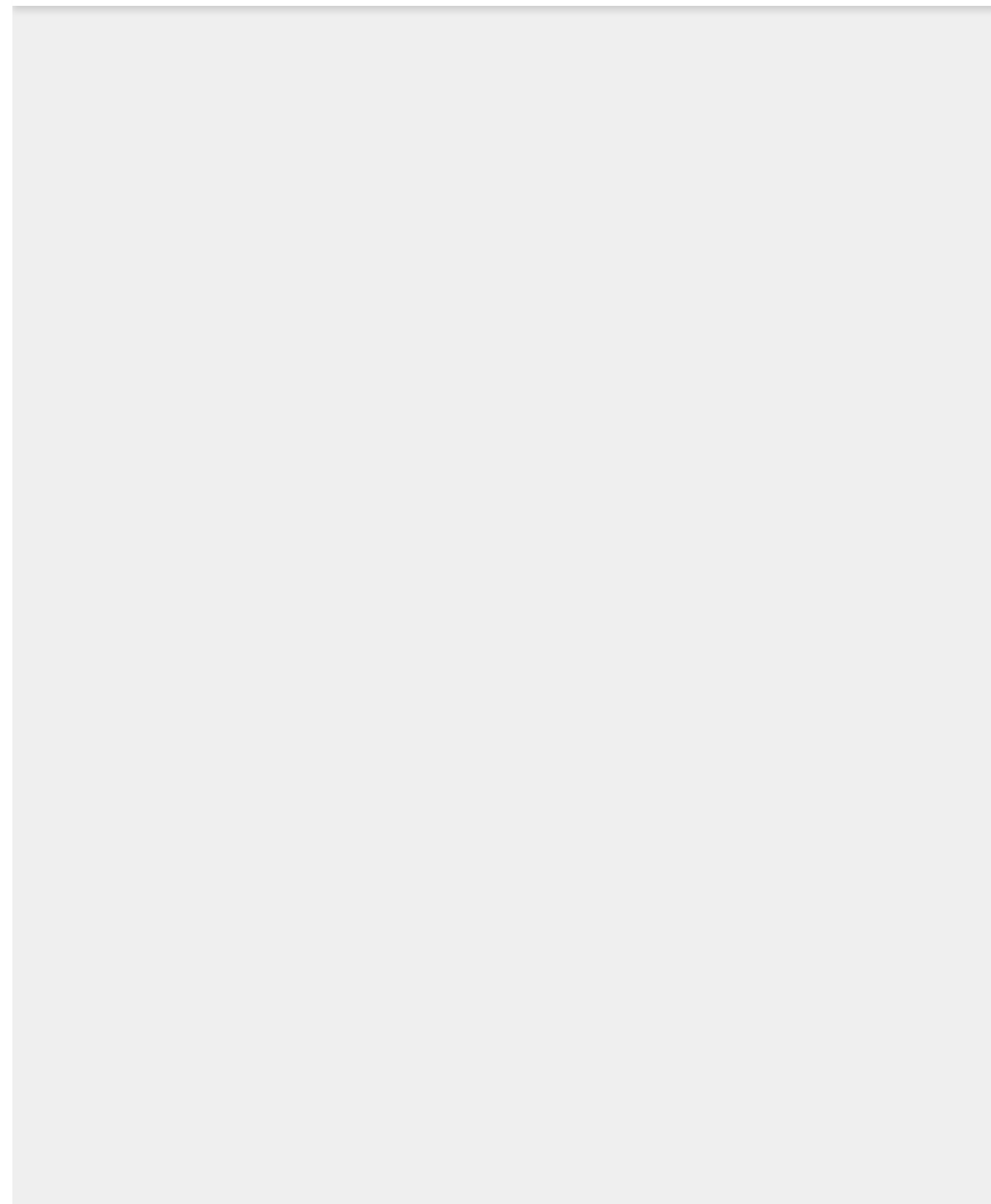




Journal of Medicinal Chemistry



About the Cover:

Ribbon rendered illustration of the nuclear receptor heterodimer RXR α (cyan):PPAR γ (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).

[Download Cover](#)**In this issue:**

- » Miniperspectives:Advances in Type 2 Diabetes
- » Letters
- » Articles
- » Brief Articles



Sort By:

Page

**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)**Publication Date (Web):** July 20, 2004

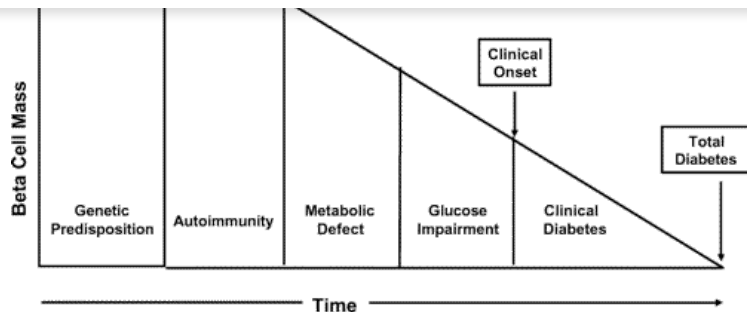
First Page



Full text



PDF



Diabetes Mellitus: Pathogenesis and Treatment Strategies

Jay S. Skyler

Journal of Medicinal Chemistry 2004, 47, 17, 4113-4117 (Perspective)

Publication Date (Web): July 20, 2004

First Page

Full text

PDF

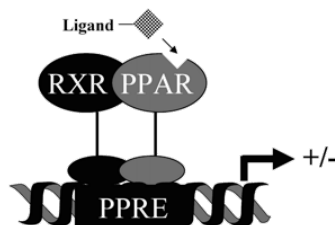
ADVERTISEMENT

Glycoscience in Infectious Diseases

VIRTUAL SPECIAL ISSUE

ACS | Infectious
Diseases

		AF-1		AF-2	
hPPAR α	N		DBD	LBD	C
hPPAR γ	N		83%	68%	C
hPPAR δ	N		86%	70%	C



Brad R. Henke

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

Publication Date (Web): July 20, 2004

First Page

Full text

PDF

GLP-1:

His⁷-Ala-Glu-Gly¹⁰-Thr-Phe-Thr-Ser-Asp¹³-Val-Ser-Ser-Tyr-Leu²⁶-Glu-Gly-Gln-Ala-Ala³⁵-Lys-Glu-Phe-Ile-Ala⁴⁰-Trp-Leu-Val-Lys-Gly-Arg-Gly⁴⁷

Exendin-4:

His⁷-Gly-Glu-Gly¹³-Thr-Phe-Thr-Ser-Asp¹³-Leu-Ser-Lys-Gln-Met²⁵-Glu-Glu-Glu-Ala-Val³⁵-Arg-Leu-Phe-Ile-Glu³⁹-Trp-Leu-Lys-Asn-Gly-Gly-Pro⁴⁷-Ser-Ser-Gly-Ala-Pro-Pro-Ser

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

Lotte Bjerre Knudsen

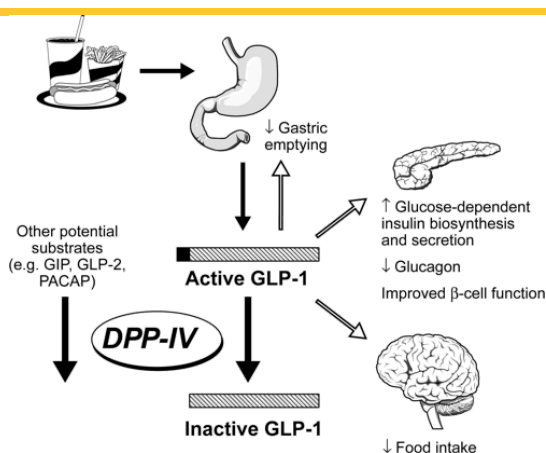
Journal of Medicinal Chemistry 2004, 47, 17, 4128-4134 (**Perspective**)

