SYNTHESIS OF HETEROCYCLES USING TRIFLUOROPYRUVIC ACID HYDRATE

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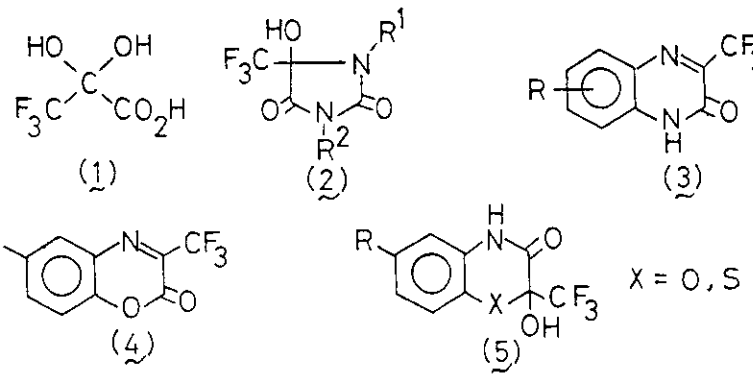
The chemistry of trifluoropyruvic acid hydrate had not been investigated specially in heterocyclic synthesis, only one example is reported in the literature concerning its behaviour towards semicarbazide hydrochloride[1]. As an extension of this work, we became interested to study its behaviour towards various nucleophiles to synthesize a wide variety of heterocyclic compounds which might have a biological interest. We would like to report here on a facile synthesis of 5-hydroxy-5-trifluoromethyl-hydantoin derivatives (2) [Y = 61-88%], 3-trifluoromethyl-quinoxalin-2-one derivatives (3) [Y = 72-97%], 3-trifluoromethyl-1,4-benzoxazin-2-one derivatives (4), 2-hydroxy-2-trifluoromethyl-1,4-benzoxazin-3-one derivatives (5)[T.Y.31-78%] and 2-hydroxy-2-trifluoromethyl-1,4-benzothiazin-3-one (5)[Y81%] via the reaction of (1) with urea derivatives, o-phenylenediamine derivatives, o-aminophenol derivatives and o-aminothiophenol in boiling dioxane.



1) A.Dipple, C.Heidelberger, J. Med. Chem., 9, 715 (1966).