

Self-assembly nanoparticles of 7 α -acetoxy-6 β -hydroxyroyleanone isolated from *Plectranthus hadiensis*

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1. Introduction

Plectranthus genus belongs to the *Lamiaceae* family and consists of around 300 species distributed from Africa to Asia and Australia. *Plectranthus* spp. has been reported to be rich in diterpenoids, such as different types of royleanones. One of *Plectranthus* medicinal plants species is *P. hadiensis* (Forssk.) Schweinf. ex Sprenger, which contains diverse metabolites such as phenolic and diterpenoid compounds. Furthermore, this plant is widely used in an array of traditional and Ayurvedic recipes for the treatment of diverse range of ailments like cancer¹⁻³.

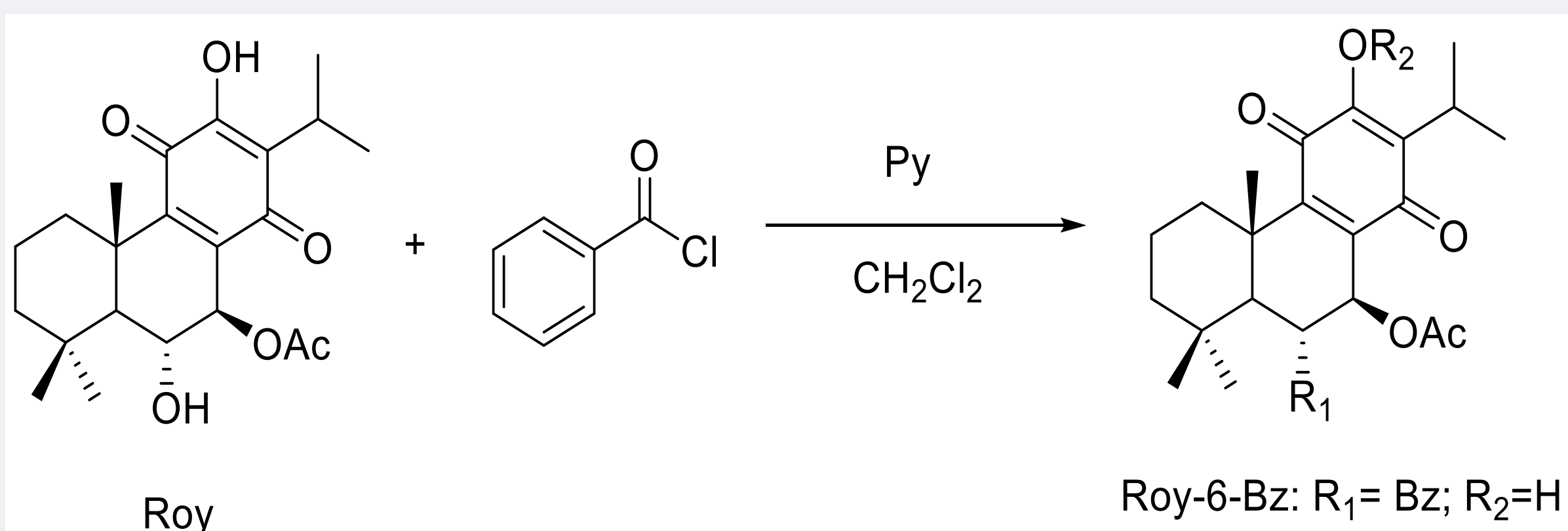


P. hadiensis aerial parts

2. Objectives

- 1 Isolation and employment of the cytotoxic diterpene 7 α -acetoxy-6 β -hydroxyroyleanone (Roy)⁴⁻⁶ to hemi-synthesize 7 α -acetoxy-6 β -hydroxyl-6-benzoyloxyroyleanone (Roy-6-Bz).

Reaction:



- 2 Synthesis of self-assembled nanoparticles using Roy and Roy-6-Bz as starting materials and squalene linker following the procedures described in literature⁷⁻⁸.
- 3 Nanoparticles properties characterization and study of their cytotoxicity and biological properties.

3. Methodology

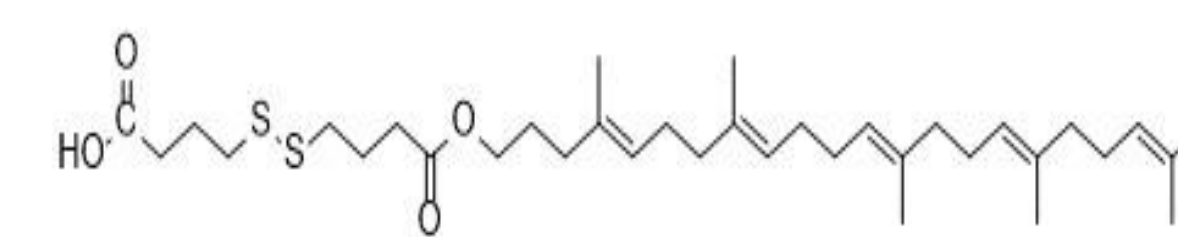
1 Extraction & Isolation

Acetonic extracts were prepared using ultrasounds-assisted method. Roy characterization by NMR, MS, Crystal X-ray diffraction...



