charles Hutchins, Mathias Färnegårdh, Björn Kauppi, Lars Öhman, and Peer B. Jacobson

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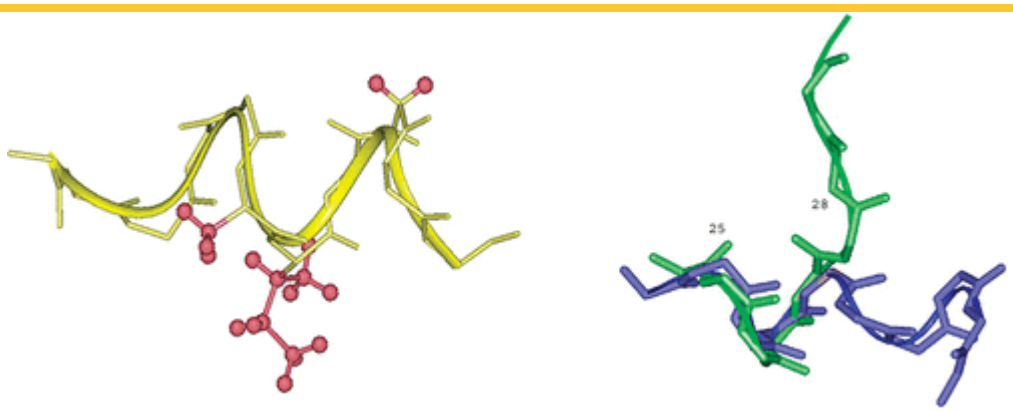

Solution Structure of Amyloid β-Peptide (25−35) in Different Media

Anna M. D'Ursi, Maria R. Armenante, Remo Guerrini, Severo Salvadori, Giuseppe Sorrentino, and Delia Picone

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Design, Synthesis, and Biological Evaluation of New Cyclic Disulfide Decapeptides That Inhibit the Binding of AP-1 to DNA

Keiichi Tsuchida, Hisaaki Chaki, Tadakazu Takakura, Junichi Yokotani, Yukihiko Aikawa, Shunichi Shiozawa, Hiroaki Gouda, and Shuichi Hirono

Journal of Medicinal Chemistry 2004, 47, 17, 4239-4246 **(Article)**
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ABSTRACT

Concise Synthesis and Structure−Activity Relationships of Combretastatin A-4 Analogues, 1-Aroylindoles and 3-Aroylindoles, as Novel Classes of Potent Antitubulin Agents

Jing-Ping Liou, Yi-Ling Chang, Fu-Ming Kuo, Chun-Wei Chang, Huan-Yi Tseng, Chiung-Chiu Wang, Yung-Ning Yang, Jang-Yang Chang, Shiow-Ju Lee, and Hsing-Pang Hsieh

Journal of Medicinal Chemistry 2004, 47, 17, 4247-4257 **(Article)**
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Set of *Plasmodium falciparum* Dihydrofolate Reductase Inhibitors Using a Pharmacophore Generation Approach

Marco Daniele Parenti, Sara Pacchioni, Anna Maria Ferrari, and Giulio Rastelli

Journal of Medicinal Chemistry 2004, 47, 17, 4258-4267 **(Article)**
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Amarylla Horvath, Peter Nussbaumer, Barbara Wolff, and Andreas Billich

Journal of Medicinal Chemistry 2004, 47, 17, 4268-4276 **(Article)**
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ABSTRACT

Phenoxyphenyl Pyridines as Novel State-Dependent, High-Potency Sodium Channel Inhibitors

Bin Shao, Sam Victory, Victor I. Ilyin, R. Richard Goehring, Qun Sun, Derk Hogenkamp, Diane D. Hodges, Khondaker Islam, Deyou Sha, Chongwu Zhang, Phong Nguyen, Silvia Robledo, George Sakellaropoulos, and Richard B. Carter

Journal of Medicinal Chemistry 2004, 47, 17, 4277-4285 **(Article)**
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Identification of Structurally Diverse Growth Hormone Secretagogue Agonists by Virtual Screening and Structure−Activity Relationship Analysis of 2-Formylaminoacetamide Derivatives

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Journal of Medicinal Chemistry 2004, 47, 17, 4286-4290 **(Article)**
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ABSTRACT

Piperazine Derivatives of [1,2,4]Triazolo[1,5-*a*][1,3,5]triazine as Potent and Selective Adenosine A_{2a} Receptor Antagonists

Chi B. Vu, Bo Peng, Gnanasambandam Kumaravel, Glenn Smits, Xiaowei Jin, Deepali Phadke, Thomas Engber, Carol Huang, Jennifer Reilly, Stacy Tam, Donna Grant, Gregg Hetu, Liqing Chen, Jianbo Zhang, and Russell C. Petter

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Chouaib Tahtaoui, Isabelle Parrot, Philippe Klotz, Fabrice Guillier, Jean-Luc Galzi, Marcel Hibert, and Brigitte Ilien

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Tetramethylcyclopropyl Analogue of a Leading Antiepileptic Drug, Valproic Acid. Synthesis and Evaluation of Anticonvulsant Activity of Its Amide Derivatives

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Zinc Ion Dependent B-Cell Epitope, Associated with Primary Sjogren's Syndrome, Resides within the Putative Zinc Finger Domain of Ro60kD Autoantigen: Physical and Immunologic Properties

