1,3-DIPOLAR CYCLOADDITION OF 8-METHOXYBERBERINEPHENOLBETAINE

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1,3-Dipolar cycloaddition of 8-methoxyberberinephenolbetaine (I) with substituted acetylenes and olefins was investigated.

Heating of I with the acetylenes in tetrahydrofuran afforded the adducts (II) in excellent yields along with the dibenzo[a,g]pyrido[1,2-a]azocines (III). The formers were converted into the latters in 71-93% yields by heating in ethanol. The azocines (III) were also obtained in high yields in one-pot from the reaction of I with the acetylenes followed by heating in ethanol. The isomerization of the adducts to the azocines was not occurred if a methoxyl group at C-8 is not present in the adducts, which were derived from berberinephenolbetaine.

Acidic treatment of III effected the transannular cyclization to give the indolizidine derivatives.