SYNTHESIS AND ITS CYCLOADDITION REACTION OF ISOINDOLO[2,1-c]THIAZOLE

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Isoindolo[2,1-c]thiazole is a new heterocycle with a condensed nitrogen atom and a tetravalent sulfur moiety, and is expected to behave as 8 pi or 4 pi addend in cycloaddition reaction. Isoindolo[2,1-c]thiazolium bromide, a precursor of isoindolo[2,1-c]thiazole, was synthesized via four steps starting from o-(bromoacetyl)-benzoic acid. This salt reacted with acetic anhydride affording the 5-acetyl derivative which underwent an intramolecular 10 pi cyclization upon treatment with triethylamine.

The reactions of isoindolo[2,1-c]thiazole, generated from the corresponding precursor in situ, with acrylonitrile and acrylate gave the stereo- and regioselective [8+2] cycloadducts whose structures were determined mainly on the basis of the PMR spectra. Potential reactivity of isoindolo[2,1-c]thiazole was demonstrated by the reactions with maleate, fumarate, methylmaleic anhydride, and maleimide which also afforded the corresponding endo [8+2] cycloadducts. Wide application of isoindolo[2,1-c]thiazole as a synthetic reagent is now being developed by the cycloadditon reactions to crotonate, cinnamate, nitroolefin, and heterocumulene.

These cycloaddition reactions are extremely selective in all senses.