SYNTHESES OF INDOLE AND PYRROLOISOQUINOLINE DERIVATIVES FROM THIOCYCLOPROPENIUM SALTS

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We have reported the syntheses of various heterocyclic compounds by the ring-expansion reaction of tris(t-butylthio)cyclopropenium salt (1) with nucleophiles containing amino, hydroxy and mercapto groups. We have applied these reactions to the synthesis of dihydropyrrolo(2,1-a)isoquinoline, some derivatives of which are known to exhibit physiological functions such as depression of blood pressure and smooth relaxation of muscles. We have successfully prepared some pyrrolo-[2,1-a]isoquinoline derivatives by using the reaction of 1 and phenyl- or amino-dithiocyclopropenium salts with 6,7-dimethoxytetrahydroisoquinoline in good yield.

$$t_{\text{BuS}} \xrightarrow{\text{S}^{t}\text{Bu}} + \xrightarrow{\text{CH}_{3}\text{O}} \xrightarrow{\text{CH}_{3}\text{O}} \xrightarrow{\text{NH}} \xrightarrow{\text{CH}_{3}\text{O}} \xrightarrow{\text{CH}_{3}\text{O}}$$

As another example of heterocycle-synthesis, we have succeeded in preparing novel indole derivatives with a thioethynyl group at the 2-position. In this reaction only one carbon atom of 1 is incorporated into heterocyclic rings of the products.

$$RS \xrightarrow{SR} SR + CH_3 \rightarrow CH_3 \rightarrow SR$$

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