

## The biological activity of *Cryptolepis obtusa* roots and their contained novel steroidal alkaloids

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An infusion of the roots of *Cryptolepis obtusa* N.E.Br (Asclepiadaceae) is used in Mozambique as an antiabortive agent and for gastrointestinal cramps (Jansen & Mendes, 1984).

Examination of the leaves of *C. obtusa* revealed the presence of common flavonoid glycosides related to quercetin (Paulo et al., 1997).

The aqueous extracts of the roots and leaves were examined by TLC (silica gel/ethyl acetate:formic acid: acetic acid: water 100:11:11:27) ;visualisation UV light 365nm after spraying with the flavonoid-detecting reagent diphenylboric acid 2-aminoethyl ester.

Chlorogenic acid was identified and the profile of flavonoids was similar in leaves and roots but the roots showed the presence of additional compounds not giving standard reactions for flavonoids. Preparative TLC resulted in the isolation of two compounds 1, 2 which gave reactions characteristic of alkaloids. Detailed NMR studies on these compounds showed that they were novel steroidal alkaloids.

The ethnopharmacological uses of the extracts implied that they might possess spasmolytic activity. The extracts and isolated compounds were tested for their inhibition of the electrically-induced contraction of guinea-pig (g.p.) ileum. A range of doses between 10 and 250  $\mu\text{g mL}^{-1}$  in the gut bath was used and the  $\text{IC}_{50}$  (concentration that gave 50% inhibition of contraction) calculated for each extract and compound. Experiments were repeated in triplicate apart for 1 where insufficient material precluded this. Insufficient 2 was available for any antispasmodic test. Results are shown in the table.

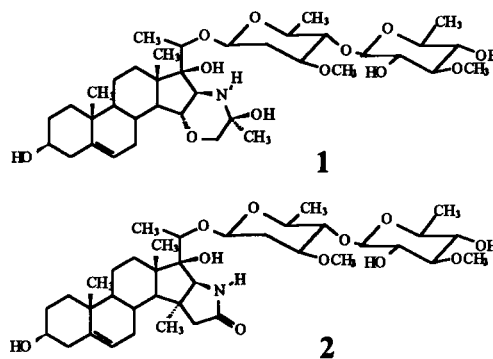


Table  $\text{IC}_{50}$  values  $\mu\text{g mL}^{-1}$  ( $10^{-4}\text{M}$ ) for extracts and compounds from *on* root aqueous extract electrically-induced contractions of g.p. ileum.  $n = 3$

Extract/compound	$\text{IC}_{50}$ value $\mu\text{g mL}^{-1}$ ( $10^{-4}\text{M}$ ) mean $\pm$ s.e.m.	
Leaf extract	89.3 $\pm$ 7.0	
Root extract	47.4 $\pm$ 2.5	
Rutin	>250	(>4.3)
Quercitrin	67.1 $\pm$ 4.8	(1.5 $\pm$ 0.11)
Isoquercitrin	111.2 $\pm$ 3.5	(2.4 $\pm$ 0.07)
Quercetin	2.6 $\pm$ 0.36	(0.086 $\pm$ 0.01)
1	26.7*	3.760*

\* 48.1% inhibition at higher concentration tested

The flavonoids, particularly the monoglycosides, appear to be responsible for the spasmolytic effect observed in the leaf crude extract. The activity shown by the root crude extract seems to be due to the steroidal alkaloids. This spasmolytic effect may explain the traditional use of this plant as a relaxant for intestinal and uterine smooth muscle.

Jansen P.C.M., Mendes O. (1984) *Plantas Mediciniais: seu uso tradicional em Moçambique* vol.2. Instituto Nacional do Livro e do Disco, Maputo p.187.

Paulo A., Gomes E.T., Duarte A., Perrett S., Houghton P.J. (1997) *Fitoterapia* 68, 558-559.