



(11) **EP 3 209 671 B8**

(12) **CORRECTED EUROPEAN PATENT SPECIFICATION**

(15) Correction information:  
**Corrected version no 1 (W1 B1)**  
**Corrections, see**  
**Bibliography INID code(s) 73**

(51) Int Cl.:  
**C07F 9/655** <sup>(2006.01)</sup> **C07F 9/40** <sup>(2006.01)</sup>  
**A61K 31/665** <sup>(2006.01)</sup> **A61K 31/663** <sup>(2006.01)</sup>  
**A61P 25/28** <sup>(2006.01)</sup>

(48) Corrigendum issued on:  
**31.07.2019 Bulletin 2019/31**

(86) International application number:  
**PCT/IN2015/050110**

(45) Date of publication and mention  
of the grant of the patent:  
**27.03.2019 Bulletin 2019/13**

(87) International publication number:  
**WO 2016/063297 (28.04.2016 Gazette 2016/17)**

(21) Application number: **15787031.2**

(22) Date of filing: **16.09.2015**

(54) **ALKYLIDENE PHOSPHONATE ESTERS AS P-GLYCOPROTEIN INDUCERS**

ALKYLIDEN-PHOSPHONAT-ESTER ALS P-GLYCOPROTEININDUKTOREN

ESTERS DE PHOSPHONATE D'ALKYLIDÈNE EN TANT QU'INDUCTEURS DE  
P-GLYCOPROTÉINE

(84) Designated Contracting States:  
**AL AT BE BG CH CY CZ DE DK EE ES FI FR GB**  
**GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO**  
**PL PT RO RS SE SI SK SM TR**

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(30) Priority: **21.10.2014 IN 3010DE2014**

(43) Date of publication of application:  
**30.08.2017 Bulletin 2017/35**

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(56) References cited:  
**WO-A2-03/094851 DE-A1- 19 738 005**

