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Syntheses and Properties of 2-N,N-[Bis-(2-Chloroethyl)Amino]-4-Alkyloxylme thyl-1,3,2-Oxazaphospholidine-2-Oxides

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A series of new compounds, the analogues of phosphonolipids containing 2-N,N-[Bis-(2-chloroethyl)amino] phosphonyl group, is reported in this paper. It is attempted to find some new compounds having strong antitumor activities with less side effects.

The general synthetic route of this series of compounds is shown below:

R: $C_{18}H_{37}$, $C_{16}H_{33}$, $C_{14}H_{29}$, $C_{12}H_{25}$, $C_{10}H_{21}$, $C_{8}H_{17}$

It contains seven-step reactions with the glycerol as starting material. The yields are quite good in every steps except for the fifth step. In this type of reactions, besides the main product, a lot amount of alkene which is the side product produced by elimination reaction was obtained.

The compounds (I), (II), (III) are mixtures of cis and trans isomers and the compounds (V), (VI) a pair of enantiomers, respectively. All of these isomers were not seperated. The target compounds(VII) were composed of four isomers. They were a pair of cis-enantiomers and a pair of trans-ones. The cis- and trans- isomers were seperated by chromatography successfully.

The structure of these compounds were confirmed by ¹HNMR, ³¹PNMR, IR, MS and elemental analysis. The spectral properties of these compounds were also studied.

The antitumor activities of these compounds are being tested.