Publication Date (Web): July 20, 2004

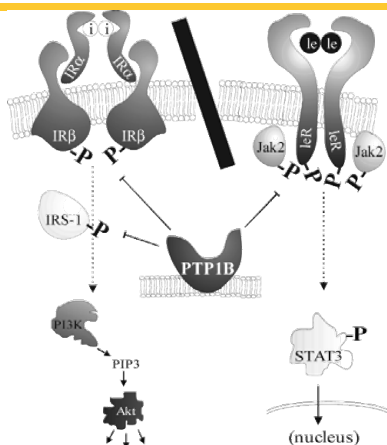
First Page

Full text

PDF



Dipeptidyl Peptidase IV Inhibitors for the Treatment of Diabetes

 First Page Full text PDF

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

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

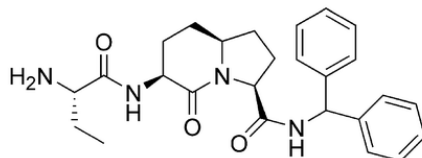
Journal of Medicinal Chemistry 2004, 47, 17, 4142-4146 (Perspective)

Publication Date (Web): July 20, 2004

 First Page Full text PDF

LETTERS

Smac AVPI Peptide

Smac Mimetic **12d**

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

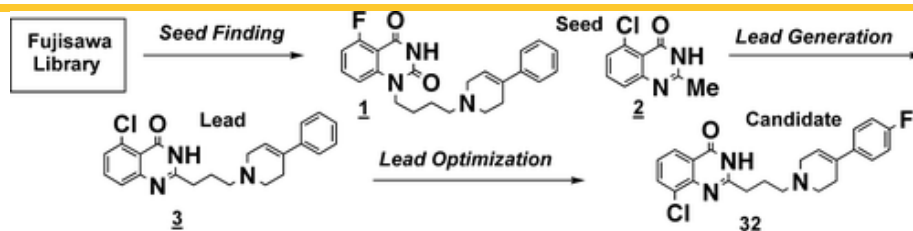
Haiying Sun, Zaneta Nikolovska-Coleska, Chao-Yie Yang, Liang Xu, York Tomita, Krzysztof Krajewski, Peter P. Roller, and Shaomeng Wang

Journal of Medicinal Chemistry 2004, 47, 17, 4147-4150 (**Letter**)

Publication Date (Web): July 16, 2004

 Abstract Full text PDF

▼ ABSTRACT

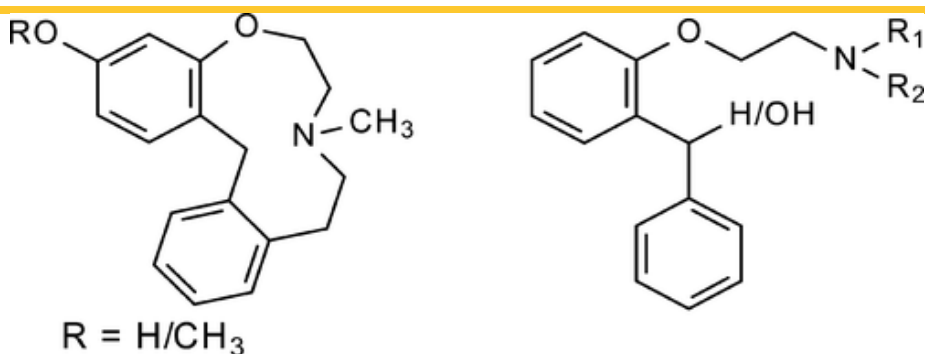


Rational Approaches to Discovery of Orally Active and Brain-Penetrable Quinazolinone Inhibitors of Poly(ADP-ribose)polymerase

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**)

▼ ABSTRACT



Dopamine/Serotonin Receptor Ligands. 9.¹ Oxygen-Containing Midsized Heterocyclic Ring Systems and Nonrigidized Analogues. A Step toward Dopamine D₅ Receptor Selectivity

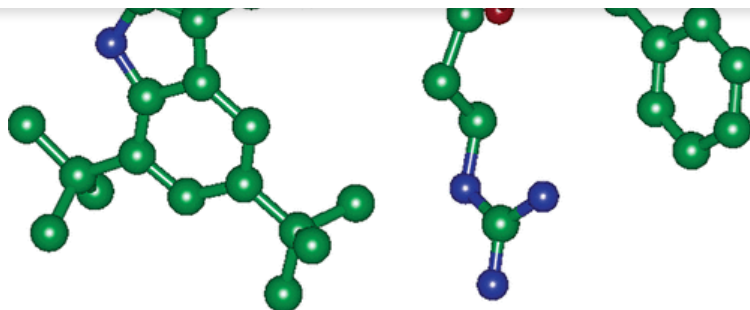
Thomas W. Wittig, Michael Decker, and Jochen Lehmann

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

Publication Date (Web): July 16, 2004

 Abstract Full text PDF

▼ ABSTRACT



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)

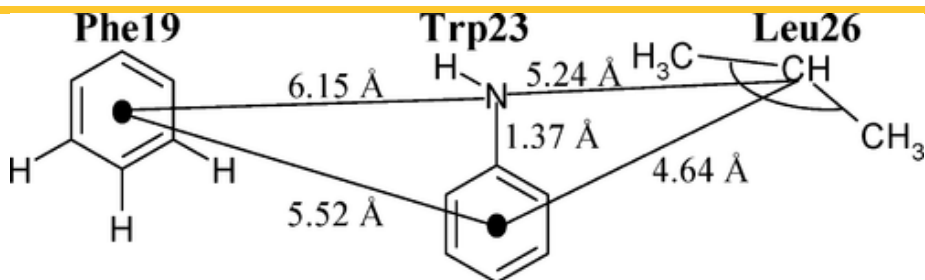
Publication Date (Web): July 16, 2004

Abstract

Full text

PDF

▼ ABSTRACT



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

Peter S. Galatin and Donald J. Abraham

Journal of Medicinal Chemistry 2004, 47, 17, 4163-4165 (Letter)

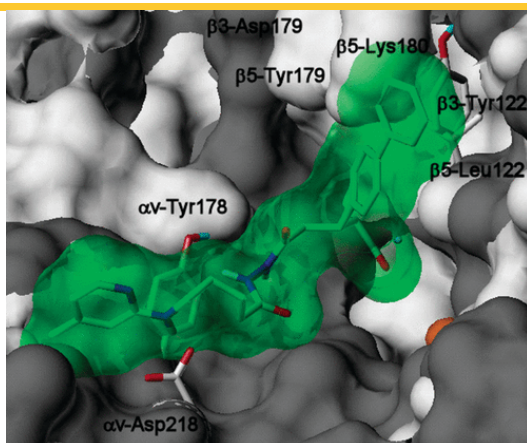
Publication Date (Web): July 21, 2004

Abstract

Full text

PDF

ARTICLES



Human Integrin $\alpha v \beta 5$: 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)

Publication Date (Web): July 10, 2004

 Abstract Full text PDF

▼ ABSTRACT

ADVERTISEMENT

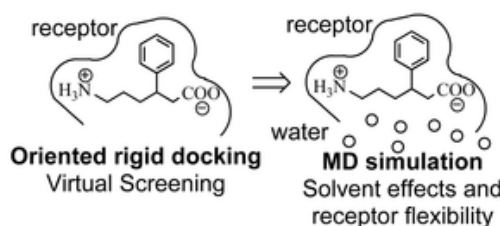
c&en | WEBINARS

Measuring Heavy Metals in Baby Food Using ICP-MS

REGISTER NOW

Pharmacophore determination
Flexible ligands

Docking of the pharmacophore



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)

