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A Study on the Reaction of Phenylenediamine and Acetylpyridine with Acid Catalyst

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Diazepam and nitrazepam are anticonvulsants and flurazepam is both an antianxiety agent and a potent hypnotic. In addition to the 1,4-benzodiazepines, 4-aryl-1,5-benzodiazepines have also recently been used. They possess a activity spectrum similar to the 5-aryl-1,4-benzodiazepines.

In order to obtain novel 1,5-Benzodiazepine derivatives, we tried the reaction of *o*-phenylenediamine with 2-acetylpyridine in the presence of HCl or SiO₂. But we obtained not 2-methyl-2,4-dipyridine-2-yl-2,3-dihydro-1*H*-benzo-1,5-benzodiazepine but 2-pyridin-2-yl-quinoxaline. So we study the synthesis of 1,5-benzodiazepines and quinoxalines in various reaction conditions.

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A study on the synthesis of novel Spirohydantoin derivatives from Di-(8-azabicyclo[3.2.1]octan-3-onyl)compounds

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A series of tropane and nortropane 3-spiro-5'-hydantoins showed anticonvulsant activity against pentylenetetrazol-induced convulsions in mice and antiarrhythmic activity in rabbit previously treated with ouabain.

We already reported the synthesis of *N*-substituted 8-azabicyclo[3.2.1]octan-3-ones derived from the reaction of amine, 2,5-dimethoxytetrahydrofuran and acetonedicarboxylic acid. And also we reported the synthesis of di-(8-azabicyclo[3.2.1]octan-3-onyl)benzenes and di-(8-azabicyclo[3.2.1]octan-3-onyl)alkanes in the reaction of phenylenediamines (or diaminoalkanes) with 2,5-dimethoxytetrahydrofuran, and acetonedicarboxylic acid.

As a part of our study on the improvement of anticonvulsant, we report the synthesis of corresponding novel spirohydantoin derivatives by using *N*-substituted 8-azabicyclo[3.2.1]octan-3-ones and di-(8-azabicyclo[3.2.1]-octan-3-onyl)benzenes(or alkanes) with potassium cyanide and ammonium carbonate.