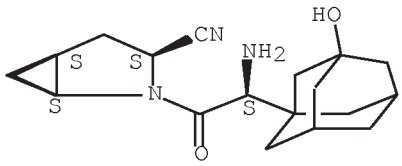
glucagon-like peptide-1 (GLP-1) secretion. Incretin-based therapies offer a new option for treatment of type 2 diabetes. Saxagliptin, a potent, selective dipeptidyl peptidase-4 (DPP-4) inhibitor specifically designed for extended inhibition of the DPP-4 enzyme, causes increased endogenous GLP-1 concentration In a phase 3 clin. trials program of 24 wk duration, saxagliptin was studied in 6 multicenter, multinational, randomized, controlled studies and in combination with 3 of the most commonly administered oral antidiabetic drugs: metformin, glyburide and a thiozolidinedione (TZD). Saxagliptin provided significant redns. in Hb HbA1c when given with metformin, glyburide, a TZD, or as monotherapy. Saxagliptin also reduced fasting plasma glucose and 2-h post-prandial glucose in each of these studies, and was weight and lipid neutral. Saxagliptin was well tolerated and had a low risk of hypoglycemia when used as monotherapy.

IT 361442-04-8, Saxagliptin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(saxagliptin reduced dipeptidyl peptidase-4 enzyme, increased glucagon-like peptide-1 concentration while alone or in combination with metformin, glyburide or thiazolidinedione reduced glycated Hb in patient with type 2 diabetes)

RN 361442-04-8 HCAPLUS

CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 45 OF 87 HCAPLUS COPYRIGHT 2012 ACS on STN

ACCESSION NUMBER: 2010:658952 HCAPLUS Full-text

DOCUMENT NUMBER: 153:521115

TITLE: Appraisal of saxagliptin as treatment of type 2 diabetes

AUTHOR(S): Mikhail, Nasser; Cope, Dennis

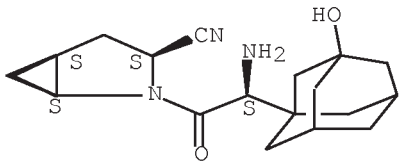
CORPORATE SOURCE: Endocrinology Division, Olive View-UCLA Medical Center, UCLA School of Medicine, USA

SOURCE: Current Drug Therapy (2010), 5(2), 111-117
CODEN: CDTUBV; ISSN: 1574-8855

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 28 May 2010
AB A review. The antidiabetic effect of the dipeptidyl peptidase 4 (DPP-4) inhibitor saxagliptin depends on the prolongation of action of the 2 incretin hormones: glucagon like peptide-1 (GLP-1) and gastric inhibitory polypeptide (GIP) by preventing their rapid degradation by the enzyme DPP-4. The use of saxagliptin (5 mg/d) is associated with mean reduction in glycosylated Hb (HbA1c) levels ranging from 0.5% to 0.9% compared with baseline and 0.6 to 0.8% compared with placebo after 24 wk of therapy. The main advantages of saxagliptin are the low risk of hypoglycemia, the neutral effect on body weight, the simplicity of use, and reassuring short-term safety profile. However, its mild-to-moderate efficacy, the lack of long-term safety and efficacy data, and relatively high cost represent its major limitations. Overall, saxagliptin may be a useful second agent for patients with type 2 diabetes who are not optimally controlled on metformin. This drug can also be used as monotherapy in patients with mild hyperglycemia who cannot tolerate metformin or a sulfonylurea (SU).
IT 361442-04-8, Saxagliptin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(saxagliptin may be useful in treatment of patient with type 2 diabetes)
RN 361442-04-8 HCAPLUS
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 46 OF 87 HCAPLUS COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER: 2010:639040 HCAPLUS Full-text
DOCUMENT NUMBER: 153:494
TITLE: New treatments in the management of type 2 diabetes: a critical appraisal of saxagliptin
AUTHOR(S): Gallwitz, Baptist
CORPORATE SOURCE: Dept. Medicine IV, Tuebingen University, Tuebingen, 72076, Germany
SOURCE: Diabetes, Metabolic Syndrome and Obesity (2010), 3,

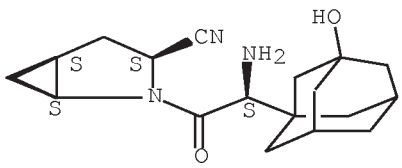
117-124
CODEN: DMSOAD; ISSN: 1178-7007
URL: http://www.dovepress.com/getfile.php?fileID=6261
PUBLISHER: Dove Medical Press Ltd.
DOCUMENT TYPE: Journal; General Review; (online computer file)
LANGUAGE: English
ED Entered STN: 25 May 2010

