US009409893B2

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

(10) **Patent No.: US 9,409,893 B2**
(45) **Date of Patent: Aug. 9, 2016**

(54) **HETEROARYL DERIVATIVES AND USES THEREOF**

(71) Applicant: **Jacobus Pharmaceutical Company, Inc.**, Princeton, NJ (US)

(72) Inventors: **Gavin David Heffernan**, Florence, NJ (US); **David Penman Jacobus**, Princeton, NJ (US); **Kurt William Saionz**, Cranford, NJ (US); **Guy Alan Schiehser**, Washington Crossing, PA (US); **Hong-Ming Shieh**, Newtown, PA (US); **Wenyi Zhao**, Monroe Township, NJ (US)

(73) Assignee: **Jacobus Pharmaceutical Company, Inc.**, Princeton, NJ (US)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 129 days.

(21) Appl. No.: **14/074,819**

(22) Filed: **Nov. 8, 2013**

(65) **Prior Publication Data**

US 2014/0135320 A1 May 15, 2014

Related U.S. Application Data

(60) Provisional application No. 61/724,337, filed on Nov. 9, 2012.

(51) **Int. Cl.**

A61K 31/535	(2006.01)
A61K 38/00	(2006.01)
A61P 33/00	(2006.01)
A01N 37/18	(2006.01)
C07D 413/04	(2006.01)
A61K 31/343	(2006.01)
A61K 31/381	(2006.01)
A61K 31/415	(2006.01)
A61K 31/4418	(2006.01)
A61K 31/4439	(2006.01)
A61K 31/47	(2006.01)
A61K 31/496	(2006.01)
A61K 31/505	(2006.01)
A61K 31/536	(2006.01)
A61K 31/5377	(2006.01)
A61K 45/06	(2006.01)
C07D 213/38	(2006.01)
C07D 213/64	(2006.01)
C07D 213/73	(2006.01)
C07D 215/14	(2006.01)
C07D 231/12	(2006.01)
C07D 239/26	(2006.01)
C07D 307/81	(2006.01)
C07D 333/28	(2006.01)
C07D 333/58	(2006.01)
C07D 213/84	(2006.01)
C07D 217/16	(2006.01)
C07D 401/10	(2006.01)
C07D 241/12	(2006.01)
C07D 213/36	(2006.01)
C07D 213/61	(2006.01)
C07D 213/65	(2006.01)
C07D 307/80	(2006.01)

(52) **U.S. Cl.**

CPC ***C07D 413/04*** (2013.01); ***A61K 31/343*** (2013.01); ***A61K 31/381*** (2013.01); ***A61K 31/415*** (2013.01); ***A61K 31/4418*** (2013.01); ***A61K 31/4439*** (2013.01); ***A61K 31/47*** (2013.01); ***A61K 31/496*** (2013.01); ***A61K 31/505*** (2013.01); ***A61K 31/536*** (2013.01); ***A61K 31/5377*** (2013.01); ***A61K 45/06*** (2013.01); ***C07D 213/36*** (2013.01); ***C07D 213/38*** (2013.01); ***C07D 213/61*** (2013.01); ***C07D 213/64*** (2013.01); ***C07D 213/65*** (2013.01); ***C07D 213/73*** (2013.01); ***C07D 213/84*** (2013.01); ***C07D 215/14*** (2013.01); ***C07D 217/16*** (2013.01); ***C07D 231/12*** (2013.01); ***C07D 239/26*** (2013.01); ***C07D 241/12*** (2013.01); ***C07D 307/80*** (2013.01); ***C07D 307/81*** (2013.01); ***C07D 333/28*** (2013.01); ***C07D 333/58*** (2013.01); ***C07D 401/10*** (2013.01)

(58) **Field of Classification Search**

None

See application file for complete search history.

(56) **References Cited**

U.S. PATENT DOCUMENTS

3,723,449	A	3/1973	Wirth	
5,292,890	A	3/1994	Moshchitsky et al.	
7,589,127	B2	9/2009	Dorn	
8,367,078	B2	2/2013	Sayeski et al.	
8,962,657	B2 *	2/2015	Heffernan et al.	514/317
2007/0072833	A1	3/2007	Wendt	

(Continued)

FOREIGN PATENT DOCUMENTS

WO	WO 2004/000783	A1	12/2003
WO	WO 2004/072051	A1	8/2004

(Continued)

OTHER PUBLICATIONS

Brown and Rampe, "Drug-Induced Long QT Syndrome: Is HERG the Root of all Evil", Pharmaceutical News, 2000, 7(4), 15-20.

(Continued)

Primary Examiner — Kortney L Klinkel
Assistant Examiner — Tori M Strong
(74) *Attorney, Agent, or Firm* — Baker & Hostetler LLP

(57) **ABSTRACT**

The present invention relates to antimalarial compounds and their use against protozoa of the genus *Plasmodium*, including drug-resistant Plasmodia strains. This invention further relates to compositions containing such compounds and a process for making the compounds.

22 Claims, No Drawings