31-0052 W6-7

ＸＯ阻害活性化合物としての２－置換 6H-thieno[3,2-e]-1,2,4-triazolo[1,5-c]pyrimidine 類の簡便な合成

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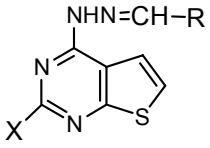
Recently we have discovered that 9*H*-1,2,4-triazolo[3,4-*i*]purines and 7*H*-pyrazolo- [4,3-*e*]-1,2,4-triazolo[1,5-*c*]pyrimidin-5(6*H*)-ones exhibited several times to several hundred times more potent activities than that of allopurinol, a well known drug clinically used for gout and hyperuricemia.

In continuation of our recent work we carried out the convenient and general synthesis of 4-arylmethylidenehydrazino-1*H*-thieno[2,3-*d*]pyrimidin-2-one (I) and 2- substituted 6*H*-thieno[3,2-*e*] [1,2,4] triazolo[1,5-*c*]pyrimidin-5(1*H*)-one (II), as a new class of potential xanthine oxidase inhibitors.

The hydrazones (I) were obtained by a versatile synthetic route via the key intermediate 4-chlorouracil starting from barbituric acid.Treatment of I with 70% nitric acid or chloranil gave the corresponding products II.

Their inhibitory activities against bovine milk xanthine oxidase *in vitro* and antitumor activities are under investigation. In addition to this the tricylic rings prepared here, as a new class of ring system seems interesting for their geometry as well as spectroscopic study.



(I)

X= H, OH

(II)