AB A review. Saxagliptin is a novel dipeptidyl peptidase-4 inhibitor (DPP-4 inhibitor) for the treatment of type 2 diabetes, with a duration profile for once daily dosing. It is highly selective for DPP-4 in comparison to other enzymes of the dipeptidyl peptidase family. DPP-4 inhibitors elevate plasma concns. of the incretin hormones glucagon-like peptide-1 (GLP-1) and gastric inhibitory polypeptide (GIP). This effect results in a glucose-dependent stimulation of insulin secretion and an inhibition of glucagon secretion without an intrinsic risk for hypoglycemia. In comparison to sulfonylureas and thiazolidinediones that promote weight gain, DPP-4 inhibitors are weight neutral. Saxagliptin has been approved by the FDA for the US and by the EMEA for Europe in 2009. Clin. trials showed a dose-dependent inhibition of DPP-4 by saxagliptin in doses ranging from 2.5 to 100 mg daily without serious side effects. Type 2 diabetic patients receiving 5 mg to 10 mg saxagliptin once daily had a significant lowering of HbA1c and glycemic parameters along with good tolerability and safety. Saxagliptin has demonstrated a good efficacy for glycemic parameters in various patient populations either in monotherapy or in combination with metformin and other oral antidiabetic drugs as well as a favorable cardiovascular profile. With its high selectivity for DPP-4 and its clin. and cardiovascular profile, saxagliptin is an attractive novel DPP-4 inhibitor.

IT 361442-04-8, Saxagliptin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(management of type 2 diabetes using saxagliptin)

RN 361442-04-8 HCAPLUS
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)- (CA INDEX NAME)

Absolute stereochemistry.

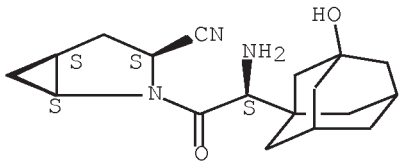


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 47 OF 87 HCAPLUS COPYRIGHT 2012 ACS on STN

ACCESSION NUMBER: 2010:551452 HCAPLUS Full-text
DOCUMENT NUMBER: 154:291821
TITLE: Green process chemistry in the pharmaceutical industry
AUTHOR(S): Cue, Berkeley W.; Zhang, Ji
CORPORATE SOURCE: BWC Pharma Consulting, LLC, Ledyard, CT, USA
SOURCE: Green Chemistry Letters and Reviews (2009), 2(4), 193-211
CODEN: GCLRAI; ISSN: 1751-8253
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 04 May 2010
AB A review. Key factors for deriving environmentally sustainable processes in the synthesis of pharmaceutical intermediates and products are discussed. The selection and use of solvents is emphasized as regards methods to minimize environmental impact. Case studies of successful process development to attain improved green processes are included.
IT 361442-04-8P, Saxagliptin
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(green process chemical in pharmaceutical industry)
RN 361442-04-8 HCAPLUS
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)- (CA INDEX NAME)

Absolute stereochemistry.

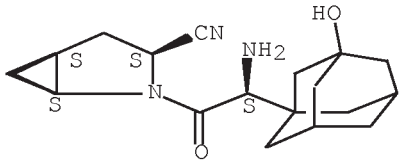


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 48 OF 87 HCAPLUS COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER: 2010:139419 HCAPLUS Full-text
DOCUMENT NUMBER: 152:278405
TITLE: Medicinal Chemistry of Incretin Mimetics and DPP-4 Inhibitors
AUTHOR(S): Zettl, Heiko; Schubert-Zsilavecz, Manfred; Steinhilber, Dieter
CORPORATE SOURCE: Institute of Pharmaceutical Chemistry, Goethe-University Frankfurt, Frankfurt/Main, 60438, Germany
SOURCE: ChemMedChem (2010), 5(2), 179-185

CODEN: CHEMGX; ISSN: 1860-7179
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 03 Feb 2010
AB A review.
IT 361442-04-8, Saxagliptin
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal chemical of incretin mimetics and DPP-4 inhibitors)
RN 361442-04-8 HCAPLUS
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 49 OF 87 HCAPLUS COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER: 2010:31736 HCAPLUS Full-text
DOCUMENT NUMBER: 152:110650
TITLE: Saxagliptin: a new DPP-4 inhibitor for the treatment of type 2 diabetes mellitus. [Erratum to document cited in CA151:394956]
AUTHOR(S): Tahrani, Abd A.; Piya, Milan K.; Barnett, Anthony H.
CORPORATE SOURCE: Undergraduate Center, Birkingham Heartlands Hospital, Birmingham, B9 5SS, UK
SOURCE: Advances in Therapy (2009), 26(7), 736
CODEN: ADTHE7; ISSN: 0741-238X
PUBLISHER: Springer Healthcare Communications
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 11 Jan 2010
AB A review. On page 252, in the right column, in paragraph 1, in line 4, "Saxaglipton demonstrates greater...compared with DPP-8/9).44", was incorrectly given, and should read: "Saxagliptin demonstrates greater selectivity for DPP-4 than for either the DPP-8 or DPP-9 enzymes (400- and 75-fold, respectively).46. The active metabolite of saxagliptin (BMS-510849) is two-fold less potent than the parent. Selectivity of sitagliptin and vildagliptin for DPP-4 is >2600 and 32-250-fold greater,

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