

Synthesis and Bioactivity of Teasterone and Typhasterol Analogs

Ramírez Javier A., Mancusso Romina, Sarno Silvina and Galagovsky Lydia R.

Departamento de Química Orgánica, Facultad de Ciencias Exactas y Naturales, Universidad de Buenos Aires. Pabellón II, 3er Piso, Ciudad Universitaria, (1428) Buenos Aires, Argentina

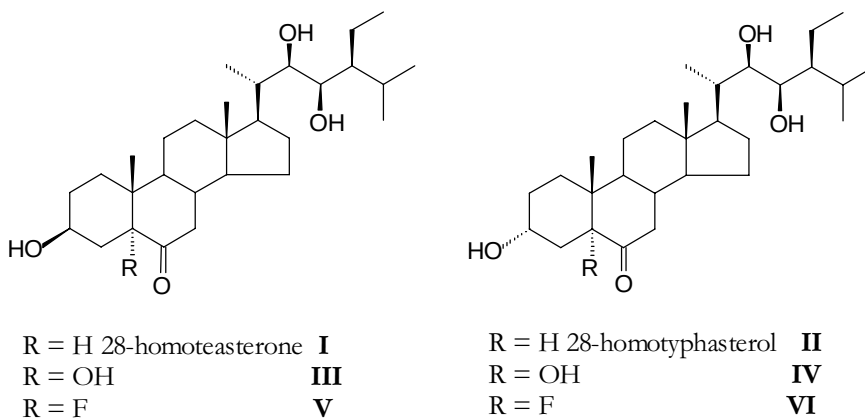
E-mail: lyrgala@qo.fcen.uba.ar

Abstract: Four brassinosteroids analogs of homoteasterone and homotyphasterol bearing 5 α -OH and 5 α -F groups have been synthesized and their bioactivities evaluated.

Introduction

Brassinosteroides are a new class of phytohormones with properties of enhancing plant growth and plant cell division. Since the discovery of brassinolide, in 1979 –first compound of this series— a wide variety of research programs arose concerning biosynthesis, mechanisms of action [1] and possible applications in agriculture [2].

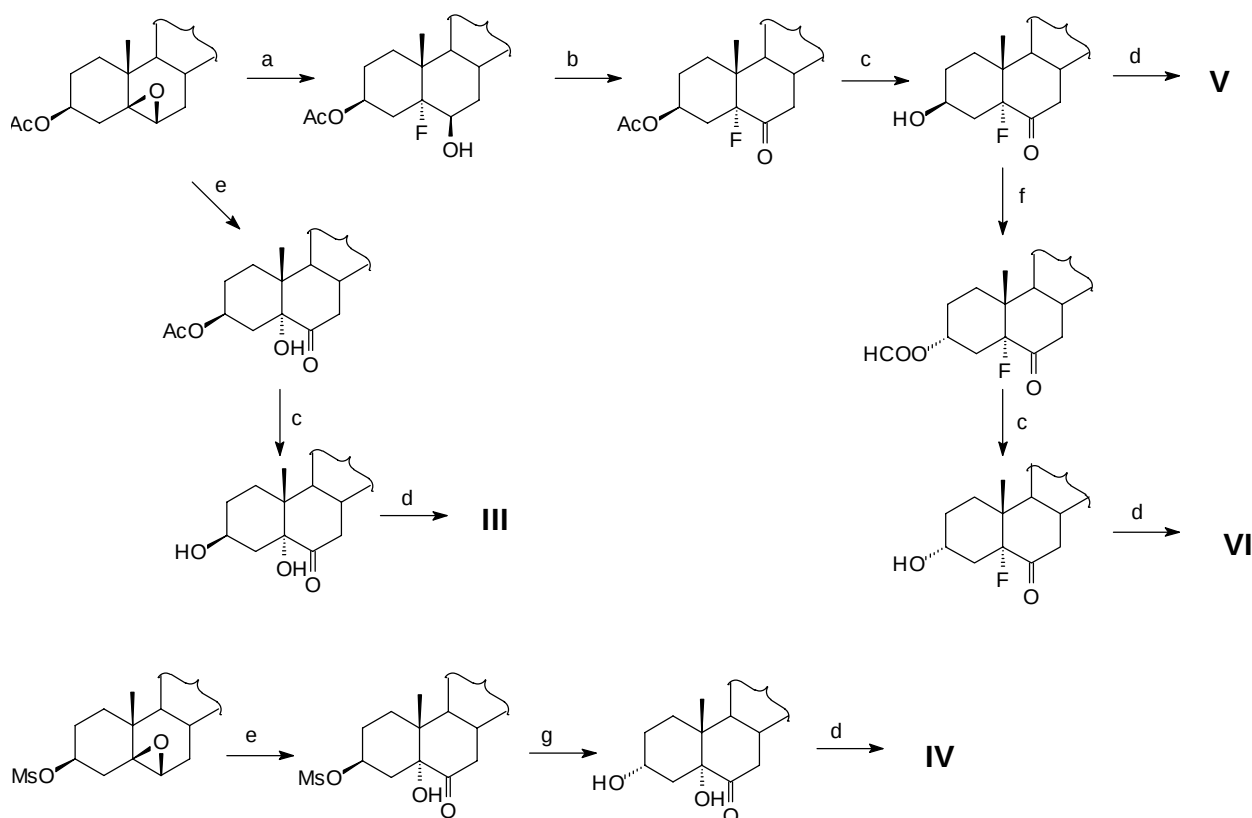
It has been already established that the presence of a substituent at C-5 with the ability to form an hydrogen bonding with the substituent of C-3 may change the bioactivity response of these compounds [3]. In our laboratory we have already synthesized two natural brassinosteroids homoteasterone (**I**) and homotyphasterol [4] (**II**) and in this work we introduce the synthesis of four new analogs in which the 5 α -H group of compounds **I** and **II** has been replaced by a 5 α -OH group (compounds **III** and **IV**) or a 5 α -F group (compounds **V** and **VI**), respectively.



Bioactivities of new compounds have been evaluated with the rice lamina inclination bioassay [5] using the mentioned natural brassinosteroids as standards.

Results and Discussion

New compounds have been synthesized as shown in the following scheme.



a) $\text{BF}_3 \cdot \text{Et}_2\text{O}$ / Et_2O / t.a. b) PCC / CH_2Cl_2 / t.a. c) K_2CO_3 / MeOH / THF / t.a. d) $\text{K}_2\text{OsO}_4 \cdot 2\text{H}_2\text{O}$ / $(\text{DHQD})_2\text{Phal}$ / methansulfonamide / $\text{K}_3\text{Fe}(\text{CN})_6$ / K_2CO_3 / t-BuOH / H_2O / t.a. / e) Jones / t.a. f) DEAD / PPh_3 / HCOOH / benzene / t.a. g) Li_2CO_3 / DMF / water / reflux.

All the compounds have been characterized by ^1H , ^{13}C and ^{19}F NMR spectroscopy. First data concerning bioactivities of the analogs show the same decreasing effect when an OH or a F group were introduced at C-5. This result may induce some interesting information concerning biochemical action of these compounds at a molecular level.

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References and Notes

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