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Phytochemical and bioactivity studies from *Plectranthus ecklonii* Benth.

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pharmaceuticals



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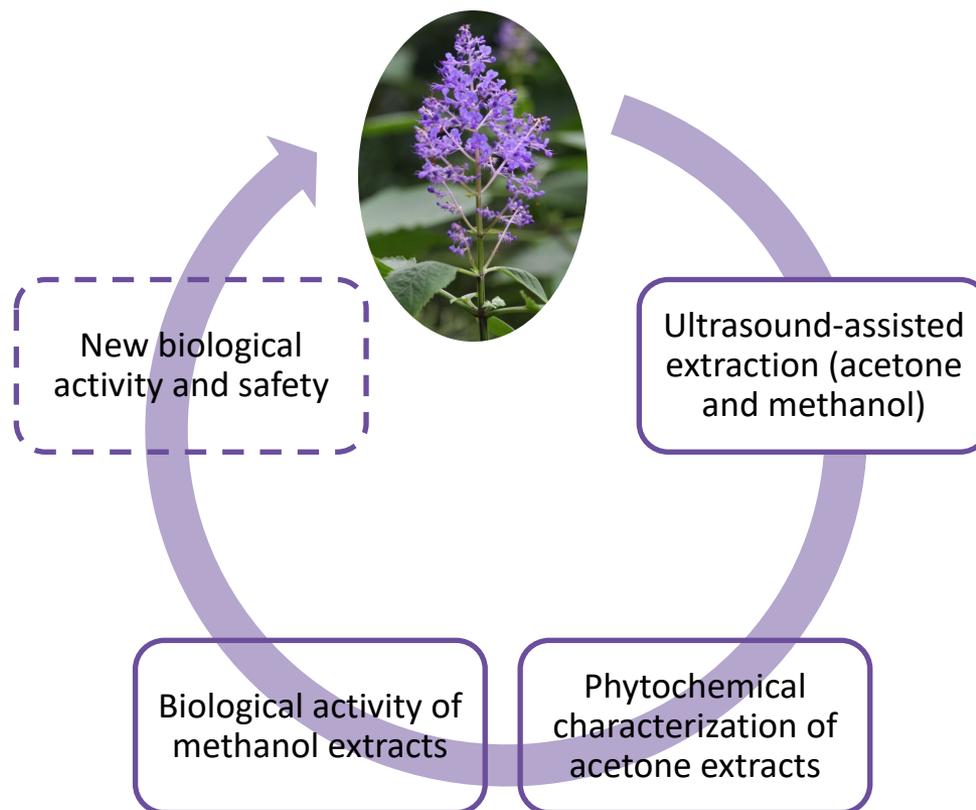
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Phytochemical and bioactivity studies from *Plectranthus ecklonii* Benth.



Abstract:

Plectranthus is a well-known genus belonging to Lamiaceae family and mainly distributed in tropical areas of the globe. Furthermore, *Plectranthus* species are particularly rich in phenolic compounds and abietane-type diterpenes, such as royleanones, widely used in traditional medicine against a vast range of diseases, including skin disorders and cancer.

In order to study the phytochemical composition and the biological activity of *P. ecklonii* Benth., ultrasound-assisted extractions were carried out using methanol and acetone as solvents.

It is known from literature data that phenolic compounds are predominant in the methanol extracts, while the phytochemical analysis of the acetone ones from our research group evidenced abietanes as the most occurring secondary metabolites.

Methanol extracts were screened to assay their potential bioactivity as antimicrobials, antioxidants and on skin-related enzymes, as well as their general toxicity. The results showed only a moderate effect against bacteria, but a very promising antioxidant activity, and no relevant general toxicity. Good tyrosinase inhibition was observed, together with an excellent inhibitory activity on collagenase, making the methanolic extract a promising raw material to be used for the development of dermocosmetic formulations, especially those with antiaging activity. Fractionation and further purification were carried out on the acetone extracts, highlighting a significant cytotoxic activity, mainly due to the presence of diterpenes, with an observed IC_{50} in the low-micromolar range.

