US009636409B2

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

(10) **Patent No.: US 9,636,409 B2**
(45) **Date of Patent: May 2, 2017**

(54) **ENZYME AND RECEPTOR MODULATION USING COVALENT CONJUGATES OF ALPHA,ALPHA-DISUBSTITUTED GLYCINE ESTERS**

(71) Applicant: **GlaxoSmithKline Intellectual Property Development Limited**, Brenford, Middlesex (GB)

(72) Inventors: **Alan Hastings Drummond**; **Alan Hornsby Davidson**, Abingdon (GB); **David Festus Charles Moffat**, Abingdon (GB); **Alastair David Graham Donald**, Oxfordshire (GB); **Stephen John Davies**, Abingdon (GB)

(73) Assignee: **GlaxoSmithKline Intellectual Property Development Limited**, Brentford, Middlesex (GB)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 0 days.

(21) Appl. No.: **15/017,853**

(22) Filed: **Feb. 8, 2016**

(65) **Prior Publication Data**

US 2016/0151509 A1 Jun. 2, 2016

Related U.S. Application Data

(63) Continuation of application No. 14/091,522, filed on Nov. 27, 2013, now abandoned, which is a continuation of application No. 12/867,455, filed as application No. PCT/GB2009/000561 on Feb. 27, 2009, now abandoned.

(30) **Foreign Application Priority Data**

Feb. 29, 2008 (GB) 0803747.5

(51) **Int. Cl.**

C07D 211/70	(2006.01)
C07D 211/82	(2006.01)
C07D 213/55	(2006.01)
C07D 213/56	(2006.01)
A61K 31/44	(2006.01)
A61K 47/48	(2006.01)
C07D 213/73	(2006.01)
C12Q 1/48	(2006.01)
A61K 31/4418	(2006.01)

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

CPC ***A61K 47/48038*** (2013.01); ***A61K 31/4418*** (2013.01); ***C07D 213/73*** (2013.01); ***C12Q 1/485*** (2013.01)

(58) **Field of Classification Search**

None

See application file for complete search history.

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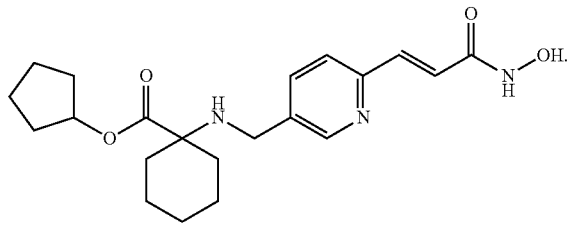
Primary Examiner — Noble Jarrell
Assistant Examiner — Ben S Michelson
(74) *Attorney, Agent, or Firm* — Duke M. Fitch; Kathryn A. Lutomski; Edward R. Gimmi

(57) **ABSTRACT**

Covalent conjugates of an α,α-disubstituted glycine ester and a modulator of the activity of a target intracellular enzyme or receptor, wherein the ester group of the conjugate is hydrolysable by one or more intracellular carboxylesterase enzymes to the corresponding acid and the α,α-disubstituted glycine ester is conjugated to the modulator at a position remote from the binding interface between the inhibitor and the target enzyme or receptor pass into cells and the active acid hydrolysis product accumulates within the cells, as in the following compound:



4 Claims, No Drawings