SYNTHESIS AND REACTIONS OF 3-SUBSTITUTED ISOINDOLENONES FROM o-CYANOBENZALDEHYDE

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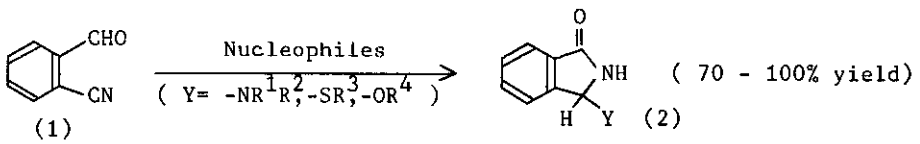
We recently reported elemental sulfur-catalyzed synthesis of N,N'-disubstituted 1,3-diiminoisoindolines from phthalonitrile with amines,[1] and novel synthesis of 3-(N-substituted amino)-1-isoindolenones from o-cyanobenzaldehyde with amines.[2] We wish here to report the direct formation of 3-substituted isoindolenone(2) from o-cyanobenzaldehyde(1) with some nucleophiles such as amines, thiols and alcohols. Alkylation and thiation of the isoindolenones were also examined.



(1) (2) (70 - 100% yield)

Reactions of o-cyanobenzaldehyde(1) with primary and secondary amines were smoothly proceeded at low temperature such as 20°C to give 3-(N-substituted amino)-isoindolenones(2) in quantitative yields. In addition, 3-arylthio-isoindolenones and 3-alkoxyisoindolenone were obtained by reactions of (1) with thiols and alcoholsin the presence of tertiary amine in good yields, respectively.
This method provides an attractive direct route to isoindolenones, since it has some advantages, for example, simple procedure, the high yields, and easy availability of the starting materials.

In order to explore functionalization of the isoindolenones, 3-diethylaminoisoindolenone was alkylated with alkyl halides by employing phase transfer catalyst to give 2-alkyl-3-diethylaminoisoindolenones in high yields. Moreover, synthesis of thioisoindolenones were also successfully carried out with Lawesson reagent.

1) R.Sato, T.Senzaki et al., Chem.Lett., 1984, 1423.
2) R.Sato, T.Senzaki et al., Chem.Lett., 1984, 1599.