Considering the potential applications for internal and topical uses, further studies are currently ongoing on both the extracts to investigate about other relevant biological activities and ascertain their safety.

Keywords: *Plectranthus*, Lamiaceae, cancer, dermatology, diterpenes, royleanones.

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Introduction

Importance of *Plectranthus* spp.

- *Plectranthus* genus belong to Lamiaceae family.
- Distributed from Africa to Asia, and Australia.
- Uses:
 - Ethnobotanical;
 - Horticultural and Floricultural;
 - Household;
 - Food and Food additives.

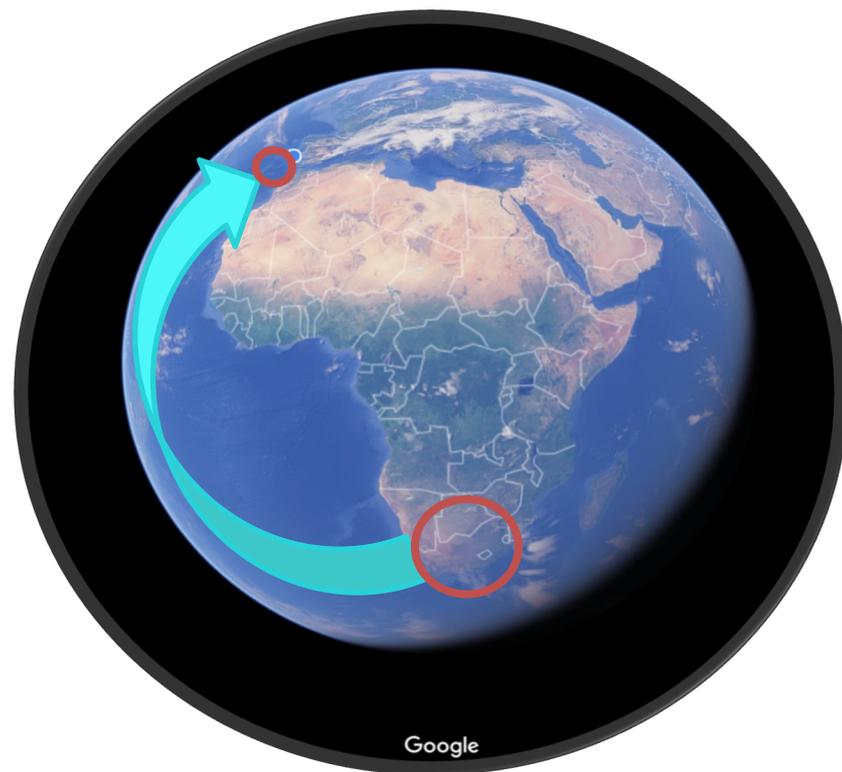


Fig. 1. *Plectranthus* introduction into Portugal

¹ Lukhoba CW, Simmonds MS, Paton AJ. *Plectranthus*: a review of ethnobotanical uses. *J Ethnopharmacol.* 2006;103(1):1-24.

² Rice LJ, Brits GJ, Potgieter CJ, Van Staden J. *Plectranthus*: A plant for the future? *S Afr J Bot.* 2011;77(4):947-59.



Introduction

Plectranthus ecklonii Benth.

- Used in traditional medicine.
- Rich in polyphenolic compounds (methanol extract) and royleanone-type diterpenes (acetone extract) .

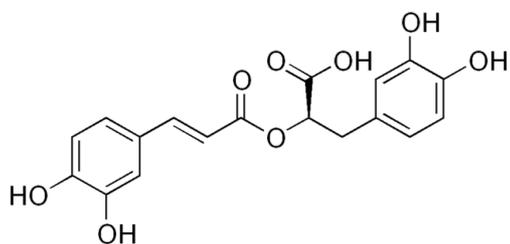


Fig 3. Polyphenol Rosmaric acid

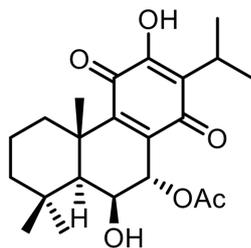


Fig 4. Diterpene Roy



Fig 2. *Plectranthus ecklonii* varieties: A) “Medley-Wood” (blue); B) *P. ecklonii* “Tommy” (white), and C) *P. ecklonii* “Erma” (pink).

