RING EXPANSION REACTION OF 1,2-DIHYDROQUINOLINES TO 1-BENZAZEPINES

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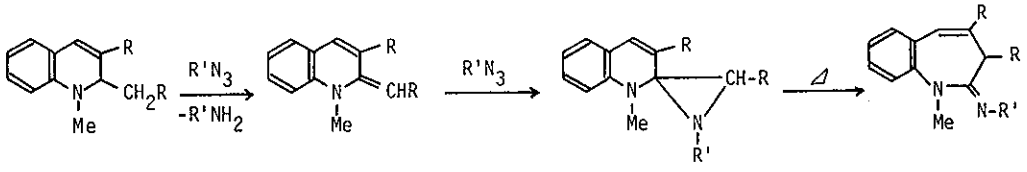
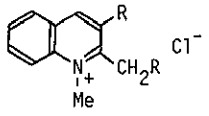
Treatment of 1-methyl-2,3-dialkyl-1,2-dihydroquinolines (1) with ethoxycarbonyl azide, phenyl azide, or benzazide gave the corresponding 1-methyl-2-substituted-imino-3,4-dialkyl-1H-1-benzazepines (4). These benzazepines (4) were also obtained in excellent yield from 1-methyl-2-alkylidene-3-alkyl-1,2-dihydroquinolines (2) prepared by the alkali treatment of 1-methyl-2,3-dialkylquinolinium chlorides (5). UV-irradiation to the mixture of 2 and azide affored 1-methyl-3-alkyl-1,2-dihydroquinoline-2-spiro-2'-(1'-substituted-3'-alkyl)aziridines (3), which were easily converted into 4 by heating.



(1) (2) (3) (4)



(5)

Yields (%) of 1-Methyl-2-substituted-imino-3,4-dialkyl-2,3-dihydro-1H-1-benzazepines (4)

R	R'	from 1	from 2	from 3
Me	COOEt	67.2	94.5	90.3
Me	Ph	40.3	68.5	98.1
Me	PhCO	0	80.4	91.4
Et	COOEt	82.8	90.5	
i-Pr	COOEt	30.1	98.5	