E2-39: Synthesis of some acridone alkaloids

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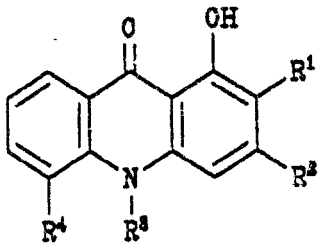
1.3-dioxygenated acridones show versatile biological activity. Hence their synthesis is of interest. The objectives of this research were to determine the methodology of synthesis and structural elucidation of 6 of the 1.3-dioxygenated acridones with an additional oxygenated function at C-5 position and to provide the essential first step required for use of these compounds in pharmacological studies.

Treatment of 2-amino-3-hydroxybenzoic acid with phloroglucinol gave compound (**I**). Methylation of compound (**I**) with methyl iodide in the presence of K_2CO_3 in anhydrous acetone gave compounds (**II**), (**III**) and (**IV**). Acetylation of compound (**I**) with acetic anhydride in pyridine gave compounds (**V**) and (**VI**) respectively at room temperature and at reflux temperature.

The synthetic compounds (**I**)-(**VI**) have not yet been reported as natural products. However since the structural analogues of this type of acridone are abundant in nature, the natural occurrence of acridones (**I**)-(**VI**) cannot be ruled out completely.



	R^1	R^2	R^3	R^4
(I)	H	OH	H	OH
(II)	H	OCH_3	H	OCH_3
(III)	H	OCH_3	CH_3	OCH_3
(IV)	CH_3	OCH_3	H	OCH_3
(V)	H	OAc	H	OAc
(VI)	H	OAc	OAc	OAc