HETEROCYCLES FROM PEPTIDO-AMINOBENZOPHENONE DERIVATIVES

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Recently we reported the synthesis of a novel series of peptido-aminobenzophenones, which were shown to possess central nervous system activities. Now, we report the conversion of peptido-aminobenzophenones and their derivatives into some heterocyclic compounds under the several reaction conditions. For example, treatment of 2-o-chlorobenzoyl-4-chloro-N-methyl-N^{α}-glycyl-glycinanilide (1) with sodium ethoxide afforded 6-chloro-4-o-chlorophenyl-3-glycylamino-1-methyl-2-quinolone (2). The reaction of 2-o-chlorobenzoyl-4-chloro-N-methyl-N^{α}-iodoacetyl-glycinanilide (3) with sodium hydride in dimethylformamide gave 10-chloro-11b-o-chlorophenyl-7,11b-dihydro-7-methyl-oxazolo[3,2-d][1,4]benzodiazepin-3,6(2H,5H)-dione (4) *in good yield. This is a novel one-step synthesis of oxazolobenzodi*azepine. In the case of the reaction of 2-benzoyl-4-chloro-N^{α}-chloroacetyl-N^{α}-methyl-glycinanilide (5) with sodium hydride in 1,2-dimethoxyethane, 1-(2-benzoyl-4-chloro)phenyl-4-methyl-piperazin-2,4-dione (6) was obtained in quantitative yield. Furthermore, treatment of 2-o-chlorobenzoyl-4-chloro-N-methyl-N^{α}-cyanoacetyl-glycinanilide (7) with sodium hydride in dimethylformamide afforded 6-chloro-4-o-chlorophenyl-3-cyano-1-(methylcarbamoyl)methyl-2-quinolone (8), which was formed by Smiles rearrangement and condensation. It is noteworthy that the Smiles rearrangement in (7) proceeds in high yield. Deprotection of 2-benzoyl-4-chloro-N^{α}-methyl-N^{α}-phthalimidoacetyl-glycinanilide (9) with hydrazine hydrate in chloroform-ethanol gave 2-benzoyl-4-chloro-N^{α}-methyl-N^{α}-glycyl-glycinanilide (10), which was easily converted into 1,2,3,4-tetrahydro-8-chloro-6-hydroxy-6-phenyl-pirazino[2,1-b]quinazolin-3(6H)-one (11) at room temperature.