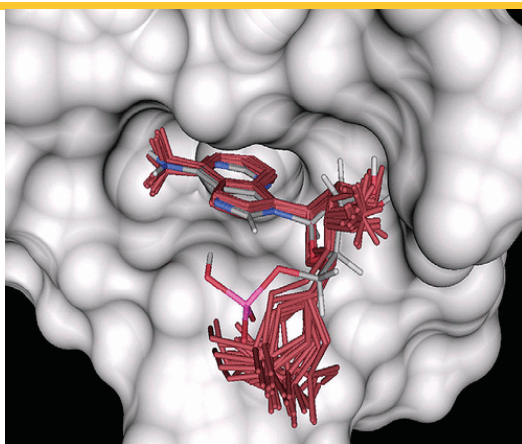
Publication Date (Web): July 20, 2004

 Abstract

 Full text

 PDF

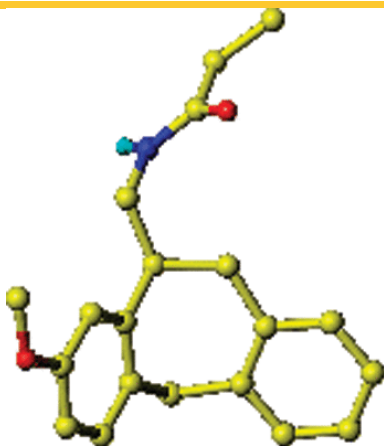
▼ ABSTRACT



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

 Abstract Full text PDF

▼ ABSTRACT



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

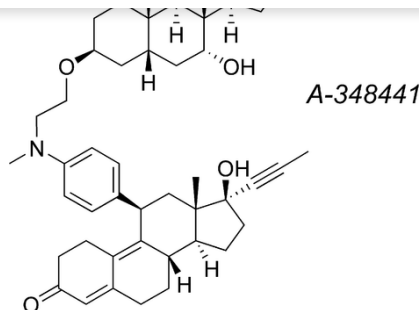
Valeria Lucini, Marilou Pannacci, Francesco Scaglione, Franco Fraschini, Sivia Rivara, Marco Mor, Fabrizio Bordi, Pier Vincenzo Plazzi, Gilberto Spadoni, Annalida Bedini, Giovanni Piersanti, Giuseppe Diamantini, and Giorgio Tarzia

Journal of Medicinal Chemistry 2004, 47, 17, 4202-4212 (Article)

Publication Date (Web): July 17, 2004

 Abstract Full text PDF

▼ ABSTRACT



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

Journal of Medicinal Chemistry 2004, 47, 17, 4213-4230 (Article)

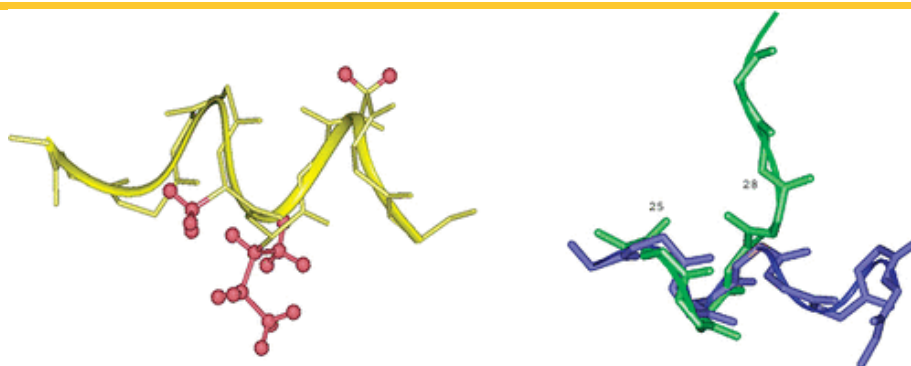
Publication Date (Web): July 13, 2004

 Abstract

 Full text

 PDF

ABSTRACT



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

Journal of Medicinal Chemistry 2004, 47, 17, 4231-4238 (Article)

▼ ABSTRACT

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, Yukihiro Aikawa, Shunichi Shiozawa, Hiroaki Gouda, and Shuichi Hirono

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

Publication Date (Web): July 21, 2004

 Abstract Full text PDF

▼ 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)

Publication Date (Web): July 17, 2004

 Abstract Full text PDF

▼ ABSTRACT

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)

Publication Date (Web): July 16, 2004

 Abstract Full text PDF

▼ ABSTRACT

2-(1-Adamantyl)-4-(thio)chromenone-6-carboxylic Acids: Potent Reversible Inhibitors of Human Steroid Sulfatase

Amarylla Horvath, Peter Nussbaumer, Barbara Wolff, and Andreas Billich

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

Publication Date (Web): July 9, 2004

 Abstract Full text PDF

▼ 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)

Publication Date (Web): July 16, 2004

Identification of Structurally Diverse Growth Hormone Secretagogue Agonists by Virtual Screening and Structure–Activity Relationship Analysis of 2-Formylaminoacetamide Derivatives

Miyuki Shoda, Takeo Harada, Yuji Kogami, Ryuichi Tsujita, Hirotada Akashi, Hiroyuki Kouji, Florence L. Stahura, Ling Xue, and Jürgen Bajorath

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

Publication Date (Web): July 13, 2004

 Abstract Full text PDF

▼ 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

Journal of Medicinal Chemistry 2004, 47, 17, 4291-4299 (Article)

Publication Date (Web): July 13, 2004

 Abstract Full text PDF

▼ ABSTRACT

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

Journal of Medicinal Chemistry 2004, 47, 17, 4300-4315 (**Article**)

Publication Date (Web): July 16, 2004

 **Abstract** **Full text** **PDF**

▼ **ABSTRACT**



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

Eyal Sobol, Meir Bialer, and Boris Yagen

Journal of Medicinal Chemistry 2004, 47, 17, 4316-4326 (**Article**)

Publication Date (Web): July 20, 2004

 **Abstract** **Full text** **PDF**

▼ **ABSTRACT**



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**)

Publication Date (Web): July 17, 2004

BRIEF ARTICLES

O-Alkoxyamidine Prodrugs of Furamidine: In Vitro Transport and Microsomal Metabolism as Indicators of in Vivo Efficacy in a Mouse Model of *Trypanosoma brucei rhodesiense* Infection

John H. Ansede, Mariappan Anbazhagan, Reto Brun, Judy D. Easterbrook, James Edwin Hall, and David W. Boykin

Journal of Medicinal Chemistry 2004, 47, 17, 4335-4338 (Brief Article)

Publication Date (Web): July 16, 2004

 Abstract Full text PDF

▼ ABSTRACT

Partners

ACS Publications
Most Trusted. Most Cited. Most Read.

1155 Sixteenth Street N.W.

Washington, DC 20036

Copyright © 2023

American Chemical Society



ACS Publications
Most Trusted. Most Cited. Most Read.



ACS & Open Access
ACS Membership

Journals A-Z
Books and Reference
Advertising Media Kit
Institutional Sales
ACS Publishing Center
Privacy Policy
Terms of Use

Live Chat
FAQ

Connect with ACS Publications



ADVERTISEMENT

The (Re)-Evolution of Quantitative Structure-Activity Relationship (QSAR) Studies Propelled by the Surge of Machine Learning Methods

JCIIM

JOURNAL OF
CHEMICAL INFORMATION
AND MODELING

VIRTUAL ISSUE

[RETURN TO ISSUE](#)

< PREV PERSPECTIVE NEXT >

[Get e-Alerts](#)

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

Lotte Bjerre Knudsen

[View Author Information](#) ▾✓ **Cite this:** *J. Med. Chem.* 2004, 47, 17, 4128–4134

Publication Date: July 20, 2004 ▾

<https://doi.org/10.1021/jm030630m>

Copyright © 2004 American Chemical Society

[RIGHTS & PERMISSIONS](#)

Article Views

2286

Altmetric

3

Citations

131

[LEARN ABOUT THESE METRICS](#)

Share Add to Export



Read Online



PDF (113 KB)

Note: In lieu of an abstract, this is the article's first page.

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.

Cited By

Citation Statements beta

Supporting  0	Mentioning  70	Contrasting  1
---	--	--

Explore this article's citation statements on scite.ai

powered by **scite_**

This article is cited by 131 publications.

