PART I

ADDITION OF α-CHLOROMETHYL PHENYL SULFONE CARBANION TO IMINE BOND

Abstract

The Reaction of lithio α-chloromethyl phenyl sulfones, generated by the reaction of α-chloromethyl phenyl sulfones with lithium diisopropylamide in THF at -78°C, with aldimines at -78°C to room temperature overnight gave the corresponding 2-phenyl sulfonyl aziridines in excellent yields (72-100%).

The carbanion next to the sulfonyl group of 2-phenyl sulfonyl aziridines could be generated by LDA/THF at -78°C and was sufficiently active to undergo alkylation reaction to give the alkylated product in good yield (71-100%).

The 2-phenyl sulfonyl aziridines also underwent 1,3-dipolar cycloaddition reaction with dienophile such as DMAD in sealed tubes gave substituted pyrroles directly in good yield (71-100%). 1,3-Dipolar cycloaddition reaction of 2-phenyl sulfonyl aziridine could be occurred at room temperature in the present of Lewis acid such as borontrifluoride etherate.
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