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(54) Title: CHOLESTEROL DERIVATIVES OF INHIBITORS OF VIRAL FUSION

P = Ac-ELLELDKWASLW $IC_{50} = 5.85 \mu M$ Inactive

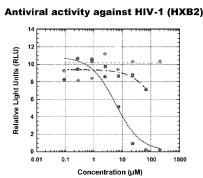


Figure 1

(57) Abstract: The present invention relates to compounds comprising at least ten contigous amino acids of the HR2 domain of a Type 1 viral fusogenic protein of an enveloped virus, or a derivative thereof, attached at the C-terminal to cholesterol or a derivative thereof; or a pharmaceutically acceptable salt thereof which inhibit viral fusion. Thus compounds of the invention are useful to prevent or treat diseases caused by an enveloped virus.

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A. CLASSIFICATION OF SUBJECT MATTER INV. A61K47/48 A61P31/18 ADD. C07K14/16

C. DOCUMENTS CONSIDERED TO BE RELEVANT

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

 $\begin{array}{ll} \mbox{Minimum documentation searched (classification system followed by classification symbols)} \\ \mbox{A61K} & \mbox{A61P} & \mbox{C07K} \end{array}$

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BIOSIS, EMBASE, CHEM ABS Data

Category*	Citation of document, with indication, where appropriate, of the	ne relevant passages	Relevant to claim No.
X	WO 2005/097199 A1 (JADOLABS GM PLANCK GESELLSCHAFT [DE]; UNIV TECH [D) 20 October 2005 (2005 page 4, last paragraph page 17, paragraphs 2,3 page 21; structure 200 page 22; compounds 200a-200m page 51, paragraph 3 page 55, paragraph 2 page 59, last paragraph - page paragraph 1 pages 126-129; example 38 figure 3 sequence 8 claim 50	DRESDEN -10-20)	1–16, 18–27
* Special come consider and comme consider and comme comme comme comme control c	ent which may throw doubts on priority claim(s) or is cited to establish the publication date of another n or other special reason (as specified) ent referring to an oral disclosure, use, exhibition or	"T" later document published after the inte or priority date and not in conflict with cited to understand the principle or the invention "X" document of particular relevance; the cannot be considered novel or cannot involve an inventive step when the do "Y" document of particular relevance; the cannot be considered to involve an inventive step when the document is combined with one or moments, such combination being obvious in the art. "&" document member of the same patent	the application but cory underlying the laimed invention be considered to current is taken alone laimed invention ventive step when the tre other such docurus to a person skilled family
2	6 April 2010	07/05/2010	
Name and r	nailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Fax: (+31–70) 340–3016	Authorized officer Villard, Anne-Lau	re

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C(Continua	tion). DOCUMENTS CONSIDERED TO BE RELEVANT	PC1/EP2008/004151	
	Mon). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	
Α	WO 2006/105201 A2 (TRIMERIS INC [US]; WRING STEPHEN; FRICK LLOYD; SCHNEIDER STEPHEN; ZHAN) 5 October 2006 (2006-10-05) page 1, lines 4-8 page 8; table 1 figure 1	1-27	
Τ .	INGALLINELLA P ET AL: "Addition of a cholesterol group to an HIV-1 peptide fusion inhibitor dramatically increases its antiviral potency" PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA 20090407 NATIONAL ACADEMY OF SCIENCES USA LNKD- DOI:10.1073/PNAS.0901007106, vol. 106, no. 14, 7 April 2009 (2009-04-07), pages 5801-5806, XP002579426 page 5803; table 2 page 5803, section "Structure-Activity Relationship for Cholesterol Derivatization"	1-16, 18-27	
X,P	INGENITO RAFFAELE ET AL: "Addition of a cholesterol group to an HIV-1 peptide fusion inhibitor dramatically increases its antiviral potency and simultaneously improves its in vivo half-life" JOURNAL OF PEPTIDE SCIENCE, vol. 14, no. 8, Suppl. S, August 2008 (2008-08), pages 119-120, XP002579428 & 30TH EUROPEAN PEPTIDE SYMPOSIUM; HELSINKI, FINLAND; AUGUST 31 SEPTEMBER 05, 2008 ISSN: 1075-2617 the whole document	1-27	
A	LIU SHUWEN ET AL: "HIV entry inhibitors targeting gp41: From polypeptides to small-molecule compounds" CURRENT PHARMACEUTICAL DESIGN, BENTHAM SCIENCE PUBLISHERS, NL LNKD-DOI:10.2174/138161207779313722, vol. 13, no. 2, 1 January 2007 (2007-01-01), pages 143-162, XP002499294 ISSN: 1381-6128 page 145; figure 1	1-27	

International application No.

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Box	No. I	Nucleotide and/or amino acid sequence(s) (Continuation of item 1.b of the first sheet)
1.	With inver	regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed ition, the international search was carried out on the basis of:
	a.	type of material X a sequence listing table(s) related to the sequence listing
	b.	format of material X on paper X in electronic form
	c.	time of filing/furnishing contained in the international application as filed filed together with the international application in electronic form The furnished subsequently to this Authority for the purpose of search
2.	Х	In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
3.	Addit	itional comments:

Information on patent family members

International application No
PCT/EP2008/064151

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
WO 2005097199	A1	20-10-2005	AT AU CA EP EP JP US	442865 T 2005231622 A1 2562266 A1 1732612 A1 2119455 A1 2007532512 T 2008317767 A1	15-10-2009 20-10-2005 20-10-2005 20-12-2006 18-11-2009 15-11-2007 25-12-2008
WO 2006105201	A2	05-10-2006	NONE		