1. Kathleen M. Sicinski, Vittorio Montanari, Venkata S. Raman, Jamie R. Doyle, Benjamin N. Harwood, Yi Chi Song, Micaella P. Fagan, Maribel Rios, David R. Haines, Alan S. Kopin, Martin Beinborn, Krishna Kumar. A Non-Perturbative Molecular Grafting Strategy for Stable and Potent Therapeutic Peptide Ligands. *ACS Central Science* **2021**, 7(3), 454-466. <https://doi.org/10.1021/acscentsci.0c01237>
2. David Hymel, Fa Liu. Selective Lysine Modification Enabled by Intramolecular Acyl Transfer. *Organic Letters* **2020**, 22(8), 3067-3071. <https://doi.org/10.1021/acs.orglett.0c00816>
3. Alberto Oddo, Sofia Mortensen, Henning Thøgersen, Leonardo De Maria, Stephanie Hennen, James N. McGuire, Jacob Kofoed, Lars Linderth, Steffen Reedtz-Runge. α -Helix or β -Turn? An Investigation into N-Terminally Constrained Analogues of Glucagon-like Peptide 1 (GLP-1) and Exendin-4. *Biochemistry* **2018**, 57(28), 4148-4154. <https://doi.org/10.1021/acs.biochem.8b00105>
4. Jesper Lau, Paw Bloch, Lauge Schäffer, Ingrid Pettersson, Jane Spetzler, Jacob Kofoed, Kjeld Madsen, Lotte Bjerre Knudsen, James McGuire, Dorte Bjerre Steensgaard, Holger Martin Strauss, Dorte X. Gram, Sanne Møller Knudsen, Flemming Seier Nielsen, Peter Thygesen, Steffen Reedtz-Runge, and Thomas Kruse. Discovery of the Once-Weekly Glucagon-Like Peptide-1 (GLP-1) Analogue Semaglutide. *Journal of Medicinal Chemistry* **2015**, 58(18), 7370-7380. <https://doi.org/10.1021/acs.jmedchem.5b00726>
5. Michaela Prothiwa, Ismail Syed, Mark O. Huising, Talitha van der Meulen, Cynthia J. Donaldson, Sunia A. Trauger, Barbara B. Kahn, and Alan Saghatelian. Data-Driven Synthesis of Proteolysis-Resistant Peptide Hormones. *Journal of the American Chemical Society* **2014**, 136(51), 17710-17713. <https://doi.org/10.1021/ja5065735>
6. Alessandro Angelini, Julia Morales-Sanfrutos, Philippe Diderich, Shiyu Chen, and Christian Heinis. Bicyclization and Tethering to Albumin Yields Long-Acting Peptide Antagonists. *Journal of Medicinal Chemistry* **2012**, 55(22),

Information on the Design, Activity, and Pharmacological Studies of Glucagon-like Peptide-1. *Journal of Medicinal Chemistry* **2008**, 51 (22), 7303-7307. <https://doi.org/10.1021/jm8008579>

8. Bong Chan Kim, Kyu-Young Kim, Hee Bong Lee and Hyunik Shin. Development of a Kilogram-Scale Synthesis of cis-LC15-0133 Tartrate, a Potent Dipeptidyl Peptidase IV Inhibitor. *Organic Process Research & Development* **2008**, 12 (4), 626-631. <https://doi.org/10.1021/op800076r>

9. Les P. Miranda, Katherine A. Winters, Colin V. Gegg, Ankita Patel, Jennifer Aral, Jason Long, Jingwen Zhang, Stephanie Diamond, Mark Guido, Shanaka Stanislaus, Mark Ma, Hongyan Li, Mark J. Rose, Leszek Poppe and Murielle M. Véniant. Design and Synthesis of Conformationally Constrained Glucagon-Like Peptide-1 Derivatives with Increased Plasma Stability and Prolonged in Vivo Activity. *Journal of Medicinal Chemistry* **2008**, 51 (9), 2758-2765. <https://doi.org/10.1021/jm701522b>

10. Dooseop Kim, Jennifer E. Kowalchick, Linda L. Brockunier, Emma R. Parmee, George J. Eiermann, Michael H. Fisher, Huaibing He, Barbara Leiting, Kathryn Lyons, Giovanna Scapin, Sangita B. Patel, Aleksandr Petrov, KellyAnn D. Pryor, Ranabir Sinha Roy, Joseph K. Wu, Xiaoping Zhang, Matthew J. Wyvrat, Bei B. Zhang, Lan Zhu, Nancy A. Thornberry and Ann E. Weber. Discovery of Potent and Selective Dipeptidyl Peptidase IV Inhibitors Derived from β -Aminoamides Bearing Substituted Triazolopiperazines. *Journal of Medicinal Chemistry* **2008**, 51 (3), 589-602. <https://doi.org/10.1021/jm070330v>

11. Santanu Mukherjee,, Jung Woon Yang,, Sebastian Hoffmann, and, Benjamin List. Asymmetric Enamine Catalysis. *Chemical Reviews* **2007**, 107 (12), 5471-5569. <https://doi.org/10.1021/cr0684016>

12. Yaseen A. M. M. Elshaier, Ashraf A. Aly, Mohamed Abd El-Aziz, Hazem M. Fathy, Alan B. Brown, Mohamed Ramadan. A review on the synthesis of heteroannulated quinolones and their biological activities. *Molecular Diversity* **2022**, 26 (4), 2341-2370. <https://doi.org/10.1007/s11030-021-10332-1>

13. Tanvi A. Deshpande, Jimena Martínez-Málaga, Ronny Priefer. Dithiocarbamates as potential PTP1B inhibitors for diabetes management. *Results in Chemistry* **2022**, 4, 100372. <https://doi.org/10.1016/j.rechem.2022.100372>

14. Divya J. Jethava, Mayuri A. Borad, Manoj N. Bhoi, Prachi T. Acharya, Zeel A. Bhavsar, Hitesh D. Patel. New dimensions in triazolo[4,3-a]pyrazine derivatives: The land of opportunity in organic and medicinal chemistry. *Arabian Journal of Chemistry* **2020**, 13 (12), 8532-8591. <https://doi.org/10.1016/j.arabjc.2020.09.038>

15. Yoshio Hayashi, Kyohei Muguruma. Basic Research in Antibody-dependent Drug Delivery Technology Based on IgG-binding Peptide. *Drug Delivery System* **2020**, 35 (3), 191-199. <https://doi.org/10.2745/dd.35.191>

16. Julia Moellmann, Barbara Mara Klinkhammer, Julia Onstein, Robert Stöhr, Vera Jankowski, Joachim Jankowski, Corinna Lebherz, Frank Tacke, Nikolaus Marx, Peter Boor, Michael Lehrke. Glucagon-Like Peptide 1 and Its Cleavage Products Are Renoprotective in Murine Diabetic Nephropathy. *Diabetes* **2018**, 67 (11), 2410-2419. <https://doi.org/10.2337/db17-1212>

18. H. Chilukuri, M. J. Kulkarni, M. Fernandes. Revisiting amino acids and peptides as anti-glycation agents. *MedChemComm* **2018**, *9* (4) , 614-624. <https://doi.org/10.1039/C7MD00514H>
19. Jian Wang, Tailang Yin, Xuwen Xiao, Dan He, Zhidong Xue, Xinnong Jiang, Yan Wang. StraPep: a structure database of bioactive peptides. *Database* **2018**, *2018* <https://doi.org/10.1093/database/bay038>
20. Woo Young Kwak, Hui Yeon Mok, Sung-Hwa Yoon. Improved Synthesis of (R)-3-(t -Butoxycarbonylamino)-4-(2,4,5-trifluorophenyl)butanoic Acid as a Key Intermediate for the Synthesis of Sitagliptin. *Bulletin of the Korean Chemical Society* **2017**, *38* (8) , 976-979. <https://doi.org/10.1002/bkcs.11202>
21. Noé Quittot, Phuong Trang Nguyen, Armelle Tchoumi Nerée, Marc P. Lussier, Steve Bourgault. Identification of a conformational heparin-recognition motif on the peptide hormone secretin: key role for cell surface binding. *Biochemical Journal* **2017**, *474* (13) , 2249-2260. <https://doi.org/10.1042/BCJ20170035>
22. Louise Vedtofte, Filip K. Knop, Tina Vilsbøll. Efficacy and safety of fixed-ratio combination of insulin degludec and liraglutide (IDegLira) for the treatment of type 2 diabetes. *Expert Opinion on Drug Safety* **2017**, *16* (3) , 387-396. <https://doi.org/10.1080/14740338.2017.1288715>
23. Enkhjargal Tsend-Ayush, Chuan He, Mark A. Myers, Sof Andrikopoulos, Nicole Wong, Patrick M. Sexton, Denise Wootten, Briony E. Forbes, Frank Grutzner. Monotreme glucagon-like peptide-1 in venom and gut: one gene – two very different functions. *Scientific Reports* **2016**, *6* (1) <https://doi.org/10.1038/srep37744>
24. F. P. M. O'Harte, M. T. Ng, A. M. Lynch, J. M. Conlon, P. R. Flatt. Dogfish glucagon analogues counter hyperglycaemia and enhance both insulin secretion and action in diet-induced obese diabetic mice. *Diabetes, Obesity and Metabolism* **2016**, *18* (10) , 1013-1024. <https://doi.org/10.1111/dom.12713>
25. Chris de Graaf, Dan Donnelly, Denise Wootten, Jesper Lau, Patrick M. Sexton, Laurence J. Miller, Jung-Mo Ahn, Jiayu Liao, Madeleine M. Fletcher, Dehua Yang, Alastair J. H. Brown, Caihong Zhou, Jiejie Deng, Ming-Wei Wang, . Glucagon-Like Peptide-1 and Its Class B G Protein–Coupled Receptors: A Long March to Therapeutic Successes. *Pharmacological Reviews* **2016**, *68* (4) , 954-1013. <https://doi.org/10.1124/pr.115.011395>
26. F.P.M. O'Harte, M.T. Ng, A.M. Lynch, J.M. Conlon, P.R. Flatt. Novel dual agonist peptide analogues derived from dogfish glucagon show promising in vitro insulin releasing actions and antihyperglycaemic activity in mice. *Molecular and Cellular Endocrinology* **2016**, *431* , 133-144. <https://doi.org/10.1016/j.mce.2016.05.012>
27. Yutaka Seino, Shizuka Kaneko, Shuichi Fukuda, Takeshi Osonoi, Toshihiko Shiraiwa, Keiji Nishijima, Heidrun Bosch-Traberg, Kohei Kaku. Combination therapy with liraglutide and insulin in Japanese patients with type 2 diabetes: A 36-week, randomized, double-blind, parallel-group trial. *Journal of Diabetes Investigation* **2016**, *7* (4) , 565-573. <https://doi.org/10.1111/jdi.12457>
28. Jonathan E. Wilson, Ravi Kurukulasuriya, Christopher Sinz, Matthew Lombardo, Kate Bender, Dann Parker, Edward C. Sherer, Melissa Costa, Karen Dingley, Xiaofang Li, Stanley Mitelman, Sharon Tong, Randal Bugianesi,

