SYNTHESIS OF NEW HETEROCYCLIC COMPOUNDS CONTAINING 1,2,3,4-TETRAHYDROISOQUINOLINE OR 3-OXO-TETRAHYDROISOQUINOLINE RING.

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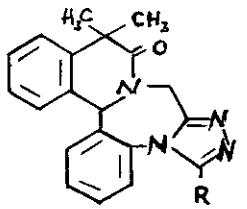
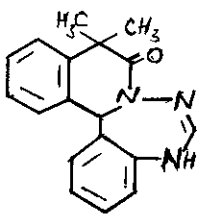
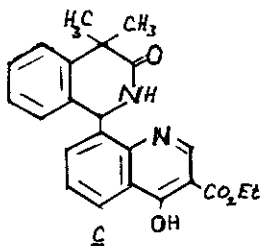
The compound 1-(2'-amino-phenyl)-4,4-dimethyl-1,4-dihydro-3(2H)-isoquinolinone /I/ obtained by a methode published earlier /1/ proved to be a suitable starting material in the synthesis of new heterocyclic compounds with anellated isoquinoline or 3-oxo-tetrahydro-isoquinoline ring.



a



b



c

The cyclization of the N-chloroacetyl derivative of I with NaH, the subsequent selective O → S replacement in the isoquinolino-benzo-diazepindion and the reaction of the thiaderivative with acylhydrazides resulted in the forming of new triazolo compounds /a/. The reaction of I with dimethylformamide dimethyalacetale and the condensation of the dimethylaminomethylene derivative with hydroxylamine afforded two oximes, but only the E-isomer could be cyclised by heating into a triazepine ring containing new heterocycle /b/. When starting from I we used ethoxymethylenemalonic ester for cyclization we obtained c isoquinolinone derivative. Similar reaction could be observed with 8-amino-2-methyl-4-phenyl-1,2,3,4-tetrahydroisoquinoline yielding the corresponding pyrido-isoquinoline. The new compounds synthetized by us have a moderate CNS activity.

/1/ Gy.Deák,K.Gáll-Istók,L.Hazai,L.Sterk: Synthesis 1975,393