

SYNTHESIS OF SOME NEW (1,2) DIAZEPINO(4,5-b) INDOLES AND (1,2) DIAZEPINO (5,6-b) INDOLES.

A. Monge., J.A. Palop., T. Goñi., A. Martínez and E. Fernandez-Alvarez\*

Fac. de Farmacia., Universidad de Navarra., Pamplona. SPAIN.

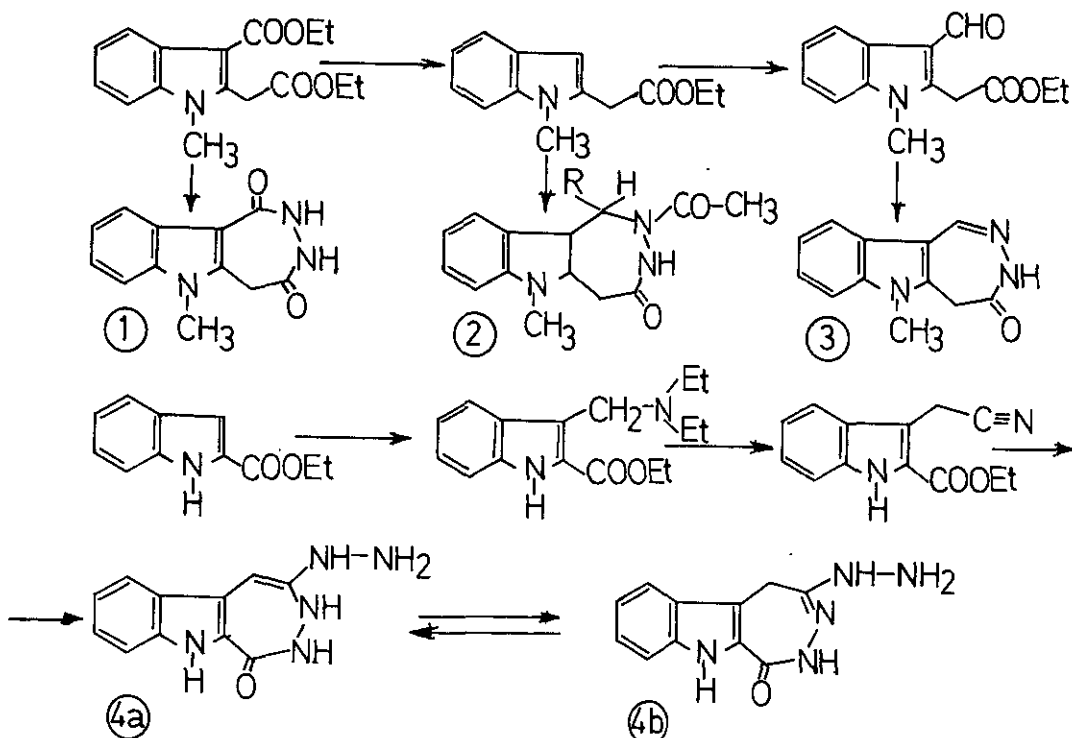
\* Centro Nac. de Qui. Org., Juan de la Cierva nº3., Madrid-6 SPAIN.

1,5-dihydro-2-acetyl-4-oxo-6-methyl(1,2)diazepino-(5,6-b) indol 2 was obtained by treatment of carbohydrazones, a by-product derivative of 2-carbohidrazide methyl-1-methylindole, with acetylchloride and triethylamine in ethyl acetate as solvent.

2-Ethoxycarbonylmethyl-1-methylindole-3-carboxyaldehyde, with hydrazine hydrate yielded 4 -oxo-5H-6-methyl(1,2)diazepino(5,6-b) indol 3.

2-Ethoxycarbonylindole, were alkylated under Mannich reaction conditions, and the resulting gramines, quaternized in the presence of cyanide ion to 2-ethoxycarbonyl-3-indolacetonitrile. The last compound with hydrazine hydrate gave in good yield 5-oxo-1H-2-hydrazino-(1,2)diazepino(4,5-b) indole 4.

2-Ethoxycarbonylmethyl-1-methyl-3-ethoxycarbonylindole with an excess of hydrazine hydrate gave satisfactory yields of 1,4-dioxo-5H-6-methyl- (1,2)diazepino(5,6-b) indole 1.



The structure of all compounds was established by means of elemental analysis, i.r. and <sup>1</sup>H-n.m.r. spectra. The toxicity and general behaviour of these compounds in animals are in study.