

Anti-trypanosomal activity of 1',6'-divanilloylglucopyranoside isolated from *Casearia arborea* (Salicaceae)

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Abstract: Chagas disease is a health and socioeconomic problem in many developing countries and the chemotherapy for this disease is unsatisfactory in terms of lack of effectiveness and also the undesirable side effects associated with long term treatment with discovered drugs [1]. Species of *Casearia* (Salicaceae) have several reports of isolation of bioactive substances including cytotoxic, hypoglycemic, anti-ulcer and anti-inflammatory activities [2]. Phytochemical studies describe clerodane diterpenoids, sesquiterpenoids, phenylpropanoids and other constituents from different classes [2]. *C. sylvestris* demonstrate antiparasitic effect from studies of casearins A, B, G and J [3]. From *C. arborea* was described the isolation of five clerodane diterpenes and cucurbitacin B, but any of those substances did not showed biological activity [4]. Considering the few phytochemical works and on the biological evaluation of single substances, including evaluation of antiparasitic activity, leaves of *C. arborea* was chosen to perform the phytochemical study biomonitoring by anti-trypanosomal activity. Thus the methanolic extract and DCM phase was submitted to bioguided fractionation and the most active fraction was subjected to spectrometric analysis of ¹H and ¹³C NMR, including bidimensional techniques HMBC and DEPT as well as LC-MS. The active compound isolated was identified as 1,6- divanilloyl glucopyranoside (**Figure 1**) by spectral analysis and *in vitro* assays showed IC₅₀ of 129,5 µg/mL (110,3-151,9) against tripomastigotes of *T. cruzi* while benznidazol (standard drug) showed IC₅₀ de 114,7 µg/mL (105,7-124,5 µg/mL). Additionally this compound showed low toxicity to NCTC mammalian cells and selectivity index >1,5. 1',6'-divanilloyl glucopyranoside has also been identified in roots of *Glehnia littoralis* [5] but showed for the first time anti-trypanosomal activity and the first time described in *Casearia* genus. Thus *C. arborea* proved to be promising for a possible development of new drugs with anti-trypanosomal activity.

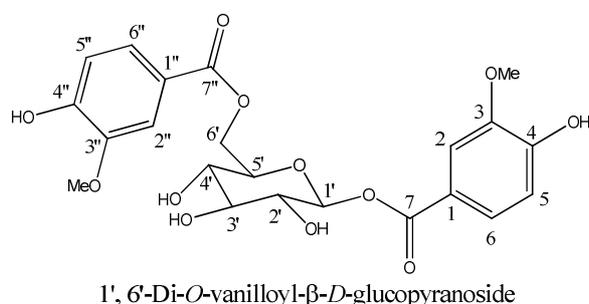


Figure 1: Chemical structure of the 1',6'-divanilloylglucopyranoside isolated from leaves of *C. arborea*.

References

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Acknowledgments – CNPq, FAPESP, CAPES