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- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; LECERCLE, DELPHINE ET AL:  
"Phosphine-Catalyzed .alpha.-P-Addition on Activated Alkynes: A New Route to P-C-P Backbones", XP002752574, retrieved from STN Database accession no. 2006:844257 & LECERCLE, DELPHINE ET AL:  
"Phosphine-Catalyzed .alpha.-P-Addition on Activated Alkynes: A New Route to P-C-P Backbones", ORGANIC LETTERS , 8(19), 4283-4285 CODEN: ORLEF7; ISSN: 1523-7060, 2006, DOI: 10.1021/OL061589V  
10.1021/OL061589V & LECERCLE, DELPHINE ET AL: "Phosphine-Catalyzed .alpha.-P-Addition on Activated Alkynes: A New Route to P-C-P Backbones", ORGANIC LETTERS , 8(19), 4283-4285 CODEN: ORLEF7; ISSN: 1523-7060, 2006, DOI: 10.1021/OL061589V  
10.1021/OL061589V
- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; HEUCLIN, HADRIEN ET AL: "Phosphorus stabilized carbene complexes: bisphosphonate dianion synthesis, reactivity and DFT studies of O.apprx.C.apprx.O zirconium(IV) complexes", XP002752575, retrieved from STN Database accession no. 2009:1564281 & HEUCLIN, HADRIEN ET AL: "Phosphorus stabilized carbene complexes: bisphosphonate dianion synthesis, reactivity and DFT studies of O.apprx.C.apprx.O zirconium(IV) complexes", DALTON TRANSACTIONS , 39(2), 492-499 CODEN: DTARAF; ISSN: 1477-9226, 2010, DOI: 10.1039/B915468J 10.1039/B915468J
- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XUE, ZHI-YONG ET AL: "A Facile Cu(I)/TF-Biphosphonate-Catalyzed Asymmetric Approach to Unnatural .alpha.-Amino Acid Derivatives Containing gem-Bisphosphonates", XP002752576, retrieved from STN Database accession no. 2011:883243 & XUE, ZHI-YONG ET AL: "A Facile Cu(I)/TF-Biphosphonate-Catalyzed Asymmetric Approach to Unnatural .alpha.-Amino Acid Derivatives Containing gem-Bisphosphonates", JOURNAL OF THE AMERICAN CHEMICAL SOCIETY , 133(30), 11757-11765 CODEN: JACSAT; ISSN: 0002-7863, 2011, DOI: 10.1021/JA2043563  
10.1021/JA2043563
- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; FOTSING, MARTHE CARINE DJUIDJE ET AL: "Dioxirane Oxidation of 2-Aryl-1-vinyl-1, 1-diphosphane Dioxide: A Convenient Approach for the Synthesis of Novel 1,2-Epoxy-2-aryl Ethylgembisphosphonates", XP002752577, retrieved from STN Database accession no. 2013:503857 & FOTSING, MARTHE CARINE DJUIDJE ET AL: "Dioxirane Oxidation of 2-Aryl-1-vinyl-1, 1-diphosphane Dioxide: A Convenient Approach for the Synthesis of Novel 1,2-Epoxy-2-aryl Ethylgembisphosphonates", HETEROATOM CHEMISTRY , 24(3), 234-241 CODEN: HETCE8; ISSN: 1042-7163, 2013, DOI: 10.1002/HC.21084 10.1002/HC.21084
- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; WANG, MEIYAN ET AL: "Cu(I)/TF-Biphosphonate-Catalyzed Reactions of Alkylidene Bisphosphates and Alkylidene Malonates with Azomethine Ylides: Michael Addition versus 1,3-Dipolar Cycloaddition", XP002752578, retrieved from STN Database accession no. 2012:1282307 & WANG, MEIYAN ET AL: "Cu(I)/TF-Biphosphonate-Catalyzed Reactions of Alkylidene Bisphosphates and Alkylidene Malonates with Azomethine Ylides: Michael Addition versus 1,3-Dipolar Cycloaddition", ORGANOMETALLICS , 31(22), 7870-7876 CODEN: ORGND7; ISSN: 0276-7333, 2012, DOI: 10.1021/OM300435S 10.1021/OM300435S
- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; EBETINO, FRANK H. ET AL: "Pharmaceutical compositions containing quaternary nitrogen-containing phosphonate for prevention and treatment of abnormal calcium and phosphate metabolism", XP002752579, retrieved from STN Database accession no. 1995:580677 & US 5 391 743 A (PROCTER AND GAMBLE PHARMACEUTICALS, INC., USA) 21 February 1995 (1995-02-21)
- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; BOCAN, THOMAS MICHAEL ANDREW: "Prevention of plaque rupture by ACAT inhibitors", XP002752580, retrieved from STN Database accession no. 2001:359777 & WO 01/34127 A (WARNER-LAMBERT COMPANY, USA) 17 May 2001 (2001-05-17)

- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; LEHNERT, W.: "Knoevenagel condensations with titanium tetrachloride-base. IV. Condensation of aldehydes and ketones with phosphonoacetate and methylenediphosphonates", XP002752581, retrieved from STN Database accession no. 1974:463726 & LEHNERT, W.: "Knoevenagel condensations with titanium tetrachloride-base. IV. Condensation of aldehydes and ketones with phosphonoacetate and methylenediphosphonates", TETRAHEDRON , 30(2), 301-5 CODEN: TETRAB; ISSN: 0040-4020, 1974,
- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MOSKVIN, A. V. ET AL: "Spectra, structure and alkaline hydrolysis of arylidenemethanediphosphonic acid esters and their carbo analogs", XP002752582, retrieved from STN Database accession no. 1985:149357 & MOSKVIN, A. V. ET AL: "Spectra, structure and alkaline hydrolysis of arylidenemethanediphosphonic acid esters and their carbo analogs", ZHURNAL OBSHCHEI KHIMII , 54(10), 2223-37 CODEN: ZOKHA4; ISSN: 0044-460X, 1984, & MOSKVIN, A. V. ET AL: "Spectra, structure and alkaline hydrolysis of arylidenemethanediphosphonic acid esters and their carbo analogs", ZHURNAL OBSHCHEI KHIMII , 54(10), 2223-37 CODEN: ZOKHA4; ISSN: 0044-460X, 1984,