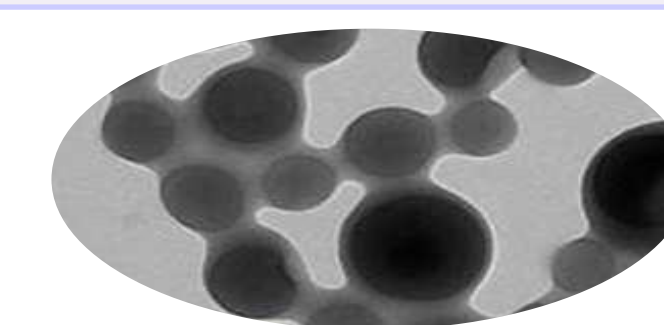
2 Synthesis and chemical characterization

Using a squalene linker



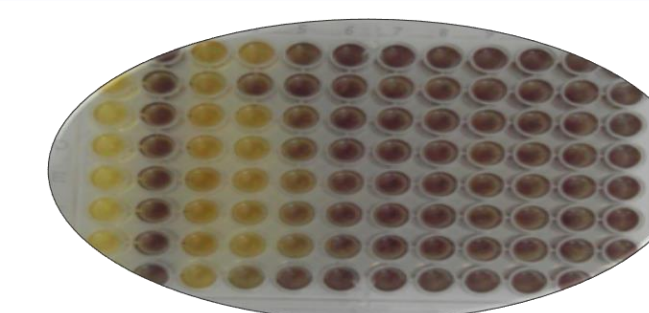
3 Self-assembly Nanoparticles characterization

LDS, SEM, FTIR, SEM, etc



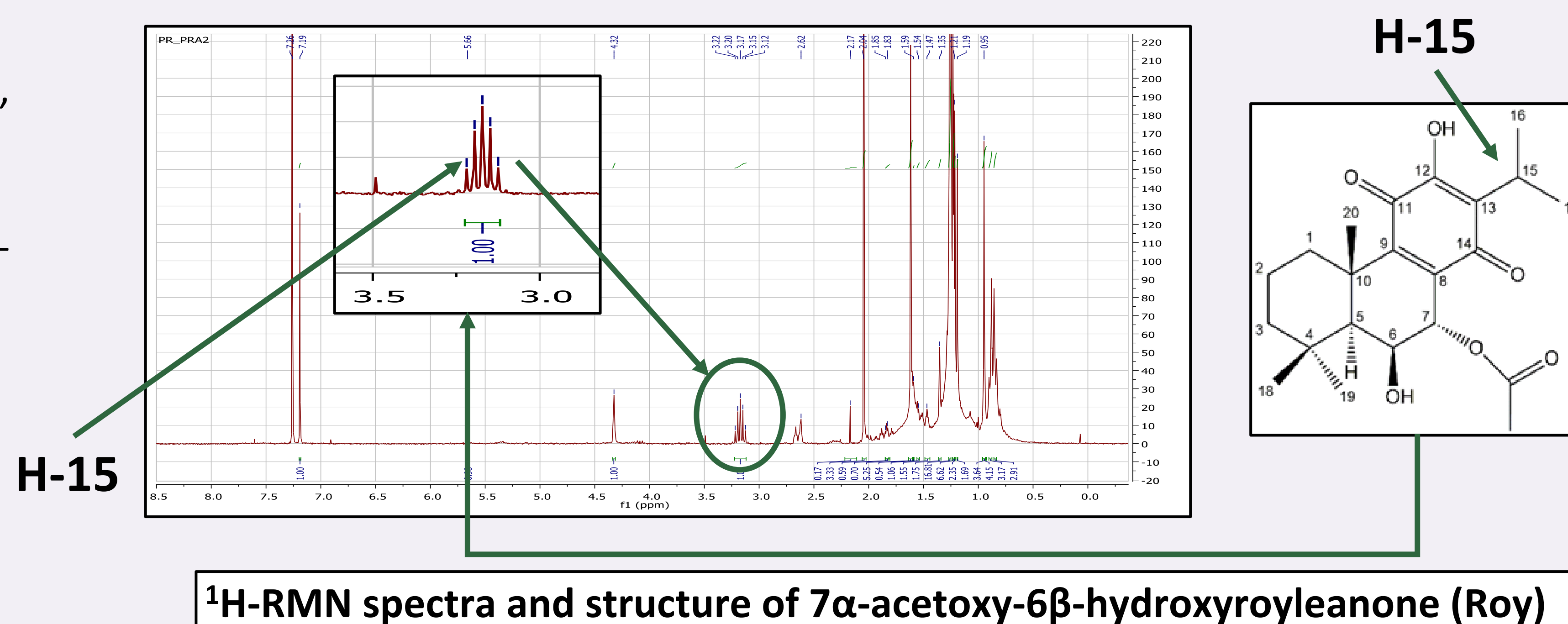
4 Cytotoxicity & biological studies

In different cancer cell lines



4. Results

- Roy was characterized by spectroscopic methods, mainly 1D- and 2D-NMR techniques.
- Hemi-synthesis of drug-linker conjugate using Roy-6-Bz was isolated and confirmed by ¹H-NMR.
- Production of self-assembly Nanoparticles.



¹H-RMN spectra and structure of 7 α -acetoxy-6 β -hydroxyroyleanone (Roy)

5. Conclusions

In this study, it was explored the cytotoxic Roy and Roy-6-Bz as lead molecules for the hemi-synthesis of drug-linker conjugates.

Production of self-assembly nanoparticles of Roy-6-Bz for chemical characterization is on going.

Finally, the ability to release the drug unit from the self-assembly nanoparticles and the evaluation of their biological activity in different cell lines will be also tested.

6. Bibliografía

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