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Abstract:

Acetylation of 1-amino-5-morpholin-4-yl-6,7,8,9-tetrahydrothieno[2,3-c]isoquinoline-2-phenyl carboxamide 3 afforded the corresponding tetrahydro[1,3]oxazinothieno[2,3-c]isoquinolinone compound 4. The oxazinone compound 4 underwent nucleophilic substitution reactions with various primary aliphatic and aromatic amines including some sulfa drugs such as sulfanilamide, sulfaguanidine, and sulfathiazole to afford the substituted pyrimidinone compounds 6–10. Chlorination of the pyrimidinone 10 with phosphorus oxychloride yielded the chloropyrimidine derivative 11. The latter compound was used as a versatile precursor for the synthesis of other heterocyclic rings containing the tetrahydropyrimidothienoisouquinoline moiety 12–23 through reaction with a variety of organic reagents. The newly synthesized compounds were fully characterized by elemental and spectral analyses, including melting point, TLC, and FT IR and 1H NMR spectroscopy, as well as 13C NMR and mass spectroscopy for most of them. These molecules should allow to us in the future to investigate their pharmacological activities.

Keywords:

Oxazinone; Pyrimidine; Pyrazole; Synthesis; Reactions.

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