

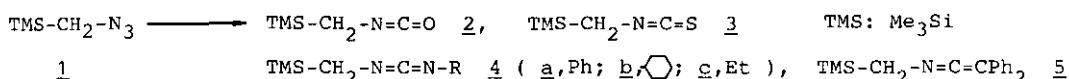
SYNTHESIS OF HETEROCYCLES USING SILYLMETHYL HETEROCUMULENES

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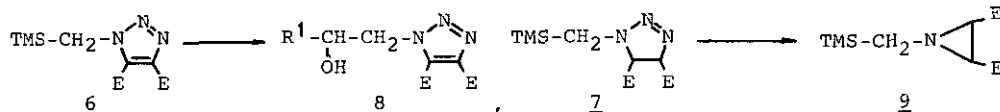
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Silylmethyl-substituted heterocumulenes are versatile reagents for synthesis of heterocycles because of their poly-functionality.

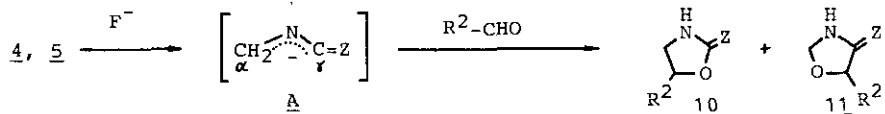
We have developed a one-pot synthesis of silylmethyl heterocumulenes 2-5 from trimethylsilylmethyl azide 1 via its iminophosphorane derivative.



The azide 1 cycloadded to acetylenic and olefinic dipolarophiles to give silylmethyltriazoles 6 and triazolines 7 which on photolysis afforded silylmethylaziridines 9, respectively. The triazole 6 gave methyl- or β -hydroxyethyltriazoles 8 by the fluoride-induced desilylation in the presence of water or aldehydes.



Desilylation of carbodiimides 4 and ketenimine 5 with fluoride anion generate azabutadienyl anions A which underwent nucleophilic addition to aldehydes at both α - and γ -carbons to give two regioisomeric oxazolidines 10 and 11.



Trimethylsilylmethyl thioamides 12, thioimidates 13, and amidines 14 which were prepared from the heterocumulenes 3, 4 and organometallic compounds, worked as nitrile ylide synthons, since they generate N-protonated azomethine ylides B bearing a leaving group (Z'). That is, the cycloaddition reactions with olefinic, acetylenic dipolarophiles and aldehydes gave pyrrolines 15, pyrroles 16, and oxazolines 17, respectively.

