TOTAL SYNTHESIS OF D-HOMOESTERONE USING PYRAN ANNELATION

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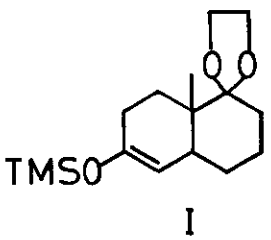
In the course of our seeking a novel synthetic method using a heterocycle, we investigated a new annelation reaction using 4H-pyran-4-one (γ-pyrone) derivative as a making 1,5-diketone precauser.

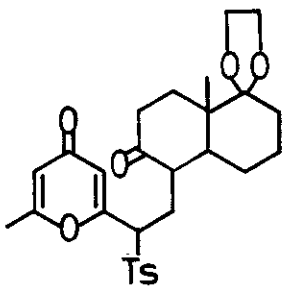
The first foundmental work, which γ-pyrone could change to a 1,5-diketone derivative was converted to 3-methylthio-3-hexen-1,5-dione followed by desulfurization and reduction.

The next problem i.e., the selective alkylation at the 2-methyl position of γ-pyrone, was resolved by using 2-methyl-6-p-tosylmethyl-4H-pyran-4-one as the alkylating substrate.

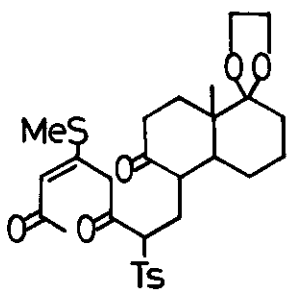
After the clarification of these two problems we coupled I with 2-methyl-6-(1-p-tosyl-2-iodethyl)-4H-pyran-4-one to give II. The compound II was converted to III followed by desulfurization and reduction to give 1,5-diketone derivative. This was cyclized and aromatization to afford D-homoesterone.



I



II



III