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Highly efficient synthesis of pyrimido[4,5-*d*]pyrimidine-2,4-dione derivatives catalyzed by iodine

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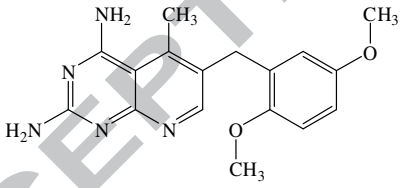
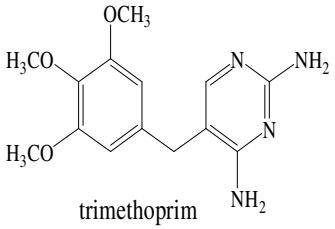
Abstract: A new and efficient synthesis of pyrimido[4,5-*d*]pyrimidine-2,4-dione derivatives through the reaction of 6-aminouracils and *N,N'*-bis(arylmethylidene) arylmethane in the presence of molecular iodine as a readily available and feasible catalyst.

Keywords: Iodine, pyrimido[4,5-*d*]pyimidine, enaminones, cycloaddition reaction

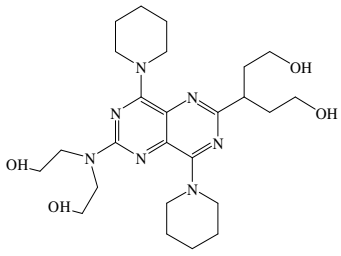
Pyrimidines are one of the most important heterocycles exhibiting remarkable pharmacological activities. Among them, pyrimido[4,5-*d*]pyrimidines are an important class of annulated uracils with biological significance because of their similarity with purine and pteridine frameworks.[1] These compounds display a wide range of pharmacological activity, including antitumor,[2] antioxidant,[3] hepatoprotective,[4] antiviral[5] and antifungal.[6] For example, dipyridamole is a medicine that inhibits the phosphodiestrase enzyme, lowers pulmonary hypertension and is also used in electrocardiogram and echocardiography (Figure 1). Thus, the development of a novel and efficient method for the synthesis of pyrimido-pyrimidine compounds is a current area of interest.



piritrexim isethionate



trimethoprim



dipyridamole

Figure 1: Representative pharmacologically interesting pyrimidine derivatives