³ Ana Ribeirinha Antão, et al. *Plectranthus ecklonii* Benth: A Comprehensive Review Into its Phytochemistry and Exerted Biological Activities. doi: 10.3389/fphar.2021.768268

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Objective

Plectranthus ecklonii Benth.

The aim of this work was to perform fractionation and main compounds isolation from *P. ecklonii* whole plant acetone and methanol extracts, and to evaluate their biological activity.



Fig 2. *Plectranthus ecklonii* varieties: **A)** “Medley-Wood” (blue); **B)** *P. ecklonii* “Tommy” (white), and **C)** *P. ecklonii* “Erma” (pink).

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Results and discussion

Acetone extract

- Nine fractions were obtained by dry flash column chromatography from US-assisted *P. ecklonii* whole plant acetone extract.
- From the three richest fractions in Parviflorone D [ParvD], the compound of interest was isolated by automatic flash column chromatography.
- Other possible terpenes, non-characterized to date, have been found in the remaining fractions and their isolation and characterization is ongoing.

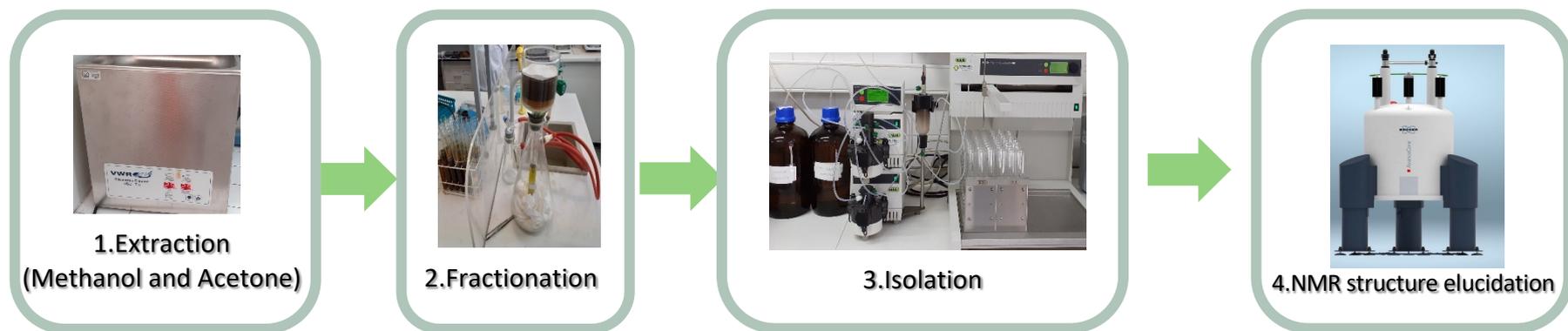


Fig 5. Methodology scheme.



Results and discussion

Acetone extract

- The chemical structure of ParvD (Figure 6) was elucidated and resulted in agreement with the NMR, MS and IR data formerly published.
- ParvD is studied to induce cell death through apoptosis pathway in several cancer cell lines, such as H7PX, CCFR-CEM among the others³.

