

US011759502B2

# (12) United States Patent

Vilhelmsen et al.

# (10) Patent No.: US 11,759,502 B2

(45) **Date of Patent:** \*Sep. 19, 2023

# (54) COMPOSITIONS OF GLP-1 PEPTIDES AND PREPARATION THEREOF

- (71) Applicant: Novo Nordisk A/S, Bagsvaerd (DK)
- (72) Inventors: **Thomas Vilhelmsen**, Strand (DK); **Helle Eliasen**, Koege (DK); **Tue Hansen**, Copenhagen (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.

This patent is subject to a terminal dis-

claimer.

- (21) Appl. No.: 17/719,610
- (22) Filed: Apr. 13, 2022

#### (65) **Prior Publication Data**

US 2022/0331404 A1 Oct. 20, 2022

#### Related U.S. Application Data

- (63) Continuation of application No. 17/157,363, filed on Jan. 25, 2021, which is a continuation of application No. 14/386,589, filed as application No. PCT/EP2013/055362 on Mar. 15, 2013, now Pat. No. 10,933,120.
- (60) Provisional application No. 61/748,840, filed on Jan. 4, 2013.

# (30) Foreign Application Priority Data

Mar. 22, 2012	(EP)	12160743
Jan. 31, 2013	(EP)	13153459

(51) Int. Cl.

 A61K 38/26
 (2006.01)

 A61K 9/20
 (2006.01)

 A61K 31/20
 (2006.01)

(52) U.S. Cl.

### (58) Field of Classification Search

None

See application file for complete search history.

#### (56) References Cited

# U.S. PATENT DOCUMENTS

5,545,618	A	8/1996	Buckley et al.
5,574,010	A	11/1996	McFadden
5,604,203	A	2/1997	Balasubramaniam
5,650,386	A	7/1997	Leone-Bay et al.
5,773,647	A	6/1998	Leone-Bay et al.
5,866,536	A	2/1999	Leone-Bay et al.
5,968,899	A		Sekine et al.
6,046,167	A	4/2000	Balasubramaniam
6,071,510	A	6/2000	Leone-Bay et al.
6,268,343	В1	7/2001	Knudsen et al.

6,458,924 B2	10/2002	Knudsen et al.
7,049,283 B2	5/2006	Ault et al.
7,235,627 B2	6/2007	Knudson et al.
7,271,149 B2	9/2007	Glaesner et al.
7,417,028 B2	8/2008	Ewing et al.
8,022,035 B2	9/2011	Schwartz et al.
8,039,018 B2	10/2011	Majuru et al.
8,053,429 B2	11/2011	Cumming et al.
8,097,698 B2	1/2012	Knudsen et al.
8,536,122 B2	9/2013	Lau et al.
8,648,041 B2	2/2014	Garibay et al.
8,895,694 B2	11/2014	Spetzler et al.
8,901,073 B2	12/2014	Bloom
9,067,977 B2	6/2015	Spetzler et al.
9,085,637 B2	7/2015	Oestergaard et al.
9,186,392 B2	11/2015	Klein et al.
9,266,940 B2	2/2016	Wieczorek et al.
9,278,123 B2	3/2016	Sauerberg et al.
9,527,900 B2	12/2016	Linderoth et al.
9,993,430 B2	6/2018	Jensen et al.
10,005,827 B2	6/2018	Spetzler et al.
10,086,047 B2	10/2018	Sauerberg et al.
10,246,497 B2	4/2019	Oestergaard et al.
10,278,923 B2	5/2019	Nielsen et al.
10,335,369 B2	7/2019	Vilhelmsen
10,933,120 B2	3/2021	Vilhelmsen et al.
10,960,052 B2	3/2021	Sauerberg et al.
11,033,499 B2	6/2021	Jensen et al.
11,034,746 B2	6/2021	Wieczorek et al.
11,117,947 B2	9/2021	Wieczorek et al.
	(Con	tinued)
	(COII	inidea

### FOREIGN PATENT DOCUMENTS

CN 1190893 A 8/1998 CN 1867360 A 11/2006 (Continued)

#### OTHER PUBLICATIONS

King, Simon, "Viewpoints: Novo Nordisk R&D chief predicts an oral revolution for biologies" Nov. 14, 2018, Available from: [http://www.firstwordpharma.com/print/1604592?tsid=17].

Lee, Hye J., "Protein Drug Oral Delivery: The Recent Progress" Archives of Pharmacal Research, 2002, vol. 25, No. 5, pp. 572-584. Madsen, Kjeld et al., "Structure—Activity and Protraction Relationship of Long-Acting Glucagon-like Peptide-1 Derivatives: Importance of Fatty Acid Lenght, Polarity, and Bulkiness" J. Med. Chem., 2007, vol. 50, pp. 6126-6132.

Morishita, Mariko et al., "Is the oral route possible for peptide and protein drug delivery?" Drug Discovery Today, Oct. 2006, vol. 11, No. 19/20, pp. 905-910.

(Continued)

Primary Examiner — Thomas S Heard (74) Attorney, Agent, or Firm — Leon Y. Lum

#### (57) ABSTRACT

The invention relates to pharmaceutical compositions comprising a first type of granules and a second type of granules, wherein said first type of granules comprises a salt of N-(8-(2-hydroxybenzoyl)amino)caprylic acid and no GLP-1 peptide, and wherein said second type of granules comprises a GLP-1 peptide and no salt of N-(8-(2-hydroxybenzoyl) amino)caprylic acid, as well as the intermediate granules, processes for the preparation of the granules and compositions, and use thereof in medicine.

## 28 Claims, No Drawings

Specification includes a Sequence Listing.