

(12) United States Patent

Newton et al.

(10) Patent No.: US 10,912,751 B2

Feb. 9, 2021 (45) **Date of Patent:**

(54) FIXED DOSE COMBINATIONS AND FORMULATIONS COMPRISING ETC1002 AND EZETIMIBE AND METHODS OF TREATING OR REDUCING THE RISK OF CARDIOVASCULAR DISEASE

(71) Applicant: Esperion Therapeutics, Inc., Ann Arbor, MI (US)

(72) Inventors: Roger Schofield Newton, Ann Arbor, MI (US); Noah Laban Rosenberg,

Livingston, NJ (US); Diane Elaine MacDougall, Lincoln, MA (US)

(73) Assignee: Esperion Therapeutics, Inc., Ann

Arbor, MI (US)

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

patent is extended or adjusted under 35

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

(21) Appl. No.: 15/558,084

(22) PCT Filed: Mar. 14, 2016

(86) PCT No.: PCT/US2016/022319

§ 371 (c)(1),

Sep. 13, 2017 (2) Date:

(87) PCT Pub. No.: WO2016/149191

PCT Pub. Date: Sep. 22, 2016

(65)**Prior Publication Data**

US 2018/0064671 A1 Mar. 8, 2018

Related U.S. Application Data

- Provisional application No. 62/133,128, filed on Mar. 31, 2015, provisional application No. 62/250,921, filed on Nov. 4, 2015.
- (51) **Int. Cl.**

A61K 31/397 (2006.01)A61K 31/20 (2006.01)A61K 31/194 (2006.01)

(52) U.S. Cl.

CPC A61K 31/20 (2013.01); A61K 31/194 (2013.01); A61K 31/397 (2013.01)

(58) Field of Classification Search CPC A61K 31/20; A61K 31/194; A61K 31/397 See application file for complete search history.

(56)**References Cited**

U.S. PATENT DOCUMENTS

3,152,148 A	10/1964	Easterly et al.
3,441,605 A	4/1969	Blake
3,773,946 A	11/1973	Creger
3,930,024 A	12/1975	Creger
4,281,200 A	7/1981	Snoble
4,287,200 A	9/1981	Kawamatsu et al.
4,584,321 A	4/1986	Manghisi et al.
4,613,593 A	9/1986	Yamatsu et al.
4,634,119 A	1/1987	Pesthy

4,634,719 A 4,639,344 A 4,689,344 A 4,711,896 A 4,714,762 A 4,896,344 A 5,166,174 A 5,225,439 A 5,284,858 A 5,380,709 A 5,428,062 A 5,502,198 A 5,504,073 A 5,570,569 A 5,578,639 A 5,633,287 A	1/1987 1/1987 8/1987 12/1987 12/1987 1/1990 11/1992 2/1994 1/1995 6/1995 3/1996 4/1996 11/1996 5/1997	Takaishi et al. Ueno et al. Bar-Tana Bar-Tana et al. Hoefle et al. Grady et al. Ueno et al. Hoeno et al. Hoeno et al. Homan Masuda Homan Lee et al.
5,648,387 A	7/1997	Bisgaier et al.
5,750,569 A	5/1998	Bisgaier et al.
5,756,344 A	5/1998	Onda et al.
5,756,544 A	5/1998	Bisgaier et al.
5,783,600 A	7/1998	Bisgaier et al.
5,834,596 A	11/1998	Ageland et al.
5,886,034 A 5,968,963 A	3/1999 10/1999	Ueno et al. Homan
5,981,595 A	11/1999	Picard et al.
6,004,925 A	12/1999	Dasseux et al.
6,017,905 A	1/2000	Roark et al.
6,037,323 A	3/2000	Dasseux et al.
6,093,719 A	7/2000	Bocan
6,093,744 A	7/2000	Lee et al.
(Continued)		

FOREIGN PATENT DOCUMENTS

BR PI0318046-8 A 3/2019 CA2513660 A1 5/2012 (Continued)

OTHER PUBLICATIONS

Remington, The Science and Practice of Pharmacy, Nineteenth Edition—1995, p. 710-712; pp. 1615-1617.*

Int'l Search Report, PCT/US2016/022319, dated Jun. 3, 2016, 2

Masuda et al., "Ezetimibe Improves Postprandial Hyperlipidaemia in Patients with Type IIb Hyperlipidaemia," Eur. J. Clin. Invest., vol. 39 (8), 2009, pp. 689-698.

Akdim et al., "Efficacy and Safety of Mipomersen, an Antisense Inhibitor of Apoliprotein B, in Hypercholesterolemic Subjects Receiving Stable Statin Therapy," J. Am. Coll. Cardiol., vol. 55, Apr. 13, 2010, pp. 1611-1618.

Norata et al., "New Therapeutic Principles in Dyslipidaemia: Focus on LDL and Lp(a) Lowering Drugs," Eur. Heart J., vol. 34(24); Mar. 18, 2013, pp. 1783-1789a.

(Continued)

Primary Examiner — Shobha Kantamneni (74) Attorney, Agent, or Firm — Goodwin Procter LLP

ABSTRACT (57)

Disclosed herein are compositions comprising fixed doses of ETC-1002 and Ezetimibe. Also disclosed herein are methods for using fixed doses of ETC-1002 and Ezetimibe. Uses include methods of treating cardiovascular disease or reducing the risk of cardiovascular disease in a subject. Uses also include methods of treating hypercholesterolemia in a subject.

13 Claims, 3 Drawing Sheets