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# Quaternary Alkaloids of *Tiliacora racemosa* Colebr*.

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**Magnoflorine, lotusine and magnocurarine chlorides have been isolated from the leaves, stems and roots of *Tiliacora racemosa* Colebr. These quaternary bases have been found responsible for curarizing action and hypotensive activity of the water soluble alkaloidal fraction of the plant.**

*TILIACORA racemosa* Colebr. (Menispermaceae) an evergreen climbing shrub, grows throughout the tropical regions of India. The plant has been extensively investigated for its alkaloidal constituents and a number of interesting alkaloids have been isolated and fully characterised[1-5]. Reports of isolation of a number of uncharacterized tertiary and quaternary bases from *T. racemosa* are also on record[6,7].

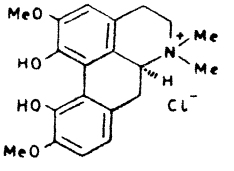
During a screening programme on biological activities of Indian medicinal plants, hypotensive, neuromuscular blocking and spasmolytic activities were observed in 50% ethanolic extract of *T. racemosa*[8] The characterization of the quaternary bases responsible for curarizing action and hypotensive activity of the ethanolic extract of the plant is reported in the present communication.

## Experimental

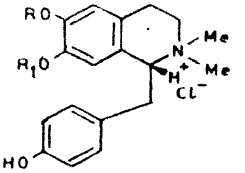
The quaternary bases present in the aqueous solution were precipitated as their reineckates[9,10]. Careful chromatography of the mixture of quaternary bases on cellulose column furnished the following alkaloids. Alkaloid A($C_{20}H_{24}O_4NCl$), m.p. 208-210° (decomp); Alkaloid B($C_{19}H_{24}O_3NCl$), m.p. 213-215° (decomp) and Alkaloid C($C_{19}H_{24}O_3NCl$), m.p. 150-152° (decomp).

The IR ($\nu_{max}^{KBr}$ 3255, 1604 and 1238 $cm^{-1}$) and UV ($\lambda_{max}^{EtOH}$ 231, 272 and 308 nm and $\lambda_{max}^{EtOH-NaOH}$ 315 nm) spectra of alkaloid A suggested the presence of an aporphine system substituted at 1, 2, 10 and 11 positions[11]. In the NMR spectrum the aromatic methoxyl groups at C-2 and C-11 appeared together at $\tau$6.32. In the aromatic region of the spectrum there were three protons. Of these one proton singlet at $\tau$3.22 was due to C-3 proton. The remaining two protons at C-8 and C-9 resonated together at $\tau$3.01. The absence of characteristic low field C-11 aromatic proton in the spectrum of alkaloid A confirmed that the base is a representative of 1, 2, 10, 11-tetra-substituted aporphines[12]. A singlet for six protons at $\tau$5.65 was due to $\overset{+}{N}-(CH_3)_2$ group. The data presented above revealed close similarity of alkaloid A with magnoflorine chloride[13](*1*). Direct comparison with authentic sample established the identity.

The IR spectrum of alkaloid B ($\nu_{max}^{KBr}$ 3155, 1605 and 1240 $cm^{-1}$) in conjunction with its UV data ($\lambda_{max}^{EtOH}$ 282 nm and $\lambda_{max}^{EtOH-NaOH}$ 295 nm) suggested the presence of 1-benzyltetrahydroisoquinoline system[14] in the base. In the NMR spectrum of alkaloid B, a sharp singlet for one proton at $\tau$4.35 was assigned to C-8 aromatic proton. A singlet for one proton at $\tau$3.30 was due to C-5 aromatic proton. A sharp singlet for three protons at $\tau$6.72 was assigned to C-7 methoxyl group which is known to resonate at a higher field due to conformational reasons[15-17]. In the aromatic region an $A_2B_2$ quartet for four aromatic protons at $\tau$3.05 and 3.25 (J = 8 Hz) was due to a *para*-substituted benzyl moiety. Two sharp singlets each of three protons at $\tau$6.60 and 6.88 were assigned to $\overset{+}{N}-(CH_3)_2$ group. The structure (*2*) of lotusine chloride[17] emerged for alkaloid B from the spectroscopic data




(1)




(2) R = H ; $R_1$ = Me

(3) R = Me ; $R_1$ = H

presented above. Direct comparison of alkaloid B with lotusine chloride established the identity.

The IR spectrum of alkaloid C ($\nu_{max}^{KBr}$ 3500, 3411, 1603 and 1235 $cm^{-1}$) in conjunction with its UV

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data ($\lambda_{max}^{EtOH}$ 285 nm and $\lambda_{max}^{EtOH-NaOH}$ 299 nm) suggested the presence of 1-benzyltetrahydroisoquinoline system[14]. The NMR spectrum of alkaloid C was more informative. A sharp singlet for one proton at $\tau$3.82 was due to C-8 aromatic proton. A sharp singlet for one proton at $\tau$3.11 was assigned to C-5 aromatic proton. A sharp singlet for three protons at $\tau$6.01 was due to C-6 methoxyl group. Four aromatic protons of *p*-substituted benzyl moiety appeared as a multiplet centered at $\tau$3.09. Two sharp singlets each for three protons at $\tau$6.50 and 6.75 were assigned to $\overset{+}{N}-(CH_3)_2$ group. The physical constants and spectroscopic data of alkaloid C were very close to the reported data of magnocurarine chloride[18](*3*) isolated from *Colletia spinosissima* Gmel. Direct comparison with authentic sample established the identity.

*Biological Activity :*

Alkaloids A, B and C, isolated from the water soluble alkaloidal fraction of *T. racemosa* and characterized as magnoflorine, lotusine and magnocuranine chlorides respectively, showed d-tubocurarine like curarizing action on the sciatic skeletal muscles as reported earlier[8]. These quaternary bases also induced hypotensive effects in dog, cat and rabbit. This myoneural transmission inhibitory activity is believed due to ganglionic blocking action of these drugs on various sympathetic and parasympathetic ganglia.

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