

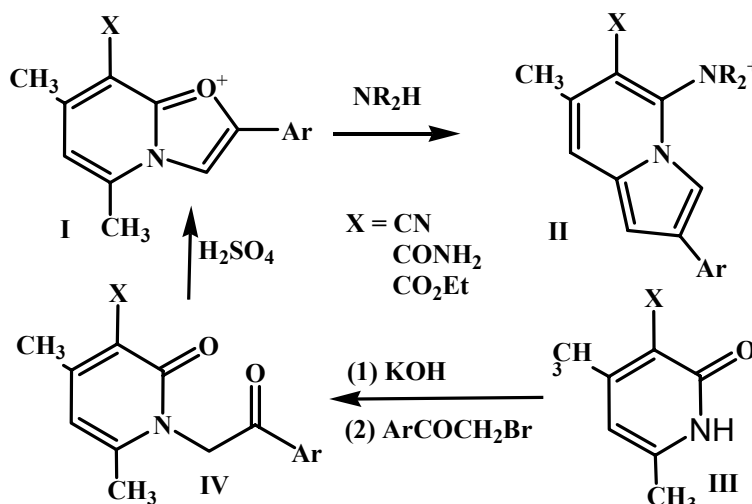
Novel Approaches to 5-Substituted Indolizines

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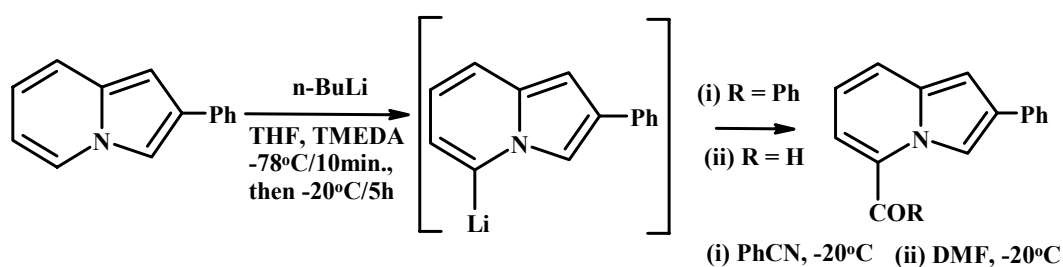
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Indolizines substituted at position 5 are poorly available class of heterocycles. We have developed two novel strategies to these compounds. The first strategy involves synthesis of 5-methyloxazolo[3,2-*a*]pyridinium salts **I** which in reaction with secondary amines gave indolizines **II**. The salts **I** can be easily obtained by phenacylation of available pyridones **III** followed by cyclization of phenacylpyridones **IV**. Surprisingly, the oxazolium ring closure of **IV** in H₂SO₄ occurred without hydrolysis of X group:



Another strategy to insert a substituent at position 5 of the indolizine ring was its selective lithiation followed by the action of suitable electrophile:



By this way we have been able to insert benzoyl and formyl groups at this position. The 5-benzoyl derivative was found inert toward common reactions of carbonyl compounds, though the benzoyl can be removed by the action of alkali. The formyl derivative gave unstable oxime and hydrazones, and the formyl group can be reduced. Other reactions and unusual behavior of 5-COR groups are discussed.

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