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The Gem-Tetraziridinocyclotriphosphazene Diazide, A Synthone to Monophosphazenyldiazo Derivatives of Biological Interest

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THE GEM-TETRAZIRIDINOCYCLOTRIPHOSPHAZENE DIAZIDE, A SYNTHON TO MONOPHOSPHAZENYLMONOAZIDO DERIVATIVES OF BIOLOGICAL INTEREST.

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The gem-tetraziridinocyclotriphosphazenes, $N_3P_3Az_4XY$, are both antitumor agents (1, 2) and immuno-modulators (3, 4) whatever X and Y are, but their selectivity for malignant cells is commonly poor. In an attempt at the production of more selective drugs, we prepared monoazido derivatives ($X = N_3$, $Y = \text{something else}$) for covalent binding to antibodies.

The starting material for this purpose is the gem-tetraziridinocyclotriphosphazene diazide, $N_3P_3Az_4(N_3)_2$ 1, prepared upon reaction of N_3Na with gem- $N_3P_3Az_4Cl_2$. STAUDINGER's reaction with suitable phosphines $L_2L'P$ ($L = L' = Ph$ 2a, $L = L' = NMe_2$ 2b, $L_2 = \text{glycol}$, $L' = NMe_2$ 2c, $L_2 = \text{pyrocatechol}$, $L' = NMe_2$ 2d) leads to the expected monoazido derivatives in a quite neat way. Both in vivo and in vitro reactivity of the azido hook in these drugs is now currently investigated.

Thus, the title diazide leads the way to new monophosphazenylnonoazidocyclotriphosphazenes and relatives of biological interest.

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