

Are there Merits in Sustained-Release Preparations?

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There is no question that the most common way most people take their vitamins is indeed the worst way, namely, throwing down one's vitamins first thing in the morning (often with hostility). This is the basis for the oft-heard comment that "taking vitamins will only give you expensive urine." It is for that and many other reasons that there have been attempts through the years to put medicaments in general, and for our purposes here vitamin C in particular, into timed- or sustained-release form.

There are a number of these types of formulations available today. The general effort has been to develop delayed, sustained-release principles with the assumption that longer intestinal transit would result in continued increased absorption. Our particular studies dealt with the "Spansule" concept which consisted of a core of sugar and starch to which a vitamin was applied. This then was surrounded by semi-permeable coatings that were tailor made blends of selected waxes and fats whose precise composition was dictated by the physicochemical characteristics of the particular substance to be delivered. Moisture permeated the shell by osmosis and the core became swollen, eventually rupturing the crust. The phenomenon of sustained- or timed-release was based on the rate of moisture permeation into hundreds of pellets with layers of various thicknesses. The thinner envelopes were found to be more permeable and ruptured first, while the thicker coatings which were progressively less porous, were delayed in their time of rupture.

An examination of a regular, meaning nontimed-release, versus sustained-release multivitamin supplement on two measures of vitamin C was conducted in 50 presumably healthy subjects.¹ Specifically, the double-blind crossover study was intended to show changes in blood as determined by the plasma ascorbic acid level and in tissues as measured by

the lingual ascorbic acid test time.

The lingual time has been considered in great detail in a book.² This technique is a very simple procedure. The patient should be seated in an area where the tongue may be directly illuminated. After rinsing the mouth thoroughly with tap water, the protruded tongue should be grasped and held with a gauze pad. With the subject's mouth opened wide, the dorsum of the tongue in the vicinity of the junction of the anterior and middle thirds may be observed. This area is dried with a gauze pad being careful to stroke the papillae so that they stand erect. A papillated area to the left or right of the midline is chosen and one drop of a 1/340 normal 2, 6 dichloroindophenol sodium salt solution is deposited. The correct drop is assured by holding the syringe at approximately a 45 degree angle. Just as the drop lands upon the tongue, timing is initiated with a stopwatch and measurement is continued until the blue color has disappeared. This time period is recorded in seconds.

By random selection, one-half of the subjects, namely 25, were given a nonsustained-release vitamin supplement and the remaining 25 were provided with a sustained-release preparation. The initial challenge was three consecutive days. Both groups were given instructions to abstain from any other nutritional supplements or citrus fruit or juice intake for two days prior to this period. Each patient was ordered not to eat or drink anything except water after 8:00 p.m. on the evening before the initial period and to eat only between the hours of 2:00 p.m. and 8:00 p.m. on the three test days. This allowed a daily 12-hour fasting blood sample at 8:00 a.m., a 15-hour at 11:00 a.m.; and an 18-hour sample at 2:00 p.m.

Following the initial three days of the vitamin challenge, a 13-day intermission was put into effect. Normal eating habits were allowed but abstention from vitamin supplements was continued. Restriction of

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citrus fruit or juice intake was reinstated during the last two intermission days. Fasting and eating instructions were given as in the initial period. During the next three days, each group received the supplement previously administered to the other group. Each participant was supplied, under supervision, the appropriate supplement immediately after the 8:00 a.m. blood sample was drawn. The dose was one capsule (consisting of 84.5 mg of vitamin C) per day during both periods.

An examination of the tissue levels is outlined in Table 1 and in Figures 1 and 2. (p. 50,51)The difference between formulations is highly significant with the sustained-release providing much lower (better) average lingual vitamin C test times than the non-timed-release. This suggests a greater delivery into the tissues with the sustained release preparation. Finally, the decrease in lingual tissue time over the three days of each challenge period and over the three sampling times within each day are all statistically significant. The results suggest beyond question that the sustained-release multivitamin formulation enables greater amounts of vitamin C to reach the tissues

than the nontimed-release preparation.

Summary and Conclusions

The observations reported here have been demonstrated in other oral parameters, such as gingival state,³ sulcus depth,⁴ and clinical tooth mobility,⁴ with essentially the same findings. This continual supply of vitamin C to tissue levels is consistent with the steady state, a now popular concept of homeostasis.⁵

References

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Figure 1.

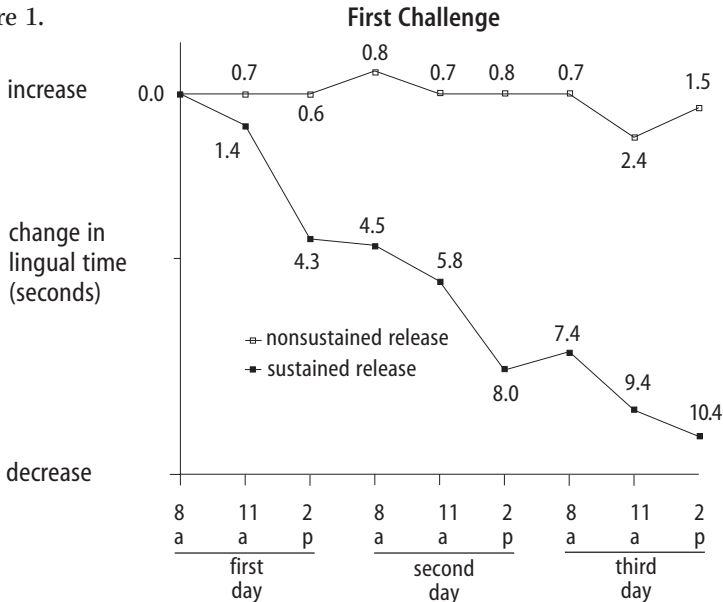


Table 1. Average lingual times

		nonsustained release	sustained release
Challenge Period I			
Day 1	8:00 a.m.	27.04	29.12
	11:00 a.m.	26.33	27.77
	2:00 p.m.	26.42	24.81
Day 2	8:00 a.m.	27.79	24.62
	11:00 a.m.	26.33	21.23
	2:00 p.m.	26.29	21.12
Day 3	8:00 a.m.	26.33	21.73
	11:00 a.m.	24.67	19.69
	2:00 p.m.	25.54	18.73
Challenge Period II			
Day 1	8:00 a.m.	25.96	26.08
	11:00 a.m.	26.27	23.21
	2:00 p.m.	26.15	20.88
Day 2	8:00 a.m.	26.31	22.67
	11:00 a.m.	25.00	20.58
	2:00 p.m.	25.00	19.04
Day 3	8:00 a.m.	26.46	20.08
	11:00 a.m.	25.38	18.29
	2:00 p.m.	24.96	17.62

Figure 2.

