SUMMARY

UNIVERSITY GRANT COMMISSION

MAJOR RESEARCH PROJECT

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Biologically monitored Phytochemical investigation of Swertia decussata for antiamoebic principle

BY

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Biologically monitored Phytochemical investigation of Swertia decussata for antiamoebic principle



Swertia decussata

SUMMARY

The flowers of Swertia decussate, were air dried powdered and extracted (soxhlet) with ether, carbon tetra chloride and methanol. These extracts were tested for antiameobic activity. Only Ether and Methanol extract showed positive activity. Thefore the two extracts were further worked up.

ETHER EXTRACT

Concentration of the ether extract resulted in the isolation of five compounds ,Oleonoic acid stigmasterol..and three xanthones.The three xanthones were characterized by converting them into their methyl derivative by methyl sulphate when all the three gave the same 1,3,7,8-tetramethyl xanthones suggesting that all the three xanthones are oxygenated at 1,3,7 & 8 position. Further characterization was done by their ¹HNMR studies.which lead C to be decussatim (1-hydroxy-3, 7, 8- trimethoxy xanthone.), compound D Swertiaperinine. (1, 8-dihydroxy-3, 7-di methoxyl xanthone.) and Swertianine. (1, 7, 8-trihydroxy-3-methoxy xanthone.)

METHANOL EXTRACT

The methanolic extract resulted in isolation of four compounds The compound were found to be secoiridoids as they give red coloration with H2SO4- vanillin spray .The compounds gave positive molish test and on acetylation gave tetra acetate derivative indicating it to be a glycoside . Acid hydrolysis of the four compounds resulted in the isolation of monosaccharide. It compared with standard glucose on TLC and glucose acetate on glc (Glucose acetate rt.:12.05min).

Their ¹H-NMR indicated a signal at δ 7.5, two hemiacetalic protons at δ .5 .40 (d, J=4Hzand δ 4.60 (d, .J=7.1 Hz) which were assigned to H-1 and to the anomeric proton of glucopyranosyl moiety. The coupling constant J=7Hz indicated B configuration. The signals at δ 5.30and δ 5.20 indicated the indicated the possibility of the presence of a terminal =CH2 group. The peaks at δ 3.10. and δ 2.60 indicated the presence of two methylene groups. The peak at δ .1.6

indicated for the hydrogen at H-6 whose complexity was found to be CH2 by DEPT experiments.

Taking all these observations into consideration for four compounds were found to be sweroside, secologanin, dimethoxy secologanin and vogeloside.

PREPARATION OF DERIVATIVES.

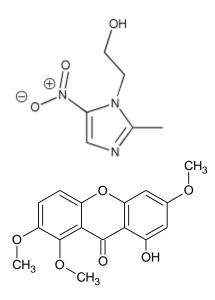
Three derivatives of Decussatin (methyl ether, Allyl ether and propargyl ether) were prepared along with and two acetate derivatives of iridoids glycosides (sweroside tetra acetate and dimthoxy Secologanin tetra acetate.) The structures of the compounds and their derivatives were confirmed by UV, IR ¹ H NMC, ¹³CNMR, MS spectroscopy.

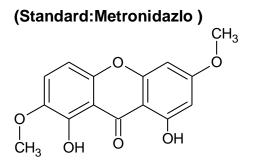
ANTIAMEOBIC ACTIVITY

These 11 compounds were tested for their growth inhibitory effect against *Entamoeba histolytica* and metronidazole was used as reference drug in all the biological experiments. Amongst the Ether extract Decussatin showed good Antiameobic activity as compared to metrnidazole. Its methyl derivative was still more potent then the parent decussatin. But the moment the methyl group at position '3' was replaced by Allyl or propargyl group the activity disappeared. It showed that the methyl group at position '3' plays a significant role in ameobicidic activity.

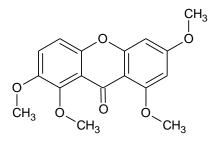
Amongst the Iridoids, it was found that all the four Iridoids Sweroside, Secologanin Dimthoxy secologanin and showed significant activity but the acetate of showed negligible activity. It is hoped that these studies will stimulate further efforts towards the development of new and urgently needed medications for the treatment of amoebiasis.

Compounds tested for Antiameobic Activity

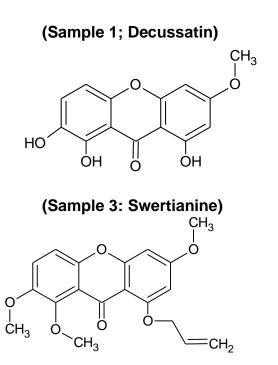




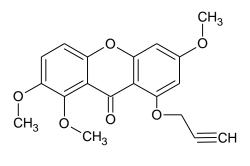
(Sample 2 : Swertiaperinine)



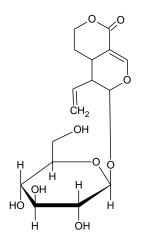
(Sample 4: Decussatin methyl ether)



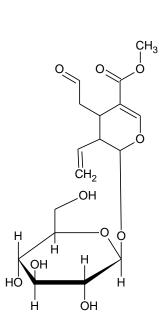
(Sample 5: Decussatin Allyl Ether)

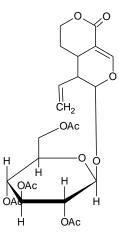


(Sample 6: Decussatin propargyl Ether)

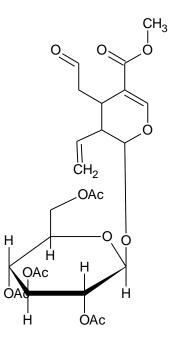


(Sample 7: Sweroside)





(Sample 8: Sweroside tetra acetate)

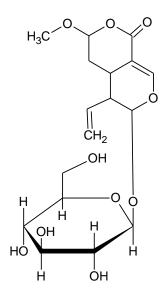


(Sample 9: Secologanin)

 $H_3CO \rightarrow OCH_3 \rightarrow COOMe$ $CH_2 \rightarrow OH \rightarrow H \rightarrow H \rightarrow OH$

(Sample 11: Dimethoxy secologanin)

(Sample 10: Secologanin tetra acetate)



(Sample 12: Vogeloside)