البحث الثاني

رقم البحث فى قائمة الأبحاث الكلية (23)

عنوان البحث باللغة الإنجليزية:

Title:

Efficient Synthesis of Some New 1,3,4-Thiadiazoles and 1,2,4-Triazoles Linked to Pyrazolylcoumarin Ring System as Potent 5α-Reductase Inhibitors

اسم المجلة المنشور بها البحث وسنة النشر

J. Heterocyclic Chem., 56, 1275 (2019).

Abstract:

The current study in this article concerned with construction of five-membered heterocycles with multiple heteroatoms as nitrogen and sulfur from readily available starting materials and reagents. Treatment of 1-(2-oxo-2H-chromene-3-carbonyl)-3-phenyl-1H-pyrazol-5(4H)-one with each of phenylisothiocyanate in alcoholic potassium hydroxide and carbon disulfide in basic medium gave rise to a thioanilide and methylthio derivatives, respectively. Treatment of the latter compounds with a variety of hydrazonoyl halides resulted in construction of thiadiazole moiety linked to pyrazole ring. Furthermore, triazole derivatives were synthesized from the thioanilide derivative through its reaction with methyl iodide followed by reaction with hydrazonoyl halides. 5α-Reductase inhibition activity for the prepared compounds was investigated against the reference drug anastrozole, and the results showed that the inhibition activity of compounds 5g and 11g is more potent than anastrozole. Also compounds bearing

triazole moiety is more potent than compounds bearing thiadiazole one. Moreover, the anti-prostate cancer screening anti-androgenic bioassay in human prostate cancer cells for the tested compounds was evaluated, and the results showed great inhibition growth and potential antiandrogens.

أسماء المشاركون:

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