- 29.** Stephen CL Gough, Rajeev Jain, Vincent C Woo. Insulin degludec/liraglutide (IDegLira) for the treatment of type 2 diabetes. *Expert Review of Endocrinology & Metabolism* **2016**, *11* (1) , 7-19. <https://doi.org/10.1586/17446651.2016.1113129>
- 30.** Louise S. Dalbøge, Philip J. Pedersen, Gitte Hansen, Katrine Fabricius, Henrik B. Hansen, Jacob Jelsing, Niels Vrang, . A Hamster Model of Diet-Induced Obesity for Preclinical Evaluation of Anti-Obesity, Anti-Diabetic and Lipid Modulating Agents. *PLOS ONE* **2015**, *10* (8) , e0135634. <https://doi.org/10.1371/journal.pone.0135634>
- 31.** Tomoya Shiro, Takayuki Fukaya, Masanori Tobe. The chemistry and biological activity of heterocycle-fused quinolinone derivatives: A review. *European Journal of Medicinal Chemistry* **2015**, *97* , 397-408. <https://doi.org/10.1016/j.ejmech.2014.12.004>
- 32.** Ram N. Kushwaha, Rohit Srivastava, Akansha Mishra, Arun K. Rawat, Arvind K. Srivastava, Wahajul Haq, Seturam B. Katti. Design, Synthesis, Biological Screening, and Molecular Docking Studies of Piperazine-Derived Constrained Inhibitors of DPP-IV for the Treatment of Type 2 Diabetes. *Chemical Biology & Drug Design* **2015**, *85* (4) , 439-446. <https://doi.org/10.1111/cbdd.12426>
- 33.** Michiko Amao, Yoshiro Kitahara, Ayaka Tokunaga, Kazutaka Shimbo, Yuzuru Eto, Naoyuki Yamada. Simultaneous quantification of intracellular and secreted active and inactive glucagon-like peptide-1 from cultured cells. *Analytical Biochemistry* **2015**, *472* , 45-51. <https://doi.org/10.1016/j.ab.2014.11.009>
- 34.** Jeffrey-Tri Nguyen, Yoshiaki Kiso. Discovery of Peptide Drugs as Enzyme Inhibitors and Activators. **2015**, 157-201. <https://doi.org/10.1002/9781118995303.ch5>
- 35.** Jeffrey-Tri Nguyen, Yoshiaki Kiso. Delivery of Peptide Drugs. **2015**, 271-310. <https://doi.org/10.1002/9781118995303.ch8>
- 36.** Yohei Ikuma, Hitoshi Hochigai, Hidenori Kimura, Noriko Nunami, Tomonori Kobayashi, Katsuya Uchiyama, Takashi Umezome, Yasumitsu Sakurai, Naoyuki Sawada, Jun Tadano, Eiji Sugaru, Michiko Ono, Yuko Hirose, Hiroyuki Nakahira. Discovery of 3H-imidazo[4,5-c]quinolin-4(5H)-ones as potent and selective dipeptidyl peptidase IV (DPP-4) inhibitors: Use of a carboxylate prodrug to improve bioavailability. *Bioorganic & Medicinal Chemistry* **2015**, *23* (4) , 779-790. <https://doi.org/10.1016/j.bmc.2014.12.051>
- 37.** Sinan Wang, Mingbo Su, Jiang Wang, Zeng Li, Lei Zhang, Xun Ji, Jingya Li, Jia Li, Hong Liu. (R)-3-Amino-1-((3a S ,7a S)-octahydro-1 H -indol-1-yl)-4-(2,4,5-trifluorophenyl)butan-1-one derivatives as potent inhibitors of dipeptidyl peptidase-4: Design, synthesis, biological evaluation, and molecular modeling. *Bioorganic & Medicinal Chemistry* **2014**, *22* (23) , 6684-6693. <https://doi.org/10.1016/j.bmc.2014.09.051>
- 38.** Louise S. Dalbøge, Dorte L. C. Almholt, Trine S. R. Neerup, Niels Vrang, Jacob Jelsing, Keld Fosgerau. The Novel GLP-1 –Gastrin Dual Agonist ZP3022 Improves Glucose Homeostasis and Increases β -Cell Mass without

43. Steven H. Sparks, Grace Chen, Ben L. Ginn, Dana Dinger, Steven H. Bush, Chandra Sekamurthy, Stephen Jenkinson, Christopher Laudeman, M. Anthony Leesnitzer, Xi Liang, Patrick Maloney, David C. McCoy, David Moncol, Vincent Rash, Thomas Rimele, Padmaja Vulimiri, James M. Way, Sean Ross. Identification of diarylsulfonamides as agonists of the free fatty acid receptor 4 (FFA4/GPR120). *Bioorganic & Medicinal Chemistry Letters* **2014**, 24 (14) , 3100-3103. <https://doi.org/10.1016/j.bmcl.2014.05.012>
40. Chennam Setty Subbaiah, Wahajul Haq. Efficient stereocontrolled synthesis of sitagliptin phosphate. *Tetrahedron: Asymmetry* **2014**, 25 (13-14) , 1026-1030. <https://doi.org/10.1016/j.tetasy.2014.06.001>
41. Anthony Heymann, Yasmin Maor, Inbal Goldstein, Lora Todorova, Perlit Schertz-Sternberg, Avraham Karasik. Efficacy of Liraglutide in a Real-Life Cohort. *Diabetes Therapy* **2014**, 5 (1) , 193-206. <https://doi.org/10.1007/s13300-014-0062-2>
42. Omprakash Tanwar, Girdhar Singh Deora, Lalima Tanwar, Gautam Kumar, Sridhara Janardhan, Md. Mumtaz Alam, Md. Shaquiquzzaman, Mymoon Akhter. Novel hydrazine derivatives as selective DPP-IV inhibitors: findings from virtual screening and validation through molecular dynamics simulations. *Journal of Molecular Modeling* **2014**, 20 (4) <https://doi.org/10.1007/s00894-014-2118-7>
43. Odile E. Levy, Carolyn M. Jodka, Shijun Steven Ren, Lala Mamedova, Abhinandini Sharma, Manoj Samant, Lawrence J. D'Souza, Christopher J. Soares, Diane R. Yuskin, Li Jenny Jin, David G. Parkes, Krystyna Tatarkiewicz, Soumitra S. Ghosh, . Novel Exenatide Analogs with Peptidic Albumin Binding Domains: Potent Anti-Diabetic Agents with Extended Duration of Action. *PLoS ONE* **2014**, 9 (2) , e87704. <https://doi.org/10.1371/journal.pone.0087704>
44. Giuseppe Derosa, Pamela Maffioli. Pharmacotherapy for Obesity. **2014**, 261-277. https://doi.org/10.1007/978-1-4939-0548-5_18
45. M. Amiram, K.M. Luginbuhl, X. Li, M.N. Feinglos, A. Chilkoti. A depot-forming glucagon-like peptide-1 fusion protein reduces blood glucose for five days with a single injection. *Journal of Controlled Release* **2013**, 172 (1) , 144-151. <https://doi.org/10.1016/j.jconrel.2013.07.021>
46. Mukesh C. Sharma. WITHDRAWN: Molecular modeling and pharmacophore approach substituted benzimidazole derivatives as dipeptidyl peptidase IV inhibitors. *Journal of Saudi Chemical Society* **2013**, <https://doi.org/10.1016/j.jscs.2013.10.008>
47. Yang Liu, Yizhe Wu, Haoshu Wu, Li Tang, Peng Wu, Tao Liu, Yongzhou Hu. Design, Synthesis, Biological Evaluation, and Docking Studies of (S)-Phenylalanine Derivatives with a 2-Cyanopyrrolidine Moiety as Potent Dipeptidyl Peptidase 4 Inhibitors. *Chemical Biology & Drug Design* **2013**, 82 (2) , 140-146. <https://doi.org/10.1111/cbdd.12139>
48. Linrong Zhu, Yuanyuan Li, Ling Qiu, Mingbo Su, Xin Wang, Chunmei Xia, Yi Qu, Jingya Li, Jia Li, Bing Xiong, Jingkang Shen. Design and Synthesis of 4-(2,4,5-Trifluorophenyl)butane-1,3-diamines as Dipeptidyl Peptidase IV Inhibitors. *ChemMedChem* **2013**, 8 (7) , 1104-1116. <https://doi.org/10.1002/cmdc.201300104>

