SOME STRUCTURAL MODIFICATIONS OF PRISTIMERIN

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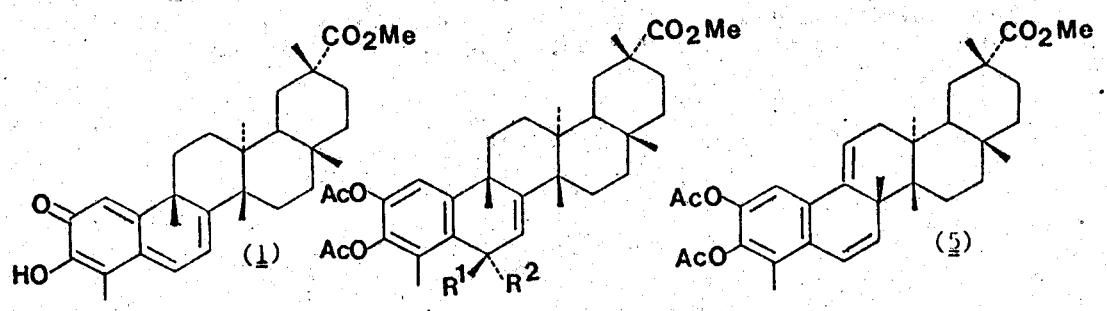
Pristimerin (1), the major quinone- methide triterpenoid of plants of the family Celastraceae has been shown to have moderate anticancer activity with toxic side-effect.[1] We have recently initiated a research programme on synthetic modifications of readily available natural products with the aim of enhancing their biological activity and reducing toxicity.

Treatment of pristimerin with Ac_2O/pyridine provides its leuco-triacetate (2) in high yield which with alkali reverts back to (1). Irradiation of (2) in the presence of NBS/dioxan/H_2O/$CaCO_3$/$(PhCO)_2O_2$ gave the ketoacetate (3). Treatment of (2) with hot glacial HOAc gave diacetylisopristimerin III (5) as the sole product whereas under reduction conditions (Zn/NaOAc/Ac_2O/AcOH/reflux), the triacetate (2) yielded a mixture of the monodeacetoxylated product (4) and the rearranged product (5).



(1) (5)

(2) R^1= h, R^2= OAc
(3) R^1= R^2 = O
(4) R^1= R^2 = H

Reference:
Schwenk, E. (1962) Arzneim. Forsch., 12:1143.