



US008080580B2

(12) **United States Patent**
Mascitti et al.(10) **Patent No.:** **US 8,080,580 B2**
(45) **Date of Patent:** **Dec. 20, 2011**(54) **DIOXA-BICYCLO[3.2.1]OCTANE-2,3,4-TRIOL DERIVATIVES**(75) Inventors: **Vincent Mascitti**, Groton, CT (US);
Benjamin M. Collman, Ledyard, CT (US)(73) Assignee: **Pfizer Inc.**, New York, NY (US)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 323 days.

(21) Appl. No.: **12/546,306**(22) Filed: **Aug. 24, 2009**(65) **Prior Publication Data**

US 2010/0056618 A1 Mar. 4, 2010

Related U.S. Application Data

(60) Provisional application No. 61/092,470, filed on Aug. 28, 2008, provisional application No. 61/227,212, filed on Jul. 21, 2009.

(51) **Int. Cl.**
A61K 31/352 (2006.01)
C07D 493/08 (2006.01)(52) **U.S. Cl.** **514/456**; 549/397(58) **Field of Classification Search** 514/456;
549/397

See application file for complete search history.

(56) **References Cited****U.S. PATENT DOCUMENTS**

5,047,518 A	9/1991	Furneaux et al.	536/4.1
5,591,836 A	1/1997	Mazur et al.	536/6.1
6,069,238 A	5/2000	Hitchcock et al.	536/17.5
6,414,126 B1	7/2002	Ellsworth et al.	536/17.2
6,486,299 B1	11/2002	Shimkets	530/350
6,515,117 B2	2/2003	Ellsworth et al.	536/17.2
6,555,519 B2	4/2003	Washburn	514/3
6,627,611 B2	9/2003	Tomiyama et al.	514/23
6,683,056 B2	1/2004	Washburn et al.	514/25
6,774,112 B2	8/2004	Gougoutas	514/23
6,815,428 B2	11/2004	Ohsumi et al.	514/25
6,838,442 B2	1/2005	Bussolari et al.	514/25
6,872,706 B2	3/2005	Fujikura et al.	514/25
6,908,905 B2	6/2005	Ohsumi et al.	514/25
6,936,590 B2	8/2005	Washburn et al.	514/25
6,972,283 B2	12/2005	Fujikura et al.	514/27
7,045,665 B2	5/2006	Fujikura et al.	568/744
7,053,060 B2	5/2006	Fujikura et al.	514/25
7,056,892 B2	6/2006	Fujikura et al.	514/27
7,084,123 B2	8/2006	Fujikura et al.	514/27
7,087,579 B2	8/2006	Nishimura et al.	514/27
7,101,856 B2	9/2006	Glombik et al.	514/25
7,169,761 B2	1/2007	Tomiyama et al.	514/23
7,202,350 B2	4/2007	Imamura et al.	536/1.11
7,217,697 B2	5/2007	Shiohara et al.	514/25
7,247,705 B2	7/2007	Iwamoto et al.	530/350
7,250,522 B2	7/2007	Sato et al.	549/28
7,271,153 B2	9/2007	Nishimura et al.	514/27
7,288,528 B2	10/2007	Frick et al.	514/25
7,294,618 B2	11/2007	Fushimi et al.	514/25
7,371,730 B2	5/2008	Iyobe et al.	514/25
7,371,732 B2	5/2008	Eickelmann et al.	514/25

7,375,087 B2	5/2008	Teranishi et al.	514/25
7,375,090 B2	5/2008	Himmelsbach et al.	514/27
7,375,113 B2	5/2008	Fushimi et al.	514/303
7,375,213 B2	5/2008	Deshpande et al.	536/124
7,393,836 B2	7/2008	Eckhardt et al.	514/23
7,414,072 B2	8/2008	Sato et al.	514/432
7,417,032 B2	8/2008	Eckhardt et al.	514/23
7,419,959 B2	9/2008	Eckhardt et al.	514/23
7,439,232 B2	10/2008	Kakinuma et al.	514/24
7,541,341 B2	6/2009	Fushimi et al.	514/25
7,560,230 B2	7/2009	Tidmarsh	435/6
7,566,699 B2	7/2009	Fushimi et al.	514/27
7,576,064 B2	8/2009	Kikuchi et al.	514/27
7,579,449 B2	8/2009	Eckhardt et al.	536/1.11
7,589,193 B2	9/2009	Washburn et al.	536/122
7,635,684 B2	12/2009	Fushimi et al.	514/27
7,655,633 B2	2/2010	Fujikura et al.	514/35
7,687,469 B2	3/2010	Eckhardt et al.	514/23
2002/0034799 A1	3/2002	Donoho et al.	435/183
2002/0081678 A1	6/2002	Merkulov et al.	435/183
2002/0111315 A1	8/2002	Washburn et al.	514/25
2003/0022309 A1	1/2003	Merkulov et al.	435/69.1
2003/0045553 A1	3/2003	Bussolari et al.	514/340
2003/0054453 A1	3/2003	Curtis et al.	435/69.1
2003/0195235 A1	10/2003	Bussolari et al.	514/340
2003/0199557 A1	10/2003	Bussolari et al.	514/342

(Continued)

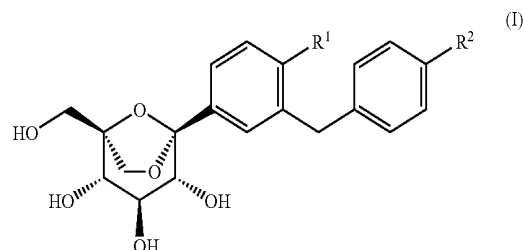
FOREIGN PATENT DOCUMENTSCA 2572149 1/2006
(Continued)**OTHER PUBLICATIONS**

Ehrenkranz, et al, *Diabetes Metab. Res. Rev.*, vol. 21(1), pp. 31-38 (2005).
Mancuso, et al., *Synthesis*, vol. 1981(3), pp. 165-185 (1981).
Meng, et al., *J. Med. Chem.*, vol. 51(6), pp. 1145-1149 (2006).
Fujimori, et al., *J. Pharmacol. Exp. Ther.*, vol. 327(1), pp. 268-278 (2008).
Lee, et al., *J. Biol. Chem.*, vol. 269(16), pp. 12032-12039 (1994).
Chasis, et al., *J. Clin. Invest.*, vol. 12(6), pp. 1063-1090 (1933).
Idris, et al., *Diabetes, Obesity and Metabolism*, vol. 11(2), pp. 79-88 (2009).

(Continued)

Primary Examiner — Bernard Dentz(74) *Attorney, Agent, or Firm* — Lisa A. Samuels(57) **ABSTRACT**

Compounds of Formula (I) are described herein and the uses thereof for the treatment of diseases, conditions and/or disorders mediated by sodium-glucose transporter inhibitors (in particular, SGLT2 inhibitors).

**20 Claims, 9 Drawing Sheets**