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# Heterocyclic Ring Extension of Estrone: Synthesis and Cytotoxicity of Fused Pyrin, Pyrimidine and Thiazole Derivatives

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**Abstract :** Several D-ring alkylated estrone analogues display exceptionally high affinity for estrogen receptors. In particular, compounds in which an E-ring is formed are known to be involved in the inhibition of steroidogenic enzymes. Such compounds also have an effect on steroid dehydrogenase activity and the ability to inhibit the detrimental action of the steroid sulfatase enzyme. Generally, E-ring extended steroids have been accessed by modification of the C17-ketone in the D-ring by either arylimine or oximino formation, addition of a carbon nucleophile or hydrazone formation. Other approaches have included ketone reduction, silyl enol ether formation or ring-closing metathesis (giving five- or six-membered E-rings). Chemical modification of the steroid D-ring provides a way to alter the functional groups, sizes and stereochemistry of the D-ring, and numerous structure-activity relationships have been established by such synthetic alterations. Steroids bearing heterocycles fused to the D-ring of the steroid nucleus have been of pharmaceutical interest. In the present paper, we report on the efficient synthesis of estrone possessing pyran, pyrimidine and thiazole ring systems. This study focused on the synthesis and biochemical evaluation of newly synthesized heterocyclic compounds which were then subjected through inhibitory evaluations towards human cancer and normal cell lines.

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