



US008889894B2

(12) **United States Patent**  
**Baird et al.**

(10) **Patent No.:** **US 8,889,894 B2**  
(45) **Date of Patent:** **Nov. 18, 2014**

(54) **COMPOUNDS FOR USE IN THERAPY**

(75) Inventors: **Mark Stephen Baird**, Gwynedd (GB); **Juma' a Raheem Najeem Al-Dulayy-mi**, Gwynedd (GB); **Cornelias Theunissen**, Gwynedd (GB); **Gani Koza**, Gwynedd (GB); **Seppe Vander-Beken**, Ghent (BE); **Johan Adriaan Marc Grooten**, Ghent (BE)

(73) Assignees: **Bangor University**, Gwynedd (GB); **Universiteit Gent**, Ghent (BE)

(\* ) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 645 days.

(21) Appl. No.: **12/988,235**

(22) PCT Filed: **Apr. 22, 2009**

(86) PCT No.: **PCT/GB2009/050408**

§ 371 (c)(1),  
(2), (4) Date: **Mar. 3, 2011**

(87) PCT Pub. No.: **WO2009/130506**

PCT Pub. Date: **Oct. 29, 2009**

(65) **Prior Publication Data**

US 2011/0150981 A1 Jun. 23, 2011

(30) **Foreign Application Priority Data**

Apr. 22, 2008 (GB) ..... 0807305.8

(51) **Int. Cl.**  
**C07C 59/185** (2006.01)  
**C07C 61/04** (2006.01)

(Continued)

(52) **U.S. Cl.**  
CPC ..... **A61K 31/00** (2013.01); **C07C 59/185**  
(2013.01); **A61K 9/0073** (2013.01);

(Continued)

(58) **Field of Classification Search**

None  
See application file for complete search history.

(56) **References Cited**

U.S. PATENT DOCUMENTS

2007/0027098 A1 2/2007 Raz et al.

OTHER PUBLICATIONS

Yuan, Y., et al., A common mechanism for the biosynthesis of methoxy and cyclopropyl mycolic acids in *Mycobacterium tuberculosis*, Nov. 1996, Proc.Natl. Acad. Sci. USA, vol. 93, pp. 12828-1833.\*

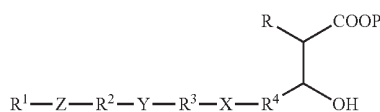
(Continued)

Primary Examiner — Yate K Cutliff

(74) *Attorney, Agent, or Firm* — Norris McLaughlin & Marcus PA

(57) **ABSTRACT**

A compound of formula (I) for use in the treatment of a disease of the immune system; wherein R is an optionally-substituted alkyl, alkenyl, alkynyl, aryl, arylalkyl or alkylaryl moiety having from 1 to 50 carbon atoms; R<sup>1</sup> is an optionally-substituted alkyl, alkenyl, alkynyl, aryl, arylalkyl or alkylaryl moiety having from 1 to 40 carbon atoms; each of R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is independently selected from an optionally-substituted alkylene, alkenylene, alkynylene, arylene, arylalkylene or alkylarylene moiety having from 1 to 40 carbon atoms; each of X, Y and Z is independently selected from an optionally-substituted alkylene, alkenylene, alkenylene, arylene, alkylarylene, cycloalkylene, ketone, ester, amide, imide, imine, thioether, ether, thioester and thioketone; and P is selected from hydrogen, an alkyl group, a sugar residue, or a metal, phosphonium or ammonium species; wherein at least one of X, Y and Z includes a moiety selected from cyclopropyl, C=A, C-AH and C—OR<sup>5</sup>; wherein R<sup>5</sup> is alkyl or haloalkyl, and A is O, S or NR<sup>6</sup>, wherein R<sup>6</sup> may be H or 20 alkyl.



**3 Claims, 6 Drawing Sheets**

