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(54) **TRANSFECTION REAGENTS**

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See application file for complete search history.

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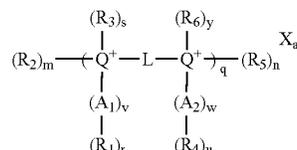
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(57) **ABSTRACT**

Disclosed are compounds capable of facilitating transport of
biologically active agents or substances into cells having the
general structure:



wherein

Q is selected from the group consisting of N, O and S; L is
any bivalent organic radical capable of linking each
Q, such as C, CH, (CH₂)₁, or {(CH₂)_r—Y—(CH₂)_k},
wherein Y is selected from the group consisting of CH₂,
an ether, a polyether, an amide, a polyamide, an ester,
a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a
carbonate, a phosphate, a sulfate, a sulfoxide, an imine,
a carbonyl, and a secondary amino group and wherein
Y is optionally substituted by —X₁—L'—X₂—Z or
—Z; R₁—R₆, independently of one another, are selected
from the group consisting of H, —(CH₂)_p—D—Z, an
alkyl, an alkenyl, an aryl, and an alkyl or alkyl ether
optionally substituted by one or more of an alcohol, an
aminoalcohol, an amine, an amide, an ether, a poly-
ether, a polyamide, an ester, a mercaptan, an alkylthio,
a urea, a thiourea, a guanidyl, or a carbamoyl group,
and wherein at least one of R₁, R₃, R₄ and R₆ is a
straight chain or branched, cyclic, alkyl, alkenyl, alky-
nyl or aryl group; and any one of R₁, R₃, R₄ and/or R₆
may optionally be covalently linked with each other,
with Y or with L when L is C or CH to form a cyclic
moiety; Z is selected from the group consisting of
amine, spermyl, carboxyspermyl, guanidyl, spermid-
nyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyr-
rolidinyl, polyamine, amino acid, peptide, and protein;
X₁ and X₂, independently of one another, are selected
from the group consisting of NH, O, S, alkylene, and
arylene; L' is selected from the group consisting of
alkylene, alkenylene, alkynylene, arylene, alkylene
ether, and polyether; D is Q or a bond; A₁ and A₂,
independently of one another, are selected from the
group consisting of CH₂O, CH₂S, CH₂NH, C(O),
C(NH), C(S) and (CH₂)_p; X is a physiologically accept-
able anion; m, n, r, s, u, v, w and y are 0 or 1, with the
proviso that when both m and n are 0 at least one of r,
s, u and y is other than 0; i, j, k, l, p and t are integers
from 0 to about 100; q is an integer from 1 to about
1000; and a is the number of positive charge divided by
the valence of the anion.

101 Claims, 4 Drawing Sheets