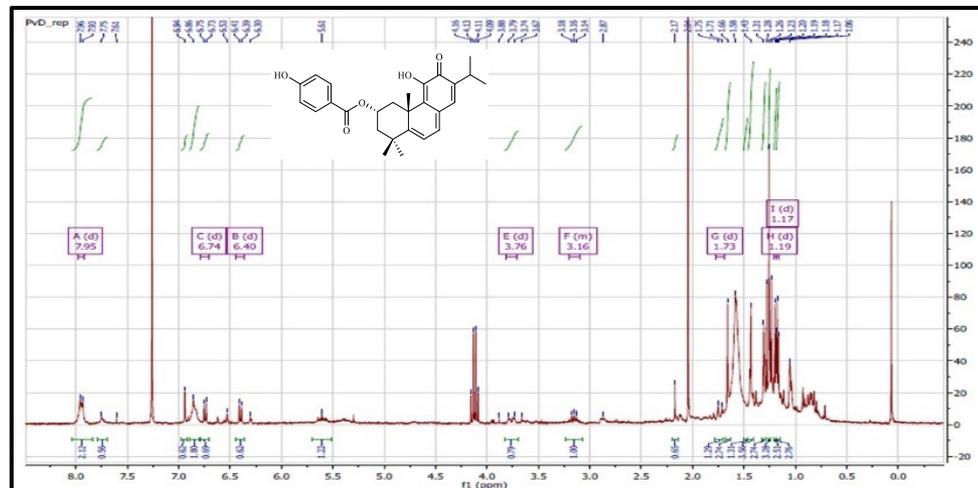


Fig. 6. Chemical structure and ¹H-NMR spectrum of ParvD.

³ Ana Ribeirinha Antão, et al., *Plectranthus ecklonii* Benth: A Comprehensive Review Into its Phytochemistry and Exerted Biological Activities. doi: 10.3389/fphar.2021.768268

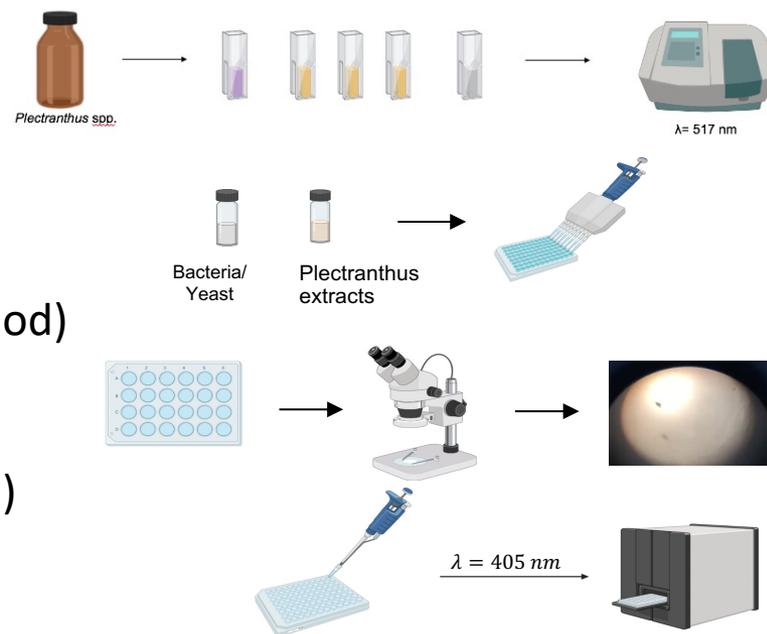


Results and discussion

Methanol extract

- US-assisted extraction from the whole plant was preformed at 10% concentration (w/v).

- Biological activities tested
 - Antioxidant activity (DPPH method)
 - Antimicrobial activity (Well diffusion method)
 - General toxicity (*Artemia salina*)
 - Skin enzymes (Collagenase and Tyrosinase)



Results and discussion

Methanol extract

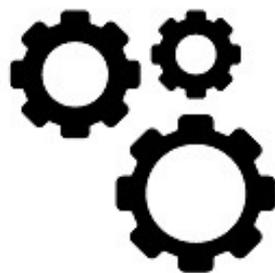
	Biological activity (%)				
	Antimicrobial activity	Antioxidant activity	General toxicity	Tyrosinase inhibition activity	Collagenase inhibition activity
Positive Control	100.0	79.8	98.9	53.3	84.4
<i>P. ecklonii</i>	48.1	98.2	6.8	47.1	88.4

Table 1. Biological activity of *P. ecklonii*. Positive controls: antioxidant activity - quercetin; antimicrobial activity - vancomycin; general toxicity - potassium dichromate; collagenase inhibition - epigallocatechin gallate; tyrosinase inhibition - kojic acid.



Conclusions

- More studies are currently ongoing on acetone and methanol extracts, in order to purify and characterize other interesting compounds.
- Investigations about further relevant biological activities will be carried out and safety will be ascertained for internal and topical uses.



Acknowledgments

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