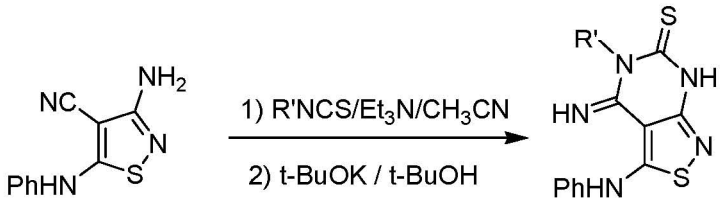
Mehdi Bakavoli,* Hossein Eshghi, Hamideh Khoshniazi, Ali Shiri
Department of Chemistry, School of Sciences, Ferdowsi University of Mashhad, 91775-1436 Mashhad,Iran
Corresponding Author E-mail: mbakavoli@yahoo.com

Pyrimidines and isothiazolopyrimidines are important materials for the synthesis of a number of compounds which have a broad spectrum of biological activities, in particular, anti-fertility, anti-inflammatory and anti-convulsant properties[1]. Various reactions for the synthesis of them have been reported in literatures, for example, condensation of aroylhalides with malononitrile to give the intermediate which in turn was converted to isothiazolopyrimidine via sequential treatment with PCl_5, diethyldithiophosphate and H_2O_2 [2], sulfonation and intramolecular cyclization of 4-mercapto-5-acetylpyrimidine derivatives[1], oxidative cyclization of a β-aminothioamide [3] and treatment of 6-amino-1,3-dialkyluracils with 4,5-dichloro-5H-1,2,3-dithiazolium chloride [4]. Also, some occasionally reports about the synthesis of fused isothiazolopyrimidine heterocyclic ring system were also found in literature [5].

The general synthetic strategy for the preparation of isothiazolopyrimidines is described here. We report the synthesis of new derivatives, 4,5-dihydro-4-imino-5-aryl-3-(phenylamino) isothiazolo[3,4-d]pyrimidine-6-(7H)-thione**(2)** from the condensation of 3,5-diaminoisothiazole-4-carbonitrile **(1)** with various arylisothiocyanates in the presence of Et_3N and subsequently refluxed in t-BuOK/ t-BuOH .



(1) **(2a-f)**

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