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# United States Patent [19]

**Dwyer et al.**

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[54] **PHOSPHONIC ACID-BASED CATIONIC LIPIDS**

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**Related U.S. Application Data**

[63] Continuation-in-part of application No. 08/484,716, Jun. 7, 1995, abandoned.

[51] **Int. Cl.**[6] ..... **A61K 31/665**; C07F 9/40

[52] **U.S. Cl.** ..... **514/75**; 558/166; 558/177

[58] **Field of Search** ..... 558/166, 177; 514/75

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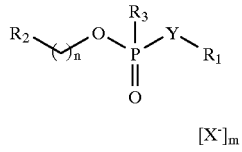
[57] **ABSTRACT**

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



$[X^-]_m$

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 O, 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.

**9 Claims, 4 Drawing Sheets**