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(54) **PHOSPHONIC ACID-BASED CATIONIC LIPIDS**

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(*) Notice: Under 35 U.S.C. 154(b), the term of this patent shall be extended for 0 days.

This patent is subject to a terminal disclaimer.

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Related U.S. Application Data

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(51) **Int. Cl.**[7] **A01N 57/00**; A61K 31/66; C07F 9/02

(52) **U.S. Cl.** **514/75**; 558/166; 558/177

(58) **Field of Search** 514/182, 75; 552/505, 552/506; 260/397.2; 558/166, 177

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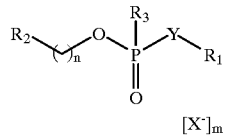
(57) **ABSTRACT**

The present invention provides novel phosphonic acid-based cationic lipids of the general structure:



or a salt, or solvate, or enantiomers thereof wherein; (a) R_1 is a lipophilic moiety; (b) R_2 is a positively charged moiety; (c) R_3 is a lipophilic moiety of 1 to about 24 carbon atoms, a positively charged moiety, or a negatively charged moiety; (d) n is an integer from 0 to 8; (e) X^- is an anion or polyanion; (f) Y is N or 0, and (g) m is an integer from 0 to a number equivalent to the positive charge(s) present on the lipid.

The present invention further provides compositions of these lipids with polyanionic macromolecules, methods for interfering with protein expression in a cell utilizing these compositions and a kit for preparing the same.

35 Claims, 4 Drawing Sheets