Abstract: The invention provides methods of identifying and making compounds that inhibit the interaction between MUC1 and galectin-3. Also embraced by the invention are in vivo and in vitro methods of inhibiting such an interaction and of inhibiting the expression of galectin-3 by a cell.
### A. CLASSIFICATION OF SUBJECT MATTER

**INV. G01N33/574**

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)

**GOIN**

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, PAJ, EMBASE, BIOSIS, INSPEC, COMPENDEX, FSTA**

### C. DOCUMENTS CONSIDERED TO BE RELEVANT

<table>
<thead>
<tr>
<th>Category</th>
<th>Citation of document, with indication, where appropriate, of the relevant passages</th>
<th>Relevant to claim No</th>
</tr>
</thead>
</table>

### Date of the actual completion of the international search

22 May 2008

### Date of mailing of the international search report

24/07/2008

### Name and mailing address of the ISA/ European Patent Office, P B 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel (+31-70) 340-2040, Tx 31 651 epo nl Fax (+31-70) 340-3016

Authorized officer

van der Kooij, M
<table>
<thead>
<tr>
<th>Category</th>
<th>Citation of document, with indication, where appropriate, of the relevant passages</th>
<th>Relevant to claim No</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>YU LU-GANG ET AL: &quot;Galectin-3 enhances epithelial cancer cell adhesion to...&quot;</td>
<td>1-10, 13, 14, 16-40, 45-50</td>
</tr>
<tr>
<td></td>
<td>GASTROENTEROLOGY, vol. 128, no. 4, Suppl. 2, April 2005 (2005-04), page A186,</td>
<td></td>
</tr>
<tr>
<td></td>
<td>XP008091752 &amp; ANNUAL MEETING OF THE AMERICAN-GASTROENTEROLOGICAL-ASSOCIATION/D</td>
<td></td>
</tr>
<tr>
<td></td>
<td>IGEST IVE-DISEASE-WEEK; CHICAGO, IL, USA; MAY 14-19, 2005 ISSN: 0016-5085</td>
<td></td>
</tr>
<tr>
<td></td>
<td>abstract</td>
<td></td>
</tr>
</tbody>
</table>
**INTERNATIONAL SEARCH REPORT**

**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:
   Although claims 14, 16-40 and 45-50 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 earned 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 applicants 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.
This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-14 (completely), 16-21 (partially), 22-23 (completely), 24-40 (partially), 45-50 (completely)

A method of identifying a compound that inhibits binding of MUC1-I to galectin-3 or inhibits activation of galectin-3 expression by MUC1. Compounds identified by said methods.

Method of generating a compound that inhibits the interaction between MUC1-C and galectin-3 employing a 3-dimensional structure of complex formed by said 2 proteins.

A method of inhibiting binding of MUC1-C to galectin-3 in a cancer cell. Methods of inhibiting activation of galectin-3 expression in a cancer cell that expresses MUC1. Method of killing a cancer cell employing said methods together with exposing the cells to genotoxic agents.

2. claims: 15, 16-21 (partially) and 24-40 (partially)

A method of inhibiting the association of MUC1 with EGFR in a cancer cell that expresses MUC1 by contacting said cell with a compound that inhibits binding of galectin-3 to the extracellular domain of MUC1-C.

3. claim: 51

Method of diagnosis comprising measuring the level of galectin-3 or MUC1-galectin-3 complex in a test cell, wherein an enhanced level of galectin-3 or MUC1-galectin-3 complex in the test cell is an indication that the test cell is a cancer cell.

4. claims: 52-53

Method of promoting apoptosis in a cell, comprising determining whether the cell expresses MUC1, and if the cell expresses MUC1, contacting the cell with a compound that inhibits phosphorylation of galectin-3 by casein kinase 1.

5. claims: 41-44

Compounds that inhibit binding of MUC1 to galectin-3 or inhibit the expression of galectin-3 or MUC1.
<table>
<thead>
<tr>
<th>Patent document cited in search report</th>
<th>Publication date</th>
<th>Patent family member(s)</th>
<th>Publication date</th>
</tr>
</thead>
<tbody>
<tr>
<td>WO 2006088906 A</td>
<td>24-08-2006</td>
<td>CA 2597627 A1</td>
<td>24-08-2006</td>
</tr>
</tbody>
</table>