

A225 A herbal medicine for hemorrhoids

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Euphorbia prostrata, a common herb found all over India, has been traditionally used to treat diarrhoea and gastro-intestinal disorders in folk medicine. Detailed pharmacological studies revealed anti-inflammatory, analgesic, hemostatic and wound healing activity on animal models, suitable for development as treatment of hemorrhoids. Studies were undertaken to develop a standardized extract from this plant for treatment of hemorrhoids and to develop a validated analytical method for it.

Activity guided fractionation of the methanolic extract of the plant indicated that the flavonoid rich ethyl acetate fraction possessed most significant activity. This fraction, code named 14395, was further fractionated by column chromatography, which led to the isolation of five components, four of which were identified as apigenin-7-glucoside, luteolin-7-glucoside, ellagic acid and gallic acid. The fifth component, m.p. 268° - 270°C (decomp.), molecular weight 248 was isolated in pure state and coded as PLC-E (purity checked by TLC, DSC and HPLC). A HPLC method has been developed to quantify all the five components simultaneously in the extract. Based on pharmacological and clinical studies the daily human dose of 14395 has been determined to be 100 mg, equivalent to 5.0 mg of total flavonoid calculated as apigenin-7-glucoside. A proportional mixture of all the five components (equivalent to 100 mg of extract) was screened for various pharmacological studies mentioned above and was found to have similar activity to that of 100 mg of extract. 14395 has been found non-toxic up to a dose of 2 g/kg body weight in animals and free from any adverse effect in human beings during the clinical studies. Hence, 14395 was standardized with respect to these five components and validated to ensure batch-to-batch consistency and efficacy.

A226 Pharmacological and phytochemical studies of two African plants used in erectile dysfunctioning treatment

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Mezoneuron benthamianum Baill. (Ceasalpiniaceae) and *Microdesmis keayana* Hook. (Pandaceae) are two tropical African plants the roots of which are widely used in traditional medicine for the treatment of erectile dysfunction. As no earlier phytochemical investigation on the roots of these plants has been reported, their pharmacological and phytochemical studies have been undertaken.

The methanolic extract of *M. benthamianum* roots showed a strong antioxidant activity towards the reactive oxygen species generated from human polymorphonuclears activated by 4 β -phorbol-12-myristate-13-acetate. Assessment of superoxide anion (O₂⁻), hydrogen peroxide (H₂O₂), hydroxyl radical (OH[•]) and hypochlorous acid (HOCl) was performed in cellular and cell-free systems. The most significant activities were obtained for the scavenging of HOCl, H₂O₂ and OH[•] in cellular system, IC₅₀ being 5.2 mg/L, 6.0 mg/L and 15.2 mg/L, respectively. In addition, the non-cytotoxic property of this extract was proved by the lactate dehydrogenase test.

The aqueous extract of *M. keayana* roots was tested for hypotensive activity using normotensive rabbits, as well as for vasorelaxing activity using guinea pig aorta strips in a tissue bath experiment with the Mac-Ewen solution. The i.v. injection of doses from 50 μ g/kg to 2.5 mg/kg caused a considerable drop in blood pressure varying between 21.1 \pm 0.84 mm Hg and 33.0 \pm 0.27 mm Hg in rabbits. Powerful vasorelaxing activity was found with an aorta relaxation of 20 %, 80 % and 98 % using doses at 50 mg/L, 500 mg/L and 5 g/L, respectively.

These results could explain the traditional use of the two drugs in erectile dysfunction. To find the major compounds responsible for the activity, the phytochemical study of the extracts has been undertaken. Polyphenolic derivatives, particularly dimer proanthocyanidins from *M. benthamianum*, and cyclopeptide alkaloids from *M. keayana* have been isolated. These compounds are now under further investigation from a chemical and pharmacological point of view.