

US009278123B2

(12) United States Patent

Sauerberg et al.

(10) Patent No.: US 9,278,123 B2

(45) **Date of Patent:** Mar. **8, 2016**

(54) SOLID COMPOSITIONS COMPRISING A GLP-1 AGONIST AND A SALT OF N-(8-(2-HYDROXYBENZOYL)AMINO) CAPRYLIC ACID

(75) Inventors: Per Sauerberg, Farum (DK); Simon

Bjerregaard, Hilleroed (DK);

Flemming Seier Nielsen, Roskilde (DK)

(73) Assignee: Novo Nordisk A/S, Bagsvaerd (DK)

(*) Notice: Subject to any disclaimer, the term of this

patent is extended or adjusted under 35

U.S.C. 154(b) by 0 days.

(21) Appl. No.: 13/994,262

(22) PCT Filed: **Dec. 16, 2011**

(86) PCT No.: **PCT/EP2011/073060**

§ 371 (c)(1),

(2), (4) Date: Sep. 16, 2013

(87) PCT Pub. No.: WO2012/080471

PCT Pub. Date: Jun. 21, 2012

(65) **Prior Publication Data**

US 2013/0345134 A1 Dec. 26, 2013

Related U.S. Application Data

(60) Provisional application No. 61/425,087, filed on Dec. 20, 2010.

(30) Foreign Application Priority Data

Dec. 16, 2010 (EP) 10195285

(51) Int. Cl.

A61K 9/20 (2006.01)

A61K 38/26 (2006.01)

A61P 3/04 (2006.01)

A61P 3/10 (2006.01)

(52) U.S. Cl.

(58) Field of Classification Search

CPC A61K 9/20; A61K 38/26; A61P 3/04; A61P 3/10

See application file for complete search history.

(56) References Cited

U.S. PATENT DOCUMENTS

| 5,773,647 | A | 6/1998 | Leone-Bay et al. |
|--------------|-----|---------|------------------|
| 5,866,536 | A | 2/1999 | Leone-Bay et al. |
| 7,049,283 | B2 | 5/2006 | Ault et al. |
| 8,039,018 | B2 | 10/2011 | Majuru et al. |
| 8,053,429 | B2 | 11/2011 | Cumming et al. |
| 2005/0009748 | A1 | 1/2005 | Dinh et al. |
| 2005/0148497 | A1 | 7/2005 | Khan |
| 2007/0049557 | A1 | 3/2007 | Ahmed et al. |
| 2007/0224262 | A1 | 9/2007 | Majuru et al. |
| 2008/0153779 | A1 | 6/2008 | Liao et al. |
| 2009/0124639 | A1 | 5/2009 | Oyewumi et al. |
| 2009/0156478 | A1* | 6/2009 | Lau et al 514/1 |

| 2010/0210526 A1 | 8/2010 | Joshi |
|-----------------|--------|---------------|
| 2011/0142800 A1 | 6/2011 | Kidron et al. |
| 2011/0218148 A1 | 9/2011 | Azria et al. |
| 2015/0150811 A1 | 6/2015 | Jensen et al. |

FOREIGN PATENT DOCUMENTS

| CN | 101010339 | Α | 8/2007 |
|----|-------------|----|------------|
| WO | 0048589 | A1 | 8/2000 |
| WO | 0050012 | A1 | 8/2000 |
| WO | 0141737 | A2 | 6/2001 |
| WO | 03005944 | A1 | 1/2003 |
| WO | 2005004900 | A1 | 1/2005 |
| WO | 2005107773 | A2 | 11/2005 |
| WO | 2006/097537 | A2 | 9/2006 |
| WO | 2006103661 | A2 | 10/2006 |
| WO | 2006124047 | A2 | 11/2006 |
| WO | 2007117706 | A2 | 10/2007 |
| WO | 2007146234 | A2 | 12/2007 |
| WO | 2008020096 | Α1 | 2/2008 |
| WO | 2008039351 | A2 | 4/2008 |
| WO | 2008109385 | A2 | 9/2008 |
| WO | 2009032749 | A2 | 3/2009 |
| WO | 2009/050738 | A2 | 4/2009 |
| WO | 2010/020978 | A1 | 2/2010 |
| WO | 2010/092163 | A2 | 8/2010 |
| WO | 2011084618 | A2 | 7/2011 |
| WO | 2011094531 | A1 | 8/2011 |
| WO | 2011109787 | A1 | 9/2011 |
| WO | 2011116139 | A2 | 9/2011 |
| WO | 2012080471 | A1 | 6/2012 |
| | OTHER | PU | BLICATIONS |
| | OTTIEN | | DEICHION |

Beglinger et al., "Pharmacokinetics and pharmacodynamic effects of oral GLP-1 and PYY3-36: A proof of concept study in healthy subjects," Clin. Pharma. Therap. 84: 468-474 (2008)).*

Beglinger C et al., Clinical Pharmacology and Therapeutics, "Pharmacokinetics and Pharmacodynamic Effects of Oral GLP-1 and PYY3-36: A Proof-of-Concept Study in Healthy Subject", 2008, vol. 84, No. 4, pp. 468-474.

Subject", 2008, vol. 84, No. 4, pp. 468-474.
Steinert RE et al, American Journal of Clinical Nutrition, "Oral Administration of Glucagon-Like Peptide 1 or Peptide YY 3-36 Affects Food Intake in Healthy Male Subjects", 2010, vol. 92, pp. 810-817

Steinert RE et al., Clinical Pharmacology and Therapeutics, "Orally Administered Glucagon-like Peptide-1 Affects Glucose Homeostasis Following an Oral Glucose Tolerance Test in Healthy Male Subjects", 2009, vol. 86, No. 6, pp. 644-650.

He Xiaorong et al., Mechanistic Study of the Effect of Roller Compaction and Lubricant on Tablet Mechanical Strength, Journal: Journal of Pharmaceutical Sciences, Year: 2007, vol. 96, No. 5, pp. 1342-1355.

Mollan Jr. Matthew J. et al., The effects of lubrication on the compaction and post-compaction properties of directly compressible maltodextrins, Journal: International Journal of Pharmaceutics, Year: 1996, vol. 144, Issue 1, pp. 1-9.

Rowe Raymond C et al., Book: Handbook of Pharmaceutical Excipients, Title: Acesulfame Potassium, Edition—5th, Year: 2006, Complete book.

Steinert R E et al., Orally Administered Glucagon-Like Peptide-1 Affects Glucose Homeostasis Following an Oral Glucose Tolerance Test in Healthy Male Subjects, Journal: Clinical Pharmacology and Therapeutics, Year: 2009, vol. 86, No. 6, pp. 644-650.

(Continued)

Primary Examiner — Julie Ha Assistant Examiner — Kristina M Hellman (74) Attorney, Agent, or Firm — Richard W. Bork

(57) ABSTRACT

The present invention relates to solid compositions comprising a GLP-1 agonist and a salt of N-(8-(2-hydroxybenzoyl) amino)caprylic acid and their use in medicine.

19 Claims, No Drawings