- 50.** Miriam Amiram, Kelli M. Luginbuhl, Xinghai Li, Mark N. Feinglos, Ashutosh Chilkoti. Injectable protease-operated depots of glucagon-like peptide-1 provide extended and tunable glucose control. *Proceedings of the National Academy of Sciences* **2013**, 110 (8) , 2792-2797. <https://doi.org/10.1073/pnas.1214518110>
- 51.** Aiko Nitta, Hideaki Fujii, Satoshi Sakami, Mikiya Satoh, Junko Nakaki, Shiho Satoh, Hiroki Kumagai, Hideki Kawai. Novel series of 3-amino-N-(4-aryl-1,1-dioxothian-4-yl)butanamides as potent and selective dipeptidyl peptidase IV inhibitors. *Bioorganic & Medicinal Chemistry Letters* **2012**, 22 (23) , 7036-7040. <https://doi.org/10.1016/j.bmcl.2012.09.099>
- 52.** Jack Hou, Anatol Manaenko, Jakob Hakon, Jacob Hansen-Schwartz, Jiping Tang, John H Zhang. Liraglutide, a Long-Acting GLP-1 Mimetic, and its Metabolite Attenuate Inflammation after Intracerebral Hemorrhage. *Journal of Cerebral Blood Flow & Metabolism* **2012**, 32 (12) , 2201-2210. <https://doi.org/10.1038/jcbfm.2012.133>
- 53.** Gaia Chiara Mannino, Giorgio Sesti. Individualized Therapy for Type 2 Diabetes. *Molecular Diagnosis & Therapy* **2012**, 16 (5) , 285-302. <https://doi.org/10.1007/s40291-012-0002-7>
- 54.** Yohei Ikuma, Hitoshi Hochigai, Hidenori Kimura, Noriko Nunami, Tomonori Kobayashi, Katsuya Uchiyama, Yudai Furuta, Mutsuko Sakai, Masakuni Horiguchi, Yumi Masui, Kazuhiko Okazaki, Yasuhiro Sato, Hiroyuki Nakahira. Discovery of 3H-imidazo[4,5-c]quinolin-4(5H)-ones as potent and selective dipeptidyl peptidase IV (DPP-4) inhibitors. *Bioorganic & Medicinal Chemistry* **2012**, 20 (19) , 5864-5883. <https://doi.org/10.1016/j.bmc.2012.07.046>
- 55.** Heung Jae Kim, Woo Young Kwak, Jong Pil Min, Si Young Sung, Ha Dong Kim, Mi Kyung Kim, Hae Sun Kim, Kyung Jin Park, Moon Ho Son, Soon Hoe Kim, Bong Jin Lee. Dipeptidyl peptidase-4 inhibitor with β -amino amide scaffold: Synthesis, SAR and biological evaluation. *Bioorganic & Medicinal Chemistry Letters* **2012**, 22 (17) , 5545-5549. <https://doi.org/10.1016/j.bmcl.2012.07.019>
- 56.** Chaoyi Jiang, Shuang Han, Tiegang Chen, Jianzhong Chen. 3D-QSAR and docking studies of arylmethylamine-based DPP IV inhibitors. *Acta Pharmaceutica Sinica B* **2012**, 2 (4) , 411-420. <https://doi.org/10.1016/j.apsb.2012.06.007>
- 57.** Suresh Thareja, Saurabh Aggarwal, T. R. Bhardwaj, Manoj Kumar. Protein Tyrosine Phosphatase 1B Inhibitors: A Molecular Level Legitimate Approach for the Management of Diabetes Mellitus. *Medicinal Research Reviews* **2012**, 32 (3) , 459-517. <https://doi.org/10.1002/med.20219>
- 58.** Alan J Garber. Novel GLP-1 receptor agonists for diabetes. *Expert Opinion on Investigational Drugs* **2012**, 21 (1) , 45-57. <https://doi.org/10.1517/13543784.2012.638282>
- 59.** Cynthia L. Stevenson, Christopher A. Rhodes, Steven J. Prestrelski. Delivery of Peptides and Proteins via Long Acting Injections and Implants. **2012**, 409-427. https://doi.org/10.1007/978-1-4614-0554-2_20

