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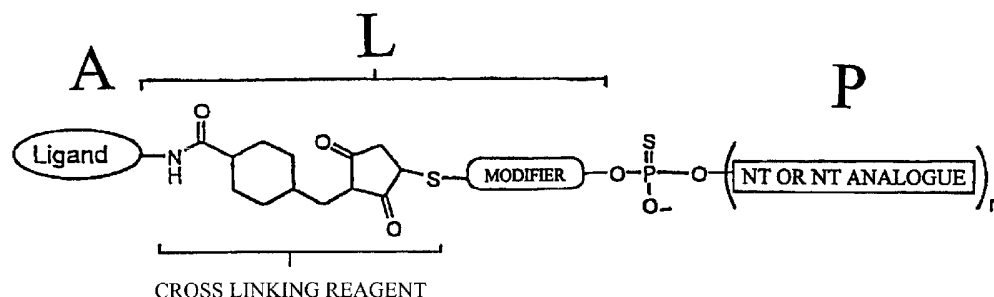
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- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CONJUGATES OF GLYCOSYLATED/GALACTOSYLATED PEPTIDE



(57) Abstract: A conjugate of formula A-L-P, in which: A represents a glycosylated/galactosylated peptide that binds to a cell-surface receptor, L represents a bifunctional linker, which does not comprise a naturally occurring amino acid and is covalently bonded to A and P in a regiospecific manner, and P represents a monomer, homopolymer or heteropolymer comprising at least one nucleotide or an analogue thereof, which inhibits the intracellular biosynthesis of nucleotides or nucleic acids in a sequence-independent manner, wherein either or both of the covalent bond between A and L and the covalent bond between L and P can be cleaved intracellularly; a composition comprising such a conjugate; and a method of inhibiting an abnormal cellular proliferation in a mammal; and a method of inhibiting replication of a virus in a mammal.



WO 02/043771 A3

INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 01/44943

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 A61K47/48 A61K51/08

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

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 A61K

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)

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

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DUFF, ROBERT J. (1) ET AL: "Intrabody tissue-specific delivery of antisense conjugates in animals: Ligand-linker-antisense oligomer conjugates." PHILLIPS, M. IAN. METHODS IN ENZYMOLOGY, (2000) VOL. 313, PP. 297-321. METHODS IN ENZYMOLOGY; ANTISENSE TECHNOLOGY, PART A: GENERAL METHODS, METHODS OF DELIVERY, AND RNA STUDIES. PRINT. PUBLISHER: ACADEMIC PRESS INC. 525 B STREET, SUITE 1900, SAN DIEG, XP008013964 page 299; figure 1 page 303, line 1; table II --- -/--	1-60

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

° Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the international search

20 February 2003

Date of mailing of the international search report

05/03/2003

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## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 01/44943

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>HANGELAND J J ET AL: "Cell-type specific and ligand specific enhancement of cellular uptake of oligodeoxynucleoside methylphosphonates covalently linked with a neoglycopeptide, YEE(ah-GalNAc)3." BIOCONJUGATE CHEMISTRY. UNITED STATES 1995 NOV-DEC, vol. 6, no. 6, November 1995 (1995-11), pages 695-701, XP002231915 ISSN: 1043-1802 abstract page 695, column 2, paragraph 2; figure 1 -----</p>	1,21

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US 01/44943

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 53-60 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2.  Claims Nos.: -  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
  
see FURTHER INFORMATION sheet PCT/ISA/210
3.  Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Present claims 1-60 relate to an extremely large number of possible compounds. In fact, the claims contain so many options that a lack of clarity (and/or conciseness) within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible. Consequently, the search has been carried out for those parts of the application which do appear to be clear (and/or concise), compounds have been searched recited in the examples and closely related homologous compounds.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.