John G. Routsias, Aggeliki Kosmopoulou, Athina Makri, Eugenia Panou-Pomonis, Constantinos Sakarellos, Maria Sakarellos-Daitsiotis, Haralampos M. Moutsopoulos, and Athanasios G. Tzioufas

Journal of Medicinal Chemistry 2004, 47, 17, 4327-4334 **(Article)**
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John H. Ansede, Mariappan Anbazhagan, Reto Brun, Judy D. Easterbrook, James Edwin Hall, and David W. Boykin

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Lotte Bjerre Knudsen

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Diabetes

Lotte Bjerre Knudsen†

Discovery Biology Management, Novo Nordisk, DK-2760 Maaloev, Denmark

Received December 24, 2003

Introduction

Type 2 diabetes is increasingly becoming a worldwide epidemic. Currently there is much focus on the glucagon-like peptide-1 (GLP-1) peptide hormone as the basis for a potential new treatment paradigm for type 2 diabetes. The two major drawbacks of the drugs currently utilized in the treatment of type 2 diabetes are that (1) during their long-term administration body weight increases and (2) the disease progresses over time, also evidenced by an increasing loss of β-cell function.

GLP-1 was discovered in 1984 and found to be an important incretin.[1] It is a product of the preproglucagon gene and is released from the L-cells in the intestine upon food intake and potently releases insulin from the β-cells in the pancreas. Numerous effects other than stimulation of insulin release have been ascribed to GLP-1. In the pancreas, not only does GLP-1 release insulin but it does so in a glucose-dependent manner,[2,3] and it has a number of other functionally important effects: stimulation of insulin biosynthesis, restoration of glucose sensitivity to the islets, and stimulation of increased expression of the glucose transporter GLUT-2 and glucokinase.[4−6] GLP-1 also has a number of effects on regulation of β-cell mass: stimulation of replication and growth and inhibition of apoptosis of existing β-cells, and neogenesis of new β-cells from duct precursor cells.[7,8] GLP-1 inhibits glucagon secretion,[9] which then leads to reduced hepatic glucose output. In the gut, GLP-1 is a potent inhibitor of motility and gastric emptying and has also been shown to inhibit gastric acid secretion.[10] The inhibition of gastric emptying leads to decreased food intake and reduced body weight.[11,12] Thus, the current belief is that the GLP-1 compound class may be able to control the progression of the type 2 diabetes disease not only by controlling blood glucose but also via several other effects. GLP-1 has also been proposed to have direct effects on glucose uptake in liver, muscle, and adipose tissue, but the quantitative significance of these effects has been questioned.[13] New publications even suggest that the beneficial effects of GLP-1 compounds go beyond the treatment of diabetes. There may be specific receptors in the heart that along with the benefits of reducing blood glucose may protect from cardiovascular complications,[14] and GLP-1 stimulates memory and learning capabilities.[15] A comprehensive review exists on the glucagon-like peptides.[13]

Clinically, GLP-1 has been shown to be very effective in lowering blood glucose in quite a broad range of diabetes stages.[16] Very importantly, little risk of hypoglycaemia has been observed.[2] This is because GLP-1, unlike sulfonylureas, only stimulates the natural glucose-induced insulin secretion. Up to 6 week studies have been performed with natural GLP-1 in a subcutaneous pump. In this study a lowering of body weight was also seen.[12] The only known pharmacological side effect of GLP-1 is nausea and vomiting when administered in high doses. These unwanted effects are mediated by inhibited gastric emptying. However, the vast majority of clinical data indicate that the nausea is transient and that efficient glucose control can be obtained without this side effect. Thus, from a clinical point of view, GLP-1, with its efficacious lowering of blood glucose with little risk of hypoglycemia and its potential for prevention of disease progression, seems ideal for the treatment of type 2 diabetes.

The GLP-1 receptor was cloned in 1992 and is a G-protein-coupled receptor from the B family also referred to as the secretin/glucagon family.[17] The ligands in this family are mainly large peptide hormones. Small-molecule antagonists especially for the glucagon receptor have been described, but no small-molecule agonists have been described in the literature. Thus, the GLP-1 based compound class will most likely be peptides and the challenge is that the natural hormone is degraded rapidly by the enzyme dipeptidyl peptidase IV (DDP-IV) and cleared by the kidneys resulting in a half-life of less than 2 min after iv administration and a clearance higher than that of the normal cardiac output.[18−20] GLP-1 exists in two equipotent naturally occurring forms, GLP-1(7−37) and GLP-1(7−36)amide, the former corresponding to proglucagon(78−108). The numbering of GLP-1 starts with 7 because it was originally believed that GLP-1(1−37) was the active hormone. It was later discovered that the real hormone was formed after cleaving off the first 6 N-terminal amino acids and then the 7 numbering system begun. The primary metabolite of GLP-1, GLP-1(9−36) amide or GLP-1(9−37), has a greatly decreased affinity for the GLP-1 receptor and may even be an antagonist or a partial agonist. The magnitude and duration of the blood glucose lowering ability of natural GLP-1 have been shown to be dependent on a continuous supply of pharmacological levels.[21] Thus, the efficacy of a GLP-1-like drug will be dependent on the duration of action of the compound or the formulation even though some of the long-term benefits of GLP-1 compounds, like increasing β-cell mass, may not require constantly elevated GLP-1 levels. Another potential limitation is that the only known pharmacologically induced side effect is nausea, occurring via the inhibition of gastric

† Phone: +45 44434788. Fax: +45 44663939. E-mail: lbkn@novonordisk.com.

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