- 61.** Yukihiro Nishio, Hidenori Kimura, Naoyuki Sawada, Eiji Sugaru, Masakuni Horiguchi, Michiko Ono, Yudai Furuta, Mutsuko Sakai, Yumi Masui, Misato Otani, Takahiko Hashizuka, Yayoi Honda, Jiro Deguchi, Tsutomu Nakagawa, Hiroyuki Nakahira. 2-({6-[(3R)-3-amino-3-methylpiperidine-1-yl]-1,3-dimethyl-2,4-dioxo-1,2,3,4-tetrahydro-5H-pyrrolo[3,2-d]pyrimidine-5-yl)methyl}-4-fluorobenzonitrile (DSR-12727): A potent, orally active dipeptidyl peptidase IV inhibitor without mechanism-based inactivation of CYP3A. *Bioorganic & Medicinal Chemistry* **2011**, *19* (18) , 5490-5499. <https://doi.org/10.1016/j.bmc.2011.07.042>
- 62.** Victor A. Gault, Barry D. Kerr, Patrick Harriott, Peter R. Flatt. Administration of an acylated GLP-1 and GIP preparation provides added beneficial glucose-lowering and insulinotropic actions over single incretins in mice with Type 2 diabetes and obesity. *Clinical Science* **2011**, *121* (3) , 107-117. <https://doi.org/10.1042/CS20110006>
- 63.** Heung Jae Kim, Woo Young Kwak, Jong Pil Min, Jae Young Lee, Tae Hyun Yoon, Ha Dong Kim, Chang Yell Shin, Mi Kyung Kim, Song Hyen Choi, Hae Sun Kim, Eun Kyoung Yang, Ye Hwang Cheong, Yu Na Chae, Kyung Jin Park, Ji Myun Jang, Soo Jung Choi, Moon Ho Son, Soon Hoe Kim, Moohi Yoo, Bong Jin Lee. Discovery of DA-1229: A potent, long acting dipeptidyl peptidase-4 inhibitor for the treatment of type 2 diabetes. *Bioorganic & Medicinal Chemistry Letters* **2011**, *21* (12) , 3809-3812. <https://doi.org/10.1016/j.bmcl.2011.04.029>
- 64.** M. Shimoda, Y. Kanda, S. Hamamoto, K. Tawaramoto, M. Hashiramoto, M. Matsuki, K. Kaku. The human glucagon-like peptide-1 analogue liraglutide preserves pancreatic beta cells via regulation of cell kinetics and suppression of oxidative and endoplasmic reticulum stress in a mouse model of diabetes. *Diabetologia* **2011**, *54* (5) , 1098-1108. <https://doi.org/10.1007/s00125-011-2069-9>
- 65.** Vanangamudi Murugesan, Nidhi Sethi, Yenamandra S. Prabhakar, Seturam B. Katti. CoMFA and CoMSIA of diverse pyrrolidine analogues as dipeptidyl peptidase IV inhibitors: active site requirements. *Molecular Diversity* **2011**, *15* (2) , 457-466. <https://doi.org/10.1007/s11030-010-9267-0>
- 66.** R.L. Hanson, R.M. Johnston, S.L. Goldberg, W.L. Parker, R.N. Patel. Enzymatic preparation of 5-hydroxy-L-proline, N-Cbz-5-hydroxy-L-proline, and N-boc-5-hydroxy-L-proline from (α -N-protected)-L-ornithine using a transaminase or an amine oxidase. *Enzyme and Microbial Technology* **2011**, *48* (6-7) , 445-453. <https://doi.org/10.1016/j.enzmictec.2011.03.007>
- 67.** Yukihiro Nishio, Hidenori Kimura, Katsuya Uchiyama, Yoshihiro Horiuchi, Hiroyuki Nakahira. One-pot synthesis of 5-amino 4-cyano pyrrole derivatives. *Tetrahedron Letters* **2011**, *52* (21) , 2767-2770. <https://doi.org/10.1016/j.tetlet.2011.03.080>
- 68.** Yukihiro Nishio, Katsuya Uchiyama, Makoto Kito, Hiroyuki Nakahira. Convenient synthesis of 4-tert-butyl 2-ethyl 3-amino-1-benzyl-5-dialkylamino-1H-pyrrole-2,4-dicarboxylate derivatives. *Tetrahedron* **2011**, *67* (17) , 3124-3131. <https://doi.org/10.1016/j.tet.2011.02.071>
- 69.** Woul Seong Park, Seung Kyu Kang, Mi Ae Jun, Mi Sik Shin, Ki Young Kim, Sang Dal Rhee, Myung Ae Bae, Min Sun Kim, Kwang Rok Kim, Nam Sook Kang, Sung-eun Yoo, Jie Oh Lee, Dong Hyun Song, Peter Silinski, Stephen Edward Schneider, Jin Hee Ahn, Sung Soo Kim. Discovery of β -aminoacyl containing thiazolidine derivatives as

70. Sarvepalli Kumar, Deepika Seshi, Surya Reddy, Hanuman Reddy, Chandraiah Ramani. Development of a robust quantum model to predict the affinity of pyrrolidine analogs for dipeptidyl peptidase IV (DPP-IV). *Journal of Enzyme Inhibition and Medicinal Chemistry* **2011**, 26 (1) , 129-140. <https://doi.org/10.3109/14756361003777057>

71. Yukihiro Nishio, Hidenori Kimura, Shinya Tosaki, Eiji Sugaru, Mutsuko Sakai, Masakuni Horiguchi, Yumi Masui, Michiko Ono, Tsutomu Nakagawa, Hiroyuki Nakahira. Discovery of new chemotype dipeptidyl peptidase IV inhibitors having (R)-3-amino-3-methyl piperidine as a pharmacophore. *Bioorganic & Medicinal Chemistry Letters* **2010**, 20 (24) , 7246-7249. <https://doi.org/10.1016/j.bmcl.2010.10.101>

72. Yanyun Zhu, Shuang Xia, Mingjie Zhu, Weiyin Yi, Jiagao Cheng, Gonghua Song, Zhong Li, Peng Lu. Synthesis, biological assay in vitro and molecular docking studies of new imidazopyrazinone derivatives as potential dipeptidyl peptidase IV inhibitors. *European Journal of Medicinal Chemistry* **2010**, 45 (11) , 4953-4962. <https://doi.org/10.1016/j.ejmech.2010.08.002>

73. Monika Malm-Erfjelt, Inga Bjørnsdottir, Jan Vanggaard, Hans Helleberg, Uffe Larsen, Berend Oosterhuis, Jan Jaap van Lier, Milan Zdravkovic, Anette K. Olsen. Metabolism and Excretion of the Once-Daily Human Glucagon-Like Peptide-1 Analog Liraglutide in Healthy Male Subjects and Its In Vitro Degradation by Dipeptidyl Peptidase IV and Neutral Endopeptidase. *Drug Metabolism and Disposition* **2010**, 38 (11) , 1944-1953. <https://doi.org/10.1124/dmd.110.034066>

74. D. W. Porter, B. D. Kerr, P. R. Flatt, C. Holscher, V. A. Gault. Four weeks administration of Liraglutide improves memory and learning as well as glycaemic control in mice with high fat dietary-induced obesity and insulin resistance. *Diabetes, Obesity and Metabolism* **2010**, 12 (10) , 891-899. <https://doi.org/10.1111/j.1463-1326.2010.01259.x>

75. Barry D. Kerr, Peter R. Flatt, Victor A. Gault. Effects of γ -glutamyl linker on DPP-IV resistance, duration of action and biological efficacy of acylated glucagon-like peptide-1. *Biochemical Pharmacology* **2010**, 80 (3) , 396-401. <https://doi.org/10.1016/j.bcp.2010.04.021>

76. Scott R Drab. Incretin-Based Therapies for Type 2 Diabetes Mellitus: Current Status and Future Prospects. *Pharmacotherapy* **2010**, 30 (6) , 609-624. <https://doi.org/10.1592/phco.30.6.609>

77. Marco De Amici, Clelia Dallanocce, Ulrike Holzgrabe, Christian Tränkle, Klaus Mohr. Allosteric ligands for G protein-coupled receptors: A novel strategy with attractive therapeutic opportunities. *Medicinal Research Reviews* **2010**, 30 (3) , 463-549. <https://doi.org/10.1002/med.20166>

78. Babak Behnam Azad, Vanessa A. Rota, Daniel Breadner, Savita Dhanvantari, Leonard G. Luyt. Design, synthesis and in vitro characterization of Glucagon-Like Peptide-1 derivatives for pancreatic beta cell imaging by SPECT. *Bioorganic & Medicinal Chemistry* **2010**, 18 (3) , 1265-1272. <https://doi.org/10.1016/j.bmc.2009.12.032>

79. Po Sing Leung, Qianni Cheng. The Novel Roles of Glucagon-Like Peptide-1, Angiotensin II, and Vitamin D in Islet Function. **2010**, 339-361. https://doi.org/10.1007/978-90-481-3271-3_15

81. Sonja Nordhoff, Stephan Bulat, Silvia Cerezo-Gálvez, Oliver Hill, Barbara Hoffmann-Enger, Meritxell López-Canet, Claudia Rosenbaum, Christian Rummey, Meinolf Thiemann, Victor G. Matassa, Paul J. Edwards, Achim Feurer. The design of potent and selective inhibitors of DPP-4: Optimization of ADME properties by amide replacements. *Bioorganic & Medicinal Chemistry Letters* **2009**, 19 (22) , 6340-6345. <https://doi.org/10.1016/j.bmcl.2009.09.078>
82. Woul Seong Park, Mi Ae Jun, Mi Sik Shin, Sung Wook Kwon, Seung Kyu Kang, Ki Young Kim, Sang Dal Rhee, Myung Ae Bae, Banda Narsaiah, Duck Hyung Lee, Hyae Gyeong Cheon, Jin Hee Ahn, Sung Soo Kim. Synthesis and biological evaluation of triazepane derivatives as DPP-IV inhibitors. *Journal of Fluorine Chemistry* **2009**, 130 (11) , 1001-1010. <https://doi.org/10.1016/j.jfluchem.2009.08.001>
83. Juan M. Betancort, David T. Winn, Ruzhang Liu, Quansheng Xu, Junjuan Liu, Wensheng Liao, Shu-Hui Chen, David Carney, Denise Hanway, James Schmeits, Xinqiang Li, Eric Gordon, David A. Campbell. Bicyclic cyanothiazolidines as novel dipeptidyl peptidase 4 inhibitors. *Bioorganic & Medicinal Chemistry Letters* **2009**, 19 (15) , 4437-4440. <https://doi.org/10.1016/j.bmcl.2009.05.048>
84. Sonja Nordhoff, Silvia Cerezo-Gálvez, Holger Deppe, Oliver Hill, Meritxell López-Canet, Christian Rummey, Meinolf Thiemann, Victor G. Matassa, Paul J. Edwards, Achim Feurer. Discovery of β -homophenylalanine based pyrrolidin-2-ylmethyl amides and sulfonamides as highly potent and selective inhibitors of dipeptidyl peptidase IV. *Bioorganic & Medicinal Chemistry Letters* **2009**, 19 (15) , 4201-4203. <https://doi.org/10.1016/j.bmcl.2009.05.109>
85. Sonja Nordhoff, Meritxell López-Canet, Barbara Hoffmann-Enger, Stephan Bulat, Silvia Cerezo-Gálvez, Oliver Hill, Claudia Rosenbaum, Christian Rummey, Meinolf Thiemann, Victor G. Matassa, Paul J. Edwards, Achim Feurer. From lead to preclinical candidate: Optimization of β -homophenylalanine based inhibitors of dipeptidyl peptidase IV. *Bioorganic & Medicinal Chemistry Letters* **2009**, 19 (16) , 4818-4823. <https://doi.org/10.1016/j.bmcl.2009.06.036>
86. Samy Cecioni, Oana-Andreea Argintaru, Tibor Docsa, Pál Gergely, Jean-Pierre Praly, Sébastien Vidal. Probing multivalency for the inhibition of an enzyme: glycogen phosphorylase as a case study. *New J. Chem.* **2009**, 33 (1) , 148-156. <https://doi.org/10.1039/B812540F>
87. Jin Hee Ahn, Woul Seong Park, Mi Ae Jun, Mi Sik Shin, Seung Kyu Kang, Ki Young Kim, Sang Dal Rhee, Myung Ae Bae, Kwang Rok Kim, Sung Gyu Kim, Sun Young Kim, Sang Kwon Sohn, Nam Sook Kang, Jie Oh Lee, Duck Hyung Lee, Hyae Gyeong Cheon, Sung Soo Kim. Synthesis and biological evaluation of homopiperazine derivatives with β -aminoacyl group as dipeptidyl peptidase IV inhibitors. *Bioorganic & Medicinal Chemistry Letters* **2008**, 18 (24) , 6525-6529. <https://doi.org/10.1016/j.bmcl.2008.10.076>
88. . SAR Study of β -Aminoacyl-Containing Cyclic Hydrazide Derivatives as DPP-IV Inhibitors. *Bulletin of the Korean Chemical Society* **2008**, 2129-2134. <https://doi.org/10.5012/bkcs.2008.29.11.2129>
89. Aiko Nitta, Hideaki Fujii, Satoshi Sakami, Yutaka Nishimura, Tomofumi Ohyama, Mikiya Satoh, Junko Nakaki, Shiho Satoh, Chifumi Inada, Hideki Kozono, Hiroki Kumagai, Masahiro Shimamura, Tominaga Fukazawa, Hideki

90. Yuka Someya, Atsuo Tahara, Ryosuke Nakano, Akiko Matsuyama-Yokono, Itsuro Nagase, Yasuhisa Fukunaga, Toshiyuki Takasu, Masahiko Hayakawa, Masayuki Shibasaki. Pharmacological profile of ASP8497, a novel, selective, and competitive dipeptidyl peptidase-IV inhibitor, in vitro and in vivo. *Naunyn-Schmiedeberg's Archives of Pharmacology* **2008**, 377(3), 209-217. <https://doi.org/10.1007/s00210-008-0277-8>
91. S M Gardiner, J E March, P A Kemp, T Bennett. Autonomic nervous system-dependent and -independent cardiovascular effects of exendin-4 infusion in conscious rats. *British Journal of Pharmacology* **2008**, 154(1), 60-71. <https://doi.org/10.1038/bjp.2008.75>
92. Jennifer L. Harris. Protease Substrate Profiling. **2008**<https://doi.org/10.1002/9783527610754.fa17>
93. Scott D. Edmondson, Dooseop Kim. Selective Dipeptidyl Peptidase IV Inhibitors for the Treatment of Type 2 Diabetes: The Discovery of JANUVIA (™) (Sitagliptin). **2008**, 399-422. <https://doi.org/10.1002/9783527621460.ch17>
94. Li Lin. Commonality between Diabetes and Alzheimer's Disease and a New Strategy for the Therapy. *Clinical medicine. Pathology* **2008**, 1, CPath.S667. <https://doi.org/10.4137/CPath.S667>
95. Amal Ting, Scott E. Schaus. Organocatalytic Asymmetric Mannich Reactions: New Methodology, Catalyst Design, and Synthetic Applications. *European Journal of Organic Chemistry* **2007**, 2007(35), 5797-5815. <https://doi.org/10.1002/ejoc.200700409>
96. J.W. Corbett, K. Dirico, W. Song, B.P. Boscoe, S.D. Doran, D. Boyer, X. Qiu, M. Ammirati, M.A. VanVolkenburg, R.K. McPherson, J.C. Parker, E.D. Cox. Design and synthesis of potent amido- and benzyl-substituted cis-3-amino-4-(2-cyanopyrrolidide)pyrrolidinyl DPP-IV inhibitors. *Bioorganic & Medicinal Chemistry Letters* **2007**, 17(24), 6707-6713. <https://doi.org/10.1016/j.bmcl.2007.10.063>
97. Joseph Kim, Jeanette Flick, Michael T. Reimer, Ramona Rodila, Perry G. Wang, Jun Zhang, Qin C. Ji, Tawakol A. El-Shourbagy. LC-MS/MS determination of 2-(4-((2-(2S,5R)-2-Cyano-5-ethynyl-1-pyrrolidinyl)-2-oxoethylamino)-4-methyl-1-piperidinyl)-4-pyridinecarboxylic acid (ABT-279) in dog plasma with high-throughput protein precipitation sample preparation. *Biomedical Chromatography* **2007**, 21(11), 1118-1126. <https://doi.org/10.1002/bmc.855>
98. Kai Cao, Samuel J. Bonacorsi, Balu Balasubramanian, Ronald L. Hanson, Percy Manchand, Jollie D. Godfrey, Rita Fox, Lisa J. Christopher, Hong Su, Ramaswamy Iyer. Carbon-14 labeling of Saxagliptin (BMS-477118). *Journal of Labelled Compounds and Radiopharmaceuticals* **2007**, 50(13), 1224-1229. <https://doi.org/10.1002/jlcr.1450>
99. Jennifer E. Kowalchick, Barbara Leiting, KellyAnn D. Pryor, Frank Marsilio, Joseph K. Wu, Huaibing He, Kathryn A. Lyons, George J. Eiermann, Aleksandr Petrov, Giovanna Scapin, Reshma A. Patel, Nancy A. Thornberry, Ann E. Weber, Dooseop Kim. Design, synthesis, and biological evaluation of triazolopiperazine-based β -amino amides as

Reshma A. Patel, Sangita B. Patel, Alexandr Petrov, Giovanna Scapin, Joseph K. Wu, Nancy A. Thornberry, Ann E. Weber, Joseph L. Duffy. 4-Arylcyclohexylalanine analogs as potent, selective, and orally active inhibitors of dipeptidyl peptidase IV. *Bioorganic & Medicinal Chemistry Letters* **2007**, 17 (21) , 5806-5811.

<https://doi.org/10.1016/j.bmcl.2007.08.049>

⌵ Load all citations

Partners











ACS Publications
 Most Trusted. Most Cited. Most Read.

1155 Sixteenth Street N.W.
 Washington, DC 20036
 Copyright © 2023
 American Chemical Society

About

About ACS Publications
 ACS & Open Access
 ACS Membership

Resources and Information

Journals A-Z
 Books and Reference
 Advertising Media Kit
 Institutional Sales
 ACS Publishing Center

Support & Contact

Help
 Live Chat
 FAQ

Connect with ACS Publications

