

RELEASE RATES FROM SUSTAINED-RELEASE BUCCAL TABLETS IN MAN

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The duration of action of sustained release buccal tablets is related to the release profile of the drug, which may be dependent on the position of the tablet within the mouth. The objectives of the present study were to examine this hypothesis using ^{99m}Tc -labelled diethylenetriaminepentaacetic acid (^{99m}Tc -DTPA) as a tracer, and to investigate intra and inter-subject variability.

The dosage form was based on a hydroxypropyl methylcellulose polymer matrix and the sustained effect is produced by diffusion of the drug through a gel structure which is formed around the tablet following hydration. Tablets were prepared incorporating ^{99m}Tc -DTPA as described by Daly et al (1982). The in vivo release of the tracer from tablets administered to healthy male subjects was monitored using gamma scintigraphy. Images of the head were recorded over the 3 hours immediately following administration. Quantitation of the radioactivity remaining in each tablet was obtained by computer analysis of the data.

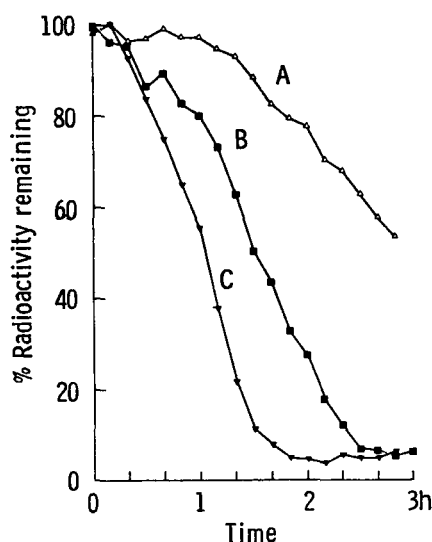


Fig. 1. Effect of position on the release of ^{99m}Tc -DTPA from buccal tablets in one subject: A tablet in lower buccal cavity; B in upper buccal cavity; and C sublingual.

The release profiles of tablets placed in the lower buccal cavity were measured on four occasions, in each of four healthy male volunteers. It was found that the inter-subject variability was considerably greater than that observed within each subject. In subsequent experiments, in a further four volunteers, a comparison was made of the release profiles with tablets placed sublingually, and in the upper and lower buccal pouches (Fig. 1). The rate of release from the sublingually placed tablet was the fastest in each subject studied. The profiles from the tablets placed in the buccal pouches showed that release from the tablet in the upper pouch was the same or faster than that from the tablet in the lower.

Daly, P.B. et al (1982) Int. J. Pharm. 10: 17-24