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- with international search report (Art. 21(3))
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(54) Title: OLIGOMER-NUCLEOSIDE PHOSPHATE CONJUGATES

(57) Abstract: The invention provides small molecule drugs that are chemically modified by covalent attachment of a water soluble, non-peptidic oligomer. The conjugates of the invention, when administered by any of a number of administration routes, exhibits advantages over previously administered compounds.



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INTERNATIONAL SEARCH REPORT

International application No
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A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K47/48

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)
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)

EPO-Internal, WPI Data, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	FIAMMENGO, R.: "Efficient Preparation of Organic Substrate-RNA Conjugates via in Vitro Transcription" J. AM. CHEM SOC., vol. 127, 2005, pages 9271-9276, XP007909513 Page 9272 Scheme 1, Page 9274 Scheme 5 -----	1-3, 18-21, 23, 25-28, 31
X	WO 01/83502 A (ACLARA BIOSCIENCES INC [US]) 8 November 2001 (2001-11-08) Pages 63-65 ----- -/--	1-3, 18-21, 23, 25-28



Further documents are listed in the continuation of Box C.



See patent family 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

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International application No

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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	LIU D. ET AL.: "RNA-Mediated Synthesis of Palladium Nanoparticles on Au Surfaces" LANGMUIR, vol. 22, 2006, pages 5862-5866, XP002541515 Page 5864 Figure 1. -----	1-3, 18-21, 23,25-28
X	SEELIG B. AND JÄSCHKE A.: "Site-Specific Modification of Enzymatically Synthesized RNA: Transcription initiation and Diels-Alder Reaction" TETRAHEDRON LETTERS, vol. 38, no. 44, 1997, pages 7729-7732, XP002541671 page 7730 compound 4 -----	1-3, 18-21, 23, 25-28,31
X	US 2005/136031 A1 (BENTLEY MICHAEL D [US] ET AL) 23 June 2005 (2005-06-23) -----	1,18-22, 29-32
Y	Claims 1, 23, 31, 37, 41-43, 50; paragraphs 104 and 109 -----	1-4, 18-32
Y	WO 97/21452 A (ADVANCED MAGNETICS INC [US]) 19 June 1997 (1997-06-19) Figure 1c, Claims 1, 8 -----	1-4, 18-32
Y	WO 2006/113615 A (SLOAN KETTERING INST CANCER [US]; CORNELL RES FOUNDATION INC [US]; TAN) 26 October 2006 (2006-10-26) figure 9 compound 14 -----	1-4, 18-32
P,X	WOLF. J. ET AL.: "Synthesis of guanosine 5'-conjugates and their use as initiator molecules for transcription priming" ORG. BIOMOL. CHEM., vol. 6, 23 January 2008 (2008-01-23), pages 899-907, XP002541516 Figure 1 page 900; Page 902 figure 6 -----	1-3, 18-21, 23,25, 26,28,31

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2008/010862

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 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:
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:
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 No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

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

see additional sheet

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 fees, this Authority did not invite payment of additional fees.
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.:

see annex

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 2-4 complete, claims 1, 18-32 in part

Compounds according to the formula of present claim 2
wherein the base is a residue of purine or pyrimidine

2. claims: 5 complete; claims 1, 18-32 in part

Compounds according to formula I of present claim 5

3. claims: 6, 8, 11, 12 complete; claims 1, 18-32 in part

Compounds according to formulae II, IV, VII, VIII, of
present claims 6, 8, 11, 12

4. claims: 7 complete; claims 1, 18-32 in part

Compounds according to formula III of present claim 7

5. claims: 9 complete; claims 1, 18-32 in part

Compounds according to formula V of present claim 9

6. claims: 10 complete; claims 1, 18-32 in part

Compounds according to formula VI of present claim 10

7. claims: 13-15; claims 1, 18-32 in part

Compounds according to formulae IX-XI of present claims
13-15

8. claims: 16 complete; claims 1, 18-32 in part.

Compounds according to formula XII of present claim 16.

9. claims: Claims 17; claims 1, 18-32 in part.

Compounds according to present claim 17

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
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