



Synthesis of triterpenes and steroids derivatives as potential anti-HIV and cancer compounds.

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INTRODUCTION

The Bevirimat[®] 1 is a betulinic acid derivative (**Figure 1**) used in treatment of HIV, and melanoma¹, is the first drug in the class of anti retrovirals that hampers the maturation of AIDS². This being derived from a pentacyclic triterpene type lupine other triterpene derivatives have been synthesized with the similarity of this intuition to increase lipophilicity and improve the biological activity of these compounds.

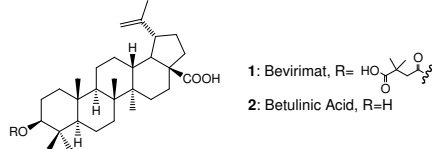


Figure 1: structures of anti-cancer agents

RESULTS AND DISCUSSION

Chemical modifications in C₃, C₂₈ and C₃₀ of the triterpenes or steroids leads to modified compounds with pharmacological action marked by simple reactions. In this context, ten synthesized derivatives of triterpenes and steroids were synthesized as show in **Figure 2** and are described in **Table 1**.

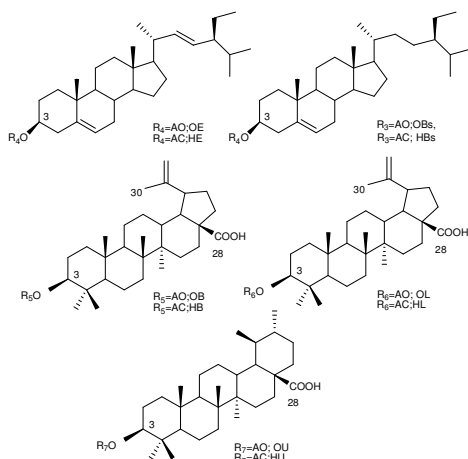
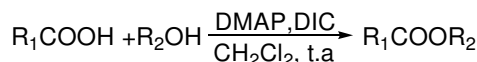


Figure 2: compounds synthesized



R₁= Triterpene or steroid

R₂=Oleic acid (AO) or caproic acid (AC)

Scheme 1: synthesis of derivatives

Biological activity tests are being made and some of them already presented activity against cancer. The derivatives were prepared using Steglich esterification as shown in **Scheme 1**. Some of these compounds were new.

Table1: Derivatives of triterpenes and steroids

| R ₁ and AO | %yield | R ₁ and AC | % yield |
|-----------------------|--------|-----------------------|---------|
| OE | 72% | HE | 90% |
| OBs | 87% | HBs | 86% |
| OB ^{a)} | 80% | HBs ^{a)} | 94% |
| OU ^{a)} | 90% | HU ^{a)} | 94% |
| OL ^{a)} | 61% | HU | 72% |

a) Unpublished structures

CONCLUSION

Triterpenes and steroids are found in various plants. Their medicinal properties are extremely important, and synthesis of derivatives are a route to reduce the index of chronic diseases that affect humans. Preliminary tests were made and some of them (B, HB) have shown anti-cancer activity. These products were characterized by ¹H and ¹³C NMR and IR.

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