

THE SYNTHESIS OF NOVEL HETEROCYCLIC COMPOUNDS.
 DERIVATIVES OF 3H-INDOLE AND BENZOFURO[3,3a-d]ISOXAZOLE.

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We report here the synthesis of novel heterocyclic compounds, derivatives of 3H-indole carboxylic acids (2) and benzofuro[3,3a-d]isoxazole carboxylic acids (3) from 4-substituted 3,5-bis(methoxycarbonyl)isoxazoline-1-oxides (1) by Lewis acids as shown in Scheme 1.

That is, 1 is reacted with four fold excess Lewis acids such as titanium tetrachloride in dichloromethane at 0°C. The products (2 and/or 3) are isolated by chromatography in the usual way. The results are summarized in Table 1. Substituent effects indicate that electron-attracting group (such as halogen) in 1 is favorable to form 3.

The reaction mechanism of these ring-transformations is also proposed.

Table 1.

1 R	Yield (%) of products			mp. °C
	2	O-p-nitrobenzoate	3	
H	85	mp. 122~4	—	—
p-Cl	8	68~70(dec.)	81	107~8
p-Br	11	—	73	101~2
p-F	12	—	77	97~8
p-CH ₃	24	115~6(O-acetate)	—	—

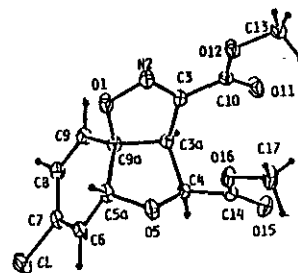
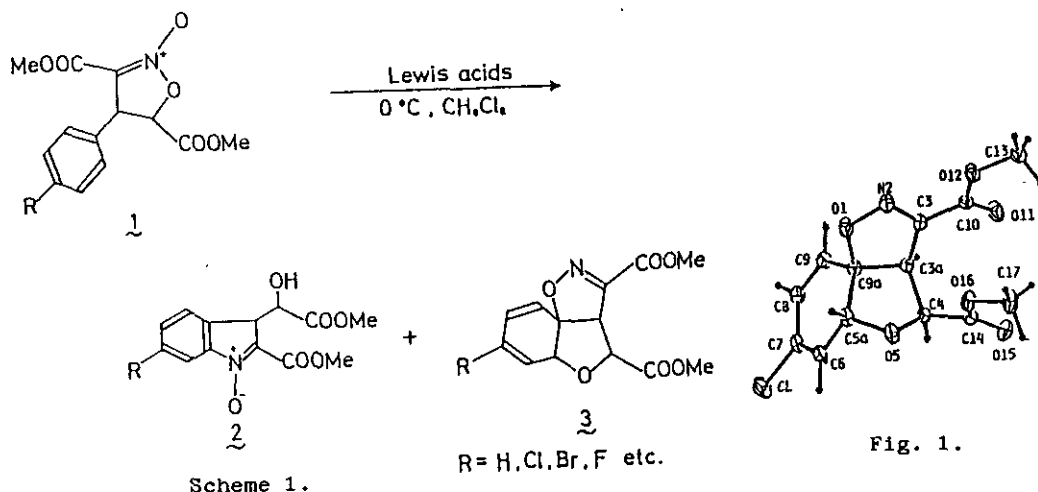


Fig. 1.

Fig.1: Structure of the Molecule of 3 and Numbering of Atoms.