

PREPARATION OF 6-(1,3-BENZOXAZOL-2-YL)-5-METHYLTHIENO[2,3-D]PYRIMIDIN-4(3H)-ONE

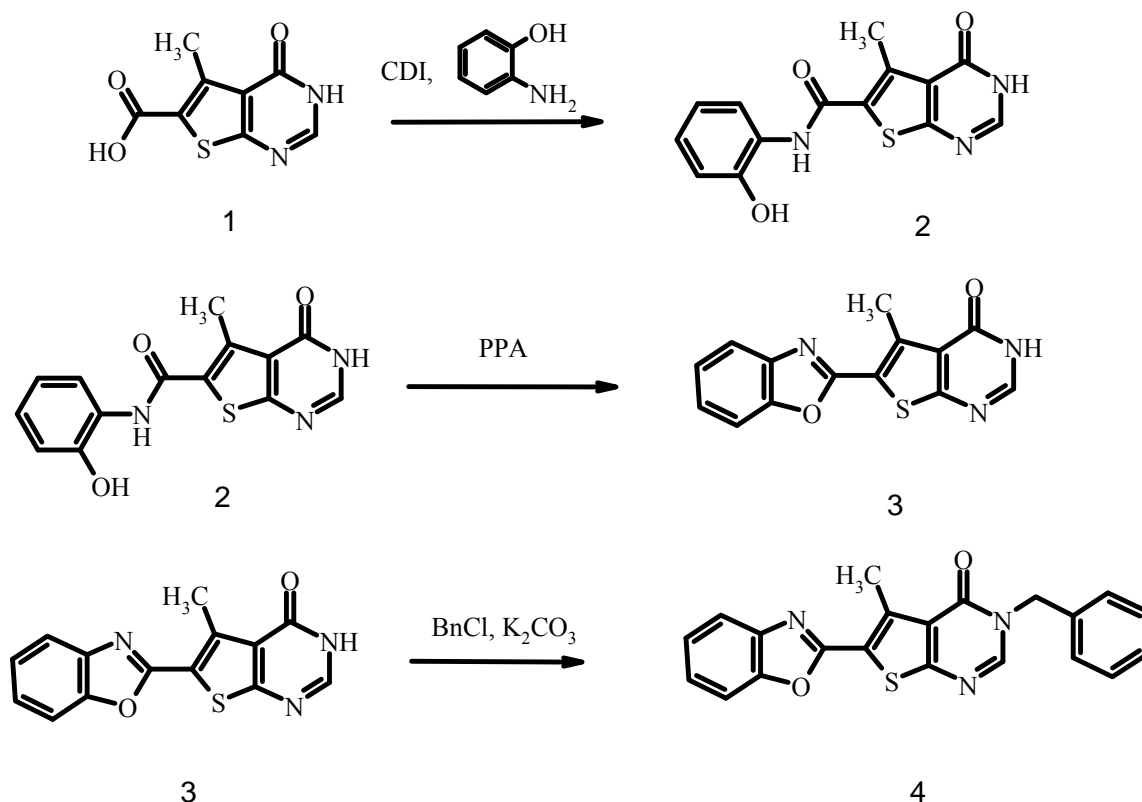
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Many of compounds containing benzoxazole fragment were reported as biologically active ones. They are inhibitors of reverse transcriptase, anti-inflammatory and antimicrobial agents. Some of them were mentioned as the agents that may potentially be useful for early detection and monitoring the progression of Alzheimer's disease; they have effects on COX-2 mediatory responses and on DNA topoisomerases. Interaction of carboxylic acids with 2-aminophenols is a good and well-known way for benzoxazole ring closure. Therefore we focused our attention on the interaction of 5-methyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carboxylic acid **1** with 2-aminothiophenol. At the first step just simple acylation has been observed. The cyclization has been performed by heating of the amide **2** in polyphosphoric acid (scheme).

Scheme



The alkylation of 6-(1,3-benzoxazol-2-yl)-5-methylthieno[2,3-d]pyrimidin-4(3H)-one **3** has been carried out in dimethylformamide and promoted with addition of potassium carbonate. The structure of the compounds obtained was confirmed by ¹H NMR, LC/MS and NOESY-spectra.