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Lectins in drug delivery—the binding of some *Diocleae* lectins to the mucosal surfaces of the eye and mouth

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The use of lectins as a means of 'anchoring' drug delivery systems to the mucosal surfaces such as those within the oral cavity or precorneal region, in order to enhance localised and systemic drug therapy has been investigated in previous studies (Nicholls et al 1996, Nantwi et al 1997). In this work lectins that have been isolated, purified and characterised at the Universidade Federal do Caera, Brazil, were examined for their ability to bind to the buccal and sublingual mucosa, cornea and conjunctiva of the rat, with regard to their potential for inclusion into new drug delivery systems.

The lectins from *Canavalia ensiformis, Canavalia brasilensis, Canavalia bonariensis, Cratylia floribunda, Dioclea grandiflora, Dioclea guianensis, Dioclea violacea, Dioclea virgata* and *Dioclea rostrata* were investigated in this study. The *Canavalia ensiformis* lectin supplied by Sigma was used as a control. These lectins were biotinylated using biotin N-hydroxysuccinimide ester and a technique developed at the University of Portsmouth. The molecular weights of the lectins were determined before and after biotinylation, and from this biotin : lectin (or lectin subunit) ratios were estimated by SDS-PAGE to be between 2.4:1 (*Dioclea rostrata*) and 10:1 (*Canavalia brasilensis*).

Upper eyelids, eyeballs, buccal tissue and tongues were obtained from recently sacrificed male wistar rats. These were exposed to solutions containing 5μg mL^{-1} biotinylated lectins for 15 min, washed and the lectin binding identified using a streptavidin peroxidase/diaminobenzidine technique as described by Nicholls et al (1996). 5μm sections were cut and the surface cover and stain intensity of the diaminobenzidine precipitate, indicting the presence of bound lectin, was subjectively assessed for surface cover (0 to 5, from no cover to complete coverage) and stain intensity (- to +++++, from no visible precipitate to a dark brown precipitate) using light microscopy at x 100 magnification. The experiment was completed using tissues from three different animals for each lectin.

Table 1. The binding of some Brazilian lectins to tissue surfaces from the eye and mouth of rats (stain intensity (- to +++++)/surface cover (0 to 5))

	Cornea	Conjunctiva	Buccal	Tongue
Control (no Lectin)	-/0	-/0	-/0	-/o
Canavalia Brasilensis	+/3	++/4	+/3	+/4
Canavalia ensiformis	+++/5	++/5	+++/5	++/3
Cratylia floribunda	+++/5	+++/5	+++/5	+++/5
Dioclea violacea	+/4	+/3	+/5	+/3

As with previous studies, all the lectins bound to some extent (Table 1), with the lectin from *Cratylia floribunda* showing particular promise in binding avidly to all the mucosal surfaces, while the *Dioclea violacea* lectin showed comparatively weak binding. Some differences in binding between each tissue type was obtained. In all cases the presence of glucose (the hapten sugar) significantly reduced (or eliminated) binding.

It was concluded that these lectins bind to the test mucosal surfaces, and in particular the *Cratylia floribunda* lectin shows promise for further in-vivo and formulation studies.

Nicholls, T. J. et al (1996) Int. J. Pharm. 138: 175-183
Nantwi, P.K.K. et al (1997) J. Drug Targeting 5: 45-55