

Publication**Antiprotozoal and cysteine proteases inhibitory activity of dipeptidyl enoates****JournalArticle (Originalarbeit in einer wissenschaftlichen Zeitschrift)****ID** 4486178**Author(s)** Royo, Santiago; Schirmeister, Tanja; Kaiser, Marcel; Jung, Sascha; Rodríguez, Santiago; Bautista, José Manuel; González, Florenci V.**Author(s) at UniBasel** [Kaiser, Marcel](#) ;**Year** 2018**Title** Antiprotozoal and cysteine proteases inhibitory activity of dipeptidyl enoates**Journal** Bioorganic & medicinal chemistry**Volume** 26**Number** 16**Pages / Article-Number** 4624-4634

A family of dipeptidyl enoates has been prepared and tested against the parasitic cysteine proteases rhodesain, cruzain and falcipain-2 related to sleeping sickness, Chagas disease and malaria, respectively. They have also been tested against human cathepsins B and L1 for selectivity. Dipeptidyl enoates resulted to be irreversible inhibitors of these enzymes. Some of the members of the family are very potent inhibitors of parasitic cysteine proteases displaying k_i , $2nd$, $(M; -1; s; -1;)$ values of seven orders of magnitude. In vivo antiprotozoal testing was also performed. Inhibitors exhibited IC_{50} values in the micromolar range against *Plasmodium falciparum*, *Trypanosoma brucei*, *Trypanosoma cruzi* and even more promising lower values against